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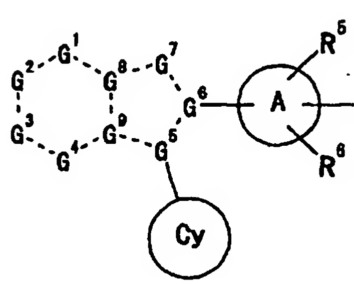
(71) Applicant: **Japan Tobacco Inc.**
Tokyo 105-8422 (JP)

(72) Inventors:
- **HASHIMOTO, Hiromasa, Ctr. Pharm. Res. Inst. Japan Takatsuku-shi, Osaka 569-1125 (JP)**
- **MIZUTANI, Kenji, Ctr. Pharm. Res. Inst. of Japan Takatsuki-shi, Osaka 569-1125 (JP)**
- **YOSHIDA, Atsuhito, Ctr. Pharm. Res. Inst. Japan Takatsuki-shi, Osaka 569-1125 (JP)**

(74) Representative:
von Kreisler, Alek, Dipl.-Chem. et al
Patentanwälte
von Kreisler-Selting-Werner
Postfach 10 22 41
50462 Köln (DE)

(54) FUSED-RING COMPOUNDS AND USE THEREOF AS DRUGS

(57) The present invention provides a fused ring compound of the following formula [I]



[I]

wherein each symbol is as defined in the specification, a pharmaceutically acceptable salt thereof, and a therapeutic agent for hepatitis C, which contains this compound. The compound of the present invention shows an anti-hapatitis C virus (HCV) action based on the HCV polymerase inhibitory activity, and is useful as a therapeutic agent or prophylactic agent for hepatitis C.

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Description

Technical Field

[0001] The present invention relates to a novel fused ring compound and a pharmaceutically acceptable salt thereof useful as a therapeutic agent for hepatitis C. The present invention also relates to a novel use of a certain fused ring compound or a pharmaceutically acceptable salt thereof as a therapeutic agent for hepatitis C. More particularly, the present invention relates to a therapeutic agent for hepatitis C, which contains a novel fused ring compound or a pharmaceutically acceptable salt thereof, which is effective for the prophylaxis or treatment of hepatitis C and which shows anti-hepatitis C virus (HCV) activity, particularly anti-HCV activity based on an RNA-dependent RNA polymerase inhibitory activity.

Background Art

[0002] In 1989, a main causative virus of non-A non-B posttransfusion hepatitis was found and named hepatitis C virus (HCV). Since then, several types of hepatitis viruses have been found besides type A, type B and type C, wherein hepatitis caused by HCV is called hepatitis C.

[0003] The patients infected with HCV are considered to involve several percent of the world population, and the infection with HCV characteristically becomes chronic.

[0004] HCV is an envelope RNA virus, wherein the genome is a single strand plus-strand RNA, and belongs to the genus Hepacivirus of Flavivirus (from The International Committee on Taxonomy of Viruses, International Union of Microbiological Societies). Of the same hepatitis viruses, for example, hepatitis B virus (HBV), which is a DNA virus, is eliminated by the immune system and the infection with this virus ends in an acute infection except for neonates and infants having yet immature immunological competence. In contrast, HCV somehow avoids the immune system of the host due to an unknown mechanism. Once infected with this virus, even an adult having a mature immune system frequently develops persistent infection.

[0005] When chronic hepatitis is associated with the persistent infection with HCV, it advances to cirrhosis or hepatic cancer in a high rate. Enucleation of tumor by operation does not help much, because the patient often develops recurrent hepatic cancer due to the sequela inflammation in non-cancerous parts.

[0006] Thus, an effective therapeutic method of hepatitis C is desired. Apart from the symptomatic therapy to suppress inflammation with an anti-inflammatory agent, the development of a therapeutic agent that reduces HCV to a low level free from inflammation and that eradicates HCV has been strongly demanded.

[0007] At present, a treatment with interferon is the only effective method known for the eradication of HCV. However, interferon can eradicate the virus only in about one-third of the patient population. For the rest of the patients, it has no effect or provides only a temporary effect. Therefore, an anti-HCV drug to be used in the place of or concurrently with interferon is awaited in great expectation.

[0008] In recent years, Ribavirin (1-β-D-ribofuranosyl-1H-1,2,4-triazole-3-carboxamide) has become commercially available as a therapeutic agent for hepatitis C, which is to be used concurrently with interferon. It enhances the efficacy of interferon but only to a low efficacy rate, and a different novel therapeutic agent for hepatitis C is desired.

[0009] Also, an attempt has been made to potentiate the immunocompetence of the patient with an interferon agonist, an interleukin-12 agonist and the like, thereby to eradicate the virus, but an effective pharmaceutical agent has not been found yet.

[0010] In addition, the inhibition of HCV growth, wherein HCV-specific protein is targeted, has been drawing attention these days.

[0011] The gene of HCV encodes a protein such as serine protease, RNA helicase, RNA-dependent RNA polymerase and the like. These proteins function as a specific protein essential for the growth of HCV.

[0012] One of the specific proteins, RNA-dependent RNA polymerase (hereinafter to be also briefly referred to as an HCV polymerase), is an enzyme essential for the growth of the virus. The gene replication of HCV having a plus-strand RNA gene is considered to involve synthesis of a complementary minus-strand RNA by the use of the plus-strand RNA as a template, and, using the obtained minus-strand RNA as a template, amplifying the plus-strand RNA. The portion called NS5B of a protein precursor, that HCV codes for, has been found to show an RNA-dependent RNA polymerase activity (EMBO J., 15, 12-22, 1996), and is considered to play a central role in the HCV gene replication.

[0013] Therefore, an HCV polymerase inhibitor can be a target in the development of an anti-HCV drug, and the development thereof is eagerly awaited. However, an effective HCV polymerase inhibitor has not been developed yet, like in other attempts to develop an anti-HCV drug based on other action mechanisms. As the situation stands, no pharmaceutical agent can treat hepatitis C satisfactorily.

[0014] The following discloses known compounds relatively similar to the compound of the present invention.

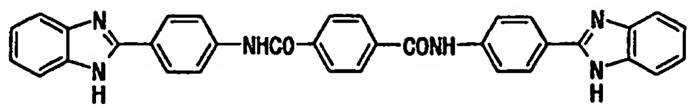
[0015] A known therapeutic agent for hepatitis C having a benzimidazole skeleton is disclosed in WO97/36866,

Japanese Patent Application under PCT laid-open under kohyo No. 2000-511899 (EP906097) and WO99/51619.

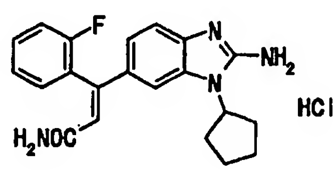
[0016] WO97/36866 discloses the following compound D and the like, and HCV helicase inhibitory activity of the compounds.

[0017] Japanese Patent Application under PCT laid-open under kohyo No. 2000-511899 (EP906097) discloses the following compound E and the like, and WO99/51619 discloses the following compound F and the like, in both of which a possibility of these compounds being effective as an HCV inhibitor is mentioned.

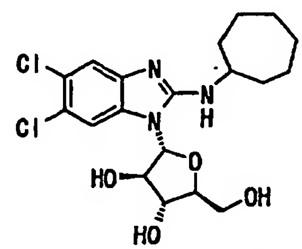
[0018] However, these publications do not include the compound disclosed in the present specification, or a disclosure suggestive thereof.



compound D



compound E

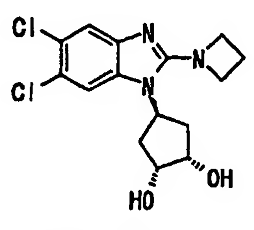


compound F

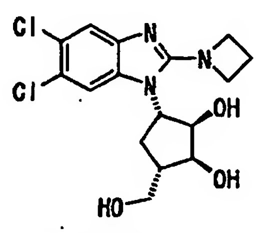
[0019] A known anti-hepatitis virus agent having a benzimidazole skeleton is disclosed in Japanese Patent Application under PCT laid-open under kohyo No. 2000-503017 (WO97/25316) and Japanese Patent Application under PCT laid-open under kohyo No. 10-505092 (WO96/7646).

[0020] WO97/25316 discloses the following compound A and the like, wherein the use thereof is for a treatment of viral infection. The target virus is a DNA virus such as hepatitis B virus and the like. However, this publication does not include the compound disclosed in the present specification or a description regarding or suggestive of HCV.

[0021] Japanese Patent Application under PCT laid-open under kohyo No. 10-505092 discloses the following compound B and the like, wherein the use thereof is for a treatment of viral infection. The target virus is a DNA virus such as herpesvirus and hepatitis B virus. However, this publication does not include the compound disclosed in the present specification or a description regarding or suggestive of HCV.



compound A



compound B

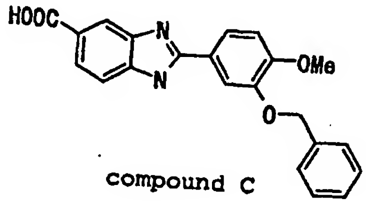
[0022] The benzimidazole derivatives having an antiviral activity have been disclosed in JP-A-3-31264, US3644382 and US3778504. In addition, WO98/37072 discloses, as a production inhibitor of tumor necrosis factor (TNF) and cyclic AMP, a benzimidazole derivative for the use as an anti-human immunodeficiency virus (HIV) agent and an anti-inflammation agent. WO98/05327 discloses, as a reverse transcriptase inhibitor, a benzimidazole derivative for the use as an anti-HIV agent. J. Med. Chem. (13(4), 697-704, 1970) discloses, as a neuraminidase inhibitor, a benzimidazole derivative for the use as an anti-influenza virus agent.

[0023] However, none of these publications includes the compound of the present invention or a description regarding

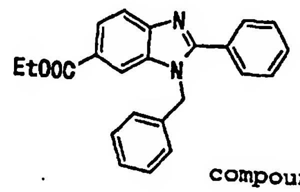
or suggestive of an anti-HCV effect.

[0024] Known benzimidazole derivatives having a pharmaceutical use other than as an antiviral agent are disclosed in JP-A-8-501318 (US5824651) and JP-A-8-134073 (US5563243). These publications disclose the following compound C and the like as a catechol diether compound, and the use thereof as an anti-inflammation agent. However, neither of the publications includes the compound of the present invention, and as the action mechanism, the former discloses phosphodiesterase IV and the latter discloses TNF. These publications do not include a description regarding or suggestive of an anti-HCV effect.

[0025] Japanese Patent Application under PCT laid-open under kohyo No. 2000-159749 (EP882718) discloses the following compound G and the like, and the use thereof for the treatment of bronchitis, glomerulonephritis and the like. However, this publication does not include the compound of the present invention, but discloses only a phosphodiesterase IV inhibitory and hypoglycemic action. This publication does not include a description regarding or suggestive of an anti-HCV effect.



compound C



compound G

[0026] WO98/50029, WO98/50030 and WO98/50031 disclose benzimidazole derivatives as an antitumor agent having a protein isoprenyl transferase action. While this publication discloses a wide scope of the claims, at least it does not include a compound analogous to the compound of the present invention or a description regarding or suggestive of an anti-HCV effect.

[0027] JP-A-8-109169 (EP694535) discloses the application of a tachykinin receptor antagonist to treat an inflammatory disease, and WO96/35713 discloses the application thereof as a growth hormone release promoter to treat a growth hormone-related disease such as osteoporosis and the like. However, none of these publications includes a description regarding or suggestive of an anti-HCV effect.

[0028] JP-A-53-14735 discloses a benzimidazole derivative as a brightener besides its pharmaceutical use, but this publication does not include the compound of the present invention.

Disclosure of the Invention

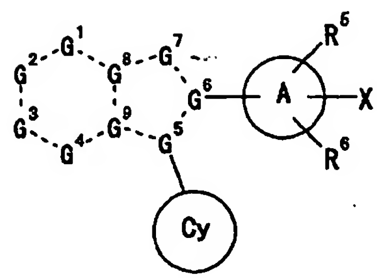
[0029] Based on the findings from the preceding studies, it has been elucidated that a pharmaceutical agent having an anti-HCV activity is effective for the prophylaxis and treatment of hepatitis C, and particularly an anti-HCV agent having an inhibitory activity on RNA-dependent RNA polymerase of HCV can be a prophylactic and therapeutic agent effective against hepatitis C and a prophylactic and therapeutic agent for the disease caused by hepatitis C.

[0030] Accordingly, the present invention provides a pharmaceutical agent having an anti-HCV activity, particularly a pharmaceutical agent having an RNA-dependent RNA polymerase inhibitory activity.

[0031] The present inventors have made an in-depth study of compounds having an anti-HCV activity, particularly RNA-dependent RNA polymerase inhibitory activity, and completed the present invention.

[0032] Thus, the present invention provides the following (1) to (43).

(1) A therapeutic agent for hepatitis C, which comprises a fused ring compound of the following formula [I] or a pharmaceutically acceptable salt thereof as an active ingredient:



[I]

wherein

a broken line is a single bond or a double bond,

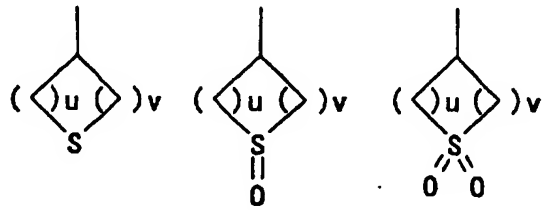
G^1	is C(-R^1) or a nitrogen atom,
G^2	is C(-R^2) or a nitrogen atom,
G^3	is C(-R^3) or a nitrogen atom,
G^4	is C(-R^4) or a nitrogen atom,
G^5, G^6, G^8 and G^9	are each independently a carbon atom or a nitrogen atom,
G^7	is C(-R^7), an oxygen atom, a sulfur atom, or a nitrogen atom optionally substituted by R^8,

wherein R^1, R^2, R^3 and R^4 are each independently,

(1) hydrogen atom,
(2) C_{1-6} alkanoyl,
(3) carboxyl,
(4) cyano,
(5) nitro,
(6) C_{1-6} alkyl optionally substituted by 1 to 3 substituent(s) selected from the following group A,
group A; halogen atom, hydroxyl group, carboxyl, amino, C_{1-6} alkoxy, C_{1-6} alkoxycarbonyl and C_{1-6} alkylamino,
(7) $-COOR^{a1}$
wherein R^{a1} is optionally substituted C_{1-6} alkyl (as defined above) or C_{6-14} aryl C_{1-6} alkyl optionally substituted by 1 to 5 substituent(s) selected from the following group B,
group B; halogen atom, cyano, nitro, C_{1-6} alkyl,
halogenated C_{1-6} alkyl, C_{1-6} alkanoyl,
$-(CH_2)_r-COOR^{b1}$, $-(CH_2)_r-CONR^{b1}R^{b2}$, $-(CH_2)_r-NR^{b1}R^{b2}$, $-(CH_2)_r-NR^{b1}-COR^{b2}$, $-(CH_2)_r-NHSO_2R^{b1}$, $-(CH_2)_r-OR^{b1}$, $-(CH_2)_r-SR^{b1}$, $-(CH_2)_r-SO_2R^{b1}$ and $-(CH_2)_r-SO_2NR^{b1}R^{b2}$
wherein R^{b1} and R^{b2} are each independently hydrogen atom or C_{1-6} alkyl and r is 0 or an integer of 1 to 6,
(8) $-CONR^{a2}R^{a3}$
wherein R^{a2} and R^{a3} are each independently hydrogen atom, C_{1-6} alkoxy or optionally substituted C_{1-6} alkyl (as defined above),
(9) $-C(=NR^{a4})NH_2$
wherein R^{a4} is hydrogen atom or hydroxyl group,
(10) $-NHR^{a5}$
wherein R^{a5} is hydrogen atom, C_{1-6} alkanoyl or C_{1-6} alkylsulfonyl,
(11) $-OR^{a6}$
wherein R^{a6} is hydrogen atom or optionally substituted C_{1-6} alkyl(as defined above),
(12) $-SO_2R^{a7}$
wherein R^{a7} is hydroxyl group, amino, C_{1-6} alkyl or C_{1-6} alkylamino
or
(13) $-P(=O)(OR^{a31})_2$
wherein R^{a31} is hydrogen atom, optionally substituted C_{1-6} alkyl (as defined above) or C_{6-14} aryl C_{1-6} alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B, and

R^7 and R^8 are each hydrogen atom or optionally substituted C_{1-6} alkyl(as defined above),
ring Cy is

(1) C_{3-8} cycloalkyl optionally substituted by 1 to 5 substituent(s) selected from the following group C, group C; hydroxyl group, halogen atom, C_{1-6} alkyl and C_{1-6} alkoxy,
(2) C_{3-8} cycloalkenyl optionally substituted by 1 to 5 substituent(s) selected from the above group C, or
(3)



wherein u and v are each independently an integer of 1 to 3,

ring A is

(1) C_{6-14} aryl,
(2) C_{3-8} cycloalkyl,
(3) C_{3-8} cycloalkenyl or
(4) heterocyclic group having 1 to 4 heteroatom(s) selected from an oxygen atom, a nitrogen atom and a sulfur atom,

R^5 and R^6 are each independently

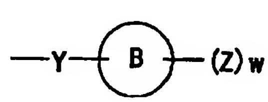
(1) hydrogen atom,
(2) halogen atom,
(3) optionally substituted C_{1-6} alkyl (as defined above) or
(4) $-OR^{a8}$
wherein R^{a8} is hydrogen atom, C_{1-6} alkyl or C_{6-14} aryl C_{1-6} alkyl, and

X is

(1) hydrogen atom,
(2) halogen atom,
(3) cyano,
(4) nitro,
(5) amino, C_{1-6} alkanoylamino,
(6) C_{1-6} alkylsulfonyl,
(7) optionally substituted C_{1-6} alkyl(as defined above),
(8) C_{2-6} alkenyl optionally substituted by 1 to 3 substituent(s) selected from the above group A,
(9) $-COOR^{a9}$
wherein R^{a9} is hydrogen atom or C_{1-6} alkyl,
(10) $-CONH-(CH_2)_1-R^{a10}$
wherein R^{a10} is optionally substituted C_{1-6} alkyl (as defined above), C_{1-6} alkoxycarbonyl or C_{1-6} alkanoylamino and 1 is 0 or an integer of 1 to 6,
(11) $-OR^{a11}$
wherein R^{a11} is hydrogen atom or optionally substituted C_{1-6} alkyl (as defined above)

or

(12)



wherein
ring B is

(1') C_{6-14} aryl,
(2') C_{3-8} cycloalkyl or
(3') heterocyclic group (as defined above),

each Z is independently

(1') a group selected from the following group D,
(2') C_{6-14} aryl optionally substituted by 1 to 5 substituent(s) selected from the following group D,
(3') C_{3-8} cycloalkyl optionally substituted by 1 to 5 substituent(s) selected from the following group D,
(4') C_{6-14} aryl C_{1-6} alkyl optionally substituted by 1 to 5 substituent(s) selected from the following group D or
(5') heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the following group D

wherein the heterocyclic group has 1 to 4 hetero-atom(s) selected from an oxygen atom, a nitrogen atom and a sulfur atom,
group D:

(a) hydrogen atom,
(b) halogen atom,
(c) cyano,
(d) nitro,
(e) optionally substituted C_{1-6} alkyl (as defined above),
(f) $-(CH_2)_t-COR^{a18}$,
(hereinafter each t means independently 0 or an integer of 1 to 6),
wherein R^{a18} is

(1") optionally substituted C_{1-6} alkyl (as defined above),
(2") C_{6-14} aryl optionally substituted by 1 to 5 substituent(s) selected from the above group B or
(3") heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the above group B wherein the heterocyclic group has 1 to 4 heteroatom(s) selected from an oxygen atom, a nitrogen atom and a sulfur atom,

(g) $-(CH_2)_t-COOR^{a19}$
wherein R^{a19} is hydrogen atom, optionally substituted C_{1-6} alkyl (as defined above) or C_{6-14} aryl C_{1-6} alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
(h) $-(CH_2)_t-CONR^{a27}R^{a28}$
wherein R^{a27} and R^{a28} are each independently,

(1") hydrogen atom,
(2") optionally substituted C_{1-6} alkyl (as defined above),
(3") C_{6-14} aryl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
(4") C_{6-14} aryl C_{1-6} alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
(5") heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the above group B,
(6") heterocycle C_{1-6} alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B, wherein the heterocycle C_{1-6} alkyl is C_{1-6} alkyl substituted by heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the above group B, as defined above,
(7") C_{3-8} cycloalkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B, or
(8") C_{3-8} cycloalkyl C_{1-6} alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,

(i)$-(CH_2)_t-C(=NR^{a33})NH_2$
wherein R^{a33} is hydrogen atom or C_{1-6} alkyl,
(j) $-(CH_2)_t-OR^{a20}$
wherein R^{a20} is

(1") hydrogen atom,

(2") optionally substituted C_{1-6} alkyl (as defined above),
(3") optionally substituted C_{2-6} alkenyl (as defined above),
(4") C_{2-6} alkynyl optionally substituted by 1 to 3 substituent(s) selected from the above group A,
(5") C_{6-14} aryl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
(6") C_{6-14} aryl C_{1-6} alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
(7") heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the above group B,
(8") heterocycle C_{1-6} alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
(9") C_{3-8} cycloalkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B, or
(10") C_{3-8} cycloalkyl C_{1-6} alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,

(k) $-(CH_2)_t-O-(CH_2)_p-COR^{a21}$
wherein R^{a21} is C_{1-6} alkylamino or heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the above group B, and p is 0 or an integer of 1 to 6,
(1) $-(CH_2)_t-NR^{a22}R^{a23}$
wherein R^{a22} and R^{a23} are each independently

(1") hydrogen atom,
(2") optionally substituted C_{1-6} alkyl (as defined above),
(3") C_{6-14} aryl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
(4") C_{6-14} aryl C_{1-6} alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B or
(5") heterocycle C_{1-6} alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,

(m) $-(CH_2)_t-NR^{a29}CO-R^{a24}$
wherein R^{a29} is hydrogen atom, C_{1-6} alkyl or C_{1-6} alkanoyl, R^{a24} is optionally substituted C_{1-6} alkyl (as defined above), C_{6-14} aryl optionally substituted by 1 to 5 substituent(s) selected from the above group B or heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the above group B,
(n) $-(CH_2)_t-NHSO_2-R^{a25}$
wherein R^{a25} is hydrogen atom, optionally substituted C_{1-6} alkyl (as defined above), C_{6-14} aryl optionally substituted by 1 to 5 substituent(s) selected from the above group B or heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the above group B,
(o) $-(CH_2)_t-S(O)_q-R^{a25}$
wherein R^{a25} is as defined above, and q is 0, 1 or 2,
and
(p)$-(CH_2)_t-SO_2-NHR^{a26}$
wherein R^{a26} is hydrogen atom, optionally substituted C_{1-6} alkyl (as defined above), C_{6-14} aryl optionally substituted by 1 to 5 substituent(s) selected from the above group B or heterocyclic group optionally substituted by to 5 substituent(s) selected from the above group B,

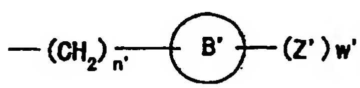
w is an integer of 1 to 3, and
Y is

(1') a single bond,
(2') C_{1-6} alkylene,
(3') C_{2-6} alkenylene,
(4') $-(CH_2)_m-O-(CH_2)_n-$,
(hereinafter m and n are each independently 0 or an integer of 1 to 6),
(5') -CO-,
(6') $-CO_2-(CH_2)_n-$,
(7') $-CONH-(CH_2)_n-NH-$,
(8') $-NHCO_2-$,
(9') -NHCONH-,
(10') $-O-(CH_2)_n-CO-$,
(11') $-O-(CH_2)_n-O-$,
(12') $-SO_2-$,
(13') $-(CH_2)_m-NR^{a12}-(CH_2)_n-$
wherein R^{a12} is

(1") hydrogen atom,
(2") optionally substituted C_{1-6} alkyl (as defined above),
(3") C_{6-14} aryl C_{1-6} alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
(4") C_{6-14} aryl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
(5") $-COR^{b5}$
wherein R^{b5} is hydrogen atom, optionally substituted C_{1-6} alkyl (as defined above), C_{6-14} aryl optionally substituted by 1 to 5 substituent(s) selected from the above group B or C_{6-14} aryl C_{1-6} alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
(6") $-COOR^{b5}$ (R^{b5} is as defined above) or
(7") $-SO_2R^{b5}$ (R^{b5} is as defined above),

(14') $-NR^{a12}CO-$ (R^{a12} is as defined above),
(15') $-CONR^{a13}-(CH_2)_n-$
wherein R^{a13} is hydrogen atom, optionally substituted C_{1-6} alkyl (as defined above) or C_{6-14} aryl C_{1-6} alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
(16') $-CONH-CHR^{a14}-$
wherein R^{a14} is C_{6-14} aryl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
(17') $-O-(CH_2)_m-CR^{a15}R^{a16}-(CH_2)_n-$
wherein R^{a15} and R^{a16} are each independently

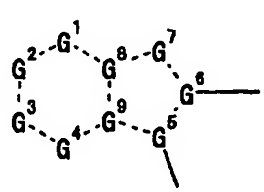
(1") hydrogen atom,
(2") carboxyl,
(3") C_{1-6} alkyl,
(4") $-OR^{b6}$
wherein R^{b6} is C_{1-6} alkyl or C_{6-14} aryl C_{1-6} alkyl, or
(5") $-NHR^{b7}$
wherein R^{b7} is hydrogen atom, C_{1-6} alkyl, C_{1-6} alkanoyl or C_{6-14} aryl C_{1-6} alkyloxycarbonyl, or R^{a15} is optionally
(6")



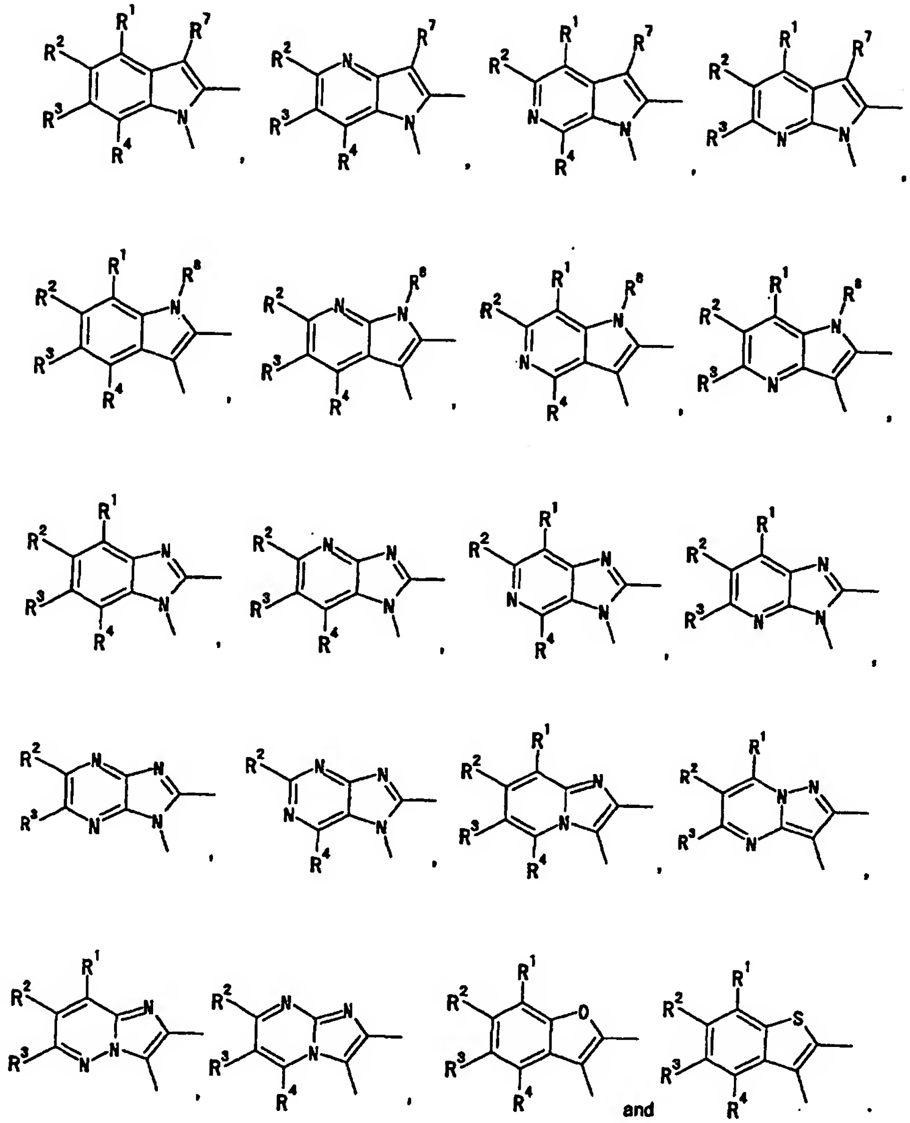
wherein n', ring B', Z' and w' are the same as the above-mentioned n, ring B, Z and w, respectively, and may be the same as or different from the respective counterparts,

(18')$-(CH_2)_n-NR^{a12}-CHR^{a15}-$ (R^{a12} and R^{a15} are each as defined above),
(19') $-NR^{a17}SO_2-$
wherein R^{a17} is hydrogen atom or C_{1-6} alkyl or
(20') $-S(O)_e-(CH_2)_m-CR^{a15}R^{a16}-(CH_2)_n-$ (e is 0, 1 or 2, R^{a15} and R^{a16} are each as defined above).

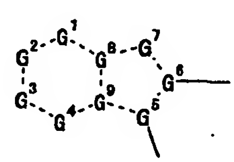
(2) The therapeutic agent of (1) above, wherein 1 to 4 of the G^1, G^2, G^3, G^4, G^5, G^6, G^7, G^8 and G^9 is (are) a nitrogen atom.
(3) The therapeutic agent of (2) above, wherein G^2 is $C(-R^2)$ and G^6 is a carbon atom.
(4) The therapeutic agent of (2) or (3) above, wherein G^5 is a nitrogen atom.
(5) The therapeutic agent of (1) above, wherein, in formula [I], the moiety



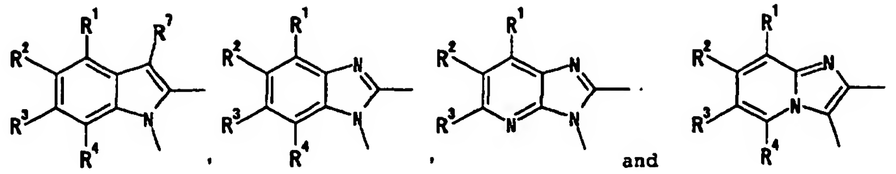
is a fused ring selected from



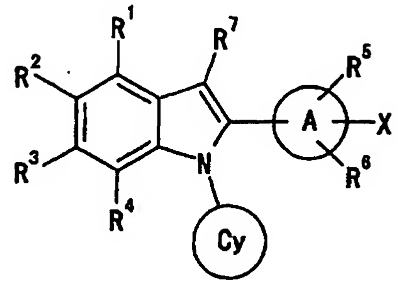
(6) The therapeutic agent of (5) above, wherein, in formula [I], the moiety



is a fused ring selected from

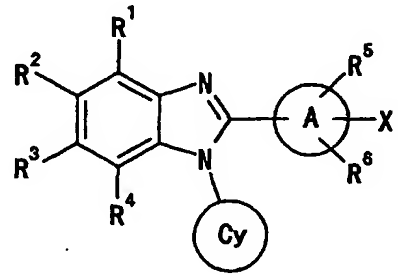


(7) The therapeutic agent of (6) above, which comprises a fused ring compound of the following formula [I-1]



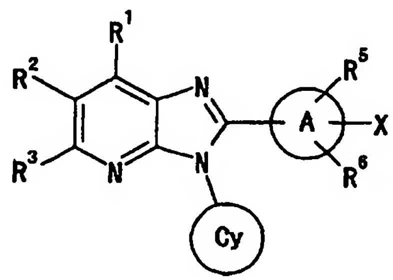
[I-1]

wherein each symbol is as defined in (1),
or a pharmaceutically acceptable salt thereof as an active ingredient.
(8) The therapeutic agent of (6) above, which comprises a fused ring compound of the following formula [I-2]



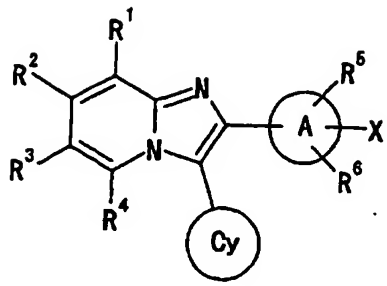
[I-2]

wherein each symbol is as defined in (1),
or a pharmaceutically acceptable salt thereof as an active ingredient.
(9) The therapeutic agent of (6) above, which comprises a fused ring compound of the following formula [I-3]



[I-3]

wherein each symbol is as defined in (1),
or a pharmaceutically acceptable salt thereof as an active ingredient.
(10) The therapeutic agent of (6) above, which comprises a fused ring compound of the following formula [I-4]



[I-4]

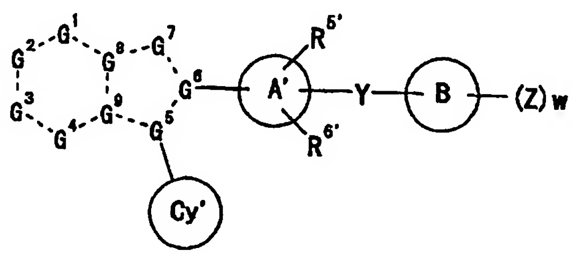
wherein each symbol is as defined in (1), or a pharmaceutically acceptable salt thereof as an active ingredient.

(11) The therapeutic agent of any of (1) to (10) above, wherein at least one of R^1, R^2, R^3 and R^4 is carboxyl, $-COOR^{a1}$, $-CONR^{a2}R^{a3}$ or $-SO_2R^{a7}$ wherein R^{a1}, R^{a2}, R^{a3} and R^{a7} are as defined in (1).

(12) The therapeutic agent of any of (1) to (11) above, wherein the ring Cy is cyclopentyl, cyclohexyl, cycloheptyl or tetrahydrothiopyranyl.

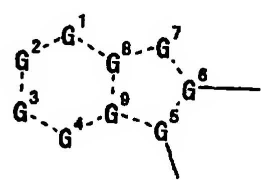
(13) The therapeutic agent of any of (1) to (12) above, wherein the ring A is C_{6-14} aryl.

(14) A fused ring compound of the following formula [II]

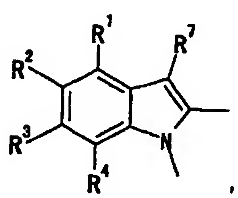
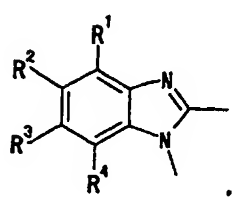
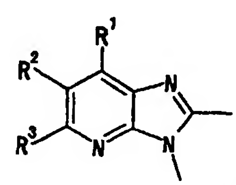
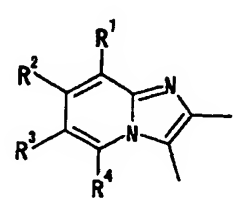


[II]

wherein
the moiety



is a fused ring selected from

 ,  ,  and 

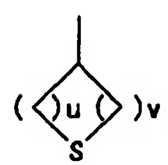
wherein R^1, R^2, R^3 and R^4 are each independently,

(1) hydrogen atom,

(2) C_{1-6} alkanoyl,
(3) carboxyl,
(4) cyano,
(5) nitro,
(6) C_{1-6} alkyl optionally substituted by 1 to 3 substituent(s) selected from the following group A,
group A; halogen atom, hydroxyl group, carboxyl, amino, C_{1-6} alkoxy, C_{1-6} alkoxycarbonyl and C_{1-6} alkylamino,
(7) $-COOR^{a1}$
wherein R^{a1} is optionally substituted C_{1-6} alkyl (as defined above) or C_{6-14} aryl C_{1-6} alkyl optionally substituted by 1 to 5 substituent(s) selected from the following group B,
group B; halogen atom, cyano, nitro, C_{1-6} alkyl, halogenated C_{1-6} alkyl, C_{1-6} alkanoyl, $-(CH_2)_r-COOR^{b1}$, $-(CH_2)_r-CONR^{b1}R^{b2}$, $-(CH_2)_r-NR^{b1}R^{b2}$, $-(CH_2)_r-NR^{b1}-COR^{b2}$, $-(CH_2)_r-NHSO_2R^{b1}$, $-(CH_2)_r-OR^{b1}$, $-(CH_2)_r-SR^{b1}$, $-(CH_2)_r-SO_2R^{b1}$ and $-(CH_2)_r-SO_2NR^{b1}R^{b2}$
wherein R^{b1} and R^{b2} are each independently hydrogen atom or C_{1-6} alkyl and r is 0 or an integer of 1 to 6,
(8) $-CONR^{a2}R^{a3}$
wherein R^{a2} and R^{a3} are each independently hydrogen atom, C_{1-6} alkoxy or optionally substituted C_{1-6} alkyl (as defined above),
(9) $-C(=NR^{a4})NH_2$
wherein R^{a4} is hydrogen atom or hydroxyl group,
(10) $-NHR^{a5}$
wherein R^{a5} is hydrogen atom, C_{1-6} alkanoyl or C_{1-6} alkylsulfonyl,
(11) $-OR^{a6}$
wherein R^{a6} is hydrogen atom or optionally substituted C_{1-6} alkyl (as defined above),
(12) $-SO_2R^{a7}$
wherein R^{a7} is hydroxyl group, amino, C_{1-6} alkyl or C_{1-6} alkylamino
or
(13) $-P(=O)(OR^{a31})_2$
wherein R^{a31} is hydrogen atom, optionally substituted C_{1-6} alkyl (as defined above) or C_{6-14} aryl C_{1-6} alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B, and
R^7 is hydrogen atom or optionally substituted
C_{1-6} alkyl (as defined above),

ring Cy' is

(1) C_{3-8} cycloalkyl optionally substituted by 1 to 5 substituent(s) selected from the following group C, group C; hydroxyl group, halogen atom, C_{1-6} alkyl and C_{1-6} alkoxy, or
(2)



wherein u and v are each independently an integer of 1 to 3,

ring A' is a group selected from a group consisting of phenyl, pyridyl, pyrazinyl, pyrimidinyl, pyridazinyl, cyclohexyl, cyclohexenyl, furyl and thienyl,
$R^{5'}$ and $R^{6'}$ are each independently

(1) hydrogen atom,
(2) halogen atom,
(3) optionally substituted C_{1-6} alkyl (as defined above) or
(4) hydroxyl group

ring B is

(1) C_{6-14} aryl,

(2) C_{3-8} cycloalkyl or
(3) heterocyclic group having 1 to 4 heteroatom(s) selected from an oxygen atom, a nitrogen atom and a sulfur atom,

each Z is independently

(1) a group selected from the following group D,
(2) C_{6-14} aryl optionally substituted by 1 to 5 substituent(s) selected from the following group D,
(3) C_{3-8} cycloalkyl optionally substituted by 1 to 5 substituent(s) selected from the following group D,
(4) C_{6-14} aryl C_{1-6} alkyl optionally substituted by 1 to 5 substituent(s) selected from the following group D or
(5) heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the following group D wherein the heterocyclic group has 1 to 4 heteroatom(s) selected from an oxygen atom, a nitrogen atom and a sulfur atom, group D:

(a) hydrogen atom,
(b) halogen atom,
(c) cyano,
(d) nitro,
(e) optionally substituted C_{1-6} alkyl (as defined above),
(f) $-(CH_2)_t-COR^{a18}$,
(hereinafter each t means independently 0 or an integer of 1 to 6),
wherein R^{a18} is

(1') optionally substituted C_{1-6} alkyl (as defined above),
(2') C_{6-14} aryl optionally substituted by 1 to 5 substituent(s) selected from the above group B or
(3') heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the above group B
wherein the heterocyclic group has 1 to 4 heteroatom(s) selected from an oxygen atom, a nitrogen atom and a sulfur atom,

(g) $-(CH_2)_t-COOR^{a19}$
wherein R^{a19} is hydrogen atom, optionally substituted C_{1-6} alkyl (as defined above) or C_{6-14} aryl C_{1-6} alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
(h) $-(CH_2)_t-CONR^{a27}R^{a28}$
wherein R^{a27} and R^{a28} are each independently,

(1") hydrogen atom,
(2") optionally substituted C_{1-6} alkyl (as defined above),
(3") C_{6-14} aryl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
(4") C_{6-14} aryl C_{1-6} alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
(5") heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the above group B,
(6") heterocycle C_{1-6} alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
wherein the heterocycle C_{1-6} alkyl is C_{1-6} alkyl substituted by heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the above group B, as defined above,
(7") C_{3-8} cycloalkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B, or
(8") C_{3-8} cycloalkyl C_{1-6} alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,

(i) $-(CH_2)_t-C(=NR^{a33})NH_2$
wherein R^{a33} is hydrogen atom or C_{1-6} alkyl,
(j) $-(CH_2)_t-OR^{a20}$
wherein R^{a20} is

(1') hydrogen atom,

(2') optionally substituted C_{1-6} alkyl (as defined above),
(3') optionally substituted C_{2-6} alkenyl (as defined above),
(4') C_{2-6} alkynyl optionally substituted by 1 to 3 substituent(s) selected from the above group A,
(5') C_{6-14} aryl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
(6') C_{6-14} aryl C_{1-6} alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
(7') heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the above group B,
(8') heterocycle C_{1-6} alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
(9') C_{3-8} cycloalkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B, or
(10') C_{3-8} cycloalkyl C_{1-6} alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,

(k) - $(CH_2)_t$-O-$(CH_2)_p$-COR^{a21}
wherein R^{a21} is C_{1-6} alkylamino or heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the above group B, and p is 0 or an integer of 1 to 6,
(l) -$(CH_2)_t$-$NR^{a22}R^{a23}$
wherein R^{a22} and R^{a23} are each independently

(1') hydrogen atom,
(2') optionally substituted C_{1-6} alkyl (as defined above),
(3') C_{6-14} aryl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
(4') C_{6-14} aryl C_{1-6} alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B or
(5') heterocycle C_{1-6} alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,

(m) -$(CH_2)_t$-$NR^{a29}CO$-R^{a24}
wherein R^{a29} is hydrogen atom, C_{1-6} alkyl or C_{1-6} alkanoyl, R^{a24} is optionally substituted C_{1-6} alkyl (as defined above), C_{6-14} aryl optionally substituted by 1 to 5 substituent(s) selected from the above group B or heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the above group B,
(n)-$(CH_2)_t$-$NHSO_2$-R^{a25}
wherein R^{a25} is hydrogen atom, optionally substituted C_{1-6} alkyl (as defined above) , C_{6-14} aryl optionally substituted by 1 to 5 substituent(s) selected from the above group B or heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the above group B,
(o) -$(CH_2)_t$-$S(O)_q$-R^{a25}
wherein R^{a25} is as defined above, and q is 0, 1 or 2,
and
(p) -$(CH_2)_t$-SO_2-NHR^{a26}
wherein R^{a26} is hydrogen atom, optionally substituted C_{1-6} alkyl (as defined above), C_{6-14} aryl optionally substituted by 1 to 5 substituent(s) selected from the above group B or heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the above group B,

is an integer of 1 to 3, and
is

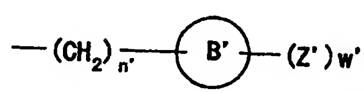
(1) a single bond,
(2) C_{1-6} alkylene,
(3) C_{2-6} alkenylene,
(4) -$(CH_2)_m$-O-$(CH_2)_n$-,
(hereinafter m and n are each independently 0 or an integer of 1 to 6),
(5) -CO-,
(6) -CO_2-$(CH_2)_n$-,
(7) -CONH-$(CH_2)_n$-NH-,
(8) -$NHCO_2$-,

(9) -NHCONH-,
(10) -O-$(CH_2)_n$-CO-,
(11) -O-$(CH_2)_n$-O-,
(12) -SO_2-,
. (13) -$(CH_2)_m$-NR^{a12}-$(CH_2)_n$-
wherein R^{a12} is

(1') hydrogen atom,
(2') optionally substituted C_{1-6} alkyl (as defined above),
(3') C_{6-14} aryl C_{1-6} alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
(4') C_{6-14} aryl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
(5') -COR^{b5}
wherein R^{b5} is hydrogen atom, optionally substituted C_{1-6} alkyl (as defined above), C_{6-14} aryl optionally substituted by 1 to 5 substituent(s) selected from the above group B or C_{6-14} aryl C_{1-6} alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
(6') -$COOR^{b5}$ (R^{b5} is as defined above) or
(7') -SO_2R^{b5} (R^{b5} is as defined above),

(14) -NR^{a12}CO- (R^{a12} is as defined above),
(15) -$CONR^{a13}$-$(CH_2)_n$-
wherein R^{a13} is hydrogen atom, optionally substituted C_{1-6} alkyl (as defined above) or C_{6-14} aryl C_{1-6} alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
(16) -CONH-CHR^{a14}-
wherein R^{a14} is C_{6-14} aryl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
(17) -O-$(CH_2)_m$-$CR^{a15}R^{a16}$-$(CH_2)_n$-
wherein R^{a15} and R^{a16} are each independently

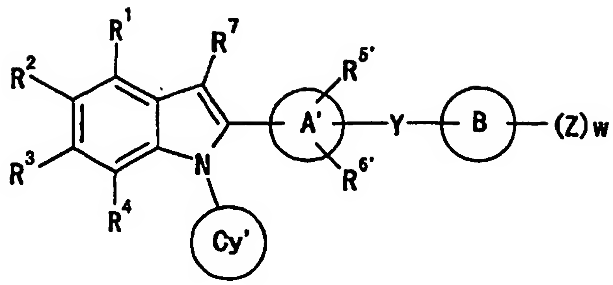
(1') hydrogen atom,
(2') carboxyl,
(3') C_{1-6} alkyl,
(4') -OR^{b6}
wherein R^{b6} is C_{1-6} alkyl or C_{6-14} aryl C_{1-6} alkyl, or
(5') -NHR^{b7}
wherein R^{b7} is hydrogen atom, C_{1-6} alkyl, C_{1-6} alkanoyl or C_{6-14} aryl C_{1-6} alkyloxycarbonyl, or R^{a15} is optionally
(6')



wherein n', ring B', Z' and w' are the same as the above-mentioned n, ring B, Z and w, respectively, and may be the same as or different from the respective counterparts,

(18) -$(CH_2)_n$-NR^{a12}-CHR^{a15}- (R^{a12} and R^{a15} are each as defined above),
(19) -$NR^{a17}SO_2$-
wherein R^{a17} is hydrogen atom or C_{1-6} alkyl or
(20) -$S(O)_e$-$(CH_2)_m$-$CR^{a15}R^{a16}$-$(CR_2)_n$- (e is 0, 1 or 2, R^{a15} and R^{a16} are each as defined above),

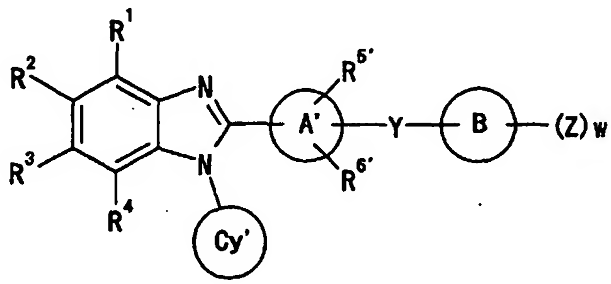
or a pharmaceutically acceptable salt thereof.
(15) The fused ring compound of (14) above, which is represented by the following formula [II-1]



[II-1]

wherein each symbol is as defined in (14), or a pharmaceutically acceptable salt thereof.

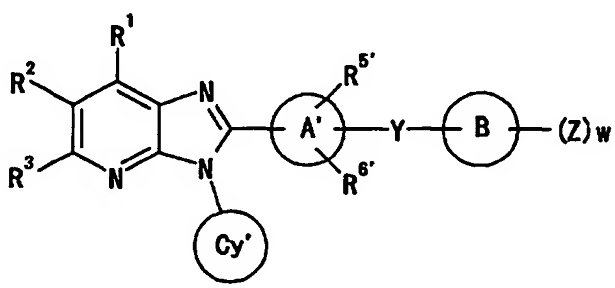
(16) The fused ring compound of (14) above, which is represented by the following formula [II-2]



[II-2]

wherein each symbol is as defined in (14), or a pharmaceutically acceptable salt thereof.

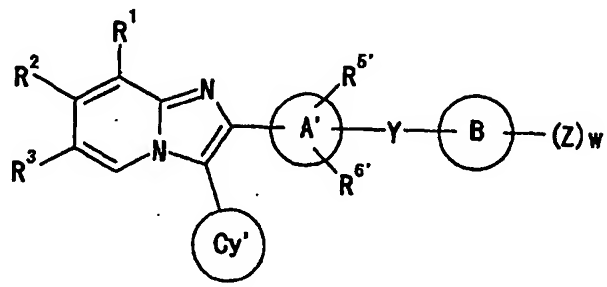
(17) The fused ring compound of (14) above, which is represented by the following formula [II-3]



[II-3]

wherein each symbol is as defined in (14), or a pharmaceutically acceptable salt thereof.

(18) The fused ring compound of (14) above, which is represented by the following formula [II-4]



[I I-4]

wherein each symbol is as defined in (14), or a pharmaceutically acceptable salt thereof.

(19) The fused ring compound of any of (14) to (18) above, wherein at least one of R^1, R^2, R^3 and R^4 is carboxyl, $-COOR^{a1}$ or $-SO_2R^{a7}$ wherein R^{a1} and R^{a7} are as defined in (14), or a pharmaceutically acceptable salt thereof.

(20) The fused ring compound of (19) above, wherein at least one of R^1, R^2, R^3 and R^4 is carboxyl or $-COOR^{a1}$ wherein R^{a1} is as defined in (14), or a pharmaceutically acceptable salt thereof.

(21) The fused ring compound of (20) above, wherein R^2 is carboxyl and R^1, R^3 and R^4 are hydrogen atoms, or a pharmaceutically acceptable salt thereof.

(22) The fused ring compound of any of (14) to (21) above, wherein the ring Cy' is cyclopentyl, cyclohexyl, cycloheptyl or tetrahydrothiopyranyl, or a pharmaceutically acceptable salt thereof.

(23) The fused ring compound of (22) above, wherein the ring Cy' is cyclopentyl, cyclohexyl or cycloheptyl, or a pharmaceutically acceptable salt thereof.

(24) The fused ring compound of any of (14) to (23) above, wherein the ring A' is phenyl, pyridyl, pyrazinyl, pyrimidinyl or pyridazinyl, or a pharmaceutically acceptable salt thereof.

(25) The fused ring compound of (24) above, wherein the ring A' is phenyl or pyridyl, or a pharmaceutically acceptable salt thereof.

(26) The fused ring compound of (25) above, wherein the ring A' is phenyl, or a pharmaceutically acceptable salt thereof.

(27) The fused ring compound of any of (14) to (26) above, wherein the Y is $-(CH_2)_m-O-(CH_2)_n-$, $-NHCO_2-$, $-CONH-CHR^{a14}-$, $-(CH_2)_m-NR^{a12}-(CH_2)_n-$, $-CONR^{a13}-(CH_2)_n-$, $-O-(CH_2)_m-CR^{a15}R^{a16}-(CH_2)_n-$ or $-(CH_2)_n-NR^{a12}-CHR^{a15}-$ (wherein each symbol is as defined in (14)), or a pharmaceutically acceptable salt thereof.

(28) The fused ring compound of (27) above, wherein the Y is $-(CH_2)_m-O-(CH_2)_n-$ or $-O-(CH_2)_m-CR^{a15}R^{a16}-(CH_2)_n-$ (wherein each symbol is as defined in (14)), or a pharmaceutically acceptable salt thereof.

(29) The fused ring compound of (28) above, wherein the Y is $-(CH_2)_m-O-(CH_2)_n-$ wherein each symbol is as defined in (14), or a pharmaceutically acceptable salt thereof.

(30) The fused ring compound of any of (14) to (29) above, wherein the R^2 is carboxyl, R^1, R^3 and R^4 are hydrogen atoms, the ring Cy' is cyclopentyl, cyclohexyl or cycloheptyl, and the ring A' is phenyl, or a pharmaceutically acceptable salt thereof.

(31) The fused ring compound of the formula [I] or a pharmaceutically acceptable salt thereof, which is selected from the group consisting of

ethyl 2-[4-(3-bromophenoxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylate (Example 1),
2-[4-(3-bromophenoxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 2),
ethyl 1-cyclohexyl-2-(4-hydroxyphenyl)benzimidazole-5-carboxylate (Example 3),
ethyl 2-[4-(2-bromo-5-chlorobenzyloxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylate (Example 4),
ethyl 2-{4-[2-(4-chlorophenyl)-5-chlorobenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylate (Example 5),
2-{4-[2-(4-chlorophenyl)-5-chlorobenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 6),
ethyl 2-[4-(2-bromo-5-methoxybenzyloxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylate (Example 7),
ethyl 2-{4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylate (Example 8),
2-{4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 9),
ethyl 1-cyclohexyl-2-{4-[(E)-2-phenylvinyl]phenyl}benzimidazole-5-carboxylate (Example 10),
1-cyclohexyl-2-{4-[(E)-2-phenylvinyl]phenyl}benzimidazole-5-carboxylic acid (Example 11),

2-(4-benzyloxyphenyl)-1-cyclopentylbenzimidazole-5-carboxylic acid (Example 12),
2-(4-benzyloxyphenyl)-1-cyclopentylbenzimidazole-5-carboxamide (Example 13),
2-(4-benzyloxyphenyl)-5-cyano-1-cyclopentylbenzimidazole (Example 14),
2-(4-benzyloxyphenyl)-1-cyclopentylbenzimidazole-5-carboxamide oxime (Example 15),
ethyl 1-cyclohexyl-2-{4-[{4-(4-fluorophenyl)-2-methyl-5-thiazolyl}methoxy]phenyl}benzimidazole-5-carboxylate (Example 16),
1-cyclohexyl-2-{4-[{4- (4-fluorophenyl)-2-methyl-5-thiazolyl}-methoxy]phenyl}benzimidazole-5-carboxylic acid (Example 17),
ethyl 1-cyclohexyl-2-(2-fluoro-4-hydroxyphenyl)benzimidazole-5-carboxylate (Example 18),
ethyl 2-{4-[bis(3-fluorophenyl)methoxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylate (Example 19),
2-{4-[bis(3-fluorophenyl)methoxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 20),
ethyl 1-cyclopentyl-2- (4-nitrophenyl)benzimidazole-5-carboxylate (Example 21),
ethyl 2-(4-aminophenyl)-1-cyclopentylbenzimidazole-5-carboxylate (Example 22),
ethyl 2-(4-benzoylaminophenyl)-1-cyclopentylbenzimidazole-5-carboxylate (Example 23),
2-(4-benzoylaminophenyl)-1-cyclopentylbenzimidazole-5-carboxylic acid (Example 24),
ethyl 2-{4-[3-(3-chlorophenyl)phenoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylate (Example 25),
2-{4-[3-(3-chlorophenyl)phenoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 26),
ethyl 2-[4-(3-acetoxyphenyloxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylate (Example 27),
ethyl 1-cyclohexyl-2-[4-(3-hydroxyphenyloxy)phenyl]benzimidazole-5-carboxylate (Example 28),
ethyl 1-cyclohexyl-2-{4-[3-(4-pyridylmethoxy)phenyloxy]phenyl}-benzimidazole-5-carboxylate (Example 29),
1-cyclohexyl-2-{4-[3-(4-pyridylmethoxy)phenyloxy]phenyl}-benzimidazole-5-carboxylic acid (Example 30),
2-(4-benzyloxyphenyl)-1-cyclopentylbenzimidazole (Example 31),
ethyl 2-(4-benzyloxyphenyl)-1-cyclopentylbenzimidazole-5- carboxylate (Example 32),
2-(4-benzyloxyphenyl)-1-cyclopentyl-N,N-dimethylbenzimidazole-5-carboxamide (Example 33),
2-(4-benzyloxyphenyl)-1-cyclopentyl-N-methoxy-N-methylbenzimidazole-5-carboxamide (Example 34),
2-(4-benzyloxyphenyl)-1-cyclopentyl-5-(1-hydroxy-1-methylethyl)benzimidazole (Example 35),
5-acetyl-2-(4-benzyloxyphenyl)-1-cyclopentylbenzimidazole (Example 36),
2-(4-benzyloxyphenyl)-1-cyclopentyl-N-(2-dimethylaminoethyl)-benzimidazole-5-carboxamide dihydrochloride (Example 37),
2-(4-benzyloxyphenyl)-1-cyclopentyl-5-nitrobenzimidazole (Example 38),
5-amino-2-(4-benzyloxyphenyl)-1-cyclopentylbenzimidazole hydrochloride (Example 39),
5-acetylamino-2-(4-benzyloxyphenyl)-1-cyclopentylbenzimidazole (Example 40),
2-(4-benzyloxyphenyl)-1-cyclopentyl-5-methanesulfonyl-aminobenzimidazole (Example 41),
5-sulfamoyl-2-(4-benzyloxyphenyl)-1-cyclopentylbenzimidazole (Example 42),
2-[4-(4-tert-butylbenzyloxy)phenyl]-1-cyclopentylbenzimidazole-5-carboxylic acid (Example 43),
2-[4-(4-carboxybenzyloxy)phenyl]-1-cyclopentylbenzimidazole-5-carboxylic acid (Example 44),
2-[4-(4-chlorobenzyloxy)phenyl]-1-cyclopentylbenzimidazole-5-carboxylic acid (Example 45),
2-{4-[(2-chloro-5-thienyl)methoxy]phenyl}-1-cyclopentylbenzimidazole-5-carboxylic acid (Example 46),
1-cyclopentyl-2-[4- (4-trifluoromethylbenzyloxy)phenyl]-benzimidazole-5-carboxylic acid (Example 47),
1-cyclopentyl-2-[4-(4-methoxybenzyloxy)phenyl]benzimidazole-5-carboxylic acid (Example 48),
1-cyclopentyl-2-[4-(4-pyridylmethoxy)phenyl]benzimidazole-5-carboxylic acid hydrochloride (Example 49),
1-cyclopentyl-2-[4-(4-methylbenzyloxy)phenyl]benzimidazole-5-carboxylic acid (Example 50),
1-cyclopentyl-2-{4-[(3,5-dimethyl-4-isoxazolyl)methoxy]phenyl}-benzimidazole-5-carboxylic acid (Example 51),
1-cyclopentyl-2-(4-hydroxyphenyl)benzimidazole-5-carboxylic acid (Example 52),
[2-(4-benzyloxyphenyl)-1-cyclopentylbenzimidazol-5-yl]-carbonylaminoacetic acid (Example 53),
2-[4-(2-chlorobenzyloxy)phenyl]-1-cyclopentylbenzimidazole-5-carboxylic acid (Example 54),
2-[4-(3-chlorobenzyloxy)phenyl]-1-cyclopentylbenzimidazole-5-carboxylic acid (Example 55),
2-(4-benzyloxyphenyl)-3-cyclopentylbenzimidazole-5-carboxylic acid (Example 56),
2-[4-(benzenesulfonylamino)phenyl]-1-cyclopentylbenzimidazole-5-carboxylic acid (Example 57),
1-cyclopentyl-2-[4-(3,5-dichlorophenylcarbonylamino)phenyl]-benzimidazole-5-carboxylic acid (Example 58),
2-{4-[(4-chlorophenyl)carbonylamino]phenyl}-1-cyclopentylbenzimidazole-5-carboxylic acid (Example 59),
2-{4-[(4-tert-butylphenyl)carbonylamino]phenyl}-1-cyclopentylbenzimidazole-5-carboxylic acid (Example 60),
2-{4-[(4-benzyloxyphenyl)carbonylamino]phenyl}-1-cyclopentylbenzimidazole-5-carboxylic acid (Example 61),
trans-4-[2-(4-benzyloxyphenyl)-5-carboxybenzimidazol-1-yl]cyclohexan-1-ol (Example 62),

trans-1-[2-(4-benzyloxyphenyl)-5-carboxybenzimidazol-1-yl]-4-methoxycyclohexane (Example 63),
2-(4-benzyloxyphenyl)-5-carboxymethyl-1-cyclopentylbenzimidazole (Example 64),
2-[1-benzyloxycarbonyl-4-piperidyl]-1-cyclopentylbenzimidazole-5-carboxylic acid (Example 65),
2-[(4-cyclohexylphenyl)carbonylamino]-1-cyclopentylbenzimidazole-5-carboxylic acid (Example 66),
1-cyclopentyl-2-[4- (3,5-dichlorobenzyloxy)phenyl]benzimidazole-5-carboxylic acid (Example 67),
1-cyclopentyl-2-[4- (3,4-dichlorobenzyloxy)phenyl]benzimidazole-5-carboxylic acid (Example 68),
1-cyclopentyl-2-[4-(phenylcarbamoylamino)phenyl]benzimidazole-5-carboxylic acid (Example 69),
1-cyclopentyl-2-[4-(diphenylmethoxy)phenyl]benzimidazole-5-carboxylic acid (Example 70),
1-cyclopentyl-2-(4-phenethyloxyphenyl)benzimidazole-5-carboxylic acid (Example 71),
trans-1-[2-(4-benzyloxyphenyl)-5-carboxybenzimidazol-1-yl]-4-tert-butylcyclohexane (Example 72),
2-(4-benzyloxyphenyl)-5-carboxymethoxy-1-cyclopentylbenzimidazole (Example 73),
2-(4-benzylaminophenyl)-1-cyclopentylbenzimidazole-5-carboxylic acid (Example 74),
2-[4-(N-benzenesulfonyl-N-methylamino)phenyl]-1-cyclopentylbenzimidazole-5-carboxylic acid (Example 75),
2-[4-(N-benzyl-N-methylamino)phenyl]-1-cyclopentylbenzimidazole-5-carboxylic acid (Example 76),
1-cyclohexyl-2-(4-phenethylphenyl)benzimidazole-5-carboxylic acid (Example 77),
2-(1-benzyl-4-piperidyl)-1-cyclopentylbenzimidazole-5-carboxylic acid (Example 78),
2-(1-benzoyl-4-piperidyl)-1-cyclopentylbenzimidazole-5-carboxylic acid (Example 79),
1-cyclopentyl-2-[1-(p-toluenesulfonyl)-4-piperidyl]benzimidazole-5-carboxylic acid (Example 80),
1-cyclohexyl-2-[4-(3,5-dichlorobenzyloxy)phenyl]benzimidazole-5-carboxylic acid (Example 81),
1-cyclohexyl-2-[4- (diphenylmethoxy)phenyl]benzimidazole-5-carboxylic acid (Example 82),
1-cyclohexyl-2- [4- (3,5-di-tert-butylbenzyloxy)phenyl]-benzimidazole-5-carboxylic acid (Example 83),
2-(4-benzyloxyphenyl)-1-(4-methylcyclohexyl)benzimidazole-5-carboxylic acid (Example 84),
1-cyclohexyl-2-{4-[2-(2-naphthyl)ethoxy]phenyl}benzimidazole-5-carboxylic acid (Example 85),
1-cyclohexyl-2-[4-(1-naphthyl)methoxyphenyl]benzimidazole-5-carboxylic acid (Example 86),
1-cyclohexyl-2-[4-(dibenzylamino)phenyl]benzimidazole-5-carboxylic acid (Example 87),
2-[4-(2-biphenylylmethoxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 88),
2-(4-benzyloxyphenyl)-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 89),
1-cyclohexyl-2-[4- (dibenzylmethoxy)phenyl]benzimidazole-5-carboxylic acid (Example 90),
2-(4-benzoylmethoxyphenyl)-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 91),
2-(4-benzyl-1-piperazinyl)-1-cyclohexylbenzimidazole-5-carboxylic acid dihydrochloride (Example 92),
1-cyclohexyl-2-[4-(3,3-diphenylpropyloxy)phenyl]benzimidazole-5-carboxylic acid (Example 93),
2-[4-(3-chloro-6-phenylbenzyloxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 94),
2-(4-benzyloxypiperidino)-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 95),
1-cyclohexyl-2-{4-[2-(phenoxy)ethoxy]phenyl}benzimidazole-5-carboxylic acid (Example 96),
1-cyclohexyl-2-[4-(3-phenylpropyloxy)phenyl]benzimidazole-5-carboxylic acid (Example 97),
1-cyclohexyl-2-[4-(5-phenylpentyloxy)phenyl]benzimidazole-5-carboxylic acid (Example 98),
2-(3-benzyloxy-5-isoxazolyl)-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 99),
2-(2-benzyloxy-5-pyridyl)-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 100),
1-cyclohexyl-2-{4-[2-(3,4,5-trimethoxyphenyl)ethoxy]phenyl}-benzimidazole-5-carboxylic acid (Example 101),
2-(4-benzyloxyphenyl)-1-(4,4-dimethylcyclohexyl)benzimidazole-5-carboxylic acid (Example 102),
1-cyclohexyl-2-{4-[2-(1-naphthyl)ethoxy]phenyl}benzimidazole-5-carboxylic acid (Example 103),
2-[4-(2-benzyloxyphenoxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 104),
2-[4-(3-benzyloxyphenoxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 105),
1-cyclohexyl-2-[4-(2-hydroxyphenoxy)phenyl]benzimidazole-5-carboxylic acid (Example 106),
1-cyclohexyl-2-[4-(3-hydroxyphenoxy)phenyl]benzimidazole-5-carboxylic acid (Example 107),
1-cyclohexyl-2-[4-(2-methoxyphenoxy)phenyl]benzimidazole-5-carboxylic acid (Example 108),
1-cyclohexyl-2-[4-(3-methoxyphenoxy)phenyl]benzimidazole-5-carboxylic acid (Example 109),
1-cyclohexyl-2-[4-(2-propoxyphenoxy)phenyl]benzimidazole-5-carboxylic acid (Example 110),
1-cyclohexyl-2-[4-(3-propoxyphenoxy)phenyl]benzimidazole-5-carboxylic acid (Example 111),
1-cyclohexyl-2-{4-[2-(3-methyl-2-butenyloxy)phenoxy]phenyl}-benzimidazole-5-carboxylic acid (Example 112),
1-cyclohexyl-2-{4-[3-(3-methyl-2-butenyloxy)phenoxy]phenyl}-benzimidazole-5-carboxylic acid (Example 113),
1-cyclohexyl-2-[4-(2-isopentyloxyphenoxy)phenyl]benzimidazole-5-carboxylic acid (Example 114),
1-cyclohexyl-2-[4-(3-isopentyloxyphenoxy)phenyl]benzimidazole-5-carboxylic acid (Example 115),
1-cyclohexyl-2-{4-[2-(10,11-dihydro-5H-dibenzo[b,f]azepin-5-yl)ethoxy]phenyl}benzimidazole-5-carboxylic acid (Example 116),

1-cyclohexyl-2-{4-[2-(4-trifluoromethylphenyl)benzyloxy]-phenyl}benzimidazole-5-carboxylic acid (Example 117),
2-{4-[bis(4-chlorophenyl) methoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 118),
1-cyclohexyl-2-{4-[2-(4-methoxyphenyl)ethoxy]phenyl}-benzimidazole-5-carboxylic acid (Example 119),
1-cyclohexyl-2-{4-[2-(2-methoxyphenyl)ethoxy]phenyl}-benzimidazole-5-carboxylic acid (Example 120),
1-cyclohexyl-2-{4-[2-(3-methoxyphenyl)ethoxy]phenyl}-benzimidazole-5-carboxylic acid (Example 121),
2-(4-benzyloxyphenyl)-1-cycloheptylbenzimidazole-5-carboxylic acid (Example 122),
1-cyclohexyl-2-[4-(2-phenethyloxyphenoxy)phenyl]benzimidazole-5-carboxylic acid (Example 123),
1-cyclohexyl-2-[4-(3-phenethyloxyphenoxy)phenyl]benzimidazole-5-carboxylic acid (Example 124),
1-cyclohexyl-2-[4-(2,2-diphenylethoxy)phenyl]benzimidazole-5-carboxylic acid (Example 125),
2-(4-benzyloxyphenyl)-1-(3-cyclohexenyl)benzimidazole-5-carboxylic acid (Example 126),
cis-1-[2-(4-benzyloxyphenyl)-5-carboxybenzimidazol-1-yl]-4-fluorocyclohexane (Example 127),
1-cyclohexyl-2-[4-(2-phenoxyphenoxy)phenyl]benzimidazole-5-carboxylic acid (Example 128),
1-cyclohexyl-2-[4-(3-phenoxyphenoxy)phenyl]benzimidazole-5-carboxylic acid (Example 129),
2-{4-[(2R)-2-benzyloxycarbonylamino-2-phenylethoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 130),
1-cyclohexyl-2-{2-fluoro-4-[2-(4-trifluoromethylphenyl)-benzyloxy]phenyl}benzimidazole-5-carboxylic acid (Example 131),
2-[4-(4-benzyloxyphenoxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 132),
2-{4-[bis(4-methylphenyl)methoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 133),
2-{4-[bis(4-fluorophenyl)methoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 134),
1-cyclohexyl-6-methoxy-2-[4-(3-phenylpropoxy)phenyl]-benzimidazole-5-carboxylic acid (Example 135),
1-cyclohexyl-6-hydroxy-2-[4-(3-phenylpropoxy)phenyl]-benzimidazole-5-carboxylic acid (Example 136),
1-cyclohexyl-6-methyl-2- [4- (3-phenylpropoxy) phenyl]benzimidazole-5-carboxylic acid (Example 137),
2-{4-[2-(2-benzyloxyphenyl)ethoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 138),
2-{4-[2-(3-benzyloxyphenyl)ethoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 139),
2-[4-(2-carboxymethyloxyphenoxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 140),
2-[4-(3-carboxymethyloxyphenoxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 141),
2-{4-[3-chloro-6-(4-methylphenyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 142),
2-{4-[3-chloro-6-(4-methoxyphenyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 143),
1-cyclohexyl-2-{2-methyl-4-[2-(4-trifluoromethylphenyl)-benzyloxy]phenyl}benzimidazole-5-carboxylic acid (Example 144),
2-{4-[2-(4-tert-butylphenyl)-5-chlorobenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 145),
2-{4-(3-chloro-6-phenylbenzyloxy)-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 146),
2-{4-[3-chloro-6-(3,5-dichlorophenyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 147),
2-{4-[bis(4-fluorophenyl)methoxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 148),
2-{4-(4-benzyloxyphenoxy)-2-chlorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 149),
2-{4-(4-benzyloxyphenoxy)-2-trifluoromethylphenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 150),
2-{4- [3-chloro-6- (2-trifluoromethylphenyl) benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 151),
2-{4-[(2R)-2-amino-2-phenylethoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 152),
2-[4-(2-biphenylyloxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 153),
2-[4-(3-biphenylyloxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 154),
2-{4-[2-{(1-tert-butoxycarbonyl-4-piperidyl)methoxy}phenoxy]-phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 155),
2-{4-[3-{(1-tert-butoxycarbonyl-4-piperidyl)methoxy}phenoxy]-phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 156),
2-{4-[3-chloro-6- (3,4,5-trimethoxyphenyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 157),
2-{4-[2-(2-biphenylyl)ethoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 158),
2-[4-(2-biphenylylmethoxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 159),

1-cyclohexyl-2-{4-[2-(4-piperidylmethoxy)phenoxy]phenyl}-benzimidazole-5-carboxylic acid hydrochloride (Example 160),
1-cyclohexyl-2-{4-[3-(4-piperidylmethoxy)phenoxy]phenyl}-benzimidazole-5-carboxylic acid hydrochloride (Example 161),
2-{4-[(2R)-2-acetylamino-2-phenylethoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 162),
1-cyclohexyl-2-{4-[3-(4-methyl-3-pentenyloxy)phenoxy]phenyl}-benzimidazole-5-carboxylic acid (Example 163),
1-cyclohexyl-2-{4-[3-(3-methyl-3-butenyloxy)phenoxy]phenyl}-benzimidazole-5-carboxylic acid (Example 164),
2-{4-[{(2S)-1-benzyl-2-pyrrolidinyl}methoxy]phenyl}-1-cyclohexyl-benzimidazole-5-carboxylic acid hydrochloride (Example 165),
2-{4-[3-chloro-6-(4-methylthiophenyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 166),
2-{4-[3-chloro-6-(4-methanesulfonylphenyl)benzyloxy)phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 167),
2-{4-[3-chloro-6-(2-thienyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 168),
2-{4-[3-chloro-6-(3-chlorophenyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 169),
2-{4-[3-chloro-6-(3-pyridyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 170),
2-{4-[3-chloro-6-(4-fluorophenyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 171),
2-[4-(4-benzyloxyphenoxy)-3-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 172),
2-[4-(2-bromo-5-chlorobenzyloxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 173),
2-{4-[3-chloro-6-(4-chlorophenyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 174),
2-{4-[2-{(1-acetyl-4-piperidyl)methoxy}phenoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 175),
2-{4-[3-{(1-acetyl-4-piperidyl)methoxy}phenoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 176),
1-cyclohexyl-2-{4-[3-(2-propynyloxy)phenoxy]phenyl}benzimidazole-5-carboxylic acid (Example 177),
1-cyclohexyl-2-{4-[3-(3-pyridylmethoxy)phenoxy]phenyl}-benzimidazole-5-carboxylic acid (Example 178),
2-(4-benzyloxy-2-methoxyphenyl)-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 179),
2-[4-(2-bromo-5-methoxybenzyloxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 180),
2-[4-(carboxydiphenylmethoxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 181),
2-{4-[2-(4-chlorophenyl)-5-nitrobenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 182),
2-{4-[3-acetylamino-6-(4-chlorophenyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 183),
2-{4-[2-(4-carboxyphenyl)-5-chlorobenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 184),
2-{4-[{(2S)-1-benzyloxycarbonyl-2-pyrrolidinyl}methoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 185),
2-{2-chloro-4-[2-(4-trifluoromethylphenyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 186),
1-cyclohexyl-2-{4- [3- (2-pyridylmethoxy)phenoxy]phenyl}-benzimidazole-5-carboxylic acid (Example 187),
2-{4-[2-(4-chlorophenyl)-5-fluorobenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 188),
2-{4-[3-carboxy-6-(4-chlorophenyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 189),
2-{4-[3-carbamoyl-6-(4-chlorophenyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 190),
1-cyclohexyl-2-{4-[2-(dimethylcarbamoylmethoxy)phenoxy]-phenyl}benzimidazole-5-carboxylic acid (Example 191),
1-cyclohexyl-2-{4-[2-(piperidinocarbonylmethoxy)phenoxy]-phenyl}benzimidazole-5-carboxylic acid (Example 192),
2-{4-[{(2S)-1-benzenesulfonyl-2-pyrrolidinyl}methoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 193),

2-{4-[{(2S)-1-benzoyl-2-pyrrolidinyl}methoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 194),
2-{4-[2-(4-carbamoylphenyl)-5-chlorobenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 195),
1-cyclohexyl-2-{4-[3-(dimethylcarbamoylmethoxy)phenoxy]-phenyl}benzimidazole-5-carboxylic acid (Example 196),
1-cyclohexyl-2-{4-[3-(piperidinocarbonylmethoxy)phenoxy]-phenyl}benzimidazole-5-carboxylic acid (Example 197),
1-cyclohexyl-2-{4-[3-{(1-methanesulfonyl-4-piperidyl)methoxy}-phenoxy]phenyl}benzimidazole-5-carboxylic acid (Example 198),
1-cyclohexyl-2-{4-[{2-methyl-5-(4-chlorophenyl) -4-oxazolyl}-methoxy]phenyl}benzimidazole-5-carboxylic acid (Example 199),
2-{4-[3-(3-chlorobenzyloxy)phenoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 200),
2-{4-[3-(4-chlorobenzyloxy)phenoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 201),
1-cyclohexyl-2-{4-[3-(4-fluorobenzyloxy)phenoxy]phenyl}-benzimidazole-5-carboxylic acid (Example 202),
1-cyclohexyl-2-(4-[{(2S)-1- (4-nitrophenyl) -2-pyrrolidinyl)-methoxy]phenyl}benzimidazole-5-carboxylic acid (Example 203),
1-cyclohexyl-2-{4-[{(2S) -1-phenyl-2-pyrrolidinyl}methoxy]-phenyl}benzimidazole-5-carboxylic acid hydrochloride (Example 204),
2-{4-[{(2S)-1-(4-acetylaminophenyl)-2-pyrrolidinyl}methoxy]-phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 205),
2-{4-[{5-(4-chlorophenyl)-2-methyl-4-thiazolyl}methoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 206),
2-{4-[bis(3-fluorophenyl)methoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 207),
1-cyclohexyl-2-{4-[2-(4-chlorophenyl)-3-nitrobenzyloxy]phenyl}-benzimidazole-5-carboxylic acid (Example 208),
1-cyclohexyl-2-{4-[3-(4-tetrahydropyranyloxy)phenoxy]phenyl}-benzimidazole-5-carboxylic acid (Example 209),
1-cyclohexyl-2-{4-[3-(4-trifluoromethylbenzyloxy)phenoxy]phenyl}-benzimidazole-5-carboxylic acid (Example 210),
1-cyclohexyl-2-{4-[3-{(1-methyl-4-piperidyl)methoxy}phenoxy]-phenyl}benzimidazole-5-carboxylic acid (Example 211),
2-{4-[3-(4-tert-butylbenzyloxy)phenoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 212),
2-{4-[3-(2-chlorobenzyloxy)phenoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 213),
1-cyclohexyl-2-{4-[3-(3-pyridyl)phenoxy]phenyl}benzimidazole-5-carboxylic acid (Example 214),
2-{4-[3- (4-chlorophenyl) phenoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 215),
1-cyclohexyl-2-{4-[3-(4-methoxyphenyl)phenoxy]phenyl}-benzimidazole-5-carboxylic acid (Example 216),
1-cyclohexyl-2-{4-[{4-(4-methanesulfonylphenyl)-2-methyl-5-thiazolylyl}methoxy]phenyl}benzimidazole-5-carboxylic acid (Example 217),
2-{4-[{4-(4-chlorophenyl)-2-methyl-5-thiazolyl}methoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 218),
2-{4-[1-(4-chlorobenzyl)-3-piperidyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 219),
1-cyclohexyl-2-{4-[3-{(2-methyl-4-thiazolyl)methoxy}phenoxy]-phenyl}benzimidazole-5-carboxylic acid (Example 220),
1-cyclohexyl-2-{4-[3-{(2,4-dimethyl-5-thiazolyl)methoxy}phenoxy]-phenyl}benzimidazole-5-carboxylic acid (Example 221),
1-cyclohexyl-2-{4-[3-(3,5-dichlorophenyl)phenoxy]phenyl}-benzimidazole-5-carboxylic acid (Example 222),
2-{4-[1-(4-chlorobenzyl)-4-piperidyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 223),
2-{4-[3-(4-chlorobenzyloxy)piperidino]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 224),
2-{4-[4-carbamoyl-2-(4-chlorophenyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 225),
2-{4-[4-(4-chlorobenzyloxy)piperidino]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 226),
2-{4-[3-{(2-chloro-4-pyridyl)methoxy}phenoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 227),
2-{4-[{(2S)-1-(4-dimethylcarbamoylphenyl) -2-pyrrolidinyl}-methoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 228),
2-{4-[2- (4-chlorophenyl) -5-ethoxycarbonylbenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 229),

1-cyclohexyl-2-[4-(3-trifluoromethylphenoxy)phenyl]benzimidazole-5-carboxylic acid (Example 230), 1-cyclohexyl-2-{4-[{4-(4-dimethylcarbamoylphenyl)-2-methyl-5-thiazolyl}methoxy]phenyl}benzimidazole-5-carboxylic acid (Example 231), 2-{4-[2-(4-chlorophenyl)-5-dimethylcarbamoylbenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 232), 2-{4-[{4-(4-chlorophenyl)-2-methyl-5-pyrimidinyl}methoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 233), 2-{4-[{2-(4-chlorophenyl)-3-pyridyl}methoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid dihydrochloride (Example 234), 2-{4-[{3-(4-chlorophenyl)-2-pyridyl}methoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 235), 2-{4-[2-(3-chlorophenyl)-4-methylamino-1,3,5-triazin-6-yloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid trifluoroacetate (Example 236), 2-{4-[2-(4-chlorophenyl)-4-(5-tetrazolyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 237), 2-[4-(4-benzyloxy-6-pyrimidinyloxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 238), 1-cyclohexyl-2-{4-[4-(4-pyridylmethoxy)-6-pyrimidinyloxy]phenyl}-benzimidazole-5-carboxylic acid (Example 239), 2-{4-[4-(3-chlorophenyl)-6-pyrimidinyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 240), methyl 2-{4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylate (Example 241), 2-{4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]phenyl}-1-cyclohexyl-benzimidazole-5-carboxylic acid hydrochloride (Example 242), ethyl 2-{4-[3-(4-chlorophenyl)pyridin-2-ylmethoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylate (Example 243), methyl 2-[4-(2-bromo-5-tert-butoxycarbonylbenzyloxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylate (Example 244), methyl 2-{4-[5-tert-butoxycarbonyl-2-(4-chlorophenyl)benzyloxy]-phenyl}-1-cyclohexylbenzimidazole-5-carboxylate (Example 245), methyl 2-{4-[5-carboxy-2-(4-chlorophenyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylate hydrochloride (Example 246), methyl 2-{4-[2-(4-chlorophenyl)-5-methylcarbamoylbenzyloxy]-phenyl}-1-cyclohexylbenzimidazole-5-carboxylate (Example 247), 2-{4-[2-(4-chlorophenyl)-5-methylcarbamoylbenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 248), 2-{4-[3-(tert-butylsulfamoyl)-6-(4-chlorophenyl)benzyloxy]-phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 249), 2-{4-[2-(4-chlorophenyl)-5-sulfamoylbenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid trifluoroacetate (Example 250), 2-(4-benzyloxycyclohexyl)-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 251), 2-[2-(2-biphenylyloxymethyl)-5-thienyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 252), 2-[2-(2-biphenylyloxymethyl)-5-furyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 253), 1-cyclohexyl-2-{4-[{4- (4-fluorophenyl) -2-hydroxymethyl-5-thiazolyl}methoxy]phenyl}benzimidazole-5-carboxylic acid (Example 254), 1-cyclohexyl-2-{4-[{4-(4-carboxyphenyl)-2-methyl-5-thiazolyl}-methoxy]phenyl}benzimidazole-5-carboxylic acid hydrochloride (Example 255), 1-cyclohexyl-2-{2-fluoro-4-[4-fluoro-2-(3-fluorobenzoyl)-benzyloxy]phenyl}benzimidazole-5-carboxylic acid (Example 256), 2-{4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-sulfonic acid (Example 257), 2-{4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]phenyl}-3-cyclohexylbenzimidazole-4-carboxylic acid (Example 258), 1-cyclohexyl-2-{4-[3-dimethylcarbamoyl-5-(4-pyridylmethoxy)-phenoxy]phenyl}benzimidazole-5-carboxylic acid dihydrochloride (Example 259), 1-cyclohexyl-2-{4-[3-carboxy-5-(4-pyridylmethoxy)phenoxy]-phenyl}benzimidazole-5-carboxylic acid dihydrochloride (Example 260), 2-{4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]phenyl}-1-cyclohexylbenzimidazole-4-carboxylic acid (Exam-

ple 261),
2-{4-[3-carbamoyl-6-(4-chlorophenyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 262),
2-{4-[{2-(4-carboxyphenyl)-3-pyridyl}methoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 263),
2-{4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]phenyl}-1-(4-tetrahydrothiopyranyl)benzimidazole-5-carboxylic acid (Example 264),
2-{4-[2-(4-chlorophenyl)-5-dimethylcarbamoylbenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 265),
1-cyclohexyl-2-{4-[3-dimethylcarbamoyl-6-(4-trifluoromethylphenyl)benzyloxy]phenyl}benzimidazole-5-carboxylic acid hydrochloride (Example 266),
1-cyclohexyl-2-{4-[3-dimethylcarbamoyl-6-(4-methylthiophenyl)-benzyloxy]phenyl}benzimidazole-5-carboxylic acid hydrochloride (Example 267),
2-{4-[2-(4-chlorophenyl)-5-methylcarbamoylbenzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 268),
2-{4-[2-(4-chlorophenyl)-5-dimethylcarbamoylbenzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 269),
2-{4-[3-carbamoyl-6-(4-chlorophenyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 270),
2-{4-[3-dimethylcarbamoyl-6-(4-methanesulfonylphenyl)benzyloxy]-phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 271),
2-{4-[3-dimethylcarbamoyl-6-(3-pyridyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid dihydrochloride (Example 272),
2-{4-[3-dimethylcarbamoyl-6-(4-dimethylcarbamoylphenyl)-benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 273),
2-{4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]phenyl}-1-(1-oxo-4-tetrahydrothiopyranyl)benzimidazole-5-carboxylic acid (Example 274),
2-{4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]phenyl}-1-(1,1-dioxo-4-tetrahydrothiopyranyl)benzimidazole-5-carboxylic acid (Example 275),
2-{4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]-2-fluorophenyl}-1-(4-tetrahydrothiopyranyl)benzimidazole-5-carboxylic acid (Example 276),
2-{4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]-2-fluorophenyl}-1-(l-oxo-4-tetrahydrothiopyranyl)benzimidazole-5-carboxylic acid (Example 277),
2-{4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]-2-fluorophenyl}-1-(1,1-dioxo-4-tetrahydrothiopyranyl)benzimidazole-5-carboxylic acid (Example 278),
2-{4-[2-(4-chlorophenyl)-5-dimethylsulfamoylbenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 279),
2-{4-[2-(4-chlorophenyl)-5-methanesulfonylbenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 280),
methyl 2-{4-[5-carboxy-2-(4-chlorophenyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylate hydrochloride (Example 281),
2-{4-[2-(4-chlorophenyl)-5-dimethylaminobenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid dihydrochloride (Example 282),
2-{4-[2-(4-chlorophenyl)-5-methanesulfonylaminobenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 283),
2-{4-[2-(4-chlorophenyl)-5-diethylcarbamoylbenzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 284),
2-{4-[2-(4-chlorophenyl)-5-isopropylcarbamoylbenzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 285),
2-{4-[2-(4-chlorophenyl)-5-piperidinocarbonylbenzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 286),
2-{4-[2-(4-chlorophenyl)-5-(1-pyrrolidinyl)carbonylbenzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 287),
2-{4- [2-(4-chlorophenyl)-5- (2-hydroxyethyl)carbamoylbenzyloxy] -2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 288),
2-{4-[2-(4-chlorophenyl)-5-(4-hydroxypiperidino)-carbonylbenzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 289),
2-{4-[2-(4-chlorophenyl)-5-morpholinocarbonylbenzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-car-

boxylic acid hydrochloride (Example 290),
2-{4-[2-(4-chlorophenyl)-5-thiomorpholinocarbonylbenzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 291),
2-{4-[3-(carboxymethylcarbamoyl)-6-(4-chlorophenyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 292),
2-{4-[2-{4-(2-carboxyethyl) phenyl}-5-chlorobenzyloxy] phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 293),
2-{4-[3-chloro-6-(4-hydroxymethylphenyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 294),
2-{4-[3-chloro-6-(4-methoxymethylphenyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 295),
2-{4-[2-(3-carboxyphenyl)-5-chlorobenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 296),
2-{4-[2-(4-chlorophenyl)-5-methylthiobenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 297),
2-{4-[2-(4-chlorophenyl)-5-methylsulfinylbenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 298),
2-{4-[2-(4-chlorophenyl)-5-cyanobenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 299),
2-{4-[bis(3-pyridyl)methoxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 300),
2-{4-[bis(4-dimethylcarbamoylphenyl)methoxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 301),
sodium 2-{4-[2-thienyl-3-thienylmethoxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylate (Example 302),
methyl 2-{4-[2-(4-chlorophenyl)-5-(dimethylcarbamoyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylate (Example 303),
sodium 2-{4-[2-(4-chlorophenyl)-5-(dimethylcarbamoyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylate (Example 304),
2-{4-[5-carboxy-2-(4-chlorophenyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 305),
2-{4-[2-(4-carboxyphenyl)-5-methoxybenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 306),
2-{4-[2-(4-carbamoylphenyl)-5-(dimethylcarbamoyl)benzyloxy]-phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 307),
2-{4-[5-amino-2-(4-chlorophenyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 308),
2-{4-[5-(4-chlorophenyl)-2-methoxybenzylsulfinyl]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 309),
2-{4-[5-(4-chlorophenyl)-2-methoxybenzylsulfonyl]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 310),
2-{4-[2-(4-chlorophenyl)-5-methoxybenzylthio]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 311),
2-{4-[bis(4-carboxyphenyl)methoxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 312),
2-[4-(phenyl-3-pyridylmethoxy)-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid (Example 313),
methyl 2-{4-[2-(4-chlorophenyl)-5-(methylcarbamoyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylate (Example 314),
2-{4-[5-chloro-2-(4-pyridyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 315),
2-{4-[2-(4-chlorophenyl)-5-(benzylcarbamoyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 316),
2-{4-[2-(4-chlorophenyl)-5-(cyclohexylmethylcarbamoyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 317),
2-{4-[2-(4-chlorophenyl)-5-(4-pyridylmethylcarbamoyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid dihydrochloride (Example 318),
2-{4-[2- (4-chlorophenyl) -5- (N-benzyl-N-methylcarbamoyl)-benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride (Example 319),
methyl 2-{4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]phenyl}-1-cyclohexyl-1H-indole-5-carboxylate (Exam-

ple 501),
2-{4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]phenyl}-1-cyclohexyl-1H-indole-5-carboxylic acid (Example 502),
2-(4-benzyloxyphenyl)-1-cyclopentyl-lH-indole-5-carboxylic acid (Example 503),
ethyl 2-(4-benzyloxyphenyl)-3-cyclohexylimidazo[1,2-a]pyridine-7-carboxylate (Example 601),
2-(4-benzyloxyphenyl)-3-cyclohexylimidazo[1,2-a]pyridine-7-carboxylic acid (Example 602), and
2-{4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]phenyl}-3-cyclohexyl-3H-imidazo[4,5-b]pyridine-6-carboxylic acid (Example 701).

(32) A pharmaceutical composition comprising a fused ring compound of any of (14) to (31) above, or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier.
(33) A hepatitis C virus polymerase inhibitor comprising a fused ring compound of any of (1) to (31) above, or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier.
(34) An anti-hepatitis C virus agent comprising a fused ring compound of any of (1) to (31) above, or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier.
(35) A therapeutic agent for hepatitis C comprising a fused ring compound of any of (14) to (31) above, or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier.
(36) A method for treating hepatitis C, which comprises administering an effective amount of a fused ring compound of the above-mentioned formula [I] or a pharmaceutically acceptable salt thereof.
(37) A method for inhibiting hepatitis C virus polymerase, which comprises administering an effective amount of a fused ring compound of the above-mentioned formula [I] or a pharmaceutically acceptable salt thereof.
(38) Use of a fused ring compound of the above-mentioned formula [I] or a pharmaceutically acceptable salt thereof for the production of a pharmaceutical agent for treating hepatitis C.
(39) Use of a fused ring compound of the above-mentioned formula [I] or a pharmaceutically acceptable salt thereof for the production of a hepatitis C virus polymerase inhibitor.
(40) A pharmaceutical composition for the treatment of hepatitis C, which comprises a fused ring compound of the above-mentioned formula [I] or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier.
(41) A pharmaceutical composition for inhibiting hepatitis C virus polymerase, which comprises a fused ring compound of the above-mentioned formula [I] or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier.
(42) A commercial package comprising a pharmaceutical composition of (40) above and a written matter associated therewith, the written matter stating that the pharmaceutical composition can or should be used for treating hepatitis C.
(43) A commercial package comprising a pharmaceutical composition of (41) above and a written matter associated therewith, the written matter stating that the pharmaceutical composition can or should be used for inhibiting hepatitis C virus polymerase.

[0033] The definitions of respective substituents and moieties used in the present specification are as follows.
[0034] The halogen atom is a fluorine atom, chlorine atom, bromine atom or iodine atom, preferably fluorine atom, chlorine atom or bromine atom.
[0035] Particularly preferably, the halogen atom is fluorine atom at R^5, $R^{5'}$, R^6, $R^{6'}$, group A and group C, and fluorine atom or chlorine atom at X, Z, Z', group B and group D.
[0036] The C_{1-6} alkyl is straight chain or branched chain alkyl having 1 to 6 carbon atoms, and is exemplified by methyl, ethyl, propyl, isopropyl, butyl, isobutyl, sec-butyl, tert-butyl, pentyl, isopentyl, tert-pentyl, hexyl and the like.
[0037] Preferably, it is straight chain or branched chain alkyl having 1 to 4 carbon atoms, and is particularly preferably methyl at R^{a7}, R^{a8}, R^{a9}, R^{a15}, R^{a16}, R^{a17}, R^{a29}, R^{a33}, R^{b6} and R^{b7} and methyl or tert-butyl at R^{b1}, R^{b2}, group B and group C.
[0038] The halogenated C_{1-6} alkyl is the above-defined C_{1-6} alkyl except that it is substituted by the above-defined halogen atom. Preferably, it is halogenated alkyl wherein the alkyl moiety thereof is straight chain or branched chain alkyl having 1 to 4 carbon atoms. Examples thereof include fluoromethyl, difluoromethyl, trifluoromethyl, bromomethyl, chloromethyl, 1,2-dichloromethyl, 2,2-dichloromethyl, 2,2,2-trifluoroethyl and the like.
[0039] The halogenated C_{1-6} alkyl is particularly preferably trifluoromethyl at group B.
[0040] The C_{1-6} alkylene is straight chain alkylene having 1 to 6 carbon atoms, and is exemplified by methylene, ethylene, trimethylene, tetramethylene, pentamethylene or hexamethylene.
[0041] The C_{1-6} alkylene is preferably methylene or ethylene at Y.
[0042] The C_{2-6} alkenylene is straight chain alkenylene having 2 to 6 carbon atoms, and is exemplified by vinylene, propenylene, 1-butenylene, 1,3-butadienylene and the like.

[0043] The C_{2-6} alkenylene is preferably vinylene at Y.
[0044] The C_{1-6} alkoxy is alkyloxy wherein the alkyl moiety thereof is the above-defined C_{1-6} alkyl. Preferably, it is alkoxy wherein the alkyl moiety thereof is straight chain or branched chain alkyl having 1 to 4 carbon atoms. Examples thereof include methoxy, ethoxy, propoxy, isopropyloxy, butoxy, isobutyloxy, tert-butyloxy, pentyloxy, hexyloxy and the like.
[0045] The C_{1-6} alkoxy is particularly preferably methoxy at R^{a2}, R^{a3}, group A and group C.
[0046] The C_{1-6} alkanoyl is alkylcarbonyl wherein the alkyl moiety thereof is the above-defined C_{1-6} alkyl. Preferably, it is alkanoyl wherein the alkyl moiety thereof is straight chain or branched chain alkyl having 1 to 4 carbon atoms. Examples thereof include acetyl, propionyl, butyryl, isobutyryl, pivaloyl and the like.
[0047] The C_{1-6} alkanoyl is particularly preferably acetyl at R^1, R^2, R^3, R^4, R^{a5}, R^{a29}, R^{b7} and group B.
[0048] The C_{1-6} alkoxycarbonyl is alkyloxycarbonyl wherein the alkoxy moiety thereof is the above-defined C_{1-6} alkoxy. Preferably, it is alkoxycarbonyl wherein the alkyl moiety thereof is straight chain or branched chain alkyl having 1 to 4 carbon atoms. Examples thereof include methoxycarbonyl, ethoxycarbonyl, propoxycarbonyl, isopropyloxycarbonyl, butoxycarbonyl, isobutyloxycarbonyl, tert-butyloxycarbonyl, pentyloxycarbonyl, hexyloxycarbonyl and the like.
[0049] The C_{1-6} alkoxycarbonyl is particularly preferably methoxycarbonyl or ethoxycarbonyl at R^{a10} and group A.
[0050] The C_{1-6} alkylamino is alkylamino or dialkylamino wherein the alkyl moiety thereof is the above-defined C_{1-6} alkyl. Preferably, it is alkylamino or dialkylamino wherein the alkyl moiety thereof is straight chain or branched chain alkyl having 1 to 4 carbon atoms. Examples thereof include methylamino, ethylamino, propylamino, isopropylamino, butylamino, isobutylamino, tert-butylamino, pentylamino, hexylamino, dimethylamino, diethylamino, methylethylamino, N-isopropyl-N-isobutylamino and the like.
[0051] The C_{1-6} alkylamino is particularly preferably methylamino at R^{a7}, and particularly preferably dimethylamino at R^{a21} and group A.
[0052] The C_{1-6} alkanoylamino is alkylcarbonylamino wherein the alkanoyl moiety thereof is the above-defined C_{1-6} alkanoyl. Preferably, it is alkylcarbonylamino wherein the alkyl moiety thereof is straight chain or branched chain alkyl having 1 to 4 carbon atoms. Examples thereof include acetylamino, propionylamino, butyrylamino, isobutyrylamino, pivaloylamino and the like.
[0053] The C_{1-6} alkanoylamino is particularly preferably acetylamino at X and R^{a10}.
[0054] The C_{1-6} alkylsulfonyl is alkylsulfonyl wherein the alkyl moiety thereof is the above-defined C_{1-6} alkyl. Preferably, it is alkylsulfonyl wherein the alkyl moiety thereof is straight chain or branched chain alkyl having 1 to 4 carbon atoms. Examples thereof include methylsulfonyl, ethylsulfonyl, propylsulfonyl, isopropylsulfonyl, butylsulfonyl, isobutylsulfonyl, tertbutylsulfonyl, pentylsulfonyl, hexylsulfonyl and the like.
[0055] The C_{1-6} alkylsulfonyl is particularly preferably methylsulfonyl at X and R^{a5}.
[0056] The C_{6-14} aryl is aromatic hydrocarbon having 6 to 14 carbon atoms. Examples thereof include phenyl, naphthyl, anthryl, indenyl, azulenyl, fluorenyl, phenanthryl and the like.
[0057] The C_{6-14} aryl is preferably phenyl or naphthyl, particularly preferably phenyl at the ring A, ring A', ring B and ring B'.
[0058] The C_{3-8} cycloalkyl is saturated cycloalkyl having 3 to 8, preferably 5 to 7, carbon atoms. Examples thereof include cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl and cyclooctyl.
[0059] The C_{3-8} cycloalkyl is particularly preferably cyclohexyl at the ring A, ring A', ring B and ring B'.
[0060] The C_{3-8} cycloalkenyl is cycloalkenyl having 3 to 8, preferably 5 to 7, carbon atoms and has at least 1, preferably 1 or 2, double bond(s). Examples thereof include cyclopropenyl, cyclobutenyl, cyclopentenyl, cyclopentadienyl, cyclohexenyl, 2,4-cyclohexadien-1-yl, 2,5-cyclohexadien-1-yl, cycloheptenyl and cyclooctenyl and the like, but do not include aryl (e.g., phenyl) or completely saturated cycloalkyl.
[0061] The C_{3-8} cycloalkenyl is preferably cyclohexenyl at the ring A and ring A'.
[0062] The heterocyclic group has, as an atom constituting the ring, 1 to 4 heteroatom(s) selected from an oxygen atom, a nitrogen atom and a sulfur atom, besides a carbon atom, and includes saturated ring and unsaturated ring, monocyclic ring and fused ring having the number of ring atom constituting the ring of 3 to 14.
[0063] The heterocyclic group as a monocyclic ring includes, for example, pyridyl, pyrazinyl, pyrimidinyl, pyridazinyl, 1,3,5-triazinyl, pyrrolyl, pyrazolyl, imidazolyl, 1,2,4-triazolyl, tetrazolyl, thienyl, furyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, thiadiazolyl, pyrrolinyl, pyrrolidinyl, imidazolidinyl, piperidyl, piperazinyl, morpholinyl, thiomorpholinyl, tetrahydropyranyl and the like.
[0064] Examples of the heterocyclic group as a fused ring include quinolyl, isoquinolyl, quinazolinyl, quinoxalyl, phthalazinyl, cinnolinyl, naphthyridinyl, 5,6,7,8-tetrahydroquinolyl, indolyl, benzimidazolyl, indolinyl, benzofuranyl, benzothienyl, benzoxazolyl, benzothiazolyl and the like.
[0065] Preferably, it is a heterocyclic group which is a 5-membered or a 6-membered monocyclic group. Examples thereof include pyridyl, pyrazinyl, pyrimidinyl, pyridazinyl, 1,3,5-triazinyl, pyrrolyl, pyrazolyl, imidazolyl, 1,2,4-triazolyl, tetrazolyl, thienyl, furyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, thiadiazolyl, pyrrolidinyl, piperidyl, piperazinyl and the like.

[0066] The heterocyclic group is preferably pyridyl, pyrazinyl, pyrimidinyl or pyridazinyl which is an aromatic group, and particularly preferably pyridyl at the ring A and ring A'.

[0067] The heterocyclic group is particularly preferably pyridyl, pyrazinyl, pyrimidinyl, pyridazinyl, 1,3,5-triazinyl, pyrrolyl, pyrazolyl, imidazolyl, 1,2,4-triazolyl, tetrazolyl, thienyl, furyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl or thiadiazolyl, which is an aromatic group, at the ring B and ring B'. More preferably it is pyridyl or thiazolyl, most preferably thiazolyl.

[0068] The C_{6-14} aryl C_{1-6} alkyl is arylalkyl wherein the alkyl moiety thereof is the above-defined C_{1-6} alkyl and the aryl moiety is the above-defined C_{6-14} aryl. Preferably, it is arylalkyl wherein the alkyl moiety thereof is straight chain alkyl having 1 to 4 carbon atoms and the aryl moiety is phenyl. Examples thereof include benzyl, phenethyl, 3-phenylpropyl, 2-phenylpropyl, 4-phenylbutyl and the like.

[0069] The C_{6-14} aryl C_{1-6} alkyl is particularly preferably benzyl at R^{a8} and R^{b6}.

[0070] The C_{6-14} aryl C_{1-6} alkyloxycarbonyl is arylalkyloxycarbonyl wherein the C_{6-14} aryl C_{1-6} alkyl moiety thereof is the above-defined C_{6-14} aryl C_{1-6} alkyl. Preferably, it is arylalkyloxycarbonyl wherein the alkyl moiety thereof is straight chain alkyl having 1 to 4 carbon atoms and the aryl moiety is phenyl. Examples thereof include benzyloxycarbonyl, phenethyloxycarbonyl, 3-phenylpropyloxycarbonyl, 2-phenylpropyloxycarbonyl, 4-phenylbutyloxycarbonyl and the like.

[0071] The C_{6-14} aryl C_{1-6} alkyloxycarbonyl is particularly preferably benzyloxycarbonyl at R^{b7}.

[0072] The optionally substituted C_{1-6} alkyl is the above-defined C_{1-6} alkyl, preferably that wherein straight chain or branched chain alkyl having 1 to 4 carbon atoms is optionally substituted with 1 to 3 substituent(s), and includes unsubstituted alkyl. The substituent(s) is(are) selected from the above-defined halogen atom, hydroxyl group, carboxyl, amino, the above-defined C_{1-6} alkoxy, the above-defined C_{1-6} alkoxycarbonyl and the above-defined C_{1-6} alkylamino. Examples of optionally substituted C_{1-6} alkyl include methyl, ethyl, propyl, isopropyl, butyl, isobutyl, sec-butyl, tert-butyl, pentyl, isopentyl, tert-pentyl, neopentyl, 1-ethylpropyl, hexyl, trifluoromethyl, hydroxymethyl, 2-hydroxyethyl, 3-hydroxypropyl, 4-hydroxybutyl, 1-hydroxy-1-methylethyl, carboxylmethyl, 2-carboxylethyl, methoxymethyl, ethoxycarbonylmethyl, 2-ethoxycarbonylethyl, 2-dimethylaminoethyl and the like.

[0073] Preferably, the optionally substituted C_{1-6} alkyl is methyl, 1-hydroxy-1-methylethyl, carboxylmethyl or 2-dimethylaminoethyl at R^1, R^2, R^3 and R^4, methyl or trifluoromethyl at R^5, $R^{5'}$, R^6 and $R^{6'}$, methyl at R^7, R^8, R^{a18}, R^{a24}, R^{a25}, R^{a31} and R^{b5}, methyl or ethyl at R^{a1} and R^{a19}, methyl, carboxylmethyl or 2-dimethylaminoethyl at R^{a2} and R^{a3}, methyl or carboxylmethyl at R^{a6}, methyl, ethyl, isopropyl, butyl or trifluoromethyl at X, methyl, ethyl, isopropyl, butyl, isobutyl, tert-butyl, isopentyl, neopentyl, 1-ethylpropyl or carboxylmethyl at R^{a10}, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, trifluoromethyl, 2-hydroxyethyl or carboxylmethyl at R^{a11}, methyl or 4-hydroxybutyl at R^{a12}, methyl, ethyl, isopropyl, butyl, 2-hydroxyethyl, 4-hydroxybutyl, ethoxycarbonylmethyl, 2-(ethoxycarbonyl)ethyl or 2-dimethylaminoethyl at R^{a13}, methyl, propyl, butyl, isopentyl, trifluoromethyl, hydroxymethyl, 2-hydroxyethyl, 3-hydroxypropyl or carboxymethyl at R^{a20}, methyl or ethyl at R^{a22} and R^{a23}, methyl or tert-butyl at R^{a26}, methyl, ethyl, isopropyl, 2-hydroxyethyl or carboxylmethyl at R^{a27} and R^{a28}, and methyl, ethyl, propyl, isopropyl, tert-butyl, trifluoromethyl, hydroxymethyl, 2-hydroxyethyl, 2-carboxylethyl, methoxymethyl or ethoxycarbonylmethyl at Z, Z' and group D.

[0074] It is particularly preferably, trifluoromethyl at R^5, $R^{5'}$, R^6 and $R^{6'}$, methyl or tert-butyl at R^{a26}, methyl, tert-butyl, trifluoromethyl or hydroxymethyl at Z, Z' and group D, and methyl at other substituents.

[0075] The optionally substituted C_{2-6} alkenyl is that wherein straight chain or branched chain alkenyl having 2 to 6 carbon atoms is optionally substituted by 1 to 3 substituent(s), and includes unsubstituted alkenyl. The substituent(s) is(are) selected from the above-defined halogen atom, hydroxyl group, carboxyl, amino, the above-defined C_{1-6} alkoxy, the above-defined C_{1-6} alkoxycarbonyl and the above-defined C_{1-6} alkylamino. Examples of optionally substituted C_{2-6} alkenyl include vinyl, allyl, 1-propenyl, isopropenyl, 1-butenyl, 2-butenyl, 1,3-butadienyl, 2-isopentenyl, 3-isohexenyl, 4-methyl-3-pentenyl, 2-carboxylethenyl and the like.

[0076] The optionally substituted C_{2-6} alkenyl is preferably 2-carboxylethenyl at X, and preferably 2-isopentenyl, 3-isohexenyl or 4-methyl-3-pentenyl at R^{a20}.

[0077] The optionally substituted C_{2-6} alkynyl is that wherein straight chain or branched chain alkynyl having 2 to 6 carbon atoms is optionally substituted by 1 to 3 substituent(s), and includes unsubstituted alkynyl. The substituent(s) is(are) selected from the above-defined halogen atom, hydroxyl group, carboxyl, amino, the above-defined C_{1-6} alkoxy, the above-defined C_{1-6} alkoxycarbonyl and the above-defined C_{1-6} alkylamino. Examples thereof include ethynyl, 1-propynyl, 2-propynyl, 3-butynyl and the like.

[0078] The optionally substituted C_{2-6} alkynyl is preferably 2-propynyl at R^{a20}.

[0079] The C_{6-14} aryl optionally substituted by 1 to 5 substituent(s) selected from group B is that wherein the above-defined C_{6-14} aryl is optionally substituted by 1 to 5 substituent(s), and includes unsubstituted aryl. The substituent(s) is(are) selected from the above-defined halogen atom, cyano, nitro, the above-defined C_{1-6} alkyl, the above-defined halogenated C_{1-6} alkyl, the above-defined C_{1-6} alkanoyl, $-(CH_2)_r-COOR^{b1}$, $-(CH_2)_r-CONR^{b1}R^{b2}$, $-(CH_2)_r-NR^{b1}R^{b2}$, $-(CH_2)_r-NR^{b1}-COR^{b2}$, $-(CH_2)_r-NHSO_2R^{b1}$, $-(CH_2)_r-OR^{b1}$, $-(CH_2)_r-SR^{b1}$, $-(CH_2)_r-SO_2R^{b1}$ and $-(CH_2)_r-SO_2NR^{b1}R^{b2}$ (wherein R^{b1} and R^{b2} are each independently hydrogen atom or the above-defined C_{1-6} alkyl and r is 0 or an integer of 1 to 6).

[0080] Examples thereof include phenyl, naphthyl, anthryl, indenyl, azulenyl, fluorenyl, phenanthryl, 3-fluorophenyl, 4-fluorophenyl, 3-chlorophenyl, 4-chlorophenyl, 2,4-dichlorophenyl, 3,5-dichlorophenyl, pentafluorophenyl, 4-methylphenyl, 4-tert-butylphenyl, 2-trifluoromethylphenyl, 4-trifluoromethylphenyl, 4-nitrophenyl, 4-cyanophenyl, 4-acetylphenyl, 4-carboxylphenyl, 4-carbamoylphenyl, 4-aminophenyl, 4-dimethylaminophenyl, 4-acetylaminophenyl, 4-(methylsulfonylamino)phenyl, 4-methoxyphenyl, 3,4,5-trimethoxyphenyl, 4-methylthiophenyl, 4-methylsulfonylphenyl, 4-aminosulfonylphenyl, 3-nitro-4-methoxyphenyl and 4-nitro-3-methoxyphenyl.

[0081] The aryl moiety is preferably phenyl, the group B here is preferably the above-defined halogen atom, nitro, the above-defined C_{1-6} alkyl, the above-defined halogenated C_{1-6} alkyl or $-(CH_2)_r-OR^{b1}$. Examples of group B include fluorine atom, chlorine atom, nitro, methyl, tert-butyl, trifluoromethyl and methoxy. Particularly preferably, it is fluorine atom or chlorine atom.

[0082] With regard to "C_{6-14} aryl optionally substituted by 1 to 5 substituent(s) selected from group B", it is preferably phenyl, 4-tert-butylphenyl, 3-chlorophenyl, 4-chlorophenyl, 4-methoxyphenyl or 4-trifluoromethylphenyl at R^{a12}, R^{a27} and R^{a28}, phenyl at R^{a14}, R^{a22}, R^{a23}, R^{a26} and R^{b5}, phenyl or 3-fluorophenyl at R^{a18}, phenyl or 2,4-dichlorophenyl at R^{a20}, phenyl, 4-chlorophenyl, 4-trifluoromethylphenyl, 3,5-dichlorophenyl, 3-nitro-4-methoxyphenyl or 4-nitro-3-methoxyphenyl at R^{a24}, and phenyl or 4-methylphenyl at R^{a25}.

[0083] It is particularly preferably phenyl at other substituents.

[0084] The C_{6-14} aryl optionally substituted by 1 to 5 substituent(s) selected from group D is that wherein the above-defined C_{6-14} aryl is optionally substituted by 1 to 5 substituent(s), and includes unsubstituted aryl. The substituent(s) is(are) selected from the above-mentioned group D (substituents shown under (a) to (p)).

[0085] Examples of group D here include fluorine atom, chlorine atom, bromine atom, nitro, cyano, methyl, ethyl, propyl, isopropyl, tert-butyl, trifluoromethyl, hydroxymethyl, 2-hydroxyethyl, methoxymethyl, 2-carboxylethyl, methoxycarbonylmethyl, ethoxycarbonylmethyl, acetyl, carboxyl, methoxycarbonyl, ethoxycarbonyl, carbamoyl, methylaminocarbonyl, isopropylaminocarbonyl, dimethylaminocarbonyl, diethylaminocarbonyl, (2-hydroxyethyl)aminocarbonyl, (carboxylmethyl)aminocarbonyl, hydroxyl group, methoxy, ethoxy, propyloxy, isopropyloxy, isopentyloxy, 2-isopentenyloxy, 3-isohexenyloxy, 4-methyl-3-pentenyloxy, 2-propynyloxy, hydroxymethyloxy, carboxylmethyloxy, (dimethylaminocarbonyl)methyloxy, amino, methylamino, dimethylamino, diethylamino, acetylamino, methylsulfonylamino, methylthio, methylsulfonyl, methylsulfinyl, aminosulfonyl, methylaminosulfonyl and dimethylaminosulfonyl.

[0086] Examples of C_{6-14} aryl optionally substituted by 1 to 5 substituent(s) selected from group D include phenyl, naphthyl, anthryl, indenyl, azulenyl, fluorenyl, phenanthryl, 3-fluorophenyl, 4-fluorophenyl, 3-chlorophenyl, 4-chlorophenyl, 2,4-dichlorophenyl, 3,5-dichlorophenyl, 4-bromophenyl, 4-nitrophenyl, pentafluorophenyl, 4-methylphenyl, 4-tert-butylphenyl, 2-trifluoromethylphenyl, 4-trifluoromethylphenyl, 4-(hydroxymethyl)phenyl, 4-(methoxymethyl)phenyl, 4-(2-carboxylethyl)phenyl, 3-carboxylphenyl, 4-carboxylphenyl, 4-methoxyphenyl, 3,4,5-trimethoxyphenyl, 4-carbamoylphenyl, 4-methylthiophenyl, 4-(dimethylaminocarbonyl)phenyl, 4-methylsulfonylphenyl, 4-acetylaminophenyl, 4-cyanophenyl, 4-acetylphenyl, 4-aminophenyl, 4-dimethylaminophenyl, 4-(methylsulfonylamino)phenyl, 4-methylsulfinylphenyl, 4-aminosulfonylphenyl and 3-nitro-4-methoxyphenyl and 4-nitro-3-methoxyphenyl.

[0087] At Z and Z', the aryl moiety is preferably phenyl, and group D here is preferably the above-defined halogen atom, nitro, the above-defined optionally substituted C_{1-6} alkyl, $-(CH_2)_t-COOR^{a19}$, $-(CH_2)_t-CONR^{a27}R^{a28}$, $-(CH_2)_t-OR^{a20}$, $-(CH_2)_t-NR^{a29}CO-R^{a24}$, $-(CH_2)_t-S(O)_q-R^{a25}$ or $-(CH_2)_t-SO_2-NHR^{a26}$.

[0088] Examples of C_{6-14} aryl optionally substituted by 1 to 5 substituent(s) selected from group D preferably include phenyl, 3-fluorophenyl, 4-fluorophenyl, 3-chlorophenyl, 4-chlorophenyl, 3,5-dichlorophenyl, 4-bromophenyl, 4-nitrophenyl, 4-methylphenyl, 4-tert-butylphenyl, 2-trifluoromethylphenyl, 4-trifluoromethylphenyl, 4-(hydroxymethyl)phenyl, 4-(methoxymethyl)phenyl, 4-(2-carboxylethyl)phenyl, 3-carboxylphenyl, 4-carboxylphenyl, 4-methoxyphenyl, 3,4,5-trimethoxyphenyl, 4-carbamoylphenyl, 4-methylthiophenyl, 4-(dimethylaminocarbonyl)phenyl, 4-methylsulfonylphenyl, 4-acetylaminophenyl, 4-methylsulfinylphenyl and 4-aminosulfonylphenyl.

[0089] Particularly preferably, it is the above-defined halogen atom, the above-defined optionally substituted C_{1-6} alkyl, $-(CH_2)_tCOOR^{a19}$, $-(CH_2)_t-CONR^{a27}R^{a28}$, $(CH_2)_t-OR^{a20}$ or $-(CH_2)_t-S(O)_q-R^{a25}$, which is specifically fluorine atom, chlorine atom, bromine atom, nitro, methyl, tert-butyl, carboxyl, trifluoromethyl, hydroxymethyl, methoxymethyl, 2-carboxylethyl, methoxy, carbamoyl, methylthio, dimethylaminocarbonyl, methylsulfonyl or acetylamino. More preferably, it is fluorine atom, chlorine atom, methyl, tert-butyl, carboxyl, methoxy, carbamoyl, methylthio, dimethylaminocarbonyl, methylsulfonyl or acetylamino, most preferably fluorine atom or chlorine atom.

[0090] The heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from group B is that wherein the above-defined heterocyclic group is optionally substituted by 1 to 5 substituent(s), and includes unsubstituted heterocyclic group. The substituent(s) is(are) selected from the above-defined halogen atom, cyano, nitro, the above-defined C_{1-6} alkyl, the above-defined halogenated C_{1-6} alkyl, the above-defined C_{1-6} alkanoyl, $-(CH_2)_r-COOR^{b1}$, $-(CH_2)_r-CONR^{b1}R^{b2}$, $-(CH_2)_r-NR^{b1}R^{b2}$, $-(CH_2)_r-NR^{b1}-COR^{b2}$, $-(CH_2)_r-NHSO_2R^{b1}$, $-(CH_2)_r-OR^{b1}$, $-(CH_2)_r-SR^{b1}$, $-(CH_2)_r-SO_2R^{b1}$ and $-(CH_2)_r-SO_2NR^{b1}R^{b2}$ wherein R^{b1} and R^{b2} are each independently hydrogen atom or the above-defined C_{1-6} alkyl and r is 0 or an integer of 1 to 6.

[0091] Examples thereof include 2-pyridyl, 3-pyridyl, 4-pyridyl, 3-fluoropyridin-4-yl, 3-chloropyridin-4-yl, 4-chloropy-

ridin-3-yl, pyrazinyl, pyrimidinyl, pyridazinyl, 1,3,5-triazinyl, pyrrolyl, pyrazolyl, imidazolyl, 1,2,4-triazolyl, tetrazolyl, 2-thienyl, 3-thienyl, furyl, oxazolyl, 2-methyloxazol-4-yl, isoxazolyl, thiazolyl, 2-methylthiazol-4-yl, 2,5-dimethylthiazol-4-yl, 2,4-dimethylthiazol-5-yl, isothiazolyl, thiadiazolyl, pyrrolinyl, pyrrolidinyl, 3-hydroxypyrrolidinyl, imidazolidinyl, piperidyl, 3-hydroxypiperidino, 4-hydroxypiperidino, 3,4-dihydroxypiperidino, 4-methoxypiperidino, 4-carboxypiperidino, 4-(hydroxymethyl)-piperidino, 2-oxopiperidino, 4-oxopiperidino, 2,2,6,6-tetramethylpiperidino, 2,2,6,6-tetramethyl-4-hydroxypiperidino, N-methylpiperidin-4-yl, N-(tert-butoxycarbonyl)piperidin-4-yl, N-acetylpiperidin-4-yl, N-methylsulfonylpiperidin-4-yl, piperazinyl, 4-methylsulfonylpiperazinyl, morpholinyl, thiomorpholinyl, 1-oxothiomorpholin-4-yl, 1,1-dioxothiomorpholin-4-yl, tetrahydropyranyl, quinolyl, isoquinolyl, quinazolinyl, quinoxalyl, phthalazinyl, cinnolinyl, naphthyridinyl, 5,6,7,8-tetrahydroquinolyl, indolyl, benzimidazolyl, indolinyl, benzofuranyl, benzothienyl, benzoxazolyl, benzothiazolyl and the like.

[0092] The heterocyclic moiety is preferably a heterocyclic group which is a 5-membered or a 6-membered monocyclic group. Examples thereof include pyridyl, pyrazinyl, pyrimidinyl, pyridazinyl, 1,3,5-triazinyl, pyrrolyl, pyrazolyl, imidazolyl, 1,2,4-triazolyl, tetrazolyl, thienyl, furyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, thiadiazolyl, pyrrolidinyl, piperidyl, piperazinyl, morpholinyl, thiomorpholinyl and tetrahydropyranyl, and the group B here is preferably the above-defined halogen atom, the above-defined C_{1-6} alkyl, the above-defined halogenated C_{1-6} alkyl, the above-defined C_{1-6} alkanoyl, $-(CH_2)_r-COOR^{b1}$, $-(CH_2)_r-CONR^{b1}R^{b2}$ or $-(CH_2)_r-OR^{b1}$.

[0093] Examples of heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from group B preferably include piperidino, 4-hydroxypiperidino, 1-piperazinyl, 1-(methylsulfonyl)piperidin-4-yl, 1-pyrrolidinyl, morpholino, 4-thiomorpholinyl, tetrahydropyranyl, pyridyl and thiazolyl. Particularly preferably, it is piperidino, 4-hydroxypiperidino, 1-piperazinyl, 1-pyrrolidinyl, morpholino or 4-thiomorpholinyl at R^{a18}, tetrahydropyranyl or 4-hydroxypiperidino at R^{a20}, piperidino at R^{a21}, pyridyl at R^{a24} and R^{a25}, pyridyl or thiazolyl at R^{a26} and at R^{a27} and R^{a28}, it is 1-(methylsulfonyl)piperidin-4-yl, 3-hydroxypyrrolidinyl, 3-hydroxypiperidino, 4-hydroxypiperidino, 3,4-dihydroxypiperidino, 4-methoxypiperidino, 4-carboxypiperidino, 4-(hydroxymethyl)piperidino, 2-oxopiperidino, 4-oxopiperidino, 2,2,6,6-tetramethylpiperidino, 2,2,6,6-tetramethyl-4-hydroxypiperidino, 4-methylsulfonylpiperazinyl, 1-oxothiomorpholin-4-yl or 1,1-dioxothiomorpholin-4-yl.

[0094] The heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from group D is that wherein the above-defined heterocyclic group is optionally substituted by 1 to 5 substituent(s), and includes unsubstituted heterocyclic group. The substituent(s) is(are) selected from the substituent(s) of the above-mentioned group D (substituents shown under (a) to (p)).

[0095] Examples of the group D here include the substituent(s) exemplified for C_{6-14} aryl optionally substituted by 1 to 5 substituent(s) selected from group D.

[0096] Examples of heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from group D include 2-pyridyl, 3-pyridyl, 4-pyridyl, 3-fluoropyridin-4-yl, 3-chloropyridin-4-yl, 4-chloropyridin-3-yl, pyrazinyl, pyrimidinyl, pyridazinyl, 1,3,5-triazinyl, pyrrolyl, pyrazolyl, imidazolyl, 1,2,4-triazolyl, tetrazolyl, 2-thienyl, 3-thienyl, furyl, oxazolyl, 2-methyloxazol-4-yl, isoxazolyl, thiazolyl, 2-methylthiazol-4-yl, 2,5-dimethylthiazol-4-yl, 2,4-dimethylthiazol-5-yl, isothiazolyl, thiadiazolyl, pyrrolinyl, pyrrolidinyl, imidazolidinyl, piperidyl, N-methylpiperidin-4-yl, N-(tert-butoxycarbonyl)piperidin-4-yl, N-acetylpiperidin-4-yl, N-methylsulfonylpiperidin-4-yl, piperazinyl, morpholinyl, thiomorpholinyl, tetrahydropyranyl, quinolyl, isoquinolyl, quinazolinyl, quinoxalyl, phthalazinyl, cinnolinyl, naphthyridinyl, 5,6,7,8-tetrahydroquinolyl, indolinyl, benzimidazolyl, indolinyl, benzofuranyl, benzothienyl, benzoxazolyl, benzothiazolyl and the like.

[0097] In addition, the heterocyclic group may be substituted at the 3-, 4-, 5- or 6-position of 2-pyridyl, at the 2-, 4-, 5- or 6-position of 3-pyridyl, at the 2-, 3-, 5- or 6-position of 4-pyridinyl, at the 3-, 4- or 5-position of 2-thienyl, or at the 2-, 4- or 5-position of 3-thienyl, by fluorine atom, chlorine atom, bromine atom, nitro, methyl, tert-butyl, carboxyl, trifluoromethyl, hydroxymethyl, methoxymethyl, 2-carboxylethyl, methoxy, carbamoyl, methylthio, dimethylaminocarbonyl, methylsulfonyl or acetylamino.

[0098] At Z and Z', the heterocyclic moiety is preferably a heterocyclic group which is a 5-membered or 6-membered monocyclic group. Examples thereof include pyridyl, pyrazinyl, pyrimidinyl, pyridazinyl, 1,3,5-triazinyl, pyrrolyl, pyrazolyl, imidazolyl, 1,2,4-triazolyl, tetrazolyl, thienyl, furyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolinyl, thiadiazolyl, pyrrolidinyl, piperidyl, piperazinyl, morpholinyl, thiomorpholinyl and tetrahydropyranyl. The group D here is preferably the above-defined halogen atom, nitro, the above-defined optionally substituted C_{1-6} alkyl, $-(CH_2)_t-COOR^{a19}$, $-(CH_2)_t-CONR^{a27}R^{a28}$, $-(CH_2)_t-OR^{a20}$, $-(CH_2)_t-NR^{a29}CO-R^{a24}$, $-(CH_2)_t-S(O)_q-R^{a25}$ or $-(CH_2)_t-SO_2-NHR^{a26}$.

[0099] Examples of heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from group D preferably include piperidino, 4-hydroxypiperidino, 1-piperazinyl, 1-pyrrolidinyl, morpholino, 4-thiomorpholinyl, 4-tetrahydropyranyl, 3-pyridyl, 2-pyrimidinyl, 5-tetrazolyl, 2-thiazolyl, 4-thiazolyl, 5-thiazolyl and 2-thienyl.

[0100] Particularly preferably, it is pyridyl, pyrimidinyl, tetrazolyl, thienyl or piperidyl.

[0101] The C_{3-8} cycloalkyl optionally substituted by 1 to 5 substituent(s) selected from group C is that wherein the above-defined C_{3-8} cycloalkyl is optionally substituted by the 1 to 5 substituent(s) selected from hydroxyl group, the above-defined halogen atom, the above-defined C_{1-6} alkyl and the above-defined C_{1-6} alkoxy, which may be unsubstituted. Examples thereof include cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, 4fluorocyclohexyl,

2-methylcyclopentyl, 3-methylcyclohexyl, 4-methylcyclohexyl, 4,4-dimethylcyclohexyl, 3,5-dimethylcyclohexyl, 4-tert-butylcyclohexyl, 4-hydroxycyclohexyl, 4-methoxycyclohexyl and 2,3,4,5,6-pentafluorocyclohexyl.

[0102] The cycloalkyl moiety is preferably cyclopentyl or cyclohexyl, particularly preferably cyclohexyl.

[0103] At the ring Cy and ring Cy', the C_{3-8} cycloalkyl optionally substituted by 1 to 5 substituent(s) selected from group C is preferably cyclopentyl, cyclohexyl, 4-fluorocyclohexyl, 4-methylcyclohexyl, 4,4-dimethylcyclohexyl, 4-tert-butylcyclohexyl, 4-hydroxycyclohexyl or 4-methoxycyclohexyl, more preferably cyclopentyl or cyclohexyl, particularly preferably cyclohexyl.

[0104] The C_{3-8} cycloalkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B is that wherein the above-defined C_{3-8} cycloalkyl is optionally substituted by 1 to 5 substituent(s), and includes unsubstituted cycloalkyl. The substituents are selected from the above group B.

[0105] Specific examples thereof include cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, 4-fluorocyclohexyl, 2-methylcyclopentyl, 3-methylcyclohexyl, 4-methylcyclohexyl, 4,4-dimethylcyclohexyl, 3,5-dimethylcyclohexyl, 4-tert-butylcyclohexyl, 4-hydroxycyclohexyl, 4-methoxycyclohexyl and 2,3,4,5,6-pentafluorocyclohexyl.

[0106] Also exemplified are those wherein cyclopentyl or cyclohexyl is substituted by fluorine atom, chlorine atom, bromine atom, nitro, methyl, tert-butyl, carboxyl, trifluoromethyl, hydroxymethyl, methoxymethyl, 2-carboxylethyl, methoxy, carbamoyl, methylthio, dimethylaminocarbonyl, methylsulfonyl or acetylamino.

[0107] At cycloalkyl moiety, it is preferably cyclopentyl or cyclohexyl. As the C_{3-8} cycloalkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B, it is particularly preferably cyclohexyl or 4-hydroxycyclohexyl at R^{a27} and R^{a28}.

[0108] The C_{3-8} cycloalkyl optionally substituted by 1 to 5 substituent(s) selected from group D is that wherein the above-defined C_{3-8} cycloalkyl is optionally substituted by 1 to 5 substituent(s), and includes unsubstituted cycloalkyl. The substituent(s) is(are) selected from the substituent(s) of the above-mentioned group D (substituents shown under (a) to (p)).

[0109] The group D here includes the substituents recited with regard to C_{6-14} aryl optionally substituted by 1 to 5 substituent(s) selected from group D.

[0110] Examples thereof include cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, 4-fluorocyclohexyl, 2-methylcyclopentyl, 3-methylcyclohexyl, 4-methylcyclohexyl, 4,4-dimethylcyclohexyl, 3,5-dimethylcyclohexyl, 4-tert-butylcyclohexyl, 4-hydroxycyclohexyl, 4-methoxycyclohexyl and 2,3,4,5,6-pentafluorocyclohexyl.

[0111] The group D may be, for example, cyclopentyl or cyclohexyl substituted by fluorine atom, chlorine atom, bromine atom, nitro, methyl, tert-butyl, carboxyl, trifluoromethyl, hydroxymethyl, methoxymethyl, 2-carboxylethyl, methoxy, carbamoyl, methylthio, dimethylaminocarbonyl, methylsulfonyl or acetylamino.

[0112] The cycloalkyl moiety is preferably cyclopentyl or cyclohexyl, and at Z and Z', it is particularly preferably cyclohexyl.

[0113] The optionally substituted C_{3-8} cycloalkenyl is that wherein the above-defined C_{3-8} cycloalkenyl is optionally substituted by substituent(s) selected from hydroxyl group, the above-defined halogen atom, the above-defined C_{1-6} alkyl and the above-defined C_{1-6} alkoxy, which may be unsubstituted. Examples thereof include cyclopropenyl, cyclobutenyl, cyclopentenyl, cyclopentadienyl, cyclohexenyl, 4-fluoro-2-cyclohexenyl, 4-methyl-2-cyclohexenyl, 4-methyl-3-cyclohexenyl, 2,4-cyclohexadien-1-yl, 2,5-cyclohexadien-1-yl, cycloheptenyl and cyclooctenyl and the like, but do not include aryl (e.g., phenyl) or completely saturated cycloalkyl.

[0114] The optionally substituted C_{3-8} cycloalkenyl is particularly preferably cyclohexenyl at the ring Cy.

[0115] The C_{6-14} aryl C_{1-6} alkyl optionally substituted by 1 to 5 substituent(s) selected from group B is that wherein the above-defined C_{6-14} aryl C_{1-6} alkyl is optionally substituted by 1 to 5 substituent(s), and includes unsubstituted arylalkyl. The substituent(s) is(are) selected from the above-mentioned group B.

[0116] Examples thereof include benzyl, 1-naphthylmethyl, 2-naphthylmethyl, phenethyl, 3-phenylpropyl, 2-phenylpropyl, 3-fluorobenzyl, 4-fluorobenzyl, 3-chlorobenzyl, 4-chlorobenzyl, 2,4-dichlorobenzyl, 3,5-dichlorobenzyl, pentafluorobenzyl, 4-methylbenzyl, 4-tert-butylbenzyl, 2-trifluoromethylbenzyl, 4-trifluoromethylbenzyl, 4-nitrobenzyl, 4-cyanobenzyl, 4-acetylbenzyl, 4-carboxylbenzyl, 4-carbamoylbenzyl, 4-aminobenzyl, 4-dimethylaminobenzyl, 4-acetylaminobenzyl, 4-(methylsulfonylamino)benzyl, 4-methoxybenzyl, 3,4,5-trimethoxybenzyl, 4-methylthiobenzyl, 4-methylsulfonylbenzyl, 4-aminosulfonylbenzyl, 3-nitro-4-methoxybenzyl and 4-nitro-3-methoxybenzyl.

[0117] The C_{6-14} aryl C_{1-6} alkyl moiety is preferably benzyl or phenethyl, particularly preferably benzyl. The group B is preferably the above-defined halogen atom, nitro, the above-defined C_{1-6} alkyl, the above-defined halogenated C_{1-6} alkyl or $-(CH_2)_r-OR^{b1}$. Examples thereof include fluorine atom, chlorine atom, nitro, methyl, tert-butyl, trifluoromethyl, methoxy or trifluoromethyloxy, particularly preferably fluorine atom or chlorine atom.

[0118] The specific C_{6-14} aryl C_{1-6} alkyl optionally substituted by 1 to 5 substituent(s) selected from group B at R^{a12} and R^{a13} is preferably benzyl, phenethyl, 3-chlorobenzyl, 4-chlorobenzyl, 4-tert-butylbenzyl or 3-trifluoromethylbenzyl, it is preferably benzyl at R^{a1}, R^{a19}, R^{a27}, R^{a28}, R^{a31} and R^{b5}, it is preferably benzyl, phenethyl, 4-fluorobenzyl, 2-chlorobenzyl, 3-chlorobenzyl, 4-chlorobenzyl, 4-tert-butylbenzyl or 4-trifluoromethylbenzyl at R^{a20}, and 4-chlorobenzyl, 3,5-dichlorobenzyl or 4-trifluoromethylbenzyl at R^{a22} and R^{a23}.

[0119] It is particularly preferably benzyl at other substituents.

[0120] The C_{6-14} aryl C_{1-6} alkyl optionally substituted by 1 to 5 substituent(s) selected from group D is that wherein the above-defined C_{6-14} aryl C_{1-6} alkyl is optionally substituted by 1 to 5 substituent(s), and includes unsubstituted aryl. The substituent(s) is(are) selected from the substituent(s) of the above-mentioned group D (substituents shown under (a) to (p)).

[0121] Examples of group D include fluorine atom, chlorine atom, bromine atom, nitro, cyano, methyl, ethyl, propyl, isopropyl, tert-butyl, trifluoromethyl, hydroxymethyl, 2-hydroxyethyl, methoxymethyl, 2-carboxylethyl, methoxycarbonylmethyl, ethoxycarbonylmethyl, acetyl, carboxyl, methoxycarbonyl, ethoxycarbonyl, carbamoyl, methylaminocarbonyl, isopropylaminocarbonyl, dimethylaminocarbonyl, diethylaminocarbonyl, (2-hydroxyethyl)aminocarbonyl, (carboxylmethyl)aminocarbonyl, hydroxyl group, methoxy, ethoxy, isopropyloxy, hydroxymethyloxy, carboxylmethyloxy, (dimethylaminocarbonyl)methyloxy, amino, methylamino, dimethylamino, diethylamino, acetylamino, methylsulfonylamino, methylthio, methylsulfonyl, methylsulfinyl, aminosulfonyl, methylaminosulfonyl and dimethylaminosulfonyl.

[0122] Examples of C_{6-14} aryl C_{1-6} alkyl optionally substituted by 1 to 5 substituent(s) selected from group D include benzyl, 1-naphthylmethyl, 2-naphthylmethyl, phenethyl, 3-phenylpropyl, 2-phenylpropyl, 3-fluorobenzyl, 4-fluorobenzyl, 3-chlorobenzyl, 4-chlorobenzyl, 2,4-dichlorobenzyl, 3,5-dichlorobenzyl, 4-bromobenzyl, 4-nitrobenzyl, pentafluorobenzyl, 4-methylbenzyl, 4-tert-butylbenzyl, 2-trifluoromethylbenzyl, 4-trifluoromethylbenzyl, 4-(hydroxymethyl)benzyl, 4- (methoxymethyl)benzyl, 4-(2-carboxylethyl)benzyl, 3-carboxylbenzyl, 4-carboxylbenzyl, 4-methoxybenzyl, 3,4,5-trimethoxybenzyl, 4-carbamoylbenzyl, 4-methylthiobenzyl, 4-(dimethylaminocarbonyl)benzyl, 4-methylsulfonylbenzyl, 4-(acetylamino)benzyl, 4-cyanobenzyl, 4-acetylbenzyl, 4-aminobenzyl, 4-dimethylaminobenzyl, 4-(methylsulfonylamino) benzyl, 4-methylsulfinylbenzyl, 4-aminosulfonylbenzyl, (3-nitro-4-methoxyphenyl)methyl and (4-nitro-3-methoxyphenyl)methyl.

[0123] At Z and Z', the C_{6-14} aryl C_{1-6} alkyl moiety is preferably benzyl or phenethyl, and the group D here is preferably the above-defined halogen atom, nitro, the above-defined optionally substituted C_{1-6} alkyl, $-(CH_2)_t-COOR^{a19}$, $-(CH_2)_t-CONR^{a27}R^{a28}$, $-(CH_2)_t-OR^{a20}$, $-(CH_2)_t-NR^{a29}CO-R^{a24}$, $-(CH_2)_t-S(O)_q-R^{a25}$ or $-(CH_2)_t-SO_2-NHR^{a26}$.

[0124] The C_{6-14} aryl C_{1-6} alkyl optionally substituted by 1 to 5 substituent(s) selected from group D is preferably benzyl, 3-fluorobenzyl, 4-fluorobenzyl, 3-chlorobenzyl, 4-chlorobenzyl, 3,5-dichlorobenzyl, 4-bromobenzyl, 4-nitrobenzyl, 4-methylbenzyl, 4-tert-butylbenzyl, 2-trifluoromethylbenzyl, 4-trifluoromethylbenzyl, 4-(hydroxymethyl)benzyl, 4-(methoxymethyl)benzyl, 4-(2-carboxylethyl)benzyl, 3-carboxylbenzyl, 4-carboxylbenzyl, 4-methoxybenzyl, 3,4,5-trimethoxybenzyl, 4-carbamoylbenzyl, 4-methylthiobenzyl, 4-(dimethylaminocarbonyl)benzyl, 4-methylsulfonylbenzyl, 4-acetylaminobenzyl, 4-methylsulfinylbenzyl or 4-aminosulfonylbenzyl.

[0125] It is particularly preferably the above-defined halogen atom, the above-defined optionally substituted C_{1-6} alkyl, $-(CH_2)_t-COOR^{a19}$, $-(CH_2)_t-CONR^{a27}R^{a28}$, $-(CH_2)_t-OR^{a20}$ or $-(CH_2)_t-S(O)_q-R^{a25}$. Examples thereof include fluorine atom, chlorine atom, bromine atom, nitro, methyl, tert-butyl, carboxyl, trifluoromethyl, hydroxymethyl, methoxymethyl, 2-carboxylethyl, methoxy, carbamoyl, methylthio, dimethylaminocarbonyl, methylsulfonyl and acetylamino. It is more preferably fluorine atom, chlorine atom, methyl, tert-butyl, carboxyl, methoxy, carbamoyl, methylthio, dimethylaminocarbonyl or methylsulfonyl, most preferably fluorine atom or chlorine atom.

[0126] The heterocycle C_{1-6} alkyl optionally substituted by 1 to 5 substituent(s) selected from group B is that wherein the above-defined heterocycle C_{1-6} alkyl is optionally substituted by 1 to 5 substituent(s), and includes unsubstituted heterocycle C_{1-6} alkyl. The substituent(s) is(are) selected from the above-mentioned group B.

[0127] Examples thereof include 2-pyridylmethyl, 3-pyridylmethyl, 2-chloropyridin-4-ylmethyl, 4-pyridylmethyl, pyrrolylmethyl, imidazolylmethyl, 2-thienylmethyl, 3-thienylmethyl, 2-furylmethyl, 2-oxazolylmethyl, 5-isothiazolylmethyl, 2-methyloxazol-4-ylmethyl, 2-thiazolylmethyl, 4-thiazolylmethyl, 5-thiazolylmethyl, 2-methylthiazol-4-ylmethyl, 2-methylthiazol-5-ylmethyl, 2,5-dimethylthiazol-4-ylmethyl, 4-methylthiazol-2-ylmethyl, 2,4-dimethylthiazol-5-ylmethyl, 2-isothiazolylmethyl, 2-pyrrolinylmethyl, pyrrolidinylmethyl, piperidylmethyl, 4-piperidylmethyl, 1-methylpiperidin-4-ylmethyl, 2-(4-hydroxypiperidino)ethyl, 1-(tert-butoxycarbonyl)piperidin-4-ylmethyl, 1-acetylpiperidin-4-ylmethyl, 1-methylsulfonylpiperidin-4-ylmethyl, piperazinylmethyl, morpholinomethyl, thiomorpholinylmethyl, 1-tetrahydropyranylmethyl, 2-quinolylmethyl, 1-isoquinolylmethyl and the like.

[0128] The heterocyclic moiety is preferably a heterocyclic group which is a 5-membered or 6-membered monocyclic group. Examples thereof include pyridyl, pyrazinyl, pyrimidinyl, pyridazinyl, 1,3,5-triazinyl, pyrrolyl, pyrazolyl, imidazolyl, 1,2,4-triazolyl, tetrazolyl, thienyl, furyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, thiadiazolyl, pyrrolidinyl, piperidyl, piperazinyl, morpholinyl, thiomorpholinyl and tetrahydropyranyl, and the alkyl moiety thereof is preferably straight chain alkyl having 1 to 4 carbon atoms. The group B here is preferably the above-defined halogen atom, the above-defined C_{1-6} alkyl, the above-defined halogenated C_{1-6} alkyl, the above-defined C_{1-6} alkanoyl, $-(CH_2)_r-COOR^{b1}$, $-(CH_2)_r-CONR^{b1}R^{b2}$ or $-(CH_2)_r-OR^{b1}$.

[0129] Examples of heterocycle C_{1-6} alkyl optionally substituted by 1 to 5 substituent(s) selected from group B preferably include 2-pyridylmethyl, 3-pyridylmethyl, 2-chloropyridin-4-ylmethyl, 4-pyridylmethyl, piperidin-4-ylmethyl, 1-methylpiperidin-4-ylmethyl, 2-(4-hydroxypiperidino)ethyl, 1-acetylpiperidin-4-ylmethyl, 1-(tert-butoxycarbonyl) piperidin-4-ylmethyl, 1-(methylsulfonyl)-piperidin-4-ylmethyl, 2-thiazolylmethyl, 4-thiazolylmethyl, 2-methylthiazolin-4-yl-

methyl, 2,4-dimethylthiazolin-5-ylmethyl and 4-methylthiazol-2-ylmethyl. Particularly preferably, it is 2-pyridylmethyl, 3-pyridylmethyl, 2-chloropyridin-4-ylmethyl, 4-pyridylmethyl, piperidin-4-ylmethyl, 1-methylpiperidin-4-ylmethyl, 2-(4-hydroxypiperidino)ethyl, 1-acetylpiperidin-4-ylmethyl, 1-(tert-butoxycarbonyl)piperidin-4-ylmethyl, 1-(methylsulfonyl)piperidin-4-ylmethyl, 2-methylthiazolin-4-ylmethyl, 2,4-dimethylthiazolin-5-ylmethyl or 4-methylthiazol-2-ylmethyl at R^{a20}, 2-pyridylmethyl at R^{a22} and R^{a23}, and 4-pyridylmethyl or 4-methylthiazol-2-ylmethyl at R^{a27} and R^{a28}.

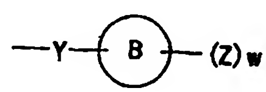
[0130] The C_{3-8} cycloalkyl C_{1-6} alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B is that wherein the above-defined C_{3-8} cycloalkyl C_{1-6} alkyl is optionally substituted by 1 to 5 substituent(s), and includes unsubstituted cycloalkylalkyl. The substituents are selected from the above group B.

[0131] Specific examples thereof include cyclopropylmethyl, cyclobutylmethyl, cyclopentylmethyl, cyclohexylmethyl, 2-(cyclopentyl)ethyl, 2-(cyclohexyl)ethyl, cycloheptylmethyl, 4-fluorocyclohexylmethyl, 2-methylcyclopentylmethyl, 3-methylcyclohexylmethyl, 4-methylcyclohexylmethyl, 4,4-dimethylcyclohexylmethyl, 3,5-dimethylcyclohexylmethyl, 4-tert-butylcyclohexylmethyl, 4-hydroxycyclohexylmethyl, 4-methoxycyclohexylmethyl and 2,3,4,5,6-pentafluorocyclohexylmethyl.

[0132] Also exemplified are those wherein cyclopentylmethyl or cyclohexylmethyl is substituted by fluorine atom, chlorine atom, bromine atom, nito, methyl, tert-butyl, carboxyl, trifluoromethyl, hydroxymethyl, methoxymethyl, 2-carboxylethyl, methoxy, carbamoyl, methylthio, dimethylaminocarbonyl, methylsulfonyl or acetylamino.

[0133] At cycloalkyl moiety, it is preferably cyclopentylmethyl or cyclohexylmethyl, and at R^{a20}, R^{a27} and R^{a28}, it is particularly preferably cyclohexylmethyl.

[0134] In formula [I], X is preferably

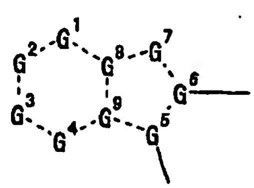


wherein each symbol is as defined above.

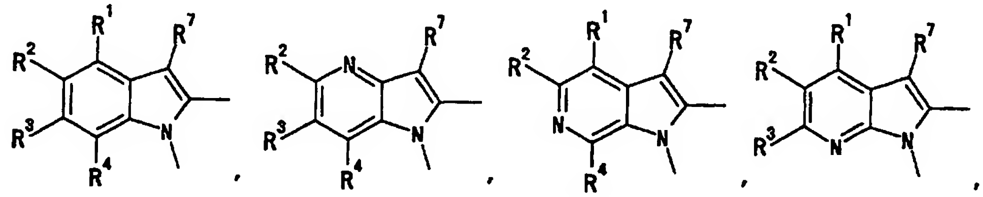
[0135] G^1, G^2, G^3 and G^4 are each preferably $(C-R^1)$, $(C-R^2)$, $(C-R^3)$ and $(C-R^4)$, G^5 is preferably a nitrogen atom, and G^6, G^8 and G^9 are preferably a carbon atom. G^7 is preferably $C(-R^7)$ or unsubstituted nitrogen atom, wherein R^7 is preferably hydrogen atom.

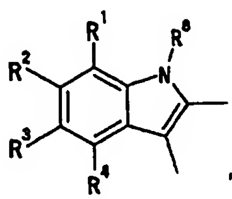
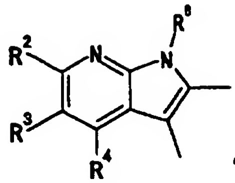
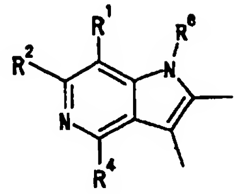
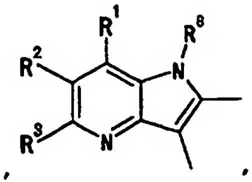
[0136] A preferable combination is G^2 of $(C-R^2)$ and G^6 of a carbon atom, particularly preferably G^2 of $(C-R^2)$, G^6 of a carbon atom and G^5 of a nitrogen atom, most preferably G^2 of $(C-R^2)$, G^6 of a carbon atom, G^5 of a nitrogen atom and G^7 of unsubstituted nitrogen atom.

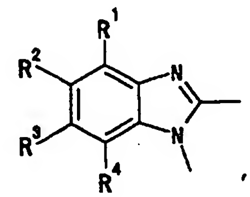
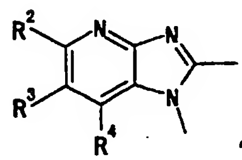
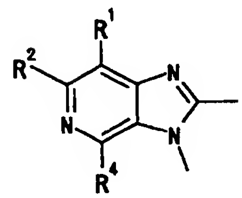
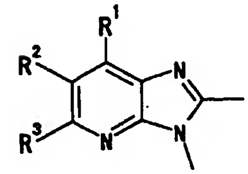
[0137] In formulas [I] and [II], 1 to 4 of G^1 to G^9 in the moiety

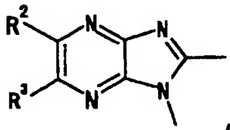
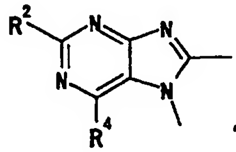
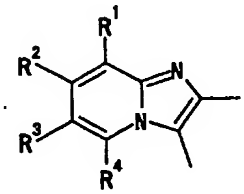
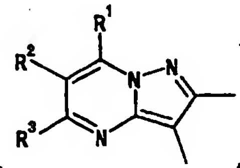


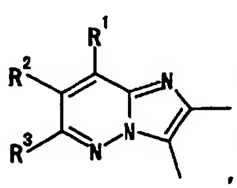
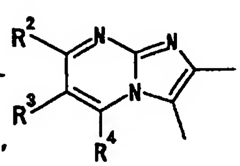
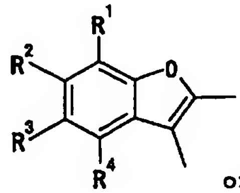
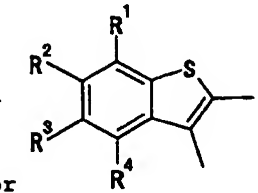
is(are) preferably a nitrogen atom, specifically preferably



, , , ,

, , , ,

, , , ,

, ,  or ,

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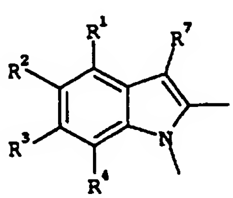
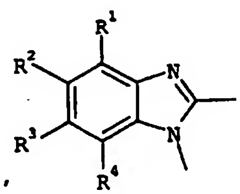
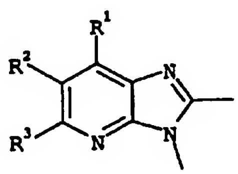
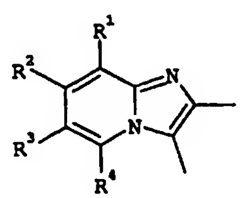
, ,  or ,

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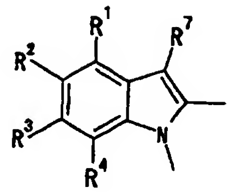

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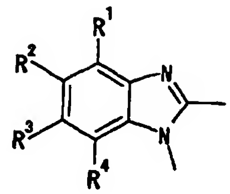

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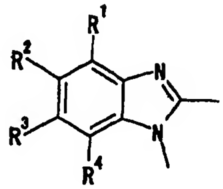


[0138] R^1 and R^4 are preferably hydrogen atom. R^2 is preferably carboxyl, $-COOR^{a1}$, $-CONR^{a2}R^{a3}$ or $-SO_2R^{a7}$ (each symbol is as defined above), particularly preferably carboxyl, $-COOR^{a1}$ or $-SO_2R^{a7}$, more preferably carboxyl or $-COOR^{a1}$, most preferably carboxyl. R^3 is preferably hydrogen atom or $-OR^{a6}$ (R^{a6} is as defined above), particularly preferably hydrogen atom.

[0139] The ring Cy and ring Cy' are preferably cyclopentyl, cyclohexyl, cycloheptyl or tetrahydrothiopyranyl, particularly preferably cyclopentyl, cyclohexyl or cycloheptyl, more preferably cyclohexyl.

[0140] The ring A and ring A' are preferably phenyl, pyridyl, pyrazinyl, pyrimidinyl, pyridazinyl, cyclohexyl, cyclohexenyl, furyl or thienyl, particularly preferably phenyl, pyridyl, pyrazinyl, pyrimidinyl or pyridazinyl, more preferably phenyl or pyridyl, and most preferably phenyl.

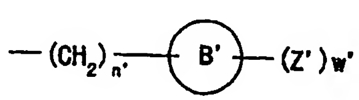
[0141] The ring B and ring B' are preferably C_{1-6} aryl or heterocyclic group, specifically preferably, phenyl, pyridyl, pyrazinyl, pyrimidinyl, pyridazinyl, 1,3,5-triazinyl, pyrrolyl, pyrazolyl, imidazolyl, 1,2,4-triazolyl, tetrazolyl, thienyl, furyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl or thiadiazolyl, particularly preferably phenyl, pyridyl, pyrimidinyl, 1,3,5-triazinyl or thiazolyl, more preferably, phenyl, pyridyl or thiazolyl, and most preferably phenyl or thiazolyl.

[0142] With regard to R^5 and R^6, one of them is preferably hydrogen atom and the other is halogen atom, particularly fluorine atom. Alternatively, the both arepreferably hydrogen atoms. When ring A is phenyl, R^5 and R^6 preferably are present at an ortho position from G^6. The same applies to $R^{5'}$ and $R^{6'}$.

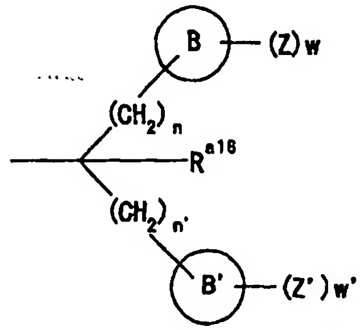
[0143] Y is preferably $-(CH_2)_m-O-(CH_2)_n-$, $-NHCO_2-$, $-CONH-CHR^{a14}-$, $-(CH_2)_m-NR^{a12}-(CH_2)_n-$, $-CONR^{a13}-(CH_2)_n-$, $-O-(CH_2)_m-CR^{a15}R^{a16}-(CH_2)_n-$ or $-(CH_2)_n-NR^{a12}-CHR^{a15}-$ (each symbol is as defined above), more preferably, $-(CH_2)_m-O-(CH_2)_n-$ or $-O-(CH_2)_m-CR^{a15}R^{a16}-(CH_2)_n-$, most preferably $-O-(CH_2)_m-CR^{a15}R^{a16}-(CH_2)_n-$.

[0144] The 1, m and n are preferably 0 or an integer of 1 to 4, particularly preferably 0, 1 or 2, at Y. In $-(CH_2)_m-O-(CH_2)_n-$, m=n=0 or m=0 and n=1 is more preferable, most preferably m=n=0. In $-O-(CH_2)_m-CR^{a15}R^{a16}-(CH_2)_n-$, m=n=0, m=0 and n=1, m=1 and n=0 or m=1 and n=1 is more preferable, most preferably m=n=0.

[0145] When Y is $-O-(CH_2)_m-CR^{a15}R^{a16}-(CH_2)_n-$, R^{a16} is preferably hydrogen atom, R^{a15} is preferably



wherein the



moiety is preferably symmetric. The preferable mode of n, ring B, Z and w and the preferable mode of n', ring B', Z' and w' are the same.

[0146] When ring A is phenyl, X or Y is preferably present at the para-position relative to G^6. When ring B and ring B' are phenyl, Z is preferably present at the ortho or meta-position relative to Y. It is preferable that the 3-position on phenyl have one substituent or the 2-position and the 5-position on phenyl each have one substituent.

[0147] When ring B is thiazolyl, Y is preferably substituted at the 5-position, and Z is preferably substituted at the 2-position, the 4-position or the 2-position and the 4-position. Similarly, when ring B' is thiazolyl, $(CH_2)_{n'}$ is also preferably substituted at the 5-position, and Z' is preferably substituted at the 2-position, the 4-position or the 2-position and the 4-position.

[0148] Z and Z' are preferably group D, "C_{6-14} aryl optionally substituted by 1 to 5 substituent(s) selected from group D" or "heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from group D", particularly preferably group D or "C_{6-14} aryl optionally substituted by 1 to 5 substituent(s) selected from group D".

[0149] More preferably, they are the above-defined halogen atom, nitro, the above-defined optionally substituted C_{1-6} alkyl, $-(CH_2)_t$-$COOR^{a19}$-$(CH_2)_t$-$CONR^{a27}R^{a28}$, $-(CH_2)_t$-OR^{a20}, $(CH_2)_t$-$NR^{a29}CO$-R^{a24}, - $(CH_2)_t$-$S(O)_q$-R^{a25} or - $(CH_2)_t$-SO_2-NHR^{a26}, or C_{6-14} aryl or heterocyclic group optionally substituted by these.
With regard to Z and Z', the preferable mode of group D that directly substitutes each ring B and ring B' and the preferable mode of group D that substitutes C_{6-14} aryl, C_{3-8} cycloalkyl, C_{6-14} aryl C_{1-6} alkyl or heterocyclic group are the same, wherein they may be the same with or different from each other.

[0150] Specific examples of the substituent preferably include fluorine atom, chlorine atom, bromine atom, nitro, cyano, methyl, ethyl, propyl, isopropyl, tert-butyl, trifluoromethyl, hydroxymethyl, 2-hydroxyethyl, methoxymethyl, 2-carboxylethyl, methoxycarbonylmethyl, ethoxycarbonylmethyl, acetyl, carboxyl, methoxycarbonyl, ethoxycarbonyl, carbamoyl, methylaminocarbonyl, isopropylaminocarbonyl, dimethylaminocarbonyl, diethylamino-carbonyl, (2-hydroxyethyl)aminocarbonyl, (carboxylmethyl)-aminocarbonyl, hydroxyl group, methoxy, ethoxy, propyloxy, isopropyloxy, butyloxy, isopentyloxy, 2-isopentenyloxy, 3-isohexenyloxy, 4-methyl-3-pentenyloxy, 2-propynyloxy, trifluoromethyloxy, hydroxymethyloxy, carboxylmethyloxy, (dimethylaminocarbonyl)methyloxy, amino, methylamino, dimethylamino, diethylamino, acetylamino, methylsulfonylamino, methylthio, methylsulfonyl, methylsulfinyl, aminosulfonyl, methylaminosulfonyl, dimethylaminosulfonyl, tert-butylaminosulfonyl, phenyl, 3-fluorophenyl, 4-fluorophenyl, 3-chlorophenyl, 4-chlorophenyl, 3,5-dichlorophenyl, 4-bromophenyl, 4-nitrophenyl, 4-cyanophenyl, 4-methylphenyl, 4-ethylphenyl, 4-propylphenyl, 4-isopropylphenyl, 4-tert-butylphenyl, 2-trifluoromethylphenyl, 4-trifluoromethylphenyl, 4-(hydroxymethyl) phenyl, 4-(2-hydroxyethyl)phenyl, 4-(methoxymethyl)phenyl, 4- (2-carboxylethyl)phenyl, 4-(methoxycarbonylmethyl) phenyl, 4-(ethoxycarbonylmethyl)phenyl, 4-acetylphenyl, 3-carboxylphenyl, 4-carboxylphenyl, 4-(methoxycarbonyl) phenyl, 4-(ethoxycarbonyl)-phenyl, 4-carbamoylphenyl, 4-(methylaminocarbonyl)phenyl, 4-(isopropylaminocarbonyl) phenyl, 4-(dimethylaminocarbonyl)phenyl, 4-(diethylaminocarbonyl)phenyl, 4-[(2-hydroxyethyl)-aminocarbonyl]phenyl, 4-[(carboxylmethyl)aminocarbonyl]phenyl, 4-hydroxyphenyl, 4-methoxyphenyl, 3,4,5-trimethoxyphenyl, 4-ethoxyphenyl, 4-propyloxyphenyl, 4-isopropyloxyphenyl, 4-butyloxyphenyl, 4-isopentyloxyphenyl, 4-(2-isopentenyloxy)phenyl, 4-(3-isohexenyloxy)phenyl, 4-(4-methyl-3-pentenyloxy)phenyl, 4-(2-propynyloxy)phenyl, 4-(trifluoromethyloxy) phenyl, 4-(hydroxymethyloxy)phenyl, 4-(carboxylmethyloxy)phenyl, 4-[(dimethylaminocarbonyl)methyloxy]phenyl, 4-aminophenyl, 4-(methylamino)phenyl, 4-(dimethylaminophenyl), 4-(diethylamino)-phenyl, 4-(acetylamino)phenyl, 4-(methylsulfonylamino)phenyl, 4-(methylthio)phenyl, 4- (methylsulfonyl)phenyl, 4- (methylsulfinyl)-phenyl, 4- (aminosulfonyl)phenyl, 4-(methylaminosulfonyl) phenyl, 4-(dimethylaminosulfonyl)phenyl, 4-(tert-butylaminosulfonyl)phenyl, cyclohexyl, benzyl, 4-chlorobenzyl, phenethyl, benzyloxy, 4-fluorobenzyloxy, 2-chlorobenzyloxy, 3-chlorobenzyloxy, 4-chlorobenzyloxy, 4-tert-butylbenzyloxy, 4-trifluoromethylbenzyloxy, phenethyloxy, 2-thienyl, 2-thiazolyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-pyrimidinyl, 5-tetrazolyl, piperidino, piperidinocarbonyl, 4-hydroxypiperidinocarbonyl, 1-piperazinylcarbonyl, 1-pyrrolidinylcarbonyl, morpholinocarbonyl, 4-thiomorpholinylcarbonyl, phenoxy, 2,4-dichlorophenoxy, tet-

rahydropyranyloxy, 2-pyridylmethyloxy, 3-pyridylmethyloxy, 2-chloropyridin-4-ylmethyloxy, 4-pyridylmethyloxy, 2-piperidylmethyloxy, 3-piperidylmethyloxy, 4-piperidylmethyloxy, 1-methylpiperidin-4-ylmethyloxy, 1-acetylpiperidin-4-ylmethyloxy, 1-(tert-butoxycarbonyl)piperidin-4-ylmethyloxy, 1-(methylsulfonyl)-piperidin-4-ylmethyloxy, 2-methylthiazolin-4-yloxy, 2,4-dimethylthiazolin-5-yloxy, dimethylaminocarbonylmethyloxy, piperidinocarbonylmethyloxy, 2-methylthiazol-4-yl, (2-methylthiazol-4-yl) methyloxy, (2,4-dimethylthiazol-5-yl)methyloxy, benzoyl, 3-fluorobenzoyl, 4-chlorobenzylamino, 3,5-dichlorobenzylamino, 4-trifluoromethylbenzylamino, 2-pyridylmethylamino, benzoylamino, 4-chlorobenzoylamino, 4-trifluoromethylbenzoylamino, 3,5-dichlorobenzoylamino, 3-nitro-4-methoxybenzoylamino, 4-nitro-3-methoxybenzoylamino, 3-pyridylcarbonylamino, 4-methylphenylsulfonylamino, 2-thiazolylaminosulfonyl, 2-pyridylaminosulfonyl, benzylaminocarbonyl, N-benzyl-N-methylaminocarbonyl, (4-pyridylmethyl)-aminocarbonyl or (cyclohexylmethyl)aminocarbonyl, 2-hydroxyethyloxy, 3-hydroxypropyloxy, 3-hydroxypyrrolidinylcarbonyl, 3-hydroxypiperidinocarbonyl, 3,4-dihydroxypiperidinocarbonyl, 4-methoxypiperidinocarbonyl, 4-carboxypiperidinocarbonyl, 4-(hydroxymethyl)piperidinocarbonyl, 2-oxopiperidinocarbonyl, 4-oxopiperidinocarbonyl, 2,2,6,6-tetramethylpiperidinocarbonyl, 2,2,6,6-tetramethyl-4-hydroxypiperidinocarbonyl, 1-oxothiomorpholin-4-ylcarbonyl, 1,1-dioxothiomorpholin-4-ylcarbonyl, 1-(methylsulfonyl)piperidin-4-ylaminocarbonyl, 4-methylsulfonylpiperazinylcarbonyl, N,N-bis(2-hydroxyethyl)-aminocarbonyl, phenylaminocarbonyl, cyclohexylaminocarbonyl, 4-hydroxycyclohexylaminocarbonyl, 4-methylthiazol-2-ylmethylaminocarbonyl, 2-(4-hydroxypiperidino)ethyloxy, 2-pyridylmethylaminocarbonyl, 3-pyridylmethylaminocarbonyl, N-methyl-N-(4-pyridylmethyl)aminocarbonyl, cyclohexylmethyloxy, 4-hydroxypiperidinocarbonylmethyloxy and 4-methylthiazol-2-ylmethyloxy.

[0151] Particularly preferable examples of the substituent include fluorine atom, chlorine atom, bromine atom, nitro, cyano, methyl, hydroxymethyl, carboxyl, carbamoyl, methylaminocarbonyl, isopropylaminocarbonyl, dimethylaminocarbonyl, diethylaminocarbonyl, (2-hydroxylethyl)aminocarbonyl, (carboxymethyl)-aminocarbonyl, methoxy, 2-isopentenyloxy, 2-propynyloxy, methylthio, methylamino, dimethylamino, acetylamino, methylsulfonylamino, methylsulfonyl, aminosulfonyl, dimethylaminosulfonyl, tert-butylaminosulfonyl, phenyl, 3-fluorophenyl, 4-fluorophenyl, 3-chlorophenyl, 4-chlorophenyl, 3,5-dichlorophenyl, 4-nitrophenyl, 4-methylphenyl, 4-tert-butylphenyl, 4-trifluoromethylphenyl, 4-(methoxymethyl)phenyl, 4-(2-hydroxylethyl)phenyl, 3-carboxylphenyl, 4-carboxylphenyl, 4-methoxyphenyl, 4-carbamoylphenyl, 4-methylthiophenyl, 4-(dimethylaminocarbonyl)phenyl, 4-methylsulfonylphenyl, benzyl, phenethyl, benzyloxy, 4-fluorobenzyloxy, 4-chlorobenzyloxy, 2-thiazolyl, 3-pyridyl, 4-pyridyl, 4-pyridylmethyloxy, 2-piperidylmethyloxy, 3-piperidylmethyloxy, 4-piperidylmethyloxy, 1-methylpiperidin-4-ylmethyloxy, 1-acetylpiperidin-4-ylmethyloxy, 2-chloropiperidin-4-ylmethyloxy, 1-(methylsulfonyl)piperidin-4-ylmethyloxy, 2-methylthiazol-4-yl, (2-methylthiazol-4-yl)methyloxy, (2,4-dimethylthiazol-5-yl)methyloxy, 5-tetrazolyl, 3-fluorobenzoyl, piperidinocarbonyl, 4-hydroxylpiperidinocarbonyl, 1-pyrrolidinylcarbonyl, morpholinocarbonyl, 4-thiomorpholinylcarbonyl, benzylaminocarbonyl, N-benzyl-N-methylaminocarbonyl, (4-pyridylmethyl)aminocarbonyl and (cyclohexylmethyl)aminocarbonyl.

[0152] Most preferable substituents are fluorine atom, chlorine atom, methyl, hydroxymethyl, carboxyl, carbamoyl, methylaminocarbonyl, dimethylaminocarbonyl, methoxy, methylamino, acetylamino, aminosulfonyl, dimethylaminosulfonyl, tert-butylaminosulfonyl, phenyl, 3-fluorophenyl, 4-fluorophenyl, 3-chlorophenyl, 4-chlorophenyl, 3,5-dichlorophenyl, 4-methylphenyl, 4-tert-butylphenyl, 4-trifluoromethylphenyl, 4-carboxylphenyl, 4-methoxyphenyl, 4-carbamoylphenyl, 4-methylthiophenyl, 4-(dimethylaminocarbonyl)phenyl and 4-methylsulfonylphenyl.

[0153] The w is preferably 1 or 2, r and t are preferably 0, 1 or 2, particularly preferably 0 or 1, more preferably 0, p is preferably 1, and q is preferably 0 or 2.

[0154] The pharmaceutically acceptable salt may be any as long as it forms a non-toxic salt with a compound of the above-mentioned formula [I] or [II]. Such salt can be obtained by reacting the compound with an inorganic acid, such as hydrochloric acid, sulfuric acid, phosphoric acid, hydrobromic acid and the like, or an organic acid, such as oxalic acid, malonic acid, citric acid, fumaric acid, lactic acid, malic acid, succinic acid, tartaric acid, acetic acid, trifluoroacetic acid, gluconic acid, ascorbic acid, methylsulfonic acid, benzylsulfonic acid and the like, or an inorganic base, such as sodium hydroxide, potassium hydroxide, calcium hydroxide, magnesium hydroxide, ammonium hydroxide and the like, or an organic base, such as methylamine, diethylamine, triethylamine, triethanolamine, ethylenediamine, tris(hydroxymethyl)methylamine, guanidine, choline, cinchonine and the like, with an amino acid, such as lysine, arginine, alanine and the like. The present invention encompasses water-retaining product, hydrate and solvate of each compound.

[0155] The compounds of the above-mentioned formula [I] or [II] have various isomers. For example, E compound and Z compound are present as geometric isomers, and when the compound has an asymmetric carbon, an enantiomer and a diastereomer are present due to the asymmetric carbon. A tautomer may be also present. The present invention encompasses all of these isomers and mixtures thereof.

[0156] The present invention also encompasses prodrug and metabolite of each compound.

[0157] A prodrug means a derivative of the compound of the present invention, which is capable of chemical or metabolic decomposition, which shows inherent efficacy by reverting to the original compound after administration to a body, and which includes salts and complexes without a covalent bond.

[0158] When the inventive compound is used as a pharmaceutical preparation, the inventive compound is generally

admixed with pharmaceutically acceptable carriers, excipients, diluents, binders, disintegrators, stabilizers, preservatives, buffers, emulsifiers, aromatics, coloring agents, sweeteners, thickeners, correctives, solubilizers, and other additives such as water, vegetable oil, alcohol such as ethanol, benzyl alcohol and the like, polyethylene glycol, glycerol triacetate, gelatin, lactose, carbohydrate such as starch and the like, magnesium stearate, talc, lanolin, petrolatum and the like, and prepared into a dosage form of tablets, pills, powders, granules, suppositories, injections, eye drops, liquids, capsules, troches, aerosols, elixirs, suspensions, emulsions, syrups and the like, which can be administered systemically or topically and orally or parenterally.

[0159] While the dose varies depending on the age, body weight, general condition, treatment effect, administration route and the like, it is from 0.1 mg to 1 g for an adult per dose, which is given one to several times a day.

[0160] The prophylaxis of hepatitis C means, for example, administration of a pharmaceutical agent to an individual found to carry an HCV by a test and the like but without a symptom of hepatitis C, or to an individual who shows an improved disease state of hepatitis after a treatment of hepatitis C, but who still carries an HCV and is associated with a risk of recurrence of hepatitis.

[0161] Examples of the production method of the compound to be used for the practice of the present invention are given in the following. However, the production method of the compound of the present invention is not limited to these examples.

[0162] Even if no directly corresponding disclosure is found in the following Production Methods, the steps may be modified for efficient production of the compound, such as introduction of a protecting group into a functional group with deprotection in a subsequent step, and changing the order of Production Methods and steps.

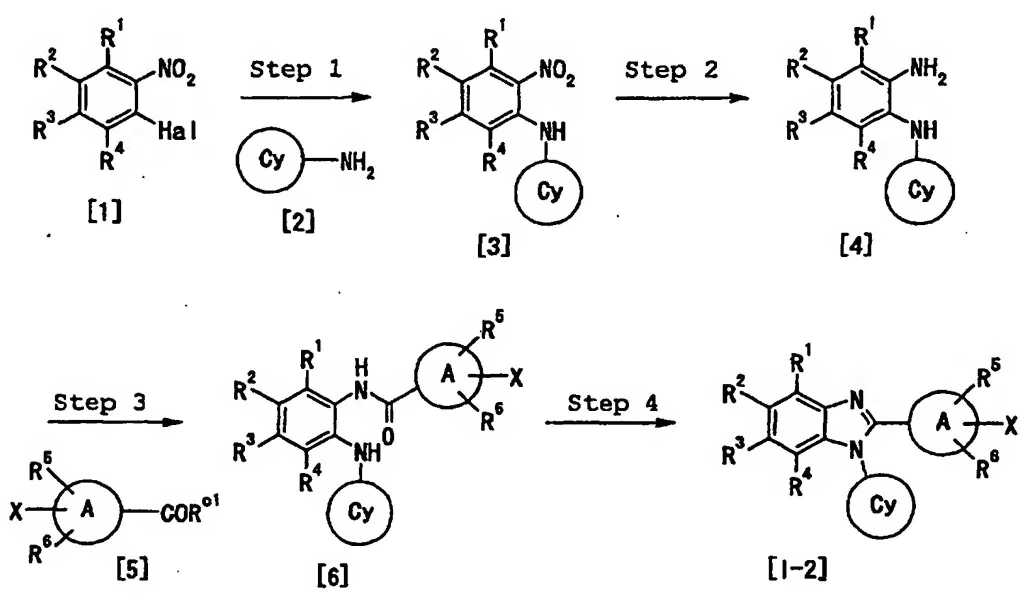
[0163] The treatment after reaction in each step may be conventional ones, for which typical methods, such as isolation and purification, crystallization, recrystallization, silica gel chromatography, preparative HPLC and the like, can be appropriately selected and combined.

Production Method 1

[0164] In this Production Method, a benzimidazole compound is formed from a nitrobenzene compound.

Production Method 1-1

[0165]



wherein Hal is halogen atom, such as chlorine atom, bromine atom and the like, R^{c1} is halogen atom, such as chlorine atom, bromine atom and the like, or hydroxyl group, and other symbols are as defined above.

Step 1

[0166] A compound [1] obtained by a conventional method or a commercially available compound [1] is reacted with amine compound [2] in a solvent such as N,N-dimethylformamide (DMF), acetonitrile, tetrahydrofuran (THF), toluene and the like in the presence or absence of a base such as potassium carbonate, triethylamine, potassium t-butoxide and the like at room temperature or with heating to give compound [3].

Step 2

[0167] The compound [3] is hydrogenated in a solvent such as methanol, ethanol, THF, ethyl acetate, acetic acid, water and the like in the presence of a catalyst such as palladium carbon, palladium hydroxide, platinum oxide, Raney nickel and the like at room temperature or with heating to give compound [4]. In addition, compound [3] is reduced with a reducing agent such as zinc, iron, tin(II) chloride, sodium sulfite and the like, or reacted with hydrazine in the presence of iron(III) chloride to give compound [4].

Step 3

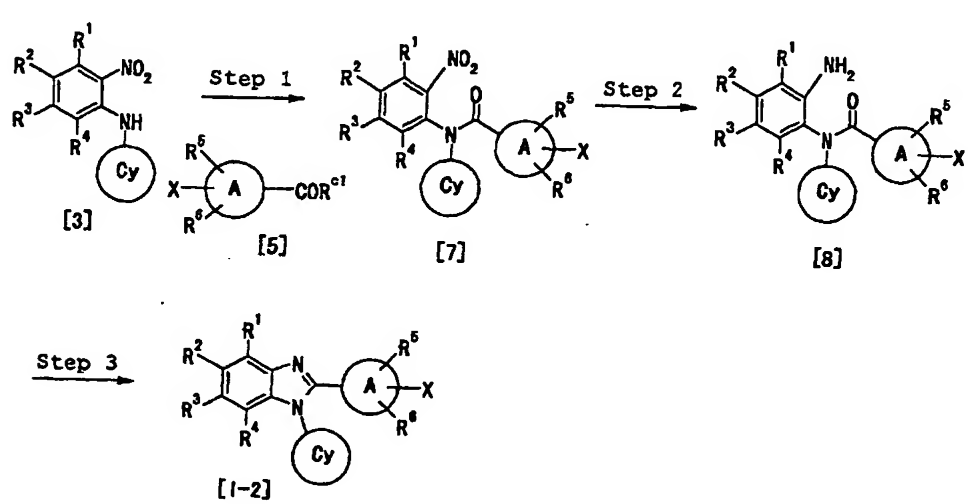
[0168] The compound [4] is condensed with carboxylic acid compound [5] in a solvent such as DMF, acetonitrile, THF, chloroform, ethyl acetate, methylene chloride, toluene and the like using a condensing agent such as dicyclohexylcarbodiimide, 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride, diphenylphosphoryl azide and the like and, where necessary, adding N-hydroxysuccinimide, 1-hydroxybenzotriazole and the like to give amide compound [6]. Alternatively, amide compound [6] can be obtained from compound [5] as follows. The carboxylic acid compound [5] is converted to an acid halide derived with thionyl chloride, oxalyl chloride and the like, or an active ester (e.g., mixed acid anhydride derived with ethyl chlorocarbonate and the like), which is then reacted in the presence of a base, such as triethylamine, potassium carbonate, pyridine and the like, or in an amine solvent, such as pyridine and the like, to give amide compound [6].

Step 4

[0169] The compound [6] is heated in a solvent such as ethanol, methanol, toluene, DMF, chloroform and the like or without a solvent in the presence of an acid such as acetic acid, formic acid, hydrochloric acid, dilute sulfuric acid, phosphoric acid, polyphosphoric acid, p-toluenesulfonic acid and the like, a halogenating agent such as zinc chloride, phosphorus oxychloride, thionyl chloride and the like or acid anhydride such as acetic anhydride and the like, to allow cyclization to give compound [I-2].

Production Method 1-2

[0170] This Production Method is an alternative method for producing compound [I-2].



wherein each symbol is as defined above.

Step 1

[0171] The compound [3] obtained in the same manner as in Step 1 of Production Method 1-1 is subjected to amide condensation with compound [5] in the same manner as in Step 3 of Production Method 1-1 to give compound [7].

Step 2

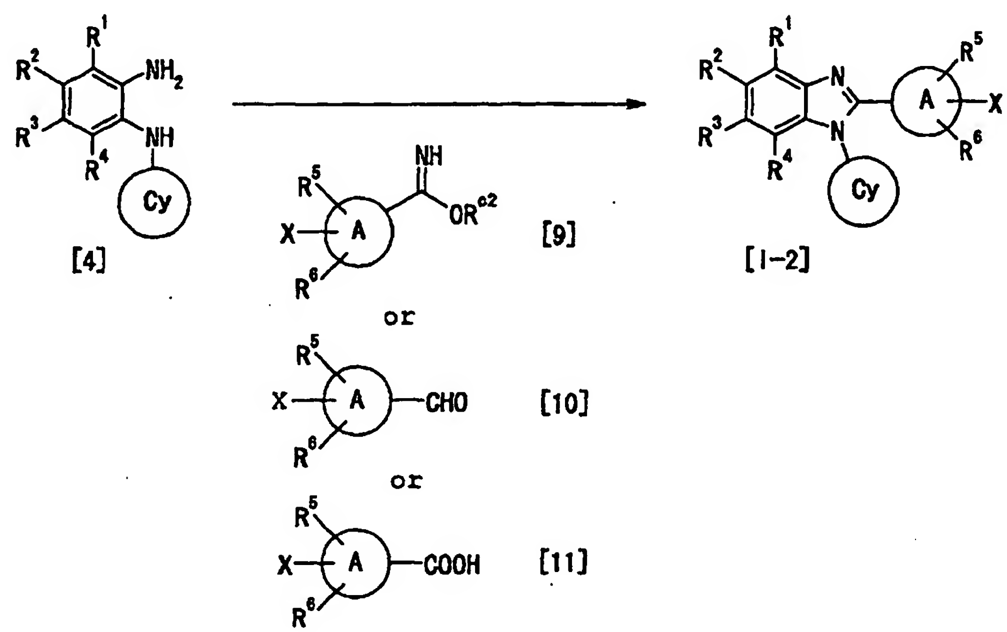
[0172] The compound [7] is reduced in the same manner as in Step 2 of Production Method 1-1 to give compound [8].

Step 3

[0173] The compound [8] is subjected to cyclization in the same manner as in Step 4 of Production Method 1-1 to give compound [I-2].

Production Method 1-3

[0174]



wherein R^{c2} is alkyl such as methyl, ethyl and the like, and other symbols are as defined above.

[0175] The compound [4] is reacted with imidate compound [9] in a solvent such as methanol, ethanol, acetic acid, DMF, THF, chloroform and the like at room temperature or with heating to give compound [I-2].

[0176] In addition, compound [4] may be reacted with aldehyde compound [10] in a solvent such as acetic acid, formic acid, acetonitrile, DMF, nitrobenzene, toluene and the like in the presence or absence of an oxidizing agent such as benzofuroxan, manganese dioxide, 2,3-dichloro-5,6-dicyano-p-benzbquinone, iodine, potassium ferricyanide and the like with heating to give compound [I-2].

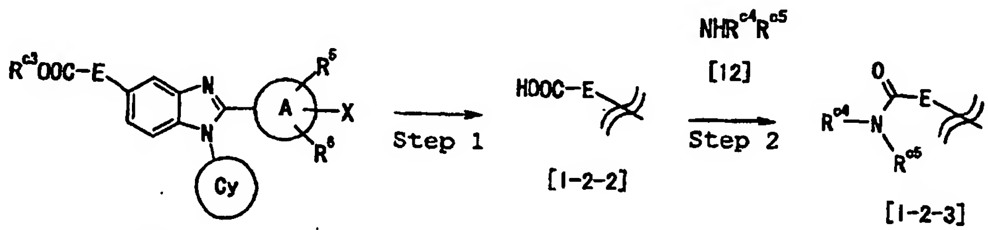
[0177] Alternatively, compound [4] and carboxylic acid compound [11] may be heated to allow reaction in the presence of polyphosphoric acid, phosphoric acid, phosphorus oxychloride, hydrochloric acid and the like to give compound [I-2].

Production Method 2

[0178] In this Production Method, conversion of the substituents (R^1, R^2, R^3, R^4) on the benzene ring of benzimidazole is shown. While a method of converting R^2 when R^1, R^3 and R^4 are hydrogen atoms is shown, this Production Method is applicable irrespective of the position of substitution.

Production Method 2-1

[0179] Conversion of carboxylic acid ester moiety to amide



[I-2-1] [I-2-2] [I-2-3]

wherein E is a single bond, $-(CH_2)_s-$, $-O-(CH_2)_s-$ or $-NH-(CH_2)_s-$(wherein s is an integer of 1 to 6), R^{c3}, R^{c4} and R^{c5} are C_{1-6} alkyl, and other symbols are as defined above.

Step 1

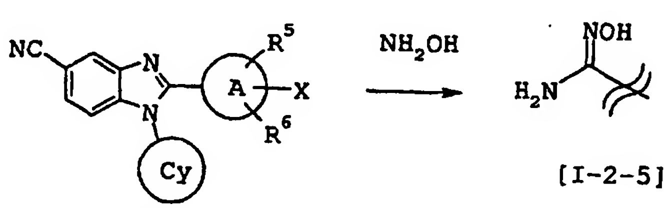
[0180] The compound [I-2-1] obtained in the same manner as in the above-mentioned Production Method is subjected to hydrolysis in a solvent such as methanol, ethanol, THF, dioxane and the like, or in a mixed solvent of these solvents and water under basic conditions with sodium hydroxide, potassium hydroxide, potassium carbonate, lithium hydroxide and the like or under acidic conditions with hydrochloric acid, sulfuric acid and the like to give compound [I-2-2].

Step 2

[0181] The compound [I-2-2] is reacted with compound [12] in the same manner as in Step 3 of Production Method 1-1 to give compound [I-2-3].

Production Method 2-2

[0182] Conversion of cyano group to substituted amidino group



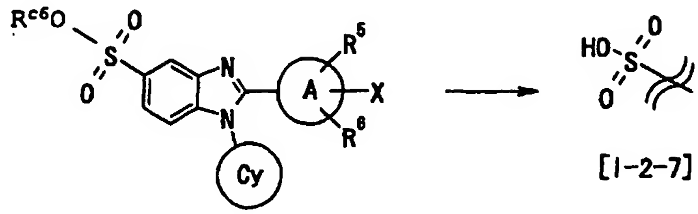
[I-2-4] [I-2-5]

wherein each symbol is as defined above.

[0183] The compound [1-2-4] obtained in the same manner as in the above-mentioned Production Method is reacted with hydroxylamine in a solvent such as water, methanol, ethanol, THF, DMF and the like to give compound [I-2-5]. When a salt of hydroxylamine such as hydrochloride and the like is used, the reaction is carried out in the presence of a base such as sodium hydrogencarbonate, sodium hydroxide, triethylamine and the like.

Production Method 2-3

[0184] Conversion of sulfonic acid ester moiety to sulfonic acid



[I-2-6] [I-2-7]

wherein R^{c6} is C_{1-6} alkyl, and other symbols are as defined above.

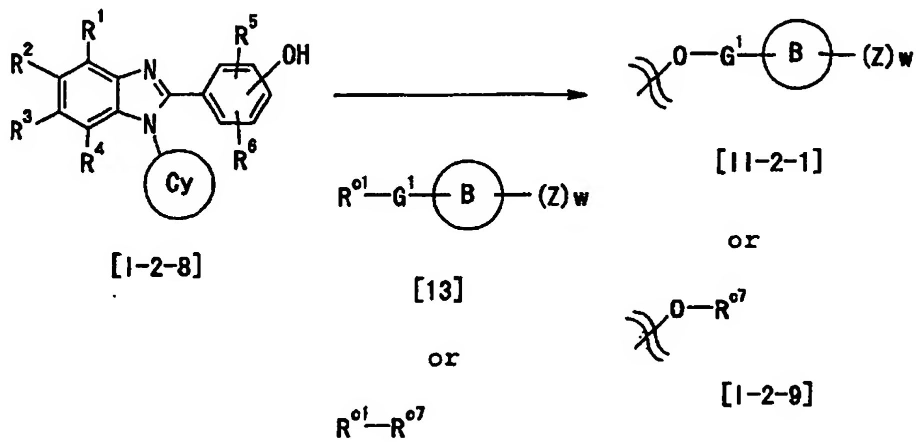
[0185] The compound [I-2-6] obtained in the same manner as in the above-mentioned Production Method is reacted with iodide salt such as sodium iodide, lithium iodide and the like, bromide salt such as sodium bromide, trimethylammonium bromide and the like, amine such as pyridine, trimethylamine, triazole and the like, phosphine such as triphenylphosphine and the like in a solvent such as DMF, dimethyl sulfoxide (DMSO), acetonitrile, methanol, ethanol, water and the like with heating to give compound [I-2-7].

Production Method 3

[0186] This Production Method relates to convertion of the substituent(s) on phenyl group at the 2-position of benzimidazole. This Production Method can be used even when phenyl is a different ring.

Production Method 3-1

[0187] Conversion of hydroxyl group to ether



[I-2-8] [13] or [14] [II-2-1] or [I-2-9]

wherein R^{c7} is optionally substituted alkyl corresponding to R^{a11}, G^1 is a single bond, *-$(CH_2)_n$-, *-$(CH_2)_n$-O-, *-$(CH_2)_n$-CO- or *-$(CH_2)_m$-$CR^{a15}R^{a16}$)-$(CH_2)_n$-, wherein * show the side to be bonded to R^{c1}, and other symbols are as defined above.

[0188] When R^{c1} of compound [13] is halogen atom, compound [I-2-8] obtained in the same manner as in the above-mentioned Production Method is reacted with compound [13] in a solvent such as DMF, DMSO, acetonitrile, ethanol, THF and the like in the presence of a base such as sodium hydride, sodium hydroxide, potassium hydroxide, potassium

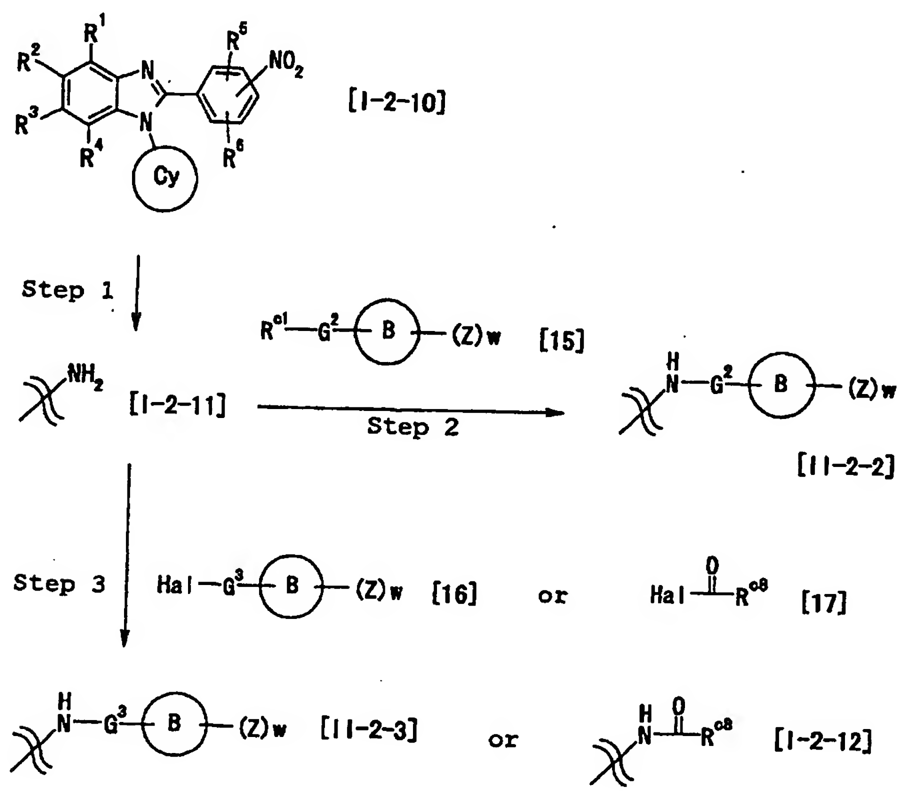
carbonate, sodium ethoxide, potassium t-butoxide and the like at room temperature or with heating to give compound [II-2-1].

[0189] When R^{c1} of compound [13] is hydroxyl group, the hydroxyl group of compound [13] is converted to halogen atom with thionyl chloride, phosphorus tribromide, carbon tetrabromide-triphenylphosphine and the like and reacted with compound [I-2-8] by the aforementioned method to give compound [II-2-1]. In this case, compound [I-2-8] may be subjected to Mitsunobu reaction with compound [13] in a solvent such as DMF, acetonitrile, THF and the like using triphenylphosphine - diethyl azodicarboxylate and the like to give compound [II-2-1].

[0190] The compound [I-2-9] can be obtained in the same manner from compound [I-2-8] and compound [14].

Production Method 3-2

[0191] Conversion of nitro to substituted amino group



wherein R^{c8} is C_{1-6} alkyl, G^2 is *-$(CH_2)_n$- or *-CHR^{a15}, G^3 is -CO-, *-CO_2-, *-CONH- or -SO_2-, and other symbols are as defined above.

Step 1

[0192] The nitro compound [I-2-10] obtained in the same manner as in the above-mentioned Production Method is reacted in the same manner as in Step 2 of Production Method 1-1 to give compound [I-2-11].

Step 2

[0193] The compound [I-2-11] is alkylated with compound [15] in the same manner as in Production Method 3-1 to give compound [II-2-2].

Step 3

[0194] When G^3 of compound [16] is -CO-, $-CO_2-$ or -CONH-, compound [I-2-11] is acylated with compound [16] in the same manner as in Step 3 of Production Method 1-1 to give compound [II-2-3].

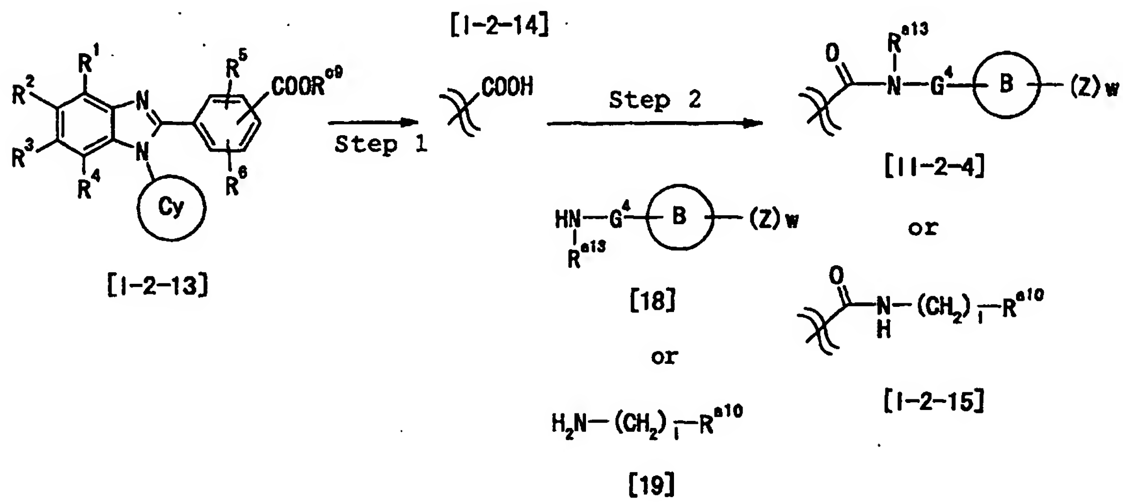
[0195] When G^3 of compound [16] is $-SO_2-$, sulfonylation is conducted using sulfonyl halide instead of acid halide used in Step 3 of Production Method 1-1 to give compound [II-2-3].

[0196] The compound [I-2-11] is acylated with compound [17] in the same manner as above to give compound [I-2-12].

[0197] This Production Method is applied in the same manner as above to give disubstituted compounds (tertiary amine) of compound [II-2-2], compound [II-2-3] and compound [I-2-12].

Production Method 3-3

[0198] Conversion of carboxylic acid ester moiety to amide



wherein R^{c9} is C_{1-6} alkyl, G^4 is #-$(CH_2)_n$-, #-$(CH_2)_n$-NH- or #-CHR^{a14}-wherein # shows the side that is bounded to amine and other symbols are as defined above.

Step 1

[0199] The compound [I-2-13] obtained in the same manner as in the above-mentioned Production Method is reacted in the same manner as in Step 1 of Production Method 2-1 to give compound [I-2-14].

Step 2

[0200] The compound [I-2-14] is reacted with compound [18] in the same manner as in Step 2 of Production Method 2-1 to give compound [II-2-4].

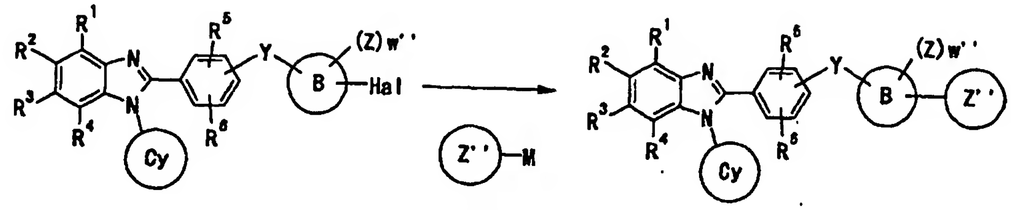
[0201] The compound [I-2-15] is obtained from compound [I-2-14] and compound [19] in the same manner as above.

Production Method 4

[0202] In this Production Method, additional substituent(s) is(are) introduced into ring B on phenyl group that substitutes the 2-position of benzimidazole. This Production Method is applicable even when phenyl is a different ring.

Production Method 4-1

[0203] Direct bonding of ring Z" to ring B

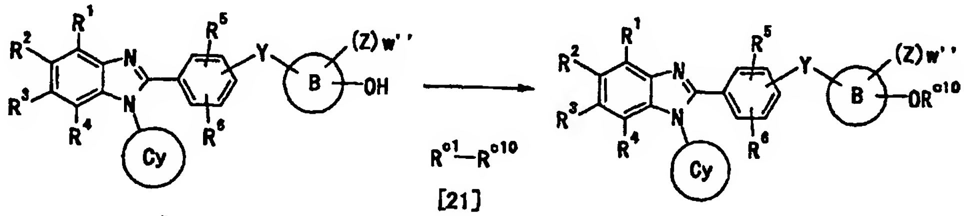

[II-2-5] [20] [II-2-6]

wherein ring Z"-M is aryl metal compound, ring Z" moiety is optionally substituted C_{6-14} aryl or optionally substituted heterocyclic group corresponding to substituent Z, and the metal moiety contains boron, zinc, tin, magnesium and the like, such as phenylboronic acid, w" is 0, 1 or 2, and other symbols are as defined above.

[0204] The compound [II-2-5] obtained in the same manner as in the above-mentioned Production Method is reacted with aryl metal compound [20] in a solvent such as DMF, acetonitrile, 1,2-dimethoxyethane, THF, toluene, water and the like in the presence of a palladium catalyst such as tetrakis(triphenylphosphine)-palladium, bis(triphenylphosphine) palladium(II) dichloride, palladium acetate - triphenylphosphine and the like, a nickel catalyst such as nickel chloride, [1,3-bis(diphenylphosphino)-propane]nickel(II) chloride and the like, and a base such as potassium carbonate, potassium hydrogencarbonate, sodium hydrogencarbonate, potassium phosphate, triethylamine and the like at room temperature or with heating, to give compound [II-2-6].

Production Method 4-2

[0205] Conversion of hydroxyl group to ether

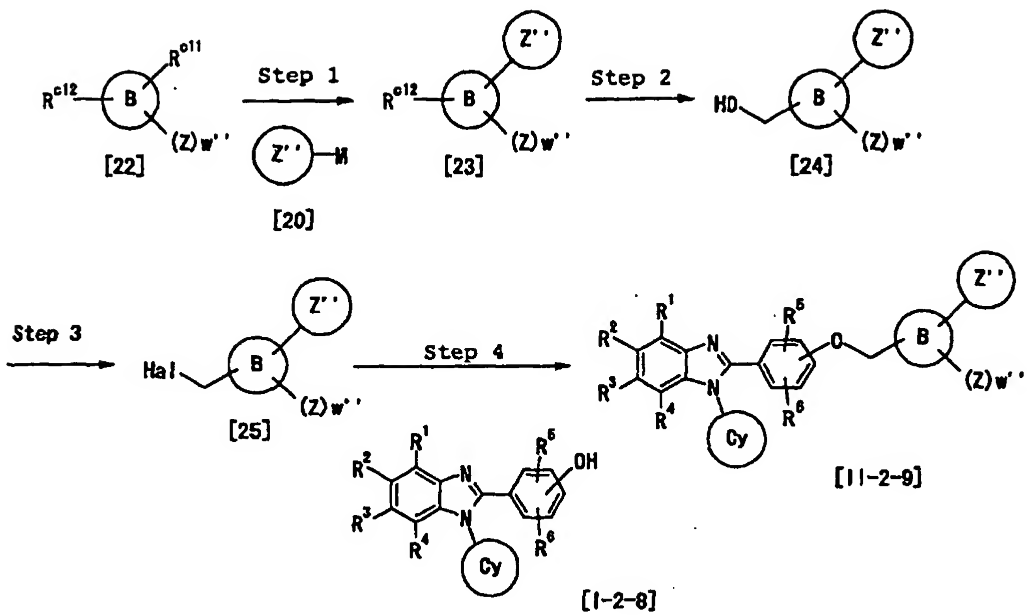

[II-2-7] [21] [II-2-8]

wherein R^{c10} is $-R^{a20}$ or $-(CH_2)_p-COR^{a21}$ corresponding to substituent Z, and other symbols are as defined above.

[0206] The compound [II-2-7] obtained in the same manner as in the above-mentioned Production Method is reacted with compound [21] in the same manner as in Production Method 3-1 to give compound [II-2-8].

Production Method 4-3

[0207] Synthesis in advance of ring B part such as compound [13] in Production Method 3-1



wherein R^{c11} is leaving group such as bromine atom, iodine atom, trifluoromethanesulfonyloxy and the like, R^{c12} is formyl, carboxyl or carboxylic acid ester such as methoxycarbonyl, ethoxycarbonyl, tert-butoxycarbonyl and the like, and other symbols are as defined above.

Step 1

[0208] Commercially available compound [22] or compound [22] obtained by a conventional method is reacted with aryl metal compound [20] in the same manner as in Production Method 4-1 to give compound [23].

Step 2

[0209] The compound [23] obtained in the same manner as in the above-mentioned Production Method is reduced according to a conventional method to give compound [24].
[0210] For example, compound [23] is reacted with in a solvent such as methanol, ethanol, THF and the like in the presence of a reducing agent such as lithium aluminum hydride, sodium borohydride and the like under cooling to heating to give compound [24].

Step 3

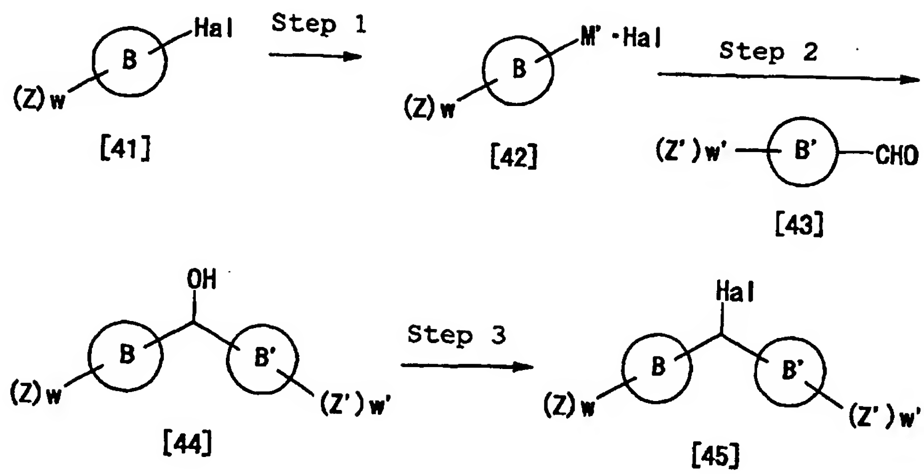
[0211] The compound [24] obtained in the same manner as in the above-mentioned Production Method is reacted in a solvent such as 1,4-dioxane, diethyl ether, THF, dichloromethane, chloroform, toluene and the like with a halogenating agent, such as phosphorus pentachloride, phosphorus tribromide, thionyl chloride and the like, in the presence of a tertiary amine such as pyridine and the like to give compound [25].

Step 4

[0212] The compound [24] or [25] obtained in the same manner as in the above-mentioned Production Method is reacted with compound [I-2-8] in the same manner as in Production Method 3-1 to give compound [II-2-9].

Production Method 4-4

[0213]



wherein M' is a metal such as magnesium, lithium, zinc and the like, and other symbols are as defined above.

Step 1

[0214] Commercially available compound [41] or compound [41] obtained by a conventional method is converted to aryl metal reagent by a conventional method to give compound [42].
[0215] For example, when M' is magnesium, magnesium is reacted with compound [41] in a solvent such as THF, diethyl ether, benzene, toluene and the like, preferably THF, from cooling to heating preferably at -100°C to 100°C to give compound [42].

Step 2

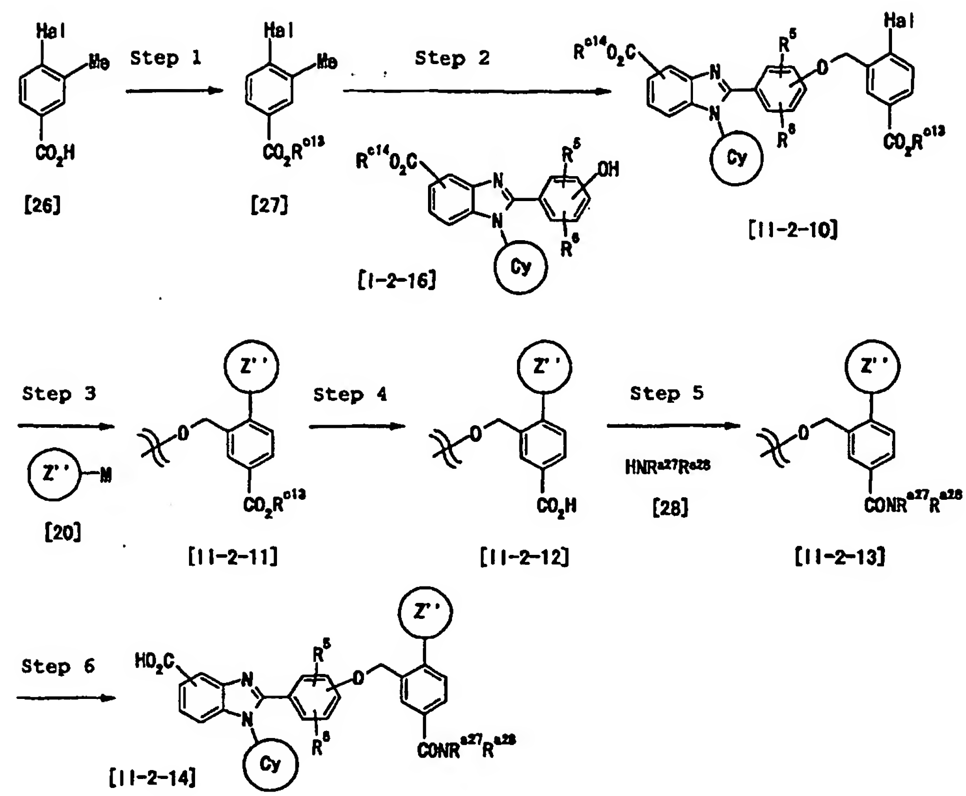
[0216] The compound [42] obtained in the same manner as in the above-mentioned Production Method is reacted with compound [43] to give compound [44].
[0217] The compound [42] is reacted in a solvent such as diethyl ether, benzene, toluene, THF and the like, preferably THF, from cooling to room temperature, preferably at -100°C to 30°C to give compound [44].

Step 3

[0218] The compound [44] obtained in the same manner as in the above-mentioned Production Method is halogenated in the same manner as in Step 3 of Production Method 4-3 to give compound [45].
[0219] The compound [44] is reacted with thionyl chloride and pyridine preferably in toluene solvent to give compound [45].
[0220] When compound [45] is symmetric, namely, when the ring B-(Z)w moiety and the ring B'-(Z')w' moiety are the same, compound [42] is reacted with formate such as methyl formate, ethyl formate and the like, preferably ethyl formate, in a solvent such as diethyl ether, benzene, toluene, THF and the like, preferably THF, from cooling to room temperature, preferably at -100°C to 30°C, to give compound [45].

Production Method 4-5

[0221] Method including steps to introduce a protecting group into a functional group



wherein R^{c13} is carboxylic acid protecting group such as tert-butyl and the like, R^{c14} is carboxylic acid protecting group such as methyl and the like and other symbols are as defined above. **Step 1**

[0222] Commercially available compound [26] or compound [26] obtained by a conventional method is protected by a conventional method to give compound [27].

[0223] For example, when R^{c13} is tert-butyl, compound [26] is converted to acid halide with thionyl chloride, oxalyl chloride and the like in a solvent such as THF, chloroform, dichloromethane, toluene and the like, and reacted with potassium tert-butoxide to give compound [27].

[0224] As used herein, R^{c13} may be a different protecting group as long as it is not removed during the Step 2 or Step 3 but removed in Step 4 without affecting $-CO_2R^{c14}$.

Step 2

[0225] The methyl group of compound [27] obtained in the same manner as in the above-mentioned Production Method is converted to bromomethyl with N-bromosuccinimide and N,N'-azobisisobutyronitrile and reacted with compound [I-2-16] in the same manner as in Production Method 3-1 to give compound [II-2-10].

Step 3

[0226] The compound [II-2-10] obtained in the same manner as in the above-mentioned Production Method is reacted with aryl metal compound [20] in the same manner as in Production Method 4-1 to give compound [II-2-11].

Step 4

[0227] The R^{c13} of the compound [II-2-11] obtained in the same manner as in the above-mentioned Production Method is removed by a conventional method to give compound [II-2-12].

[0228] The protecting group of carboxylic acid can be removed by a conventional deprotection method according to the protecting group. In this Step, the conditions free from reaction of R^{c14} are preferable. For example, when R^{c13} is

tert-butyl, compound [II-2-11] is treated with trifluoroacetic acid in a solvent such as dichloromethane, chloroform and the like to give compound [II-2-12].

Step 5

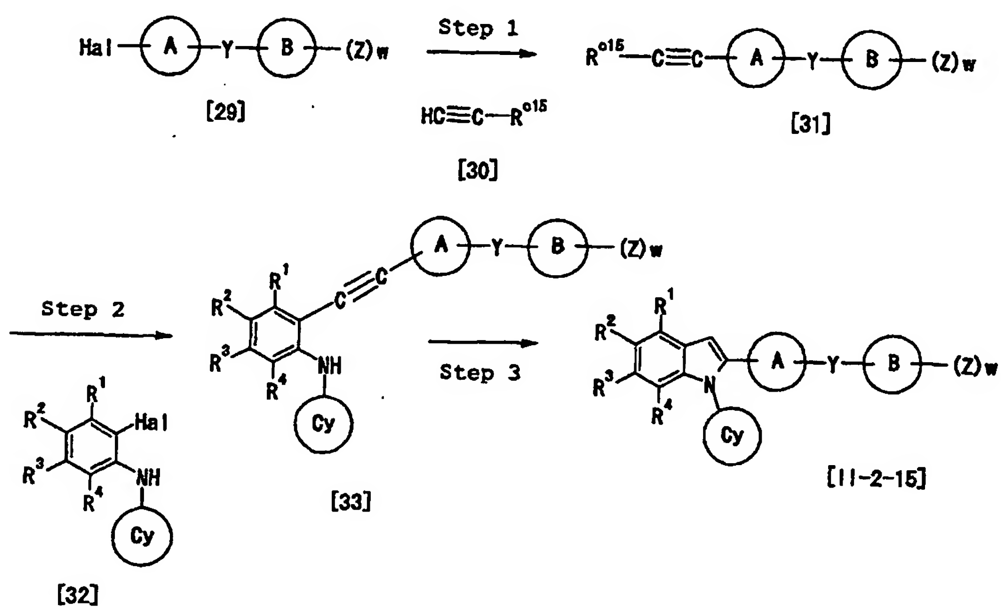
[0229] The compound [II-2-12] obtained in the same manner as in the above-mentioned Production Method is subjected to amide condensation with compound [28] in the same manner as in Step 3 of Production Method 1-1 to give compound [II-2-13].

Step 6

[0230] The compound [II-2-13] obtained in the same manner as in the above-mentioned Production Method is deprotected in the same manner as in Step 1 of Production Method 2-1 to give compound [II-2-14].
[0231] As used herein, R^{c14} is preferably a protecting group that does not react during the Step 1 through Step 5 but removed in this Step.
[0232] For example, when R^{c14} is methyl, compound [II-2-13] is reacted in an alcohol solvent such as methanol, ethanol, n-propanol, isopropanol and the like or a mixed solvent of alcohol solvent and water in the presence of a base such as potassium carbonate, sodium carbonate, lithium hydroxide, sodium hydroxide, potassium hydroxide and the like from cooling to heating for deprotection, followed by acidifying the reaction solution to give compound [II-2-14].

Production Method 5

[0233] Formation of indole ring



wherein R^{c15} is protecting group such as trimethylsilyl, tertbutyldimethylsilyl, tert-butyldiphenylsilyl and the like, and other symbols are as defined above.

Step 1

[0234] The compound [29] obtained in the same manner as in the above-mentioned Production Method or conventional method is reacted with compound [30] in a solvent such as DMF, acetonitrile, 1,2-dimethoxyethane, THF, toluene, water and the like using a palladium catalyst such as tetrakis(triphenylphosphine)palladium, bis(triphenylphosphine) palladium(II) dichloride, palladium acetate - triphenylphosphine and the like, a copper catalyst such as copper(I) iodide and the like or a mixture thereof, and in the presence of a base such as potassium carbonate, potassium hydrogencarbonate, sodium hydrogencarbonate, potassium phosphate, triethylamine and the like to give compound [31].

Step 2

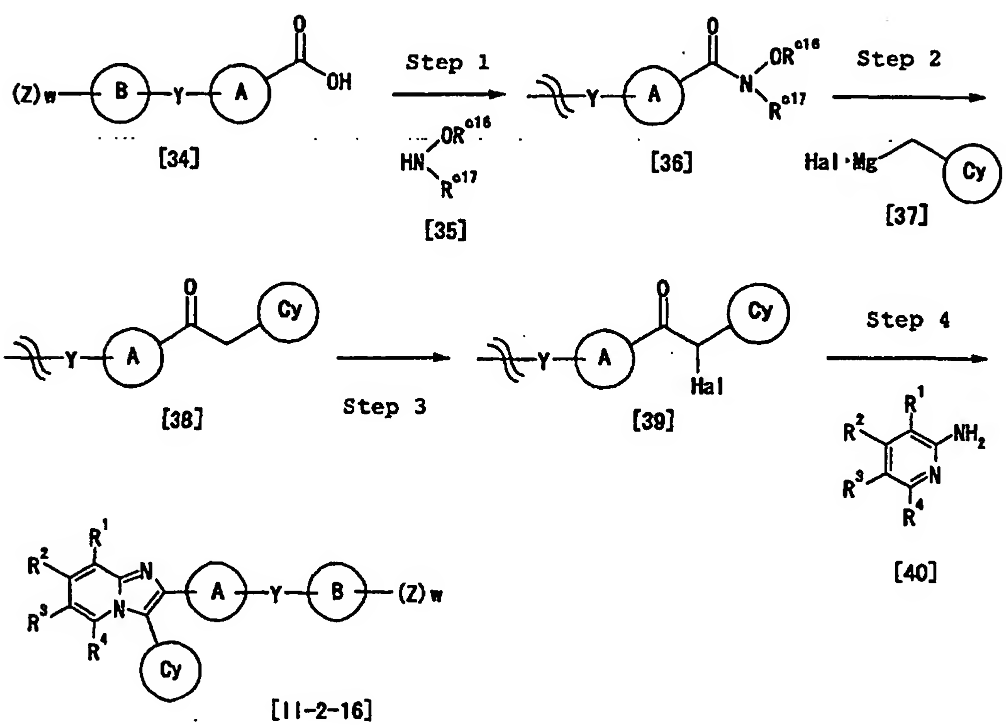
[0235] The compound [31] obtained in the same manner as in the above-mentioned Production Method is reacted in an alcohol solvent such as methanol, ethanol and the like or a mixed solvent of an alcohol solvent and a solvent such as DMF, acetonitrile, THF, chloroform, dichloromethane, ethyl acetate, methylene chloride, toluene and the like in the presence of a base such as potassium carbonate, sodium carbonate, lithium hydroxide, sodium hydroxide, potassium hydroxide, lithium hydride, sodium hydride, potassium hydride and the like at room temperature or with heating for deprotection, and reacted with compound [32] obtained in the same manner as in Step 1 of Production Method 1-1 in the same manner as in Step 1 of Production Method 5 to give compound [33].

Step 3

[0236] The compound [33] obtained in the same manner as in the above-mentioned Production Method was subjected to cyclization in a solvent such as DMF, acetonitrile, THF, chloroform, dichloromethane, ethyl acetate, methylene chloride, toluene and the like in the presence of a copper catalyst such as copper(I) iodide and the like or a palladium catalyst such as palladium(II) chloride and the like at room temperature or with heating to give compound [II-2-15].

Production Method 6

[0237] Formation of imidazo[1,2-a]pyridine ring



wherein R^{c16} and R^{c17} are each independently alkyl, such as methyl, ethyl and the like, and other symbols are as defined above.

Step 1

[0238] The compound [34] obtained by the above-mentioned Production Method or a conventional method is subjected to amide condensation with compound [35] in the same manner as in Step 3 of Production Method 1-1 to give compound [36].

Step 2

[0239] The compound [36] obtained by the above-mentioned Production Method is reacted with Grignard reagent [37] obtained by a conventional method to give compound [38].
[0240] Alternatively, an acid halide of compound [34] may be used instead of compound [36].

Step 3

[0241] The compound [38] obtained by the above-mentioned Production Method is subjected to halogenation by a conventional method to give compound [39].
[0242] For example, when Hal is a bromine atom, compound [38] is reacted with bromine under cooling or at room temperature in a solvent such as DMF, acetonitrile, THF, chloroform, dichloromethane, ethyl acetate, toluene and the like to give compound [39].
[0243] Alternatively, a halogenating agent such as hypohalite (e.g., hypochlorite and the like), N-bromosuccinimide and the like may be used instead of bromine for halogenation

Step 4

[0244] The compound [39] obtained by the above-mentioned Production Method is subjected to cyclization with compound [40] obtained by a conventional or known method (JP-A-8-48651) in the presence of a base such as potassium carbonate, sodium carbonate, lithium hydroxide, sodium hydroxide, potassium hydroxide, lithium hydride, sodium hydride, potassium hydride and the like in a solvent or without a solvent at room temperature or with heating to give compound [II-2-16].
[0245] The Production Methods shown in the above-mentioned Production Methods 2 to 4 can be used for the synthesis of compounds other than benzimidazole of the formulas [I] and [II], such as compounds [II-2-15] and [II-2-16].
[0246] The compounds of the formulas [I] and [II], and production methods thereof of the present invention are explained in detail in the following by way of Examples. It is needless to say that the present invention is not limited by these Examples.

Example 1

Production of ethyl 2-[4-(3-bromophenoxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylate

[0247]

Step 1: Production of ethyl 4-chloro-3-nitrobenzoate
4-Chloro-3-nitrobenzoic acid (300 g) was dissolved in ethyl alcohol (1500 ml) and concentrated sulfuric acid (100 ml) was added with ice-cooling. The mixture was refluxed under heating for 7 hr. The reaction mixture was poured into ice-cold water and the precipitated crystals were collected by filtration to give the title compound (332 g, yield 97%).
^{1}H-NMR (300MHz, $CDCl_3$) : 8.50(1H, d, J=2.1Hz), 8.16(1H, dd, J=8.4, 2.1Hz), 7.63(1H, d, J=8.4Hz), 4.43(2H, q, J=7.5Hz), 1.42(3H, t, J=7.5Hz)
Step 2: Production of ethyl 4-cyclohexylamino-3-nitrobenzoate
Ethyl 4-chloro-3-nitrobenzoate (330 g) obtained in the previous step was dissolved in acetonitrile (1500 ml), and cyclohexylamine (220 g) and triethylamine (195 g) were added. The mixture was refluxed under heating overnight. The reaction mixture was poured into ice-cold water and the precipitated crystals were collected by filtration to give the title compound (400 g, yield 94%).
^{1}H-NMR (300MHz, $CDCl_3$) : 8.87(1H, d, J=2.1Hz), 8.35-8.45(1H, m), 8.02(1H, dd, J=9.1, 2.1Hz), 6.87(1H, d, J=9.1Hz), 4.35(2H, q, J=7.1Hz), 3.65-3.50(1H, m), 2.14-1.29(10H, m), 1.38(3H, t, J=7.1Hz)
Step 3: Production of ethyl 3-amino-4-cyclohexylaminobenzoate
Ethyl 4-cyclohexylamino-3-nitrobenzoate (400 g) obtained in the previous step was dissolved in ethyl acetate (1500 ml) and ethyl alcohol (500 ml), and 7.5% palladium carbon (50% wet, 40 g) was added. The mixture was hydrogenated for 7 hr at atmospheric pressure. The catalyst was filtered off and the filtrate was concentrated under reduced pressure. Diisopropyl ether was added to the residue and the precipitated crystals were collected by filtration to give the title compound (289 g, yield 80%).
^{1}H-NMR (300MHz, $CDCl_3$) : 7.57(1H, dd, J=8.4, 1.9Hz), 7.41(1H, d, J=1.9Hz), 6.59(1H, d, J=8.4Hz), 4.30(2H, q, J=7.1Hz), 3.40-3.30(1H, m), 2.18-2.02(2H, m), 1.88-1.15(8H, m), 1.35(3H, t, J=7.1Hz)
Step 4: Production of ethyl 3-[4-(3-bromophenoxy)benzoyl]amino-4-cyclohexylaminobenzoate

4-(3-Bromophenoxy)benzoic acid (74 g) was dissolved in chloroform (500 ml), and oxalyl chloride (33 ml) and dimethylformamide (catalytic amount) were added. The mixture was stirred for 4 hr at room temperature. The reaction mixture was concentrated under reduced pressure and dissolved in dichloromethane (150 ml). The resulting solution was added dropwise to a solution of ethyl 3-amino-4-cyclohexylaminobenzoate (66 g) obtained in the previous step in dichloromethane (500 ml) and triethylamine (71 ml), and the mixture was stirred for 1 hr at room temperature. The reaction mixture was poured into water and extracted with dichloromethane. The organic layer was washed with saturated brine, dried over anhydrous magnesium sulfate, and concentrated under reduced pressure. Diethyl ether was added to the residue for crystallization and the crystals were collected by filtration to give the title compound (129 g, yield 95%).
^{1}H-NMR (300MHz, $CDCl_3$): 8.00-7.78(4H, m), 7.66(1H, brs), 7.37-7.18(3H, m), 7.13-6.59(3H, m), 6.72(1H, d, J=8.7Hz), 4.50(1H, brs), 4.29(2H, q, J=7.2Hz), 3.36(1H, m), 2.12-1.96(2H, m), 1, 83-1.56(3H, m), 1.47-1.12(5H, m) , 1.37(3H, t, J=7.2Hz)
Step 5: Production of ethyl 2-[4-(3-bromophenoxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylate

Ethyl 3-[4-(3-bromophenoxy)benzoyl]amino-4-cyclohexylaminobenzoate (129 g) obtained in the previous step was suspended in acetic acid (600 ml) and the resulting suspension was refluxed under heating for 3 hr. The reaction mixture was concentrated under reduced pressure. Water was added to the residue and the precipitated crystals were collected by filtration to give the title compound (124 g, yield 99%).
^{1}H-NMR (300MHz, $CDCl_3$): 8.51(1H, d, J=1.5Hz), 8.00(1H, dd, J=8.4, 1.5Hz), 7.67(1H, d, J=8.4Hz), 7.63(2H, d, J=8.7Hz), 7.35-7.21(3H, m), 7.17(2H, d, J=8.7Hz), 7.14(1H, m), 4.42(2H, q, J=7.2Hz), 4.38(1H, m), 2.43-2.22(2H, m) , 2.07-1.87(4H, m), 1.80(1H, m), 1.42(3H, t, J=7.2Hz), 1.40-1.27(3H, m)

Example 2

Production of 2-[4-(3-bromophenoxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid

[0248] Ethyl 2-[4-(3-bromophenoxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylate (1.0 g) obtained in Example 1 was dissolved in tetrahydrofuran (10 ml) and ethyl alcohol (10 ml), and 4N sodium hydroxide (10 ml) was added. The mixture was refluxed under heating for 1 hr. The reaction mixture was concentrated under reduced pressure and water was added to the residue. The mixture was acidified with 6N hydrochloric acid and the precipitated crystals were collected by filtration to give the title compound (0.9 g, yield 96%).
melting point: 255-256°C
FAB-Ms: 491(MH+)
^{1}H-NMR (300MHz, DMSO-d_6): (12.75(1H, brs), 8.24(1H, s) , 7.96(1H, d, J=8.7Hz), 7.86(1H, d, J=8.7Hz), 7.71(2H, d, J=8.6Hz), 7.47-7.34(3H, m), 7.24(2H, d, J=8.6Hz), 7.20(1H, m), 4.31(1H, m) , 2.38-2.18(2H, m), 2.02-1.79(4H, m), 1.65(1H, m), 1.44-1.20(3H, m)

Example 3

Production of ethyl 1-cyclohexyl-2-(4-hydroxyphenyl)benzimidazole-5-carboxylate

[0249] Ethyl 3-amino-4-cyclohexylaminobenzoate (130 g) obtained in Example 1, Step 3, and methyl 4-hydroxybenzimidate hydrochloride (139 g) were added to methyl alcohol (1500 ml), and the mixture was refluxed under heating for 4 hr. The reaction mixture was allowed to cool and the precipitated crystals were collected by filtration to give the title compound (131 g, yield 72%).
^{1}H-NMR (300MHz, $CDCl_3$) : 10.02(1H, brs), 8.21(1H, d, J=1.4Hz), 7.93(1H, d, J=8.6Hz), 7.83(1H, dd, J=8.6, 1.4Hz), 7.48(2H, d, J=8.6Hz), 6.95(2H, d, J=8.6Hz), 4.39-4.25(1H, m), 4.33(1H, q, J=7.0Hz), 2.35-2.18(2H, m), 1.98-1.79(4H, m), 1.70- 1.60(1H, m), 1.46-1.19(3H, m), 1.35(3H, t, J=7.0Hz)

Example 4

Production of ethyl 2-[4-(2-bromo-5-chlorobenzyloxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylate

[0250] 2-Bromo-5-chlorobenzyl bromide prepared from 2-bromo-5-chlorotoluene (50 g), N-bromosuccinimide and N,N'-azobisisobutyronitrile, and ethyl 1-cyclohexyl-2-(4-hydroxyphenyl)benzimidazole-5-carboxylate (50 g) obtained in Example 3 were suspended in dimethylformamide (300 ml). Potassium carbonate (38 g) was added and the mixture was stirred for 1 hr at 80°C with heating. The reaction mixture was allowed to cool and then added to a mixed solvent of water-ethyl acetate. The precipitated crystals were collected by filtration to give the title compound (50 g, yield 64%).
^{1}H-NMR (300MHz, $CDCl_3$) : 8.50(1H, d, J=1.4Hz), 7.97(1H, dd, J=8.6, 1.4Hz), 7.70-7.57(5H, m), 7.20(1H, dd, J=8.4,

2.5Hz), 7.14(2H, d, J=8.7Hz), 5.17(2H, s), 4.46-4.30(1H, m), 4.41(2H, q, J=7.1Hz), 2.40-2.20(2H, m), 2.02-1.21(8H, m), 1.42(3H, t, J=7.1Hz)

Example 5

Production of ethyl 2-{4-[2-(4-chlorophenyl)-5-chlorobenzyloxy]-phenyl}-1-cyclohexylbenzimidazole-5-carboxylate

[0251] Ethyl 2-[4-(2-bromo-5-chlorobenzyloxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylate (49 g) obtained in Example 4, 4-chlorophenylboronic acid (18 g) and tetrakis-(triphenylphosphine)palladium (10 g) were suspended in 1,2-dimethoxyethane (600 ml). Saturated aqueous sodium hydrogencarbonate solution (300 ml) was added and the mixture was refluxed under heating for 2 hr. Chloroform was added to the reaction mixture. The organic layer was washed successively with saturated aqueous sodium hydrogencarbonate solution, water and saturated brine, dried over anhydrous magnesium sulfate, and concentrated under reduced pressure. The residue was purified by silica gel flash chromatography (developing solvent, chloroform:ethyl acetate = 97:3). Ethyl acetate and diisopropyl ether were added to the resulting oil for crystallization and the resulting crystals were collected by filtration to give the title compound (44 g, yield 85%).
^{1}H-NMR (300MHz, $CDCl_3$) : 8.49(1H, d, J=1.4Hz), 7.97(1H, dd, J=8.6, 1.6Hz), 7.70-7.60(2H, m), 7.55(2H, d, J=8.7Hz), 4.95(2H, s), 4.48-4.28(1H, m) , 4.40(2H, m) , 2.02-1.20(8H, m) , 1.41(3H, t, J=7.1Hz)

Example 6

Production of 2-{4-[2-(4-chlorophenyl)-5-chlorobenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid

[0252] Ethyl 2-{4-[2-(4-chlorophenyl)-5-chlorobenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylate (43 g) obtained in Example 5 was treated in the same manner as in Example 2 to give the title compound (33 g, yield 76%).
melting point: 243-244°C
FAB-Ms: 571(MH+)
^{1}H-NMR (300MHz, DMSO-d_6): 8.32(1H, s), 8.28(1H, d, J=8.9Hz), 8.05(1H, d, J=8.8Hz), 7.76-7.72(3H, m), 7.58-7.46 (5H, m), 7.40(1H, d, J=8.3Hz), 7.24(2H, d, J=8.9Hz), 5.11(2H, s) , 4.36(1H, m), 2.40-2.15(2H, m), 2.15-1.95(2H, m), 1.95-1.75(2H, m), 1.75-1.55(1H, m), 1.55-1.15(3H, m)

Example 7

Production of ethyl 2-[4-(2-bromo-5-methoxybenzyloxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylate

[0253] Ethyl 1-cyclohexyl-2-(4-hydroxyphenyl)benzimidazole-5-carboxylate obtained in Example 3 and 2-bromo-5-methoxybenzyl bromide were treated in the same manner as in Example 4 to give the title compound (59 g).

Example 8

Production of ethyl 2-{4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]-phenyl}-1-cyclohexylbenzimidazole-5-carboxylate

[0254] Ethyl 2-[4-(2-bromo-5-methoxybenzyloxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylate obtained in Example 7 was treated in the same manner as in Example 5 to give the title compound (48 g, yield 77%). ^{1}H-NMR (300MHz, $CDCl_3$) : 8.49(1H, d, J=1.4Hz), 7.97(1H, dd, J=8.6, 1.4Hz), 7.64(1H, d, J=8.6Hz), 7.54(2H, d, J=8.7Hz), 7.37 (2H, d, J=8.6Hz), 7.31(2H, d, J=8.6Hz), 7.25(1H, d, J=8.4Hz), 7.19(1H, d, J=2.7Hz), 7.00(2H, d, J=8.7Hz), 6.97(1H, dd, J=8.4, 2.7Hz), 4.98(2H, s), 4.41(2H, q, J=7.1Hz), 4.42-4.29(1H, m), 3.88(3H, s) , 2.40-2.20(2H, m), 2.01-1.88(4H, m), 1.83-1.73(1H, m), 1.42(3H, t, J=7.1Hz), 1.41-1.25(3H, m)

Example 9

Production of 2-{4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid

[0255] Ethyl 2-{4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylate (52 g) obtained in Example 8 was treated in the same manner as in Example 2 to give the title compound (44 g, yield 89%).
melting point: 248-249°C
FAB-Ms: 568(MH+)
^{1}H-NMR (300MHz, DMSO-d_6): 8.20(1H, s) , 7.88(1H, d, J=8.7Hz), 7.85(1H, d, J=8.7Hz), 7.57(d, 2H, J=8.6Hz), 7.46

(2H, d, J=8.6Hz), 7.44(2H, d, J=8.6Hz), 7.29(1H, d, J=8.5Hz), 7.24(1H, d, J=2.6Hz), 7.11(2H, d, J=8.6Hz), 7.06(1H, dd, J=8.5, 2.6Hz), 5.04(2H, s), 4.26(1H, m), 3.83(3H, s), 2.38-2.29(2H, m)

Example 10

Production of ethyl 1-cyclohexyl-2-{4-[(E)-2-phenylvinyl]phenyl}-benzimidazole-5-carboxylate

[0256] Ethyl 3-amino-4-cyclohexylaminobenzoate (500 mg) obtained in Example 1, Step 3, was dissolved in methyl alcohol (6 ml) and trans-4-stilbenecarbaldehyde (397 mg) was added under ice-cooling. The mixture was stirred overnight at room temperature. The reaction mixture was ice-cooled and benzofuroxan (259 mg) dissolved in acetonitrile (2 ml) was added. The mixture was stirred for 7 hr at 50°C. The reaction mixture was ice-cooled. After 1N sodium hydroxide was added, ethyl acetate was added and the mixture was extracted. The organic layer was washed with water and saturated brine, dried over anhydrous magnesium sulfate, and concentrated under reduced pressure. The residue was purified by silica gel flash chromatography (developing solvent, n-hexane:ethyl acetate = 4:1) to give the title compound (540 mg, yield 63%).
^{1}H-NMR (300MHz, DMSO-d_6): 8.28(1H, d, J=1.4Hz), 8.01(1H, d, J=8.7Hz), 7.90-7.80(3H, m), 7.75-7.65(4H, m), 7.50-7.25(5H, m), 4.35(2H, q, J=7.0Hz), 4.31(1H, m), 2.40-2.20(2H, m), 2.00-1.80(4H, m), 1.63(1H, m), 1.40-1.20(3H, m), 1.36(3H, t, J=7.0Hz)

Example 11

Production of 1-cyclohexyl-2-{4-[(E)-2-phenylvinyl]phenyl}-benzimidazole-5-carboxylic acid

[0257] Ethyl 1-cyclohexyl-2-{4-[(E)-2-phenylvinyl]phenyl}-benzimidazole-5-carboxylate (127 mg) obtained in Example 10 was treated in the same manner as in Example 2 to give the title compound (116 mg, yield 97%).
melting point: not lower than 300°C
FAB-Ms: 423(MH+)
^{1}H-NMR (300MHz, DMSO-d_6): 8.25(1H, s), 7.96-7.29(13H, m), 4.33(1H, brt), 2.41-2.23(2H, m), 2.03-1.78(4H, m), 1.71-1.59(1H, m), 1.49-1.20(3H, m)

Example 12

Production of 2- (4-benzyloxyphenyl)-1-cyclopentylbenzimidazole-5-carboxylic acid

[0258] In the same manner as in Examples 1 and 2, the title compound (700 mg) was obtained.
FAB-Ms: 413(MH+)
^{1}H-MMR (300MHz, $CDCl_3$) : 8.60(1H, s), 8.04(1H, d, J=9.0Hz), 7.63(2H, d, J=8.4Hz), 7.51-7.32(6H, m), 7.14(2H, d, J=9.0Hz), 5.16(2H, s), 5.03-4.89(1H, m), 2.41-1.63(8H, m)

Example 13

Production of 2-(4-benzyloxyphenyl)-1-cyclopentylbenzimidazole-5-carboxamide

[0259] 2-(4-Benzyloxyphenyl)-1-cyclopentylbenzimidazole-5-carboxylic acid (700 mg) obtained in Example 12 was dissolved in dimethylformamide (10 ml), and ammonium chloride (108 mg), 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride (390 mg), 1-hydroxybenzotriazole (275 mg) and triethylamine (0.3 ml) were added. The mixture was stirred overnight at room temperature. Water was added to the reaction mixture and the mixture was extracted with ethyl acetate. The organic layer was washed successively with saturated aqueous sodium hydrogencarbonate, water and saturated brine, dried over anhydrous magnesium sulfate, and concentrated under reduced pressure. Ethyl acetate and diisopropyl ether were added to the residue for crystallization and the crystals were collected by filtration to give the title compound (571 mg, yield 81%).
melting point: 232-233°C
FAB-Ms: 412(MH+)
^{1}H-NMR (300MHz, $CDCl_3$): 8.23(1H, d, =1.5Hz), 7.86(1H, dd, J=8.5, 1.5Hz), 7.65-7.30(8H, m), 7.13(2H, d, J=8.8Hz), 5.16(2H, s), 4.93(1H, quint, J=8.8Hz), 2.40-1.60(8H, m)

Example 14

Production of 2-(4-benzyloxyphenyl)-5-cyano-1-cyclopentylbenzimidazole

[0260] In the same manner as in Example 1, the title compound (400 mg) was obtained.
FAB-Ms: 394(MH+)
^{1}H-NMR (300MHz, $CDCl_3$): 8.11(1H, s), 7.68-7.30(9H, m), 7.13(2H, s) , 5.16(2H, s), 4.94(1H, quint, J=8.9Hz), 2.35-1.60 (8H, m)

Example 15

Production of 2-(4-benzyloxyphenyl)-1-cyclopentylbenzimidazole-5-carboxamide oxime

[0261] 2-(4-Benzyloxyphenyl)-5-cyano-1-cyclopentylbenzimidazole (400 mg) obtained in Example 14 was suspended in ethyl alcohol (3 ml) and water (1.5 ml), and hydroxylamine hydrochloride (141 mg) and sodium hydrogencarbonate (170 mg) were added. The mixture was refluxed under heating overnight. The reaction mixture was allowed to cool and the precipitated crystals were collected by filtration to give the title compound (312 mg, yield 71%).
melting point: 225-226°C
FAB-Ms: 456(MH+)
^{1}H-NMR (300MHz, DMSO-d_6): 8.20(1H, s), 7.50-7.31(9H, m), 7.12(2H, d, J=8.7Hz), 5.15(2H, s), 4.94(1H, quint, J=8.7Hz), 3.61(3H, s), 3.40(3H, s), 2.41-1.42(8H, m)

Example 16

Production of ethyl 1-cyclohexyl-2-{4-[{4-(4-fluorophenyl)-2-methyl-5-thiazolyl}methoxy]phenyl}benzimidazole-5-carboxylate

[0262]

Step 1: Production of 4-(4-fluorophenyl)-5-hydroxymethyl-2-methylthiazole

Ethyl 4-(4-fluorophenyl)-2-methyl-5-thiazolecarboxylate (59 g) prepared by a known method (Chem. Pharm. Bull., 43(6), 947, 1995) was dissolved in tetrahydrofuran (700 ml). Lithium aluminum hydride (13 g) was added under ice-cooling and the mixture was stirred for 30 min. Water (13 ml), 15% sodium hydroxide (13 ml) and water (39 ml) were added successively to the reaction mixture, and the precipitated insoluble materials were filtered off. The filtrate was concentrated under reduced pressure to give the title compound (37 g, yield 71%).
^{1}H-NMR (300MHz, $CDCl_3$) : 7.60(2H, dd, J=8.7, 6.6Hz), 7.11(2H, t, J=8.7Hz), 4.80(2H, s), 2.70(3H, s)

Step 2: Production of 5-chloromethyl-4-(4-fluorophenyl)-2-methylthiazole

4-(4-Fluorophenyl)-5-hydroxymethyl-2-methylthiazole (37 g) obtained in the previous step was dissolved in chloroform (500 ml), and thionyl chloride (24 ml) and pyridine (2 ml) were added. The mixture was stirred for 3 hr at room temperature. The reaction mixture was poured into ice-cold water. The mixture was extracted with chloroform, and washed with water and saturated brine. The organic layer was dried over sodium sulfate, and concentrated under reduced pressure to give the title compound (29 g, yield 76%).
^{1}H-NMR (300MHz, $CDCl_3$): 7.67(2H, dd, J=8.8, 5.4Hz), 7.16(2H, t, J=8.7Hz), 4.79(2H, s) , 2.73(3H, s)

Step 3: Production of ethyl l-cyclohexyl-2-{4-[{4-(4-fluorophenyl) -2-methyl-5-thiazolyl}methoxy]phenyl}benzimidazole-5-carboxylate

5-Chloromethyl-4-(4-fluorophenyl)-2-methylthiazole (28 g) obtained in the previous step and ethyl 1-cyclohexyl-2-(4-hydroxyphenyl)benzimidazole-5-carboxylate (36 g) obtained in Example 3 were treated in the same manner as in Example 4 to give the title compound (61 g, yield 100%).
APCI-Ms: 570 (MH+)
^{1}H-NMR (300MHz, DMSO-d_6): 8.25(1H, d, J=1.5Hz), 7.97(1H, d, J=8.7Hz), 7.86(1H, dd, J=8.6, 1.6Hz), 7.7.4(2H, dd, J=8.8, 5.5Hz), 7.62(2H, d, J=8.7Hz), 7.33(2H, t, J=8.9Hz), 7.22(2H, t, J=8.9Hz), 5.41(2H, s), 4.34(2H, q, J=7.1Hz), 4.31(1H, m), 2.71(3H, s), 2.40-2.15(2H, m), 2.05-1.75(4H, m), 1.55-1.15(3H, m), 1.36(3H, t, J=7.1Hz)

Example 17

Production of 1-cyclohexyl-2-{4-[{4-(4-fluorophenyl)-2-methyl-5-thiazolyl}methoxy]phenyl}benzimidazole-5-carboxylic acid

[0263] Ethyl 1-cyclohexyl-2-{4-[{4-(4-fluorophenyl)-2-methyl-5-thiazolyl}methoxy]phenyl}benzimidazole-5-carboxylate (60 g) obtained in Example 16 was treated in the same manner as in Example 2 to give the title compound (39g, yield 69%).
melting point: 196-198°C
FAB-Ms: 542(MH+)
^{1}H-NMR (300MHz, DMSO-d_6): 13.1(1H, brs), 8.34(1H, s), 8.29(1H, d, J=8.8Hz), 8.06(1H, d, J=8.7Hz), 7.80-7.72(4H, m), 7.36-7.31(4H, m), 5.46(2H, s), 4.38(1H, m), 2.72(3H, s), 2.45-2.15(2H, m), 2.15-1.95(2H, m), 1.95-1.75(2H, m), 1.75-1.55(1H, m), 1.55-1.20(3H, m)

Example 18

Production of ethyl 1-cyclohexyl-2-(2-fluoro-4-hydroxyphenyl)-benzimidazole-5-carboxylate

[0264] In the same manner as in Example 3, the title compound (50 g) was obtained.

Example 19

Production of ethyl 2-{4-[bis(3-fluorophenyl)methoxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylate

[0265]

Step 1 : Production of 3,3'-difluorobenzhydrol

To a stirred solution of magnesium strip (35.4 g) in THF (200 ml), iodine strip was added and the mixture was heated with stirring under nitrogen stream until most of color of iodine was disappeared. A solution of 3-fluorobromobenzene (250.0 g) in THF (1000 ml) was added dropwise over 2.5 hr while the temperature of the solution was maintained at 60°C. After the completion of the addition of the solution, the resulting mixture was refluxed for 1 hr with heating. The resulting Grignard solution was ice-cooled and a solution of ethyl formate (63.2 g) in THF (200 ml) was added dropwise over 1 hr. After a stirring of the reaction solution for an additional 30 min, saturated aqueous ammonium chloride solution (700 ml) was added dropwise with ice-cooling and water (300 ml) was added. The mixture was stirred for 10 min. The organic layer and water layer were separated. Water layer was extracted with ethyl acetate, and the combined organic layer was washed with 2N hydrochloric acid, saturated aqueous sodium hydrogencarbonate and saturated brine. The organic layer was dried over anhydrous magnesium sulfate, filtered, and the solvent was evaporated off under reduced pressure to give the title compound (156.2 g, yield 99%).
^{1}H-NMR (300MHz, $CDCl_3$): 7.31(2H, td, J=7.9, 5.8Hz), 7.15-7.80(4H, m), 6.97-6.94(2H, m), 5.82(1H, d, J=3.3Hz), 2.30(1H, d, J=3.3Hz)

Step 2: Production of 3,3'-difluorobenzhydryl chloride

To a solution of 3,3'-difluorobenzhydrol (150.0 g) obtained in the previous step in toluene (400 ml), pyridine (539 mg) was added at room temperature. To the solution, thionyl chloride (89.1 g) was added dropwise over 1 hr at room temperature and the resulting solution was stirred for an additional 2 hr. The solution was heated so that the temperature of the solution was at 40°C, and then stirred for an additional 1.5 hr. Thionyl chloride (8.1 g) was added again and the mixture was stirred for 30 min. To the reaction mixture, water was added. The organic layer was separated, and washed with water, saturated aqueous sodium hydrogencarbonate and saturated brine. The organic layer was dried over anhydrous magnesium sulfate, filtered, the solvent was evaporated off under reduced pressure to give the title compound (158.2 g, yield 97%).
^{1}H-NMR (300MHz, $CDCl_3$): 7.32(2H, td, J=8.0, 5.9Hz), 7.18-7.10(4H, m), 7.01(2H, tdd, J=8.2, 2.5, 1.2Hz), 6.05 (1H, s)

Step 3: Production of ethyl 2-{4-[bis(3-fluorophenyl)methoxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylate

Ethyl 1-cyclohexyl-2-(2-fluoro-4-hydroxyphenyl)-benzimidazole-5-carboxylate (50 g) obtained in Example 18 and 3,3'-difluorobenzhydryl chloride (34 g) obtained in the previous step were treated in the same manner as in Example 4 to give the title compound (76 g, yield 99%).
FAB-Ms: 585(MH+)
^{1}H-NMR (300MHz, DMSO-d_6): 8.24(1H, d, J=1.4Hz), 7.98(1H, d, J=8.7Hz), 7.88(1H, d, J=8.7Hz), 7.56(1H, t,

J=8.6Hz), 7.50-7.40(6H, m), 6.82(1H, s), 4.34(2H, q, J=7.1Hz), 3.95(1H, m), 2.20-2.10(2H, m), 1.90-1.80(4H, m), 1.6(1H, m), 1.35(3H, t, J=7.2Hz), 1.30-1.20(3H, mz)

Example 20

Production of 2-{4-(bis[3-fluorophenyl]methoxy)-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid

[0266] Ethyl 2-{4-[bis(3-fluorophenyl)methoxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylate (75 g) obtained in Example 19 was treated in the same manner as in Example 2 to give the title compound (48 g, yield 62%).
melting point: 242-243°C
FAB-Ms: 557(MH+)
^{1}H-NMR (300MHz, DMSO-d_6) : 8.29(1H, s) , 8.16(1H, d, J=8.8Hz), 7.99(1H, d, J=8.7Hz), 7.66(1H, t, J=8.7Hz), 7.51-7.40(6H, m) , 7.30(1H, d, J=12.1Hz), 7.20-7.14(3H, m), 6.88(1H, s), 4.07(1H, m), 2.40-2.10(2H, m), 2.00-1.75 (4H, m), 1.70-1.55(1H, m),
1.50-1.15(3H, m)

Example 21

Production of ethyl 1-cyclopentyl-2-(4-nitrophenyl)benzimidazole-5-carboxylate

[0267] In the same manner as in Example 1, the title compound (12 g) was obtained.

Example 22

Production of ethyl 2-(4-aminophenyl)-1-cyclopentylbenzimidazole-5-carboxylate

[0268] Ethyl 1-cyclopentyl-2-(4-nitrophenyl)benzimidazole-5-carboxylate (12 g) obtained in Example 21 was dissolved in tetrahydrofuran (200 ml) and ethyl alcohol (50 ml), 7.5% palladium carbon (50% wet, 1 g) was added. The mixture was hydrogenated for 1 hr at atmospheric pressure. The catalyst was filtered off and the filtrate was concentrated under reduced pressure. Tetrahydrofuran was added to the residue to allow crystallization and the crystals were collected by filtration to give the title compound (11 g, yield 98%).
^{1}H-NMR (300MHz, $CDCl_3$): 8.49(1H, d, J=1.3Hz), 7.95(1H, dd, J=8.5, 1.3Hz), 7.50-7.40(3H, m) , 6.79(2H, d, J=4.6Hz), 4.97(1H, quint, J=8.9Hz), 4.40(2H, q, J=7.1Hz), 3.74(2H, brs), 2.40-1.60(8H, m), 1.41(3H, t, J=7.1Hz)

Example 23

Production of ethyl 2-(4-benzoylaminophenyl)-1-cyclopentylbenzimidazole-5-carboxylate

[0269] Ethyl 1-cyclopentyl-2-(4-aminophenyl)benzimidazole-5-carboxylate (300 mg) obtained in Example 22 was dissolved in pyridine (3 ml) and chloroform (3 ml), and benzoyl chloride (127 mg) was added. The mixture was stirred for 30 min at room temperature. The reaction mixture was concentrated under reduced pressure and water was added to the residue to allow crystallization. The crystals were collected by filtration to give the title compound (403 mg, yield 100%).
^{1}H-NMR (300MHz, $CDCl_3$) : 8.58(1H, s), 8.00(1H, d, J=9.0Hz), 7.84(2H, d, J=7.5Hz), 7.60-7.40(6H, m), 7.14(2H, d, J=7.5Hz), 4.84(1H, quint, J=8.7Hz), 4.41(2H, q, J=7.5Hz), 2.20-1.30(8H, m), 1.41(3H, t, J=7.5Hz)

Example 24

Production of 2-(4-benzoylaminophenyl)-1-cyclopentylbenzimidazole-5-carboxylic acid

[0270] Ethyl 2-(4-benzoylaminophenyl)-1-cyclopentylbenzimidazole-5-carboxylate (200 mg) obtained in Example 23 was treated in the same manner as in Example 2 to give the title compound (131 mg, yield 70%).
melting point: not lower than 300°C
FAB-Ms: 426(MH+)
^{1}H-NMR (300MHz, DMSO-d_6): 10.75(1H, s), 8.35(1H, s), 8.15and7.85(4H, ABq, J=8.9Hz), 8.10-7.98(4H, m), 7.70-7.55 (3H, m), 5.02(1H, quint, J=8.7Hz), 2.36-2.15(4H, m), 2.14-1.95(2H, m), 1.80-1.62 (2H, m)

Example 25

Production of ethyl 2-{4-[3-(3-chlorophenyl)phenoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylate

[0271] Ethyl 2-[4-(3-bromophenoxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylate (65 g) obtained in Example 1 and 3-chlorophenylboronic acid (23 g) were treated in the same manner as in Example 5 to give the title compound (59 g, yield 85%).
^{1}H-NMR (300MHz, $CDCl_3$) : 8.51(1H, d, J=1.8Hz), 7.99(1H, dd, J=8.7, 1.8Hz), 7.71-7.55(4H, m), 7.51-7.43(2H, m), 7.43-7.27(4H, m), 7.19(1H, d, J=8.4Hz), 7.12(1H, m), 4.41(2H, q, J=7.2Hz), 4.39(1H, m), 2.42-2.22(2H, m), 2.03-1.87 (4H, m), 1.79(1H, m), 1.42(3H, t, J=7.2Hz), 1.39-1.29(3H, m)

Example 26

Production of 2-{4-[3-(3-chlorophenyl)phenoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid

[0272] Ethyl 2-{4-[3-(3-chlorophenyl)phenoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylate (59 g) obtained in Example 25 was treated in the same manner as in Example 2 to give the title compound (43 g, yield 76%).
melting point: 253-254°C
FAB-Ms: 523(MH+)
^{1}H-NMR (300MHz, DMSO-d_6): 12.82(1H, brs), 8.24(1H, d, J=1.3Hz), 7.98(1H, d, J=8.7Hz), 7.89(1H, dd, J=8.7, 1.3Hz), 7.78(1H, s), 7.72(2H, d, J=9.7Hz), 7.70(1H, m), 7.64-7.42(5H, m), 7.25(2H, d, J=8.7Hz), 7.20(1H, m), 4.33(1H, m), 2.39-2.17(2H, m), 2.00-1.76(4H, m), 1.65(1H, m), 1.50-1.22(3H, m)

Example 27

Production of ethyl 2-[4-(3-acetoxyphenyloxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylate

[0273] In the same manner as in Example 1, the title compound (87 g) was obtained.

Example 28

Production of ethyl 1-cyclohexyl-2-[4-(3-hydroxyphenyloxy)-phenyl]benzimidazole-5-carboxylate

[0274] Ethyl 2-[4- (3-acetoxyphenyloxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylate (87 g) obtained in Example 27 was dissolved in methyl alcohol (250 ml) and tetrahydrofuran (250 ml), and potassium carbonate (31 g) was added. The mixture was stirred for 30 min at room temperature. The insoluble materials were filtered off and the filtrate was concentrated under reduced pressure. Water was added to the residue and the mixture was neutralized with 2N hydrochloric acid. The precipitated crystals were collected by filtration to give the title compound (78 g, yield 97%).
^{1}H-NMR (300MHz, DMSO-d_6) : 9.71(1H, s), 7.98(1H, d, J=8.7Hz), 7.87(1H, d, J=8.7Hz), 7.68 (2H, d, J=8.6Hz), 7.24 (1H, t, J=8.1Hz), 7.18(2H, d, J=8.6Hz), 6.63(1H, d, J=8.1Hz), 6.57(1H, d, J=8.1Hz), 6.51(1H, s), 4.38-4.23(1H, m), 4.35(2H, q, J=6.9Hz), 2.36-2.18(2H, m), 1.99-1.78(4H, m), 1.71-1.59(1H, m), 1.45-1.20(3H, m), 1.36(3H, t, J=6.9Hz)

Example 29

Production of ethyl 1-cyclohexyl-2-{4-[3-(4-pyridylmethoxy)-phenyloxy]phenyl}benzimidazole-5-carboxylate

[0275] Ethyl 1-cyclohexyl-2-[4-(3-hydroxyphenyloxy)phenyl]-benzimidazole-5-carboxylate (78 g) obtained in Example 28 was suspended in dimethylformamide (800 ml), and sodium hydride (60% oil, 14 g) was added under ice-cooling. The mixture was stirred for 1 hr at room temperature. After the reaction mixture was ice-cooled, 4-chloromethylpyridine hydrochloride (29 g) was added and the mixture was stirred for 30 min. The mixture was then stirred overnight at room temperature. Water was added to the reaction mixture and the precipitated crystals were collected by filtration. The resulting crystals were recrystallized from ethyl alcohol to give the title compound (77 g, yield 82%).
^{1}H-NMR (300MHz, $CDCl_3$) : 8.63(2H, d, J=6.0Hz), 8.51(1H, s), 7.99(1H, d, J=8.7Hz), 7.66(2H, d, J=8.7Hz), 7.62(2H, d, J=8.7Hz), 7.36(2H, d, J=8.7Hz), 7.31(1H, t, J=8.2Hz), 7.26(1H, s), 7.16(2H, d, J=8.7Hz), 6.79-6.70(3H, m), 5.09(2H, s), 4.47-4.31(1H, m), 4.42(2H, q, J=7.0Hz), 2.42-2.22(2H, m), 2.04-1.71(5H, m), 1.45-1.25(3H, m), 1.42(3H, t, J=7.0Hz)

Example 30

Production of 1-cyclohexyl-2-{4-[3-(4-pyridylmethoxy)phenyloxy]-phenyl}benzimidazole-5-carboxylic acid

[0276] Ethyl 1-cyclohexyl-2-{4-[3-(4-pyridylmethoxy)phenyloxy]-phenyl}benzimidazole-5-carboxylate (60 g) obtained in Example 29 was treated in the same manner as in Example 2 to give the title compound (54 g, yield 75%).
melting point: 235-237°C
FAB-Ms: 520(MH+)
^{1}H-NMR (300MHz, DMSO-d_6): 8.58(2H, d, J=6.0Hz), 8.23(1H, s), 7.96 and 7.86(2H, ABq, J=8.7Hz), 7.68 and 7.17 (4H, A'B'q, J=8.7Hz), 7.44(2H, d, J=8.7Hz), 7.39(1H, t, J=8.3Hz), 6.90(1H, d, J=8.1Hz), 6.84(1H, s), 6.75(1H, d, J=8.1Hz), 5.22(2H, s), 4.40-4.22(1H, m), 2.40-2.19(2H, m), 2.00-1.80(4H, m)

Example 241

Production of methyl 2-{4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]-phenyl}-1-cyclohexylbenzimidazole-5-carboxylate

[0277]

Step 1: Production of 2-bromo-5-methoxybenzaldehyde
3-Methoxybenzaldehyde (15 g) was dissolved in acetic acid (75 ml), and a solution of bromine (5.7 ml) dissolved in acetic acid (15 ml) was added dropwise. The mixture was stirred overnight at room temperature and water (150 ml) was added to the reaction mixture. The precipitated crystals were collected by filtration, washed with water and dried under reduced pressure to give the title compound (21 g, yield 88%).
^{1}H-NMR (300MHz, $CDCl_3$) : 10.31(1H, s), 7.52(1H, d, J=8.8Hz), 7.41(1H, d, J=3.3Hz), 7.03(1H, dd, J=8.8, 3.3Hz), 3.48(3H, s)

Step 2: Production of 2-(4-chlorophenyl)-5-methoxybenzaldehyde
2-Bromo-5-methoxybenzaldehyde (10 g) obtained in the previous step was treated in the same method as in Example 5 to give the title compound (11 g, yield 96%).
^{1}H-NMR (300MHz, $CDCl_3$) : 9.92(1H, s), 7.50(1H, d, J=2.6Hz), 7.48-7.14(6H, m), 3.90(3H, s)

Step 3: Production of 2-(4-chlorophenyl)-5-methoxybenzyl alcohol
2-(4-Chlorophenyl)-5-methoxybenzaldehyde (10 g) obtained in the previous step was dissolved in tetrahydrofuran (30 ml). The solution was added dropwise to a suspension of sodium borohydride (620 mg) in isopropyl alcohol (50 ml) and the mixture was stirred for 1 hr. The solvent was evaporated under reduced pressure and water was added to the residue. The precipitated crystals were collected by filtration and dried under reduced pressure. The resulting crystals were recrystallized from a mixture of methanol and water to give the title compound (9.2 g, yield 91%).
^{1}H-NMR (300MHz, $CDCl_3$): 7.37(2H, d, J=8.6Hz), 7.27(2H, d, J=8.6Hz), 7.17(1H, d, J=8.6Hz), 7.11(1H, d, J=2.6Hz), 6.89(1H, dd, J=8.6, 2.6Hz), 4.57(2H, s), 3.86(3H, s)

Step 4: Production of 2-(4-chlorophenyl)-5-methoxybenzyl chloride
2-(4-Chlorophenyl)-5-methoxybenzyl alcohol (20 g) obtained in the previous step was dissolved in ethyl acetate (100 ml) and pyridine (0.5 ml), and thionyl chloride (11 ml) was added dropwise. The mixture was stirred for 1 hr. Water was added to the reaction mixture and the mixture was extracted with ethyl acetate. The organic layer was washed with water, saturated aqueous sodium hydrogencarbonate, water and saturated brine, dried over anhydrous magnesium sulfate, and concentrated under reduced pressure. Isopropyl alcohol was added to the residue to allow crystallization. The resulting crystals were collected by filtration and dried under reduced pressure to give the title compound (16 g, yield 74%).
^{1}H-NMR (300MHz, $CDCl_3$): 7.43-7.29 (4H, m), 7.17(1H, d, J=8.6Hz), 7.05(1H, d, J=2.6Hz), 6.96-6.89(1H, m), 4.46(2H, s), 3.86(3H, s) **Step 5:** Production of methyl 2-{4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylate
2-(4-Chlorophenyl)-5-methoxybenzyl chloride (4.0 g) obtained in the previous step and methyl 1-cyclohexyl-2-(4-hydroxyphenyl)-benzimidazole-5-carboxylate (5.0 g) obtained in the same manner as in Example 3 were treated in the same manner as in Example 4 to give the title compound (6.0 g, yield 72%).
^{1}H-NMR (300MHz, $CDCl_3$): 8.48(1H, s), 8.00-7.93(1H, m), 7.68-7.62(1H, m), 7.54(2H, d, J=9.0Hz), 7.41-7.16(6H, m), 7.04-6.93(3H, m), 4.97(2H, s), 4.36(1H, m), 3.94(3H, s), 3.87(3H, s), 2.39-2.21(2H, m), 2.02-1.88(4H, m), 1.85-1.45(4H, m)

Example 242

Production of 2-{4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride

[0278] Methyl 2-{4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylate (5.0 g) obtained in Example 241 was treated in the same manner as in Example 2 to give the title compound (5.1 g, yield 98%).
APCI-Ms: 568(MH+)
^{1}H-NMR (300MHz, DMSO-d_6): 8.30(1H, d, J=1.4Hz), 8.24(1H, d, J=8.7Hz), 8.03 (1H, d, J=8.7Hz), 7.72(2H, d, J=8.7Hz), 7.51-7.39(4H, m), 7.34-7.18(4H, m), 7.11-7.03(1H, m), 5.08 (2H, s), 4.35(1H, m) , 3.83(3H, m), 2.40-2.18 (2H, m), 2.10-1.96(2H, m), 1.93-1.78(2Hm), 1.72-1.18(4H, m)

Example 243

Production of ethyl 2-{4-[3-(4-chlorophenyl)pyridin-2-ylmethoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylate

[0279]

Step 1: Production of methyl 3-hydroxypicolinate

3-Hydroxypicolinic acid (1.0 g) was suspended in methanol (10 ml) and concentrated sulfuric acid (1.0 ml) was added. The mixture was refluxed under heating for 5 hr. The reaction mixture was ice-cooled, neutralized with saturated aqueous sodium hydrogencarbonate, and extracted with chloroform. The organic layer was washed with water and saturated brine, and dried over anhydrous magnesium sulfate. The solvent was evaporated under reduced pressure to give the title compound (711 mg, yield 64%).
^{1}H-NMR (300MHz, $CDCl_3$) : 10.63(1H, s), 8.28(1H, dd, J=3.7, 1.8Hz), 7.47-7.35(2H, m), 4.06(3H, s)

Step 2: Production of methyl 3-(trifluoromethylsulfonyloxy)-pyridine-2-carboxylate

Methyl 3-hydroxypicolinate (710 mg) obtained in the previous step and triethylamine (0.77 ml) were dissolved in dichloromethane (7 ml), and trifluoromethanesulfonic anhydride (0.86 ml) was added under ice-cooling. The reaction mixture was allowed to warm to room temperature and the mixture was stirred for 2 hr. Water was added to the reaction mixture and the mixture was extracted with ethyl acetate. The organic layer was washed with saturated brine and dried over anhydrous magnesium sulfate. The solvent was evaporated under reduced pressure to give the title compound (1.2 g, yield 90%).
^{1}H-NMR (300MHz, $CDCl_3$): 8.80-8.73(1H, m), 7.75-7.70(1H, m) , 7.63(1H, dd, J=8.2, 4.5Hz), 4.05(3H, s)

Step 3: Production of methyl 3-(4-chlorophenyl)pyridine-2-carboxylate

Methyl 3-(trifluoromethylsulfonyloxy)pyridine-2-carboxylate (1.2 g) obtained in the previous step was treated in the same manner as in Example 5 to give the title compound (728 mg, yield 69%).
^{1}H-NMR (300MHz, $CDCl_3$): 8.73-8.66(1H, m) , 7.77-7.68 (1H, m), 7.49(1H, dd, J=7.8, 4.5Hz), 7.46-7.37(2H, m), 7.32-7.23(2H, m), 3.80(3H, s)

Step 4: Production of [3-(4-chlorophenyl)pyridin-2-yl]methanol

Methyl 3-(4-chlorophenyl)pyridine-2-carboxylate (720 mg) obtained in the previous step was dissolved in tetrahydrofuran (10 ml) and the solution was ice-cooled. Lithium aluminum hydride (160 mg) was added to the solution and the mixture was stirred for 1 hr. To the reaction mixture were added successively water (1.6 ml), 15% sodium hydroxide (1.6 ml) and water (4.8 ml). The insoluble materials were filtered off and the filtrate was concentrated under reduced pressure. The residue was purified by silica gel flash chromatography (developing solvent, n-hexane:ethyl acetate = 1:1) to give the title compound (208 mg, yield 32%).
^{1}H-NMR (300MHz, $CDCl_3$): 8.60(1H, dd, J=4.8, 1.5Hz), 7.60-7.55(1H, m), 7.40-7.48(2H, m) , 7.29-7.36(1H, m), 7.27-7.20(3H, m), 4.63(2H, s)

Step 5: Production of ethyl 2-{4-[3-(4-chlorophenyl)pyridin-2-ylmethoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylate

[3-(4-Chlorophenyl)pyridin-2-yl]methanol (200 mg) obtained in the previous step was dissolved in chloroform (3 ml), and thionyl chloride (0.13 ml) and pyridine (catalytic amount) were added. The mixture was stirred for 1 hr at room temperature and concentrated under reduced pressure. The residue was dissolved in dimethylformamide (3 ml), and ethyl 1-cyclohexyl-2-(4-hydroxyphenyl)benzimidazole-5-carboxylate (232 mg) obtained in the same manner as in Example 3 and potassium carbonate (250 mg) were added. The mixture was stirred for 3 hr with heating at 80°C. The reaction mixture was then allowed to cool. Water was added and the mixture was extracted with ethyl acetate. The organic layer was washed with water and saturated brine, dried over anhydrous magnesium sulfate and concentrated under reduced pressure. The residue was purified by silica gel flash chromatography

(developing solvent, n-hexane:ethyl acetate = 1:2) to give the title compound (246 mg, yield 68%).
^{1}H-NMR (300MHz, $CDCl_3$) : 8.71(1H, dd, J=4.7, 1.4Hz), 8.49(1H, d, J=2.1Hz), 7.96(1H, d, J=10.2Hz), 7.71-7.62 (2H, m), 7.53(2H, d, J=8.7Hz), 7.45-7.34(5H, m), 7.04(2H, d, J=8.7Hz), 5.14(2H, s), 4.48-4.29(3H, m), 2.38-2.19 (2H, m), 2.02-1.22(11H, m)

Example 244

Production of methyl 2-[4-(2-bromo-5-tert-butoxycarbonylbenzyloxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylate

[0280]

Step 1: Production of tert-butyl 4-bromo-3-methylbenzoate

4-Bromo-3-methylbenzoic acid (25 g) was suspended in dichloromethane (200 ml), and oxalyl chloride (12 ml) and dimethylformamide (catalytic amount) were added. The mixture was stirred for 2 hr at room temperature and the solvent was evaporated under reduced pressure. The residue was dissolved in tetrahydrofuran (200 ml) and the solution was ice-cooled. To the solution was added dropwise a solution of potassium tert-butoxide dissolved in tetrahydrofuran (150 ml) and the mixture was stirred for 30 min. Water was added to the reaction mixture and the mixture was extracted with ethyl acetate. The organic layer was washed with water and saturated brine, and dried over anhydrous magnesium sulfate. The solvent was evaporated under reduced pressure to give the title compound (27 g, yield 85%).
^{1}H-NMR (300MHz, $CDCl_3$) : 7.83(1H, d, J=2.2Hz), 7.67-7.53 (2H, m), 2.43(3H, s), 1.58(9H, s)

Step 2: Production of methyl 2-[4-(2-bromo-5-tert-butoxycarbonylbenzyloxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylate

tert-Butyl 4-bromo-3-methylbenzoate (7.0 g) obtained in the previous step and methyl 1-cyclohexyl-2-(4-hydroxyphenyl)-benzimidazole-5-carboxylate (6.3 g) obtained in the same manner as in Example 3 were treated in the same manner as in Example 4 to give the title compound (8.8 g, yield 77%).
^{1}H-NMR (300MHz, $CDCl_3$) : 8.49(1H, d, J=1.5Hz), 8.21(1H, d, J=2.1Hz), 7.97(1H, d, J=10.2Hz), 7.82(1H, d, J=10.2Hz), 7.71-7.58(4H, m), 7.16(2H, d, J=8.7Hz), 5.23(2H, s), 4.38(1H, m), 3.95(3H, s), 2.40-2.23(2H, m), 2.04-1.90(4H, m), 1.84-1.73(1H, m), 1.59(9H, s), 1.44-1.27(3H, m)

Example 245

Production of methyl 2-{4-[5-tert-butoxycarbonyl-2-(4-chlorophenyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylate

[0281] Methyl 2-[4-(2-bromo-5-tert-butoxycarbonylbenzyloxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylate (4.5 g) obtained in Example 244 was treated in the same manner as in Example 5 to give the title compound (3.6 g, yield 76%).
^{1}H-NMR (300MHz, $CDCl_3$): 8.48(1H, s), 8.27 (1H, d, J=1.8Hz), 8.04(1H, dd, J=7.9, 1.5Hz), 7.96(1H, dd, J=7.0, 1.5Hz), 7.65(1H, d, J=8.6Hz), 7.55(2H, d, J=8.6Hz), 7.43-7.32(5H, m), 7.01(2H, d, J=8.6Hz), 4.99(2H, s), 4.43-4.29(1H, m), 3.95(3H, s), 2.41-2.21(2H, m), 2.02-1.89(4H, m), 1.82-1.73(1H, m), 1.62(9H, s), 1.46-1.28(3H, m)

Example 246

Production of methyl 2-{4-[5-carboxy-2-(4-chlorophenyl)-benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylate hydrochloride

[0282] Methyl 2-{4-[5-tert-butoxycarbonyl-2-(4-chlorophenyl)-benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylate (3.5 g) obtained in Example 245 was dissolved in dichloromethane (35 ml), and trifluoroacetic acid (35 ml) was added. The mixture was stirred for 1 hr at room temperature and the reaction mixture was concentrated under reduced pressure. The residue was dissolved in ethyl acetate, and 4N hydrochloric acid-ethyl acetate was added. The precipitated crystals were collected by filtration and dried under reduced pressure to give the title compound (3.3 g, yield 97%).
^{1}H-NMR (300MHz, DMSO-d_6): 8.33(1H, d, J=1.5Hz), 8.29(1H, s), 8.24(1H, d, J=1.8Hz), 8.09-8.00 (2H, m), 7.74(2H, d, J=8.6Hz), 7.61-7.44(5H, m), 7.24(2H, d, J=8.6Hz), 5.19(2H, s), 4.36(1H, m), 3.93(3H, s), 2.37-1,21(10H, m)

Example 247

Production of methyl 2-{4-[2-(4-chlorophenyl)-5-methylcarbamoylbenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylate

[0283] Methyl 2-{4-[5-carboxy-2-(4-chlorophenyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylate hydrochloride (400 mg) obtained in Example 246 was suspended in dichloromethane (5 ml), and oxalyl chloride (0.08 ml) and dimethylformamide (catalytic amount) were added. The mixture was stirred for 2 hr at room temperature. The reaction mixture was concentrated under reduced pressure and the residue was dissolved in dichloromethane (5 ml). The resulting solution was added dropwise to a mixed solution of 40% aqueous methylamine solution (5 ml) and tetrahydrofuran (5 ml) under ice-cooling. The reaction mixture was stirred for 1 hr and concentrated under reduced pressure. Water was added to the residue and the mixture was extracted with ethyl acetate. The organic layer was washed with water, saturated aqueous sodium hydrogencarbonate and saturated brine, and dried over anhydrous magnesium sulfate. The solvent was evaporated under reduced pressure and the residue was crystallized from ethyl acetate and diisopropyl ether. The crystals were collected by filtration and dried under reduced pressure to give the title compound (335 mg, yield 86%).
^{1}H-NMR (300MHz, $CDCl_3$) : 8.47(1H, s), 8.06(1H, d, J=1.8Hz), 7.96(1H, dd, J=8.6, 1.5Hz), 7.82(1H, dd, J=8.2, 2.2Hz), 7.64(1H, d, J=8.6Hz), 7.54(2H, d, J=9.0Hz), 7.44-7.31(5H, m), 6.99(2H, d, J=9.0Hz), 6.35-6.26(1H, m), 5.00(2H, s), 4.35(1H, m), 3.95(3H, s), 3.05(3H, d, J=4.8Hz), 2.40-1.24(10H, m)

Example 248

Production of 2-{4-[2-(4-chlorophenyl)-5-methylcarbamoylbenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylate hydrochloride

[0284] Methyl 2-{4-[2-(4-chlorophenyl)-5-methylcarbamoylbenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylate (150 mg) obtained in Example 247 and tetrahydrofuran (2 ml) were treated in the same manner as in Example 2 to give the title compound (141 mg, yield 90%).
APCI-Ms: 594(MH+)
^{1}H-NMR (300MHz, DMSO-d_6): 8.65-8.58(1H, m), 8.27(1H, d, J=1.5Hz), 8.21(1H, d, J=8.2Hz), 8.15(1H, d, J=1.5Hz), 8.05-7.90(2H, m), 7.70(2H, d, J=8.6Hz), 7.56-7.43(5H, m), 7.21(2H, d, J=8.6Hz), 5.14 (2H, s) , 4.34(1H, m) , 2.81(3H, d, J=4.5Hz), 2.39-1.19(10H, m)
[0285] In the same manner as in Examples 1-30 and 241-248, and optionally using other conventional methods, where necessary, the compounds of Examples 31-240, 249-327, 701 and 1001-1559 were obtained. The chemical structures and properties are shown in Table 1 to 177 and 185 to 212.

Example 501

Production of methyl 2-{4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]-phenyl}-1-cyclohexyl-1H-indole-5-carboxylate

[0286]

Step 1: Production of methyl 3-bromo-4-cyclohexylaminobenzoate
3-Bromo-4-fluorobenzoic acid (2.0 g) was dissolved in methanol (20 ml) and concentrated sulfuric acid (2 ml) was added. The mixture was refluxed for 3 hr. The reaction mixture was poured into ice-cold water and extracted with ethyl acetate (50 ml). The organic layer was washed with water (30 ml) and saturated brine (30 ml), and dried over sodium sulfate. After filtration, the solvent was evaporated under reduced pressure. The residue was dissolved in dimethyl sulfoxide (20 ml) and cyclohexylamine (10.3 ml) was added. The mixture was stirred overnight at 120°C. The reaction mixture was poured into 10% aqueous citric acid solution (100 ml) and extracted with ethyl acetate (100 ml). The organic layer was washed with water (50 ml) and saturated brine (50 ml), and dried over sodium sulfate. After filtration, the solvent was evaporated under reduced pressure and the residue was purified by silica gel flash chromatography (developing solvent, n-hexane:ethyl acetate = 10:1) to give the title compound (2.6 g, yield 92%).
^{1}H-NMR (300MHz, $CDCl_3$) : 8.10(1H, d, J=1.9Hz), 7.83(1H, dd, J=1.9Hz, 8.6Hz), 6.59(1H, d, J=8.7Hz), 4.73(1H, brd, J=7.3Hz), 3.85(3H, s), 3.38(1H, m) , 2.10-2.00(2H, m) , 1.90-1.20(8H, m)
Step 2: Production of 4'-chloro-2-(4-iodophenoxymethyl)-4-methoxybiphenyl
4-Iodophenol (5.0 g) was dissolved in acetone (50 ml), and potassium carbonate (4.7 g) and 4'-chloro-2-chloromethyl-4-methoxybiphenyl (6.0 g) obtained in Example 241, Step 4 were added. The mixture was refluxed for

10 hr. The reaction mixture was concentrated and 4N aqueous sodium hydroxide solution (50 ml) was added. The precipitated crystals were collected by filtration, washed with water, and dried under reduced pressure to give the title compound (10.0 g, yield 98%).

^{1}H-NMR (300MHz, $CDCl_3$) : 7.52(2H, d, J=8.9Hz), 7.35(2H, d, J=8.5Hz), 7.27-7.20(3H, m), 7.12(1H, s), 6.95(1H, d, J=8.5Hz), 6.62(2H, d, J=8.9Hz), 4.84(2H, s), 3.85(3H, s)

Step 3: Production of [4-(4'-chloro-4-methoxybiphenyl-2-ylmethoxy)phenylethynyl]trimethylsilane

4'-Chloro-2-(4-iodophenoxymethyl)-4-methoxybiphenyl (7.0 g) obtained in the previous step was dissolved in acetonitrile (50 ml), and trimethylsilylacetylene (2.3 g), tetrakis-(triphenylphosphine)palladium complex (1.8 g), copper(I) iodide (0.6 g) and triethylamine (50 ml) were added. The mixture was stirred overnight at room temperature and concentrated. Water (30 ml) was added and the mixture was extracted with ethyl acetate (50 ml). The organic layer was washed with water (30 ml) and saturated brine (30 ml) and dried over sodium sulfate. After filtration, the solvent was evaporated under reduced pressure and the residue was purified by silica gel flash chromatography (developing solvent, n-hexane:ethyl acetate = 10:1) to give the title compound (5.1 g, yield 79%).

^{1}H-NMR (300MHz, $CDCl_3$) : 7.37(2H, d, J=8.9Hz), 7.34(2H, d, J=8.2Hz), 7.28-7.21(3H, m), 7.13(1H, s), 6.94(1H, d, J=8.2Hz), 6.75(2H, d, J=8.9Hz), 4.87(2H, s), 3.85(3H, s), 0.23(9H, s)

Step 4: Production of methyl 3-[4-(4'-chloro-4-methoxybiphenyl-2-ylmethoxy)phenylethynyl]-4-cyclohexylaminobenzoate

[4-(4'-Chloro-4-methoxybiphenyl-2-ylmethoxy)phenylethynyl]-trimethylsilane (5.1 g) obtained in the previous step was dissolved in methanol (50 ml) and chloroform (50 ml), and potassium carbonate (2.5 g) was added. The mixture was stirred for 3 hr at room temperature and concentrated. Water (30 ml) was added and the mixture was extracted with ethyl acetate (50 ml). The organic layer was washed with water (30 ml) and saturated brine (30 ml) and dried over sodium sulfate. After filtration, the solvent was evaporated under reduced pressure to give white crystals (3.8 g). The white crystals (2.3 g) were dissolved in acetonitrile (10 ml), and methyl 3-bromo-4-cyclohexylaminobenzoate (1.0 g) obtained in Step 1, tetrakis(triphenylphosphine)palladium complex (0.4 g), copper(I) iodide (0.1 g) and triethylamine (10 ml) were added. The mixture was stirred overnight at 100°C and concentrated under reduced pressure. Water (30 ml) was added and the mixture was extracted with ethyl acetate (50 ml). The organic layer was washed with water (30 ml) and saturated brine (30 ml), and dried over sodium sulfate. After filtration, the solvent was evaporated under reduced pressure and the residue was purified by silica gel flash chromatography (developing solvent, n-hexane:ethyl acetate = 8:1) to give the title compound (0.9 g, yield 49%).

^{1}H-NMR (300MHz, $CDCl_3$): 8.03(1H, s), 7.84(1H, d, J=8.7Hz), 7.42-7.22(7H, m), 7.15(1H, s), 6.95(1H, d, J=8.2Hz), 6.85(2H, d, J=8.8Hz), 6.59(1H, d, J=8.8Hz), 5.07(1H, brs), 4.91(2H, s), 3.86(3H, s), 3.85(3H, s), 3.42(1H, m), 2.15-2.00(2H, m), 1.80-1.20(8H, m)

Step 5: Production of methyl 2-{4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]phenyl}-1-cyclohexyl-1H-indole-5-carboxylate

Methyl 3- [4-(4'-chloro-4-methoxybiphenyl-2-ylmethoxy)phenylethynyl]-4-cyclohexylaminobenzoate (0.5 g) obtained in the previous step was dissolved in N,N-dimethylformamide (5 ml), and copper(I) iodide (0.17 g) was added. The mixture was refluxed for 3 hr at 180°C. The insoluble materials were removed by filtration. Water (10 ml) was added and the mixture was extracted with ethyl acetate (30 ml). The organic layer was washed with water (10 ml) and saturated brine (10 ml), and dried over sodium sulfate. After filtration, the solvent was evaporated under reduced pressure and the residue was purified by silica gel flash chromatography (developing solvent, n-hexane:ethyl acetate = 8:1) to give the title compound (0.27 g, yield 55%).

^{1}H-NMR (300MHz, $CDCl_3$): 8.34(1H, s), 7.85(1H, d, J=8.8Hz), 7.62(1H, d, J=8.8Hz), 7.40-7.18(8H, m), 7.00-6.94 (3H, m), 6.48(1H, s), 4.95(2H, m), 4.18(1H, m), 3.93(3H, s), 3.88(3H, s), 2.45-2.25(2H, m), 1.95-1.20(8H, m)

Example 502

Production of 2-{4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]phenyl}-1-cyclohexyl-lH-indole-5-carboxylic acid

[0287] Methyl 2-{4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]phenyl}-1-cyclohexyl-1H-indole-5-carboxylate (0.27 g) obtained in Example 501 was treated in the same manner as in Example 2 to give the title compound (0.19 g, yield 71%). APCI-Ms: 566(MH+)

^{1}H-NMR (300MHz, DMSO-d_6): 12.43(1H, brs), 8.20(1H, s), 7.79(1H, d, J=9.3Hz), 7.72(1H, d, J=9.0Hz), 7.50-7.20(8H, m), 7.07-7.03(3H, m), 6.53(1H, s), 5.01(2H, s), 4.13(1H, m), 3.83(3H, m), 2.35-2.25(2H, m), 1.85-1.10(8H, m)

[0288] In the same manner as in Examples 501 and 502, and optionally using other conventional methods where necessary, the compound of Example 503 was obtained. The chemical structure and properties are shown in Table 207.

Example 601

Production of ethyl 2-(4-benzyloxyphenyl)-3-cyclohexylimidazo[1, 2-a]pyridine-7-carboxylate

[0289]

Step 1: Production of 4-benzyloxy-N-methoxy-N-methylbenzamide

4-Benzyloxybenzoic acid (5.0 g) and N,O-dimethylhydroxylamine hydrochloride (2.5 g) were suspended in dimethylformamide (50 ml), and 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride (5.0 g), 1-hydroxybenzotriazole (3.5 g) and triethylamine (3.6 ml) were added. The mixture was stirred overnight at room temperature. Water was added to the reaction mixture and the mixture was extracted with ethyl acetate. The organic layer was washed successively with water, saturated aqueous sodium hydrogencarbonate, water and saturated brine, and dried over anhydrous magnesium sulfate.' The solvent was evaporated under reduced pressure to give the title compound (5.6 g, yield 94%).

^{1}H-NMR (300MHz, $CDCl_3$): 7.22, 2H, d, J=8.8Hz), 7.28-7.46(5H, m), 6.97(2H, d, J=8.8Hz), 5.10(2H, s), 3.56(3H, s), 3.35(3H, s)

Step 2: Production of 1-(4-benzyloxyphenyl)-2-cyclohexylethanone

Magnesium (470 mg) was suspended in tetrahydrofuran (2 ml) and cyclohexylmethyl bromide (3.4 g) was added dropwise at room temperature. After the addition, the reaction mixture was stirred for 30 min at 60°C. The reaction mixture was allowed to cool and diluted with tetrahydrofuran (5 ml). Separately, 4-benzyloxy-N-methoxy-N-methylbenzamide (3.4 g) obtained in the previous step was dissolved in tetrahydrofuran (10 ml) and the solution was added dropwise to the reaction mixture at room temperature. The mixture was stirred for 2 hr and saturated aqueous ammonium chloride solution was added to the reaction mixture. The mixture was extracted with diethyl ether. The organic layer was washed with saturated brine and dried over anhydrous magnesium sulfate, and the solvent was evaporated under reduced pressure. The residue was purified by silica gel flash chromatography (developing solvent, n-hexane:ethyl acetate = 9:1) to give the title compound (3.8 g, yield 66%).

^{1}H-NMR (300MHz, $CDCl_3$) : 7.93(2H, d, J=8.8Hz), 7.28-7.46(5H, m), 7.00(2H, d, J=8.8Hz), 5.13(2H, s), 2.76(2H, d, J=6.8Hz), 1.95(1H, m), 0.78-1.82(10H, m)

Step 3: Production of 1-(4-benzyloxyphenyl)-2-bromo-2-cyclohexylethanone

1-(4-Benzyloxyphenyl)-2-cyclohexylethanone (1.0 g) obtained in the previous step was dissolved in 1,4-dioxane (10 ml) and bromine (0.17 ml) was added. The mixture was stirred for 10 min at room temperature. Saturated aqueous sodium hydrogencarbonate was added to the reaction mixture and the mixture was extracted with diethyl ether. The organic layer was washed with water and saturated brine and dried over anhydrous magnesium sulfate, and the solvent was evaporated under reduced pressure. The residue was purified by silica gel flash chromatography (developing solvent, n-hexane:ethyl acetate = 9:1) to give the title compound (696 mg, yield 55%).

^{1}H-NMR (300MHz, $CDCl_3$) : 7.98(2H, d, J=8.9Hz), 7.28-7.48(5H, m), 7.02(2H, d, J=8.9Hz), 5.14(2H, s), 4.89(1H, d, J=9.3Hz), 0.86-3.30(11H, m)

Step 4: Production of ethyl 2-(4-benzyloxyphenyl)-3-cyclohexylimidazo[1,2-a]pyridine-7-carboxylate

Ethyl 2-aminopyridine-4-carboxylate (214 mg) prepared according to JP-A-8-48651, 1-(4-benzyloxyphenyl)-2-bromo-2-cyclohexylethanone (500 mg) obtained in the previous step and potassium carbonate (356 mg) were stirred for 5 hr with heating at 140°C. The reaction mixture was allowed to cool and chloroform was added. The insoluble materials were filtered off and the filtrate was concentrated under reduced pressure. The residue was purified by silica gel flash chromatography (developing solvent, n-hexane:ethyl acetate = 1:1) to give the title compound (95 mg, yield 16%).

APCI-MS: 455(MH+)

^{1}H-NMR (300MHz, $CDCl_3$) : 8.33(1H, s), 8.21(1H, d, J=7.5Hz), 7.55(2H, d, J=8.7Hz), 7.25-7.50(6H, m), 5.13(2H, s), 4.41(2H, q, J=7.1Hz), 3.25(1H, m), 1.41(3H, t, J=7.1Hz), 1.15-2.00(10H, m)

Example 602

Production of 2-(4-benzyloxyphenyl)-3-cyclohexylimidazo [1,2-a]pyridine-7-carboxylic acid

[0290] Ethyl 2-(4-benzyloxyphenyl)-3-cyclohexylimidazo[1,2-a]pyridine-7-carboxylate (95 mg) obtained in the previous step was treated in the same manner as in Example 2 to give the title compound (33 mg, 37%).

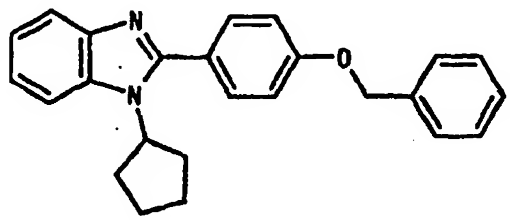
APCI-MS: 427(MH+)

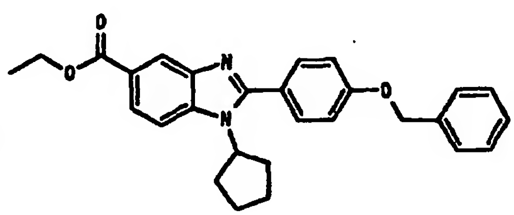
^{1}H-NMR (300MHz, DMSO-d_6): 8.67(1H, d, J=7.3Hz), 8.08(1H, s), 7.25-7.58(8H, m), 7.13(2H, d, J=8.7Hz), 5.17(2H, s), 3.23(1H, m), 1.25-2.10(10H, m)

[0291] The compounds shown in Tables 213 to 218 can be further obtained in the same manner as in Examples 1

to 701 or by other conventional method employed as necessary.

Table 1

Example No. 31	1H NMR(δ) ppm
	300MHz, CDCl3 7.81(2H, d, J=6.6Hz), 7.60(2H, d, J=8.8Hz), 7.51-7.21(8H, m), 7.11(2H, d, J=8.8Hz), 5.15(2H, s), 4.93(1H, quint, J=8.8Hz), 2.36-2.32(2H, m), 2.09-2.04(3H, m), 1.75-1.68(3H, m).
Purity >90% (NMR)	
MS 369(M+1)	

Example No. 32	1H NMR(δ) ppm
	300MHz, CDCl3 8.51(1H, d, J=1.5Hz), 7.98(1H, d, J=8.4Hz), 7.61(2H, d, J=8.7Hz), 7.56-7.10(6H, m), 7.12(2H, d, J=8.7Hz), 5.15(2H, s), 4.94(1H, quint, J=9.3Hz), 4.41(2H, q, J=7.5Hz), 2.40-1.50(8H, m), 1.41(3H, t, J=7.5Hz)
Purity >90% (NMR)	
MS 441(M+1)	

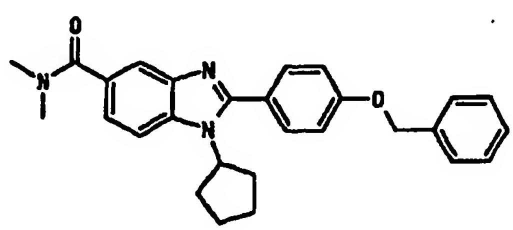
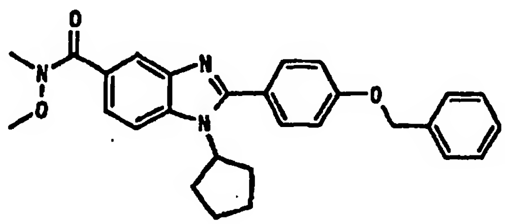
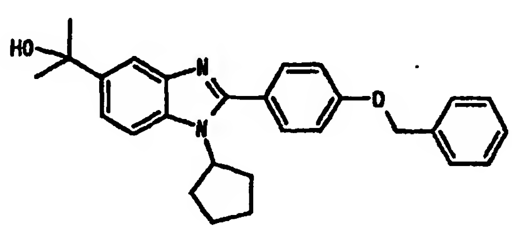
Example No. 33	1H NMR(δ) ppm
	300MHz, CDCl3 7.84(1H, s), 7.61(2H, d, J=9.0Hz), 7.58-7.30(7H, m), 7.12(2H, d, J=9.0Hz), 5.15(2H, s), 4.94(1H, quint, J=8.7Hz), 3.10(6H, brs), 2.40-1.50(8H, m)
Purity >90% (NMR)	
MS 440(M+1)	

Table 2

Example No.	34	1H NMR(δ) ppm
		300MHz, CDCl3 8.20(1H, s), 7.50-7.31(9H, m), 7.12(2H, d, J=8.7Hz), 5.15(2H, s), 4.94(1H, quint, J=8.7Hz), 3.61(3H, s), 3.40(3H, s), 2.41-1.42(8H, m)
Purity	>90% (NMR)	
MS	456(M+1)	

Example No.	35	1H NMR(δ) ppm
		300MHz, CDCl3 7.91(1H, s), 7.59(2H, d, J=8.7Hz), 7.49-7.30(7H, m), 7.11(2H, d, J=8.8Hz), 5.15(2H, s), 4.19(1H, quint, J=8.8Hz), 2.41-2.22(2H, m), 2.13-1.49(14H, m)
Purity	>90% (NMR)	
MS	427(M+1)	

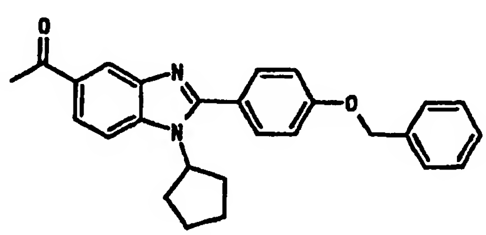
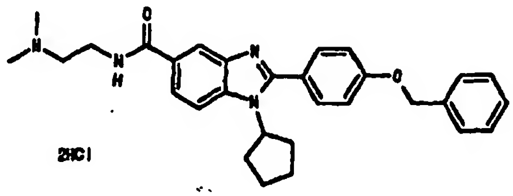
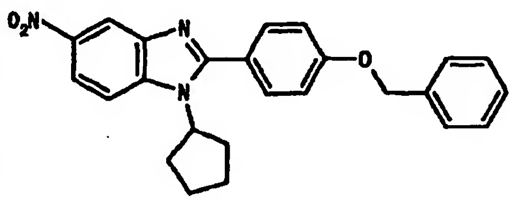
Example No.	36	1H NMR(δ) ppm
		300MHz, CDCl3 8.40(1H, d, J=1.4Hz), 7.95(1H, dd, J=8.6, 1.4Hz), 7.61(2H, d, J=8.7Hz), 7.57-7.30(6H, m), 7.13(2H, d, J=8.7Hz), 5.16(2H, s), 4.95(1H, quint, J=8.8Hz), 2.64(3H, s), 2.40-1.54(8H, m)
Purity	>90% (NMR)	
MS	411(M+1)	

Table 3

Example No.	37
	
Purity	>90% (NMR)
MS	483(M+1)
1H NMR(δ) ppm	300MHz, DMSO-d6 10.47(1H, brs,), 9.15(1H, brs), 8.40(1H, s), 8.07(1H, d, J=9.0Hz), 7.93(1H, d, J=8.7Hz), 7.77(2H, d, J=8.7Hz), 7.55-7.29(7H, m), 5.26(2H, s), 4.93(1H, quint, J=9.0Hz), 3.77-3.63(2H, m), 3.39-3.23(2H, m), 2.84(6H, d, J=4.8Hz), 2.32-1.60(8H, m)

Example No.	38
	
Purity	>90% (NMR)
MS	414(M+1)
1H NMR(δ) ppm	300MHz, CDCl3 8.69(1H, s), 8.19(1H, d, J=9.0Hz), 7.62(2H, d, J=8.7Hz), 7.54(1H, d, J=9.0Hz), 7.48-7.36(5H, m), 7.15(2H, d, J=8.7Hz), 5.17(2H, s), 4.98(1H, quint, J=9.0Hz), 2.27-2.07(6H, m), 1.82-1.78(2H, m).

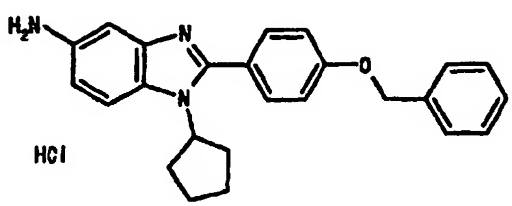
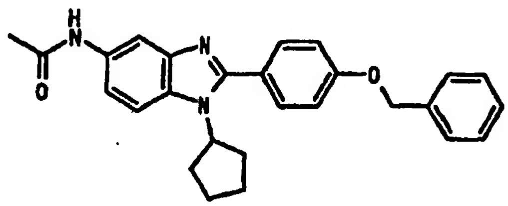
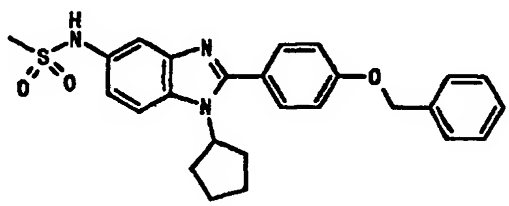
Example No.	39
	
Purity	>90% (NMR)
MS	384(M+1)
1H NMR(δ) ppm	300MHz, DMSO-d6 7.84(1H, d, J=9.0Hz), 7.79(2H, d, J=8.7Hz), 7.52-7.33(8H, m), 7.26(1H, d, J=9.0Hz), 5.27(2H, s), 4.92(1H, quint, J=9.3Hz), 2.19-1.70(8H, m).

Table 4

Example No. 40	1H NMR(δ) ppm
	300MHz, CDCl3 7.72(1H, s), 7.60-7.35(10H, m), 7.10(2H, d, J=8.7Hz), 5.14(2H, s), 4.90(1H, quint, J=8.8Hz), 2.29-2.19(2H, m), 2.19(3H, s), 2.19-1.74(6H, m).
Purity >90% (NMR)	
MS 426(M+1)	

Example No. 41	1H NMR(δ) ppm
	300MHz, CDCl3 7.66(1H, s), 7.61(2H, d, J=8.8Hz), 7.50-7.28(7H, m), 7.12(2H, d, J=8.8Hz), 6.86(1H, brs), 5.15(2H, s), 4.94(1H, quint, J=8.8Hz), 2.97(3H, s), 2.29-1.76(8H, m).
Purity >90% (NMR)	
MS 462(M+1)	

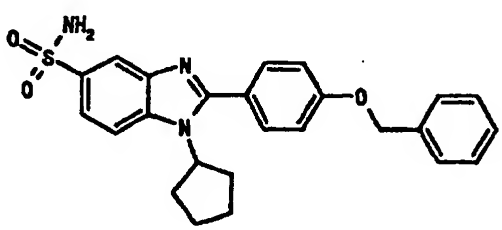
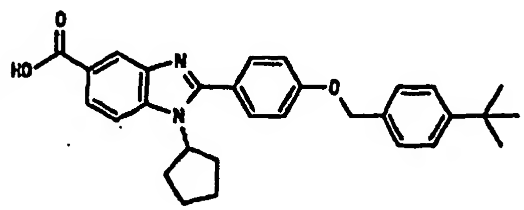
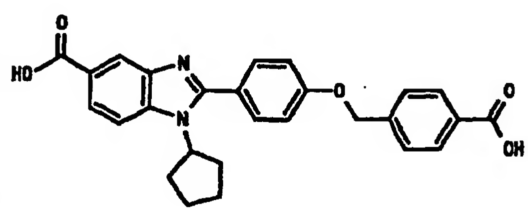
Example No. 42	1H NMR(δ) ppm
	300MHz, DMSO 8.11(1H, s), 7.81(1H, d, J=8.4Hz), 7.72(1H, d, J=8.4Hz), 7.65(2H, d, J=8.4Hz), 7.51(2H, m), 7.43(2H, m), 7.37(1H, m), 7.29(2H, s), 7.23(2H, d, J=8.4Hz), 5.22(2H, s), 4.89(1H, quintet, J=9.2Hz), 2.2-2.0(6H, m), 1.7(2H, m).
Purity >90% (NMR)	
MS 448(M+)	

Table 5

Example No. 43	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.33(1H, s), 8.08(1H, d, J=9.0Hz), 7.99(1H, d, J=9.0Hz), 7.47-7.41(4H, m), 7.33(2H, d, J=8.4Hz), 5.22(2H, s), 4.96(1H, quint, J=9.0Hz), 2.25-1.60(8H, m), 1.30(9H, s).
Purity >90% (NMR)	
MS 469(M+1)	

Example No. 44	1H NMR(δ) ppm
	300MHz, DMSO-d6 12.9(2H, brs), 8.25(1H, s), 8.00(2H, d, J=7.8Hz), 7.90(1H, d, J=8.4Hz), 7.74(1H, d, J=8.7Hz), 7.67(2H, d, J=9.0Hz), 7.62(2H, d, J=8.1Hz), 7.24(2H, d, J=8.4Hz), 5.32(2H, s), 4.88(1H, quint, J=9.0Hz, 2.25-1.60(8H, m).
Purity >90% (NMR)	
MS 457(M+1)	

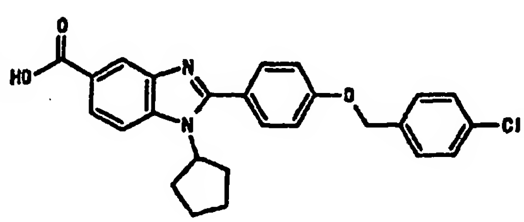
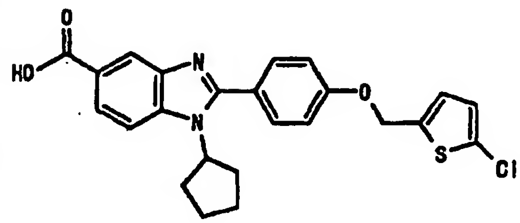
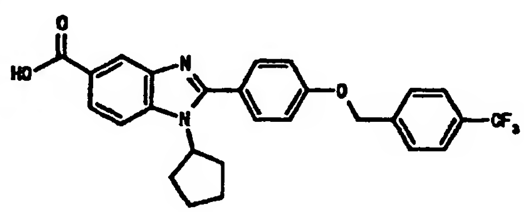
Example No. 45	1H NMR(δ) ppm
	300MHz, DMSO-d6 13.4(1H, brs), 8.32(1H, s), 8.06(1H, d, J=8.7Hz), 7.97(1H, d, J=8.7Hz), 7.79(2H, d, J=8.8Hz), 7.56-7.48(4H, m), 7.33(2H, d, J=8.8Hz), 5.27(2H, s), 4.95(1H, quint, J=8.9Hz), 2.30-1.60(8H, m).
Purity >90% (NMR)	
MS 447(M+1)	

Table 6

Example No. 46	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.33(1H, s), 8.07(1H, d, J=8.7Hz), 7.98(1H, d, J=8.7Hz), 7.80(2H, d, J=8.4Hz), 7.34(2H, d, 8.4Hz), 7.19(1H, d, J=3.6Hz), 7.09(1H, d, J=3.6Hz), 5.41(2H, s), 4.95(1H, quint, J=8.7Hz), 2.30-1.60(8H, m).
Purity >90% (NMR)	
MS 453(M+1)	

Example No. 47	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.33(1H, s), 8.07(1H, d, J=8.4Hz), 7.98(1H, d, J=9.0Hz), 7.82-7.72(6H, m), 7.35(2H, d, J=9.0Hz), 5.40(2H, s), 4.95(1H, quint, J=8.7Hz), 2.35-1.60(8H, m).
Purity >90% (NMR)	
MS 481(M+1)	

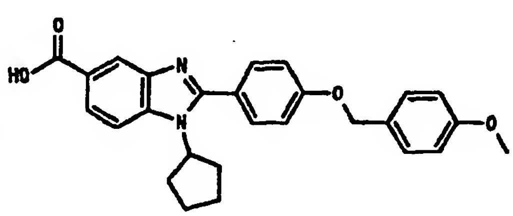
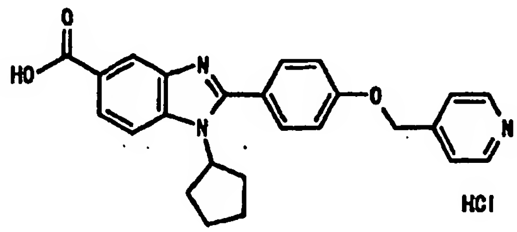
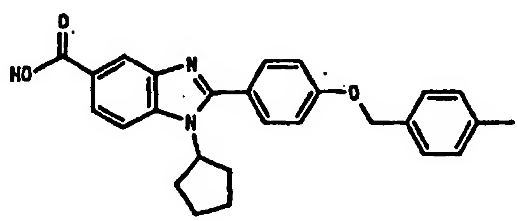
Example No. 48	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.23(1H, s), 7.88(1H, d, J=8.4Hz), 7.70(1H, d, J=8.4Hz), 7.64(2H, d, J=8.4Hz), 7, 43(2H, d, J=8.4Hz), 7.20(2H, d, J=8.4Hz), 6.98(2H, d, J=8.4Hz), 5.13(2H, s), 4.88(1H, quint, J=8.7Hz), 3.77(3H, s), 2.35-1.60(8H, m).
Purity >90% (NMR)	
MS 443(M+1)	

Table 7

Example No. 49	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.93(2H, d, J=6.6Hz), 8.35(1H, s), 8.06-8.04(3H, m), 7.97(1H, d, J=8.7Hz), 7.83(2H, d, J=8.7Hz), 7.38(2H, d, J=8.7Hz), 5.61(2H, s), 4.94(1H, quint, J=8.7Hz), 2.40-1.60(8H, m).
Purity >90% (NMR)	
MS 414(M+1)	

Example No. 50	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.33(1H, s), 8.08(1H, d, J=8.7Hz), 7.99(1H, d, J=9.0Hz), 7.78(2H, d, J=8.4Hz), 7.39(2H, d, J=8.1Hz), 7.32(2H, d, J=8.7Hz), 7.23(2H, d, J=7.8Hz), 5.22(2H, s), 4.96(1H, quint, J=9.0Hz), 2.32(3H, s), 2.30-1.60(8H, m).
Purity >90% (NMR)	
MS 427(M+1)	

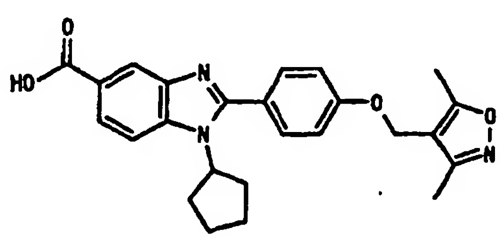
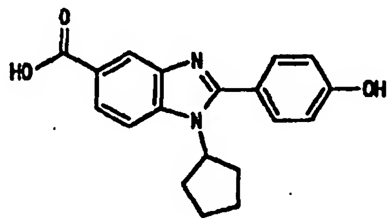
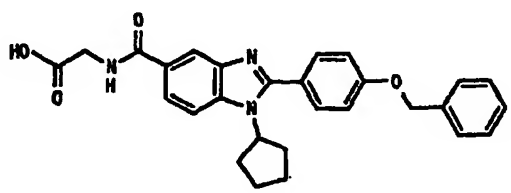
Example No. 51	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.31(1H, s), 8.03(1H, d, J=9.0Hz), 7.93(1H, d, J=9.0Hz), 7.77(2H, d, J=8.4Hz), 7.31(2H, d, J=8.7Hz), 5.07(2H, s), 4.94(1H, quint, J=8.7Hz), 2.45(3H, s), 2.26(3H, s), 2.26-1.60(8H, m).
Purity >90% (NMR)	
MS 432(M+1)	

Table 8

Example No. 52	1H NMR(δ) ppm
	300MHz, DMSO-d6 12.7(1H, brs), 10.0(1H, s), 8.22(1H, s), 7.87(1H, d, J=8.6Hz), 7.69(1H, d, J=8.6Hz), 7.53(2H, d, J=8.6Hz), 6.96(2H, d, J=8.6Hz), 4.89(1H, quint, J=9.0Hz), 2.30-1.60(8H, m).
Purity >90% (NMR)	
MS 323(M+1)	

Example No. 53	1H NMR(δ) ppm
	300MHz, DMSO-d6 9.18(1H, t, J=5.6Hz), 8.34(1H, s), 8.04(1H, d, J=9.6Hz), 7.98(1H, d, J=8.7Hz), 7.80(2H, d, J=8.7Hz), 7.52-7.32(7H, m), 5.27(2H, s), 4.95(1H, quint, J=9.0Hz), 3.99(2H, d, J=5.7Hz), 2.40-1.60(8H, m).
Purity >90% (NMR)	
MS 470(M+1)	

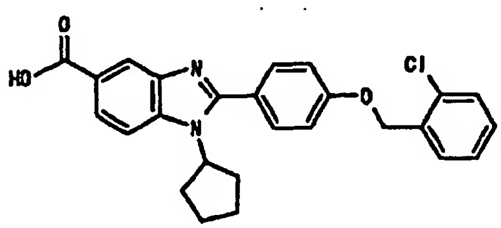
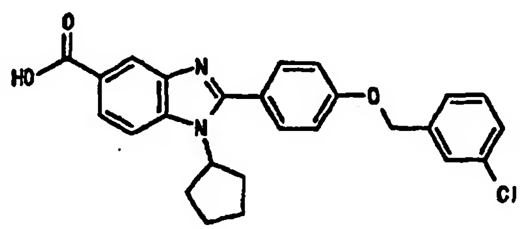
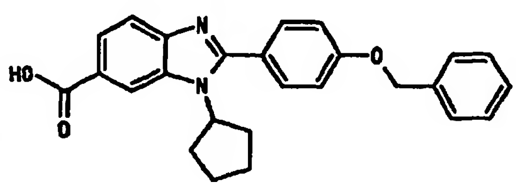
Example No. 54	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.32(1H, s), 8.05(1H, d, J=8.7Hz), 7.95(1H, d, J=8.7Hz), 7.80(2H, d, J=8.4Hz), 7.67(1H, t, J=4.5Hz), 7.56(1H, t, J=4.5Hz), 7.45-7.42(2H, m), 7.35(2H, d, J=8.4Hz), 5.31(2H, s), 4.96(1H, quint, J=9.0Hz), 2.30-1.60(8H, m).
Purity >90% (NMR)	
MS 447(M+1)	

Table 9

Example No. 55	1H NMR(δ) ppm
	300MHz, DMSO-d6 12. 78(1H, br s), 8. 24(1H, s), 7. 88and7. 72(2H, ABq, J=8. 6Hz), 7. 66and7. 23(4H, A' B' q, J=8. 6Hz), 7. 58(1H, s), 7. 48-7. 42(3H, m), 5. 24(1H, s), 4. 88(1H, quint, J=8. 8Hz), 2. 30-1. 91(6H, m), 1. 78-1. 60(2H, m)
Purity >90% (NMR)	
MS 447(M+1)	

Example No. 56	1H NMR(δ) ppm
	300MHz, DMSO 12. 89(1H, broad), 8. 18(1H, s), 7. 87(1H, d, J=8. 4Hz), 7. 74(1H, d, J=9. 2Hz), 7. 67(2H, d, J=8. 8Hz), 7. 52(2H, m), 7. 45(2H, m), 7. 38(1H, m), 7. 23(2H, d, J=8. 8Hz), 5. 22(2H, s), 4. 94(1H, quintet, J=8. 9Hz), 2. 16(4H, m), 1. 98(2H, m), 1. 73(2H, m).
Purity >90% (NMR)	
MS 413(M+)	

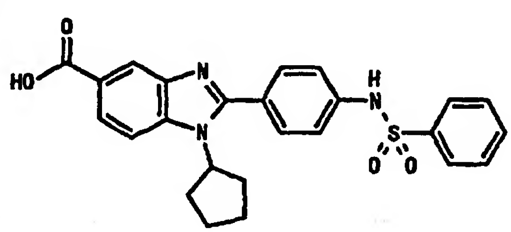
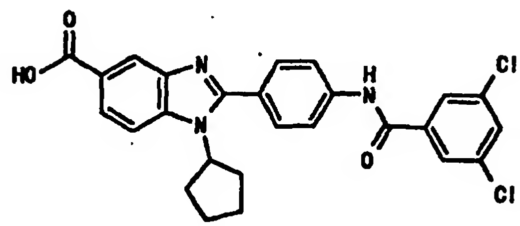
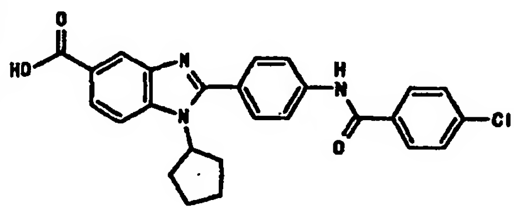
Example No. 57	1H NMR(δ) ppm
	300MHz, DMSO-d6 10. 99(1H, s), 8. 26(1H, s), 8. 01-7. 86(4H, m), 7. 69-7. 59(5H, m), 7. 38(2H, d, J=8. 7Hz), 4. 86(1H, quint, J=8. 7Hz), 2. 12-1. 90(6H, m), 1. 72-1. 59(2H, m)
Purity >90% (NMR)	
MS 462(M+1)	

Table 10

Example No. 58	1H NMR(δ) ppm
	300MHz, DMSO-d6 12.78(1H. s), 10.69(1H, s), 8.26-7.72(9H, m), 4.92(1H, quint, J=9.0Hz), 2.34-1.70 (6H, m), 1.75-1.61(2H, m)
Purity >90% (NMR)	
MS 494(M+1)	

Example No. 59	1H NMR(δ) ppm
	300MHz, DMSO-d6 10.82(1H, s), 8.34(1H, s), 8.14and7.84(4H, ABq, J=8.4Hz), 8.06and7.66(4H, A'B'q, J=8.6Hz), 8.06-7.98(4H, m), 5.01(1H, quint, J=9.3Hz), 2.35-2.15(4H, m), 2.11-1.96(2H, m), 1.80-1.62(2H, m)
Purity >90% (NMR)	
MS 460(M+1)	

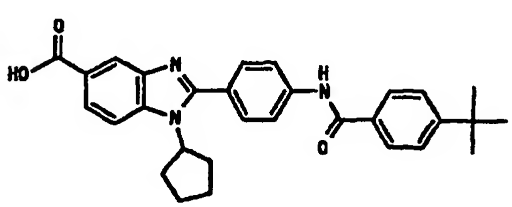
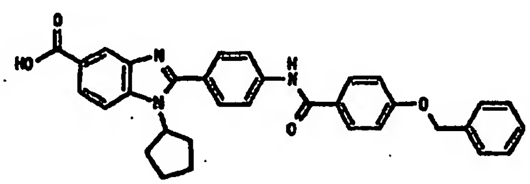
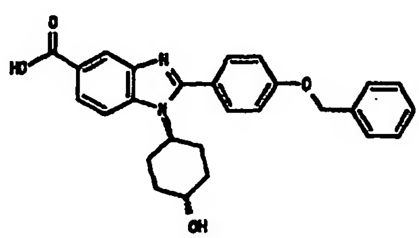
Example No. 60	1H NMR(δ) ppm
	300MHz, DMSO-d6 10.61(1H, s), 8.32(1H, s), 8.12and7.81(4H, ABq, J=8.9Hz), 8.03and7.93(2H, A'B'q, J=8.7Hz), 7.95and7.59(4H, A"B"q, J=8.4Hz), 4.99(1H, quint, J=9.0Hz), 2.33-2.12(4H, m), 2.10-1.93(2H, m), 1.80-1.63(2H, m), 1.34(9H, m)
Purity >90% (NMR)	
MS 482(M+1)	

Table 11

Example No. 61	1H NMR(δ) ppm
	300MHz, DMSO-d6 10.6(1H, s), 8.34(1H, s), 8.13(2H, d, J=8.7Hz), 8.09-7.98(4H, m), 7.82(2H, d, J=8.7Hz), 7.50-7.35(5H, m), 7.20-7.17(2H, d, J=9.0Hz), 5.24(2H, s), 5.01(1H, quint, J=9.3Hz), 2.40-1.60(8H, m).
Purity >90% (NMR)	
MS 532(M+1)	

Example No. 62	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.32(1H, s), 8.26(1H, d, J=8.7Hz), 8.04(1H, d, J=8.7Hz), 7.77(2H, d, J=8.4Hz), 7.52(2H, d, J=6.9Hz), 7.46-7.39(5H, m), 5.28(2H, s), 4.38(1H, m), 3.71(1H, m), 2.60-2.15(2H, m), 2.04-1.96(4H, m), 1.30-1.20(2H, m).
Purity >90% (NMR)	
MS 443(m+1)	

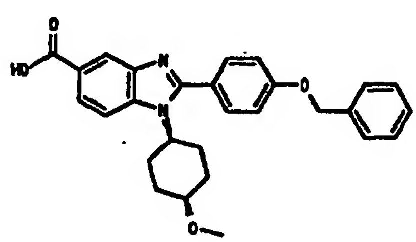
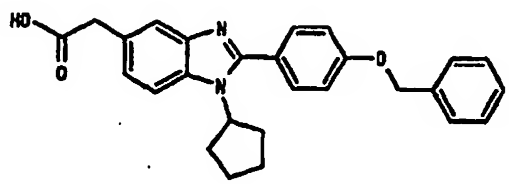
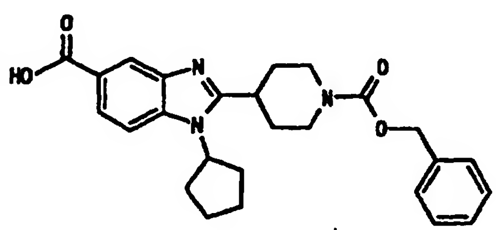
Example No. 63	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.27(1H, s), 8.14(1H, d, J=8.7Hz), 7.96(1H, d, J=8.4Hz), 7.71(2H, d, J=9.0Hz), 7.51(2H, d, J=6.9Hz), 7.46-7.37(3H, m), 7.30(2H, d, J=8.4Hz), 5.25(3H, s), 4.39(1H, m), 3.44(1H, m), 3.27(3H, s), 2.60-1.95(6H, m), 1.25-1.05(2H, m).
Purity 約90% (NMR)	
MS 457(M+1)	

Table 12

Example No. 64	1H NMR(δ) ppm
	300MHz, DMSO-d6 12. 25(1H, brs), 7. 70-7. 30(9H, m), 7. 20(2H, d, J=8. 7Hz), 7. 14(1H, d, J=8. 4Hz), 5. 20(2H, s), 4. 84(1H, quint, J=6. 0Hz), 3. 66(2H, s), 2. 30-1. 51(8H, m)
Purity >90% (NMR)	
MS 427(M+1)	

Example No. 65	1H NMR(δ) ppm
	300MHz, DMSO-d6 12. 64(1H, brs), 8. 13(1H, s), 7. 80(1H, d, J=7. 2Hz), 7. 59(1H, d, J=8. 7Hz), 7. 48-7. 30(5H, m), 5. 11(2H, s), 5. 03(1H, quint, J=8. 7Hz), 4. 20-4. 05(2H, m), 3. 45-3. 90(3H, m), 2. 15-1. 60(12H, m)
Purity >90% (NMR)	
MS 448(M+1)	

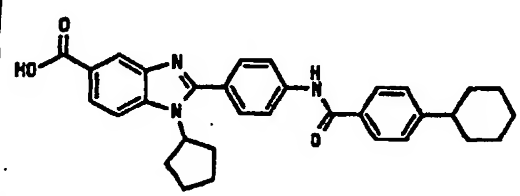
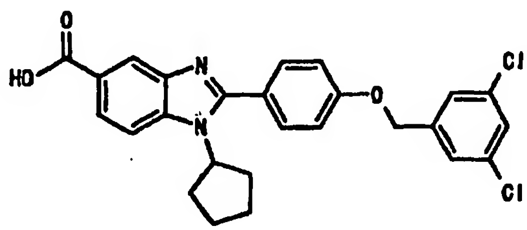
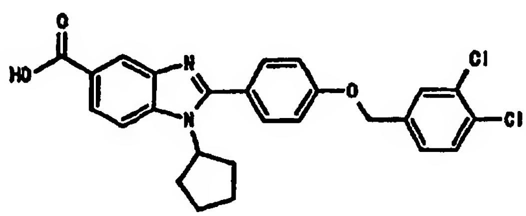
Example No. 66	1H NMR(δ) ppm
	300MHz, DMSO-d6 10. 59(1H, s), 8. 31(1H, s), 8. 10(2H, d, J=8. 6Hz), 8. 03(1H, d, J=8. 7Hz), 8. 00-7. 85(3H, m), 7. 80(2H, d, J=8. 6Hz), 7. 41(2H, d, J=8. 2Hz), 4. 98(1H, quint, J=8. 8Hz), 2. 71-1. 10(19H, m)
Purity >90% (NMR)	
MS 508(M+1)	

Table 13

Example No. 67	1H NMR(δ) ppm
	300MHz, DMSO-d6 12. 81 (1H, brs), 8. 42 (1H, s), 7. 90 (1H, d, J=8. 5Hz), 7. 80-7. 52 (6H, m), 7. 44 (2H, d, J=8. 6Hz), 5. 25 (2H, s), 4. 88 (1H, quimt, J=8. 8Hz), 2. 30-1. 52 (8H, m)
Purity >90% (NMR)	
MS 481 (M+1)	

Example No. 68	1H NMR(δ) ppm
	300MHz, DMSO-d6 8. 31 (1H, d, J=1. 4Hz), 8. 05 (1H, d, J=8. 6Hz), 7. 96 (1H, d, J=8. 6Hz), 8. 86-8. 61 (4H, m), 7. 51 (1H, d, J=6. 3Hz), 7. 33 (2H, d, J=8. 8Hz), 5. 28 (2H, s), 4. 94 (1H, quint, J=8. 8Hz), 2. 31-1. 60 (8H, m)
Purity >90% (NMR)	
MS 481 (M+1)	

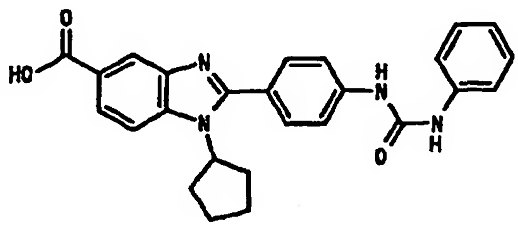
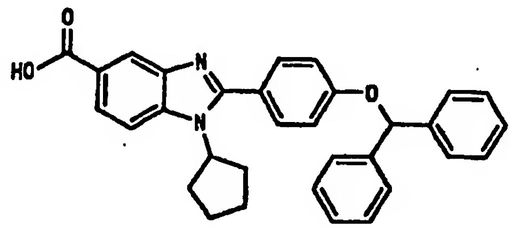
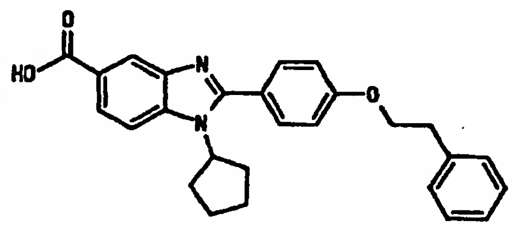
Example No. 69	1H NMR(δ) ppm
	300MHz, DMSO-d6 9. 88 (1H, s), 9. 42 (1H, s), 8. 32 (1H, s), 8. 09and8. 02 (2H, ABq, J=9. 0Hz), 7. 81and7. 78 (4H, A'B'q, J=9. 2Hz), 7. 50 (2H, d, J=7. 8Hz), 7. 31 (2H, t, J=7. 8Hz), 7. 00 (1H, t, J=7. 8Hz), 5. 03 (1H, quint, J=8. 7Hz), 2. 34-2. 17 (4H, m), 2. 13-1. 96 (2H, m), 1. 83-1. 64 (2H, m)
Purity >90% (NMR)	
MS 441 (M+1)	

Table 14

Example No. 70	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.27(1H, d, J=1.2Hz), 8.04(1H, d, J=8.7Hz), 7.94(1H, d, J=8.7Hz), 7.72(2H, d, J=8.7Hz), 7.60-7.20(12H, m) 6.74(1H, s), 4.92(1H, quint, J=8.9Hz), 2.30-1.58(8H, m)
Purity >90% (NMR)	
MS 489(M+1)	

Example No. 71	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.31(1H, s), 8.05(1H, d, J=8.7Hz), 7.97(1H, d, J=8.7Hz), 7.76(2H, d, J=8.6Hz), 7.44-7.19(7H. m), 4.94(1H, quint, J=8.8Hz), 4.35(2H, t, J=6.7Hz), 3.10(2H, t, J=6.7Hz), 2.32-1.60(8H, m)
Purity >90% (NMR)	
MS 427(M+1)	

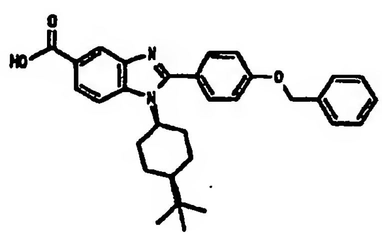
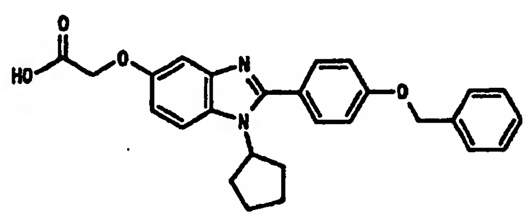
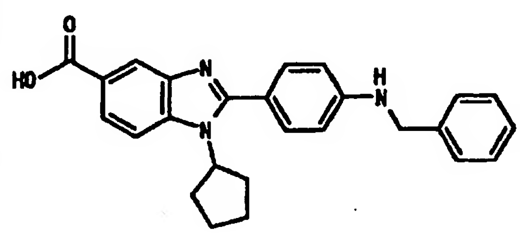
Example No. 72	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.30(1H, s), 8.25(1H, d, J=8.7Hz), 8.03(1H, d, J=9.0Hz), 7.75(2H, d, J=8.7Hz), 7.51(2H, d, J=7.2Hz), 7.46-7.33(5H, m), 5.27(2H, s), 4.36(1H, m), 2.50-2.25(2H, m), 2.15-2.00(2H, m), 1.95-1.85(2H, m), 1.35(1H, m), 1.20-1.10(2H, m), 0.87(9H, s).
Purity >90% (NMR)	
MS 483(M+1)	

Table 15

Example No. 73	1H NMR(δ) ppm
	300MHz, DMSO-d6 7.59(2H, d, J=8.4Hz), 7.52-7.35(6H, m), 7.20(2H, d, J=8.7Hz), 7.14(1H, d, J=2.1Hz), 6.90(1H, dd, J=9.0, 2.4Hz), 5.21(2H, s), 4.83(1H, quint, J=8.7Hz), 4.70(2H, s), 2.30-1.90(6H, m), 1.75-1.55(2H, m).
Purity >90% (NMR)	
MS 443(M+1)	

Example No. 74	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.27(1H, s), 8.06and7.97(2H, ABq, J=8.7Hz), 7.57and6.86(4H, A'B'q, J=8.9Hz), 7.42-7.26(5H, m), 5.04(1H, quint, J=9.0Hz), 4.42(2H, s), 2.32-1.94(6H, m), 1.80-1.62(2H, m)
Purity >90% (NMR)	
MS 412(M+1)	

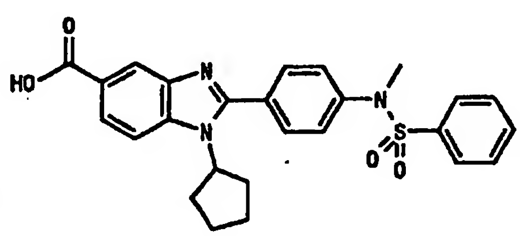
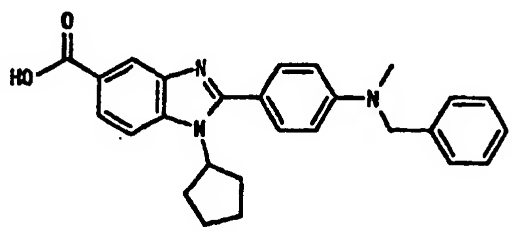
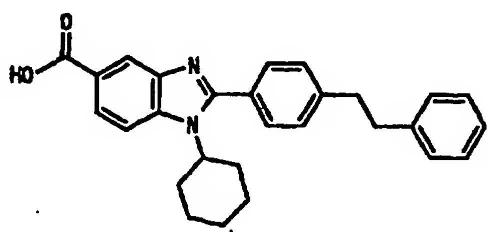
Example No. 75	1H NMR(δ) ppm
	300MHz, DMSO-d6 12.80(1H, s), 8.26(1H, s), 7.90(1H, d, J=9.2Hz), 7.76-7.60(8H, m), 7.35(2H, d, J=8.4Hz), 4.84(1H, quint, J=8.8Hz), 3.23(3H, s), 2.32-1.90(6H, m), 1.78-1.61(2H, m)
Purity >90% (NMR)	
MS 476(M+1)	

Table 16

Example No. 76	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.29(1H, s), 8.07and7.49(2H, ABq, J=8.7Hz), 7.66and7.00(4H, A'B'q, J=7.7Hz), 7.39-7.24(5H, m), 5.05(1H, quint, J=8.8Hz), 4.76(2H, s), 3.21(3H, s), 2.35-1.92(6H, m), 1.81-1.62(2H, m)
Purity >90% (NMR)	
MS 426(M+1)	

Example No. 77	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.21(1H, s), 7.87(1H, s), 7.56and7.43(4H, ABq, J=8.1Hz), 7.34-7.16(5H, m), 4.25(1h, brt, J=12.5Hz), 3.06-2.92(4H, m), 2.41-2.17(2H, m), 1.96-1.77(4H, m), 1.72-1.58(1H, m), 1.48-1.15(3H, m)
Purity >90% (NMR)	
MS 425(M+1)	

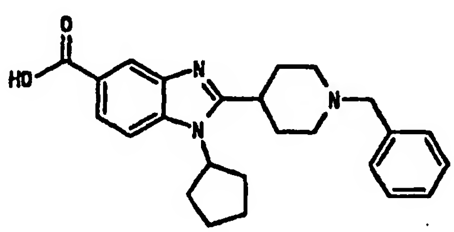
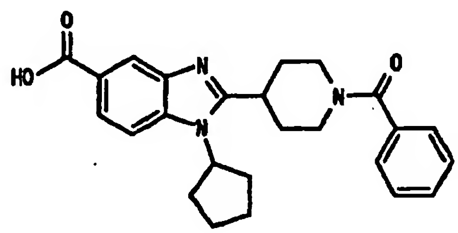
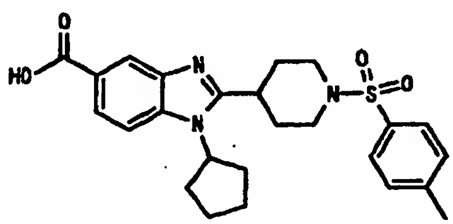
Example No. 78	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.14(1H, s), 7.79(1H, d, J=9.0Hz), 7.57(1H, d, J=8.7Hz), 7.40-7.20(5H, m), 4.89(1H, quint, J=8.7Hz), 3.54(2H, s), 3.19-2.90(3H, m), 2.23-1.69(14H, m)
Purity >90% (NMR)	
MS 404(M+1)	

Table 17

Example No. 79	1H NMR(δ) ppm
	300MHz, DMSO-d6 8. 15(1H, s), 7. 81(1H, d, J=8. 4Hz), 7. 59(1H, d, J=9. 0Hz), 7. 50-7. 38(5H, m), 5. 05(1H, quint, J=9. 0Hz), 3. 85-2. 95(3H, m), 2. 20-1. 65(14H, m)
Purity >90% (NMR)	
MS 418(M+1)	

Example No. 80	1H NMR(δ) ppm
	300MHz, DMSO-d6 8. 17(1H, m), 7. 84(1H, d, J=8. 4Hz), 7. 78-7. 62(3H, m), 7. 49(2H, d, J=8. 1Hz), 5. 05-4. 91(1H, m), 3. 80-3. 70(2H, m), 3. 30-3. 12(1H, m), 2. 48-2. 31(5H, m), 2. 15-1. 60(12H, m)
Purity >90% (NMR)	
MS 468(M+1)	

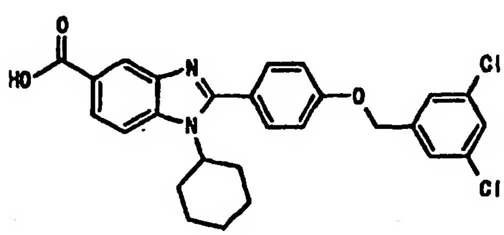
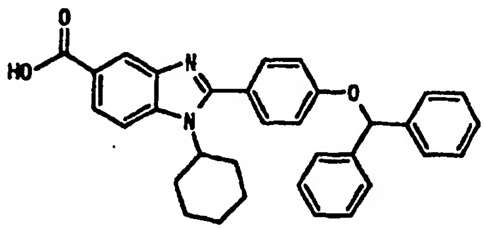
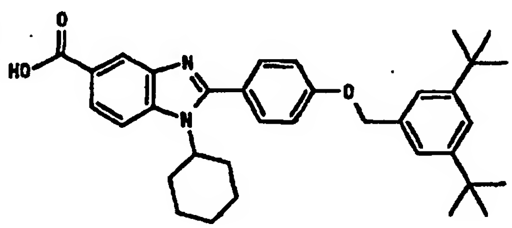
Example No. 81	1H NMR(δ) ppm
	300MHz, DMSO-d6 12. 75(1H, brs), 8. 21(1H, d, J=1. 4Hz), 7. 49(1H, d, J=8. 6Hz), 7. 85(1H, dd, J=8. 6, 1. 4Hz), 7. 70-7. 55(5H, m), 7. 23(2H, d, J=8. 7Hz), 5. 25(2H, s), 4. 36-4. 15(1H, m), 2. 39-2. 18(2H, m), 2. 00-1. 78(4H, m), 1. 70-1. 57(1H, m), 1. 48-1. 15(3H, m)
Purity >90% (NMR)	
MS 495(M+1)	

Table 18

Example No. 82	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.27(1H, s), 8.22(1H, d, J=8.7Hz), 8.02(1H, d, J=8.7Hz), 7.69(2H, d, J=8.7Hz), 7.60-7.50(4H, m), 7.45-7.25(8H, m), 6.75(1H, s), 4.21-4.23(1H, m), 2.39-2.18(2H, m), 2.10-1.78(4H, m), 1.70-1.15(4H, m)
Purity >90% (NMR)	
MS 503(M+1)	

Example No. 83	1H NMR(δ) ppm
	300MHz, DMSO-d6 13.2(1H, brs), 8.30(1H, s), 8.23(1H, d, J=8.8Hz), 8.02(1H, d, J=8.7Hz), 7.74(2H, d, J=8.6Hz), 7.40-7.33(5H, m), 5.22(2H, s), 4.36(1H, m), 2.50-1.40(10H, m), 1.31(18H, s).
Purity >90% (NMR)	
MS 539(M+1)	

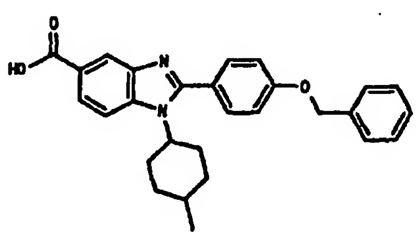
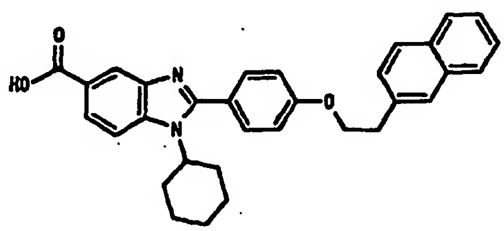
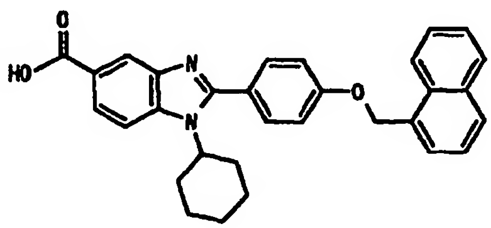
Example No. 84	1H NMR(δ) ppm
	mixture of isomers(cis:trans=3:1) 300MHz, DMSO-d6 8.30(1H, s), 8.20-7.95(2H, m), 7.72(2H, d, J=8.4Hz), 7.52-7.29(7H, m), 5.25(2H, s), 4.34, 3.40(1H, m), 2.50-2.20(2H, m), 2.05-1.50(6H, m), 1.14, 0.90(3H, d, J=6.9, 6.3Hz), 1.09(1H, m).
Purity >90% (NMR)	
MS 441(M+1)	

Table 19

Example No. 85	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.25(1H, s), 8.14-7.83(6H, m), 7.77-7.44(5H, m), 7.21(2H, d, J=7.8Hz), 4.44(2H, brt), 4.31(1H, brt), 3.56(2H, brt), 2.20-2.16(2H, m), 2.00-1.74(4H, m), 1.70-1.55(1H, m), 1.45-1.14(3H, m)
Purity >90% (NMR)	
MS 491(M+1)	

Example No. 86	1H NMR(δ) ppm
	300MHz, DMSO-d6 12.75(1H, s), 8.23(1H, s), 8.15(1H, d, J=7.6Hz), 8.02-7.53(10H, m), 7.32(2H, d, J=8.7Hz), 5.68(2H, s), 4.32(1H, brt, J=12.2Hz), 2.41-2.20(2H, m), 2.01-1.78(4H, m), 1.71-1.56(1H, m), 1.50-1.16(3H, m)
Purity >90% (NMR)	
MS 477(M+1)	

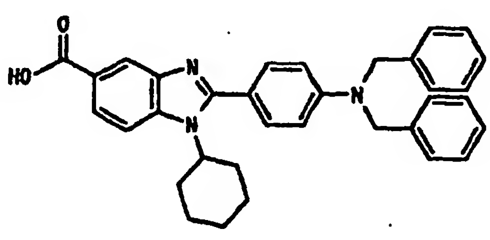
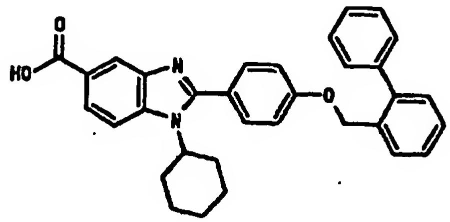
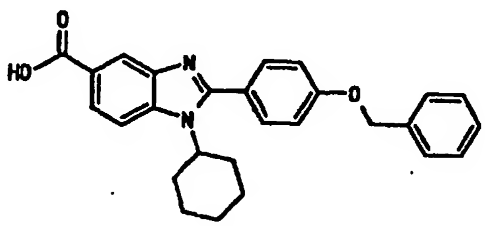
Example No. 87	1H NMR(δ) ppm
	300MHz, DMSO-d6 12.75(1H, brs), 8.16(1H, s), 7.91and7.82(2H, ABq, J=8.5Hz), 7.44and6.86(4H, A'B'q, J=8.6Hz), 7.39-7.26(10H, m), 4.82(2H, s), 4.35(1H, brt, J=12.2Hz), 2.35-2.16(2H, m), 1.97-1.75(4H, m), 1.69-1.56(1H, m), 1.45-1.16(3H, m)
Purity >90% (NMR)	
MS 516(M+1)	

Table 20

Example No. 88	1H NMR(δ) ppm
	300MHz, DMSO-d6 8. 31(1H, s), 8. 26and8. 06(2H, ABq, J=8. 9Hz), 7. 73and7. 22(4H, A'B' q, J=8. 7Hz), 7. 50-7. 36(8H, m), 5. 10(2H, s), 4. 37(1H, brt, J=12. 2Hz), 2. 38-2. 28(2H, m), 2. 10-1. 80(4H, m), 1. 70-1. 56(1H, m), 1. 50-1. 20(3H, m)
Purity >90% (NMR)	
MS 503(M+1)	

Example No. 89	1H NMR(δ) ppm
	
Purity 91% (HPLC)	
MS 427(M+1)	

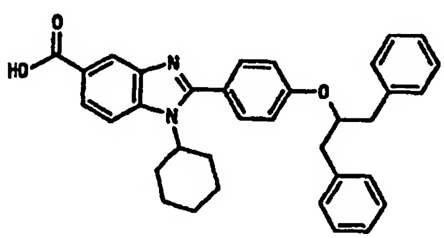
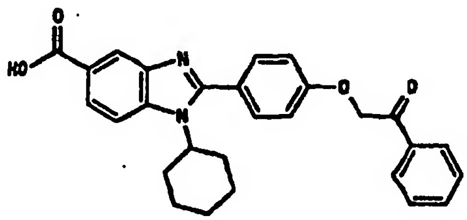
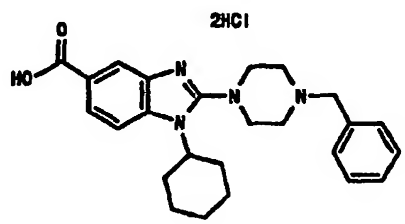
Example No. 90	1H NMR(δ) ppm
	300MHz, DMSO-d6 8. 40-8. 20(2H, m), 8. 04(1H, d, J=8. 4Hz), 7. 65(2H, d, J=8. 4Hz), 7. 50-7. 10(12H, m), 5. 08(1H, m), 4. 33(1H, m), 3. 00(4H, m), 2. 50-1. 10(10H, m)
Purity >90% (NMR)	
MS 531(M+1)	

Table 21

Example No. 91	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.31(1H, s), 8.27(1H, d, J=8.7Hz), 8.08-8.03(3H, m), 7.77-7.58(5H, m), 7.31(2H, d, J=8.7Hz), 5.81(2H, s), 4.40(1H, m), 2.50-1.20(10H, m).
Purity 約90% (NMR)	
MS 455(M+1)	

Example No. 92	1H NMR(δ) ppm
	300MHz, DMSO-d6 11.8(1H, brs), 8.07(1H, s), 7.89(1H, d, J=8.7Hz), 7.84(1H, d, J=8.4Hz), 7.69(2H, m), 7.48(3H, m), 4.42(2H, s), 4.11(1H, m), 3.73(4H, m), 3.40(4H, m), 2.40-1.40(10H, m).
Purity >90% (NMR)	
MS 419(M+1)	

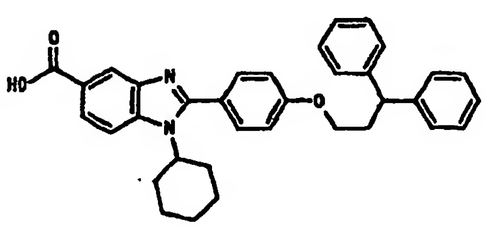
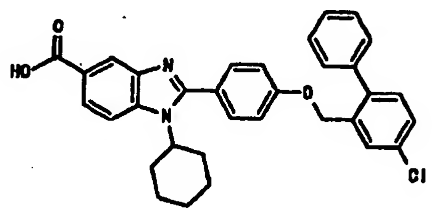
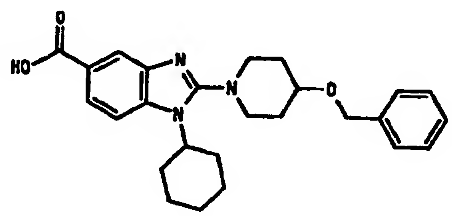
Example No. 93	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.32(1H, s), 8.28(1H, d, J=8.9Hz), 8.05(1H, d, J=8.7Hz), 7.72(2H, d, J=8.7Hz), 7.38(4H, d, J=7.2Hz), 7.31(4H, t, J=7.3Hz), 7.21-7.17(4H, m), 4.37(1H, m), 4.26(1H, t, J=7.9Hz), 4.01(2H, t, J=6.2Hz), 2.57(2H, m), 2.50-2.20(2H, m), 2.10-2.00(2H, m), 2.00-1.75(2H, m), 1.75-1.55(1H, m), 1.55-1.20(3H, m).
Purity >90% (NMR)	
MS 531(M+1)	

Table 22

Example No. 94	1H NMR(δ) ppm
	300MHz, DMSO-d6 8. 32(1H, s), 8. 27(1H, d, J=9. 0Hz), 8. 05(1H, d, J=8. 7Hz), 7. 75-7. 70(3H, m), 7. 56(1H, d, J=8. 4Hz), 7. 55-7. 35(6H, m), 7. 22(2H, d, J=8. 7Hz), 5. 11(2H, s), 4. 36(1H, m), 2. 40-2. 15(2H, m), 2. 15-1. 95(2H, m), 1. 95-1. 75(2H, m), 1. 75-1. 55(1H, m), 1. 55-1. 20(3H, m).
Purity >90% (NMR)	
MS 537(M+1)	

Example No. 95	1H NMR(δ) ppm
	300Hz, DMSO-d6 12. 9(1H, brs), 8. 02(1H, s), 7. 82(2H, m), 7. 40-7. 25(5H, m), 4. 58(2H, s), 4. 09(1H, m), 3. 71(1H, m), 3. 49(2H, m), 3. 21(2H, m), 2. 35-1. 30(14H, m).
Purity >90% (NMR)	
MS 434(M+1)	

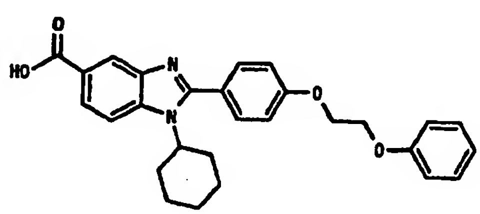
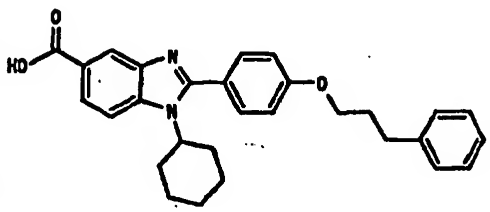
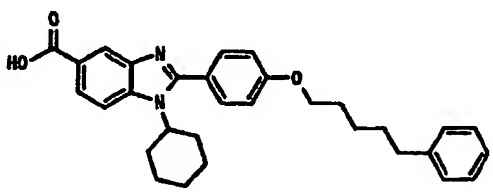
Example No. 96	1H NMR(δ) ppm
	300MHz, DMSO-d6 8. 31(1H, d, J=1. 3Hz), 8. 27(1H, d, J=8. 8Hz), 8. 05(1H, d, J=8. 8Hz), 7. 76(2H, d, J=8. 7Hz), 7. 40-7. 25(4H, m), 7. 06-6. 90(3H, m), 4. 53-4. 26(5H, m), 2. 40-2. 18(2H, m), 2. 12-1. 56(5H, m), 1. 50-1. 19(3H, m)
Purity >90% (NMR)	
MS 457(M+1)	

Table 23

Example No. 97	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.32(1H, d, J=1.3Hz), 8.29(1H, d, J=8.8Hz), 8.05(1H, dd, J=8.8, 1.3Hz), 8.42(2H, d, J=8.8Hz), 7.37-7.16(7H, m), 4.48-4.30(1H, m), 4.12(2H, t, J=6.2Hz), 2.83-2.70(2H, m), 2.40-1.50(9H, m), 1.59-1.19(3H, m)
Purity >90% (NMR)	
MS 455(M+1)	

Example No. 98	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.28(1H, d, J=1.3Hz), 8.21(1H, d, J=8.8Hz), 8.01(1H, d, J=10.1Hz), 7.70(2H, d, J=8.7Hz), 7.33-7.12(7H, m), 4.44-4.28(1H, m), 4.10(2H, t, J=6.3Hz), 2.62(2H, t, J=7.4Hz), 2.39-2.15(2H, m), 2.10-1.18(14H, m)
Purity >90% (NMR)	
MS 483(M+1)	

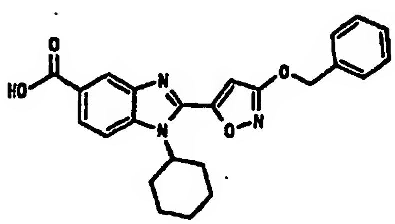
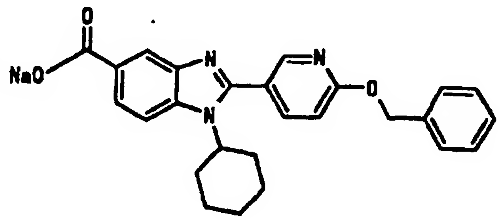
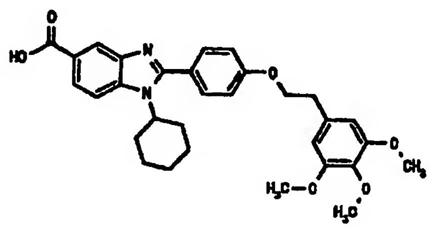
Example No. 99	1H NMR(δ) ppm
	300MHz, DMSO-d6 12.93(1H, brs), 8.30(1H, d, J=1.4Hz), 8.04(1H, d, J=8.7Hz), 7.92(1H, dd, J=8.7, 1.4Hz), 7.59-7.34(5H, m), 7.07(1H, s), 5.38(2H, s), 4.78-4.60(1H, m), 2.32-2.14(2H, m), 2.03-1.28(8H, m)
Purity >90% (NMR)	
MS 418(M+1)	

Table 24

Example No. 100	1H NMR(δ) ppm
	300MHz, DMSO-d6 8. 46 (1H, d, J=2. 1Hz), 8. 16 (1H, s), 8. 00 (1H, dd, J=8. 5, 2. 1Hz), 7. 87 (1H, d, J=8. 5Hz), 7. 68 (1H, d, J=8. 5Hz), 7. 55-7. 30 (5H, m), 7. 08 (1H, d, J=8. 5Hz), 5. 45 (2H, s), 4. 25-4. 08 (1H, m), 2. 39-2. 18 (2H, m), 2. 00-1. 75 (4H, m), 1. 70-1. 55 (1H. m), 1. 45-1. 19 (3H, m)
Purity >90% (NMR)	
MS 427 (M+1)	

Example No. 101	1H NMR(δ) ppm
	300MHz, DMSO-d6 8. 33 (1H, s), 8. 31 (1H, d, J=6. 9Hz), 8. 06 (1H, d, J=8. 4Hz), 7. 76and7. 29 (4H, ABq, J=8. 9Hz), 6. 68 (2H, s), 4. 37 (1H, m), 4. 35 (2H, t, J=7. 0Hz), 3. 79 (6H, s), 3. 63 (3H, s), 3. 04 (2H, t, J=6. 9Hz), 2. 30 (2H, m), 2. 04 (2H, m), 1. 86 (2H, m), 1. 65 (1H, m), 1. 50-1. 15 (3H, m)
Purity >90% (NMR)	
MS 531 (M+1)	

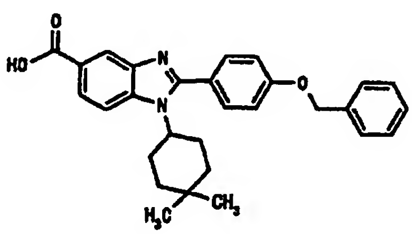
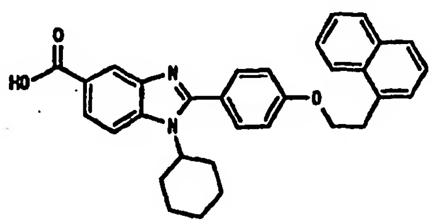
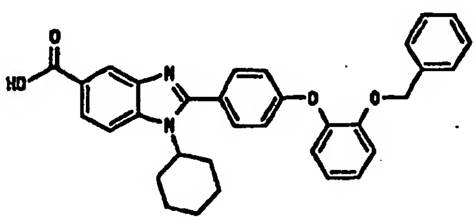
Example No. 102	1H NMR(δ) ppm
	300MHz, DMSO-d6 12. 88 (1H, s), 8. 34 (1H, s), 7. 86 (1H, d, J=8. 5Hz), 7. 73 (1H, d, J=8. 5Hz), 7. 63and7. 23 (4H, ABq, J=8. 7Hz), 7. 52-7. 35 (5H, m), 5. 22 (2H, s), 4. 31 (1H, m), 2. 39 (2H, m), 1. 79 (2H, m), 1. 53 (2H, m), 1. 31 (2H, m), 1. 11 (3H, s), 0. 95 (3H, s)
Purity >90% (NMR)	
MS 455 (M+1)	

Table 25

Example No. 103	1H NMR(δ) ppm
	300MHz, DMSO-d6 12.79(1H, brs), 8.22(2H, s), 8.02-7.78(4H, m), 7.63-7.42(6H, m), 7.20-7.09(2H, m), 4.43(2H, s), 4.27(1H, brt, J=12.2Hz), 3.59(2H, s), 2.39-2.15(2H, m), 1.98-1.72(4H, m), 1.68-1.59(1H, m), 1.43-1.12(3H, m)
Purity >90% (NMR)	
MS 491(M+1)	

Example No. 104	1H NMR(δ) ppm
	300MHz, DMSO-d6 12.75(1H, s), 8.23(1H, s), 7.94and7.86(2H, ABq, J=8.6Hz), 7.64and7.05(4H, A'B'q, J=8.7Hz), 7.32-7.09(9H, m), 5.13(2H, s), 4.28(1H, brt, J=12.2Hz), 2.36-2.19(2H, m), 1.95-1.77(4H, m), 1.66-1.56(1H, m), 1.46-1.10(3H, m)
Purity >90% (NMR)	
MS 519(M+1)	

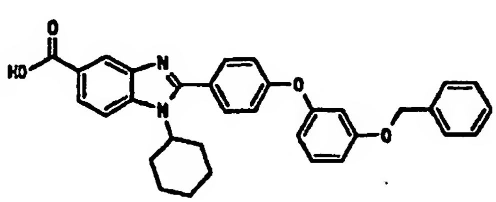
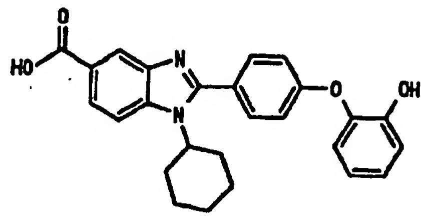
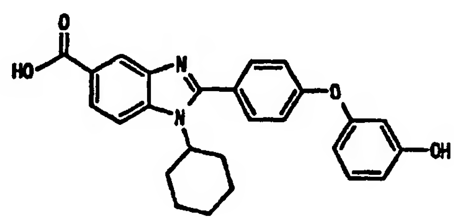
Example No. 105	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.23(1H, s), 7.94and7.87(2H, ABq, J=8.6Hz), 7.68and7.17(4H, A'B'q, J=8.7Hz), 7.46-7.33(6H, m), 6.93and6.75(2H, A"B"q, J=8.2Hz), 6.82(1H, s), 5.13(2H, s), 4.30(1H, brt, J=12.2Hz), 2.39-2.18(2H, m), 1.98-1.77(4H, m), 1.71-1.59(1H, m), 1.48-1.20(3H, m)
Purity >90% (NMR)	
MS 519(M+1)	

Table 26

Example No. 106	1H NMR(δ) ppm
	300MHz, DMSO-d6 12.89(1H, brs), 9.73(1H, s), 8.24(1H, s), 8.03and7.91(2H, ABq, J=8.7Hz), 7.66and7.04(4H, A'B'q, J=8.7Hz), 7.16-7.03(3H, m), 6.89(2H, t, J=9.2Hz), 4.33(1H, brt, J=12.2Hz), 2.40-2.18(2H, m), 2.00-1.78(4H, m), 1.70-1.58(1H, m), 1.50-1.20(3H, m)
Purity >90% (NMR)	
MS 429(M+1)	

Example No. 107	1H NMR(δ) ppm
	300MHz, DMSO-d6 12.98(1H, brs), 9.82(1H, brs), 8.27(1H, s), 8.09and7.94(2H, ABq, J=8.7Hz), 7.74and7.22(4H, A'B'q, J=8.7Hz), 7.28-7.22(1H, m), 6.67-6.54(3H, m), 4.35(1H, brt, J=12.2Hz), 2.40-2.20(2H, m), 2.05-1.80(4H, m), 1.72-1.59(1H, m), 1.50-1.21(3H, m)
Purity >90% (NMR)	
MS 429(M+1)	

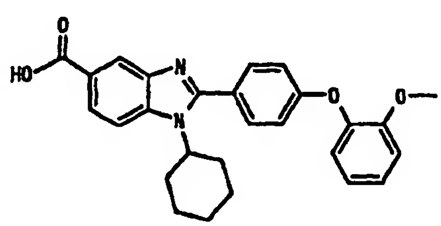
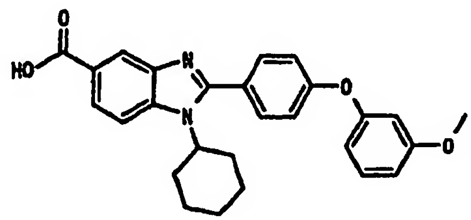
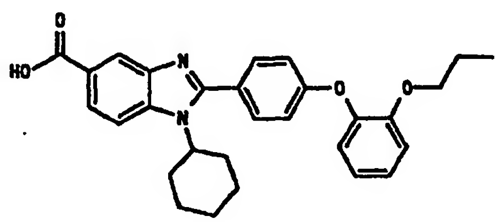
Example No. 108	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.24(1H, s), 8.01and7.90(2H, ABq, J=8.7Hz), 7.65and7.03(4H, A'B'q, J=8.7Hz), 7.32-7.20(3H, m), 7.08-7.03(1H, m), 4.32(1H, brt, J=12.2Hz), 3.77(3H, s), 2.36-2.20(2H, m), 2.00-1.78(4H, m), 1.71-1.59(1H, m), 1.44-1.11(3H, m)
Purity >90% (NMR)	
MS 443(M+1)	

Table 27

Example No. 109	1H NMR(δ) ppm
	300MHz, DMSO-d6 12.75(1H, s), 8.24(1H, s), 7.96and7.87(2H, ABq, J=9.0Hz), 7.69and7.19(4H, A'B'q, J=8.6Hz), 7.37(1H, t, J=7.1Hz), 6.84-6.70(3H, m), 4.31(1H, brt, J=12.2Hz), 3.78(3H, s), 2.39-2.20(2H, m), 1.98-1.78(4H, m), 1.76-1.60(1H, m), 1.48-1.13(3H, m)
Purity >90% (NMR)	
MS 443(M+1)	

Example No. 110	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.31(1H, s), 8.26and8.04(2H, ABq, J=8.8Hz), 7.75and7.71(4H, A'B'q, J=8.8Hz), 7.32-7.03(4H, m), 4.34(1H, brt, J=12.2Hz), 3.94(2H, t, J=6.3Hz), 2.40-2.19(2H, m), 2.11-1.81(4H, m), 1.72-1.16(6H, m), 0.71(3H, t, J=7.3Hz)
Purity >90% (NMR)	
MS 471(M+1)	

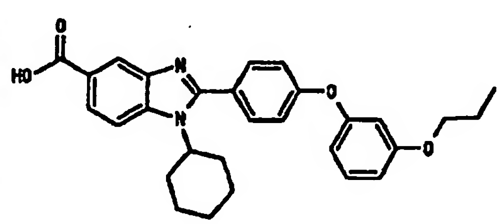
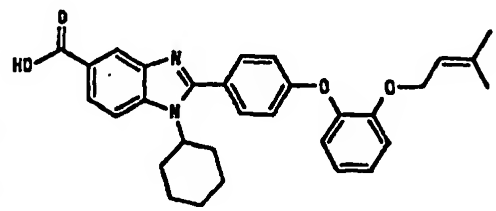
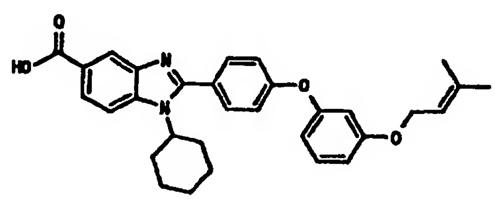
Example No. 111	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.22(1H, s), 7.91and7.87(2H, ABq, J=8.7Hz), 7.68and7.18(4H, A'B'q, J=8.7Hz), 7.35(1H, t, J=8.5Hz), 6.80(1H, d, J=9.0Hz), 6.72-6.68(2H, m), 4.30(1H, brt, J=12.2Hz), 3.94(2H, t, J=6.5Hz), 2.39-2.18(2H, m), 1.97-1.58(7H, m), 1.45-1.20(3H, m), 0.97(3H, t, J=7.4Hz)
Purity >90% (NMR)	
MS 471(M+1)	

Table 28

Example No. 112	1H NMR(δ) ppm
	300MHz, DMSO-d6 12.73(1H, s), 8.22(1H, s), 7.94and7.85(2H, ABq, J=9.3Hz), 7.61and7.01(4H, A'B'q, J=8.6Hz), 7.25-7.00(4H, m), 5.25(2H, brs), 4.55(2H, d, J=6.6Hz), 4.29(1H, brt, J=12.2Hz), 2.38-2.18(2H, m), 1.96-1.78(4H, m), 1.70-1.56(1H, m), 1.67(3H, s), 1.60(3H, s), 1.48-1.15(3H, m)
Purity >90% (NMR)	
MS 497(M+1)	

Example No. 113	1H NMR(δ) ppm
	300MHz, DMSO-d6 12.75(1H, s), 8.23(1H, s), 7.95and7.86(2H, ABq, J=8.9Hz), 7.69and7.18(4H, A'B'q, J=8.9Hz), 7.35(1H, t, J=8.3Hz), 6.81-6.69(3H, m), 5.41(2H, brs), 4.54(2H, d, J=6.6Hz), 4.31(1H, brt, J=12.2Hz), 2.41-2.18(2H, m), 1.98-1.76(4H, m), 1.73(3H, s), 1.70-1.58(1H, m), 1.68(3H, s), 1.45-1.17(3H, m)
Purity >90% (NMR)	
MS 497(M+1)	

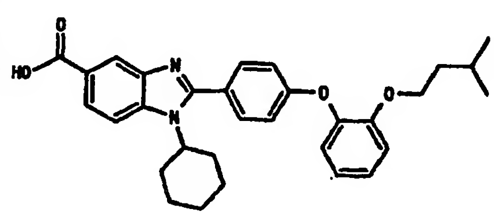
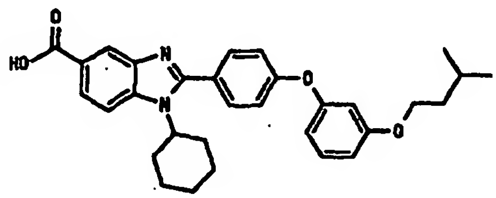
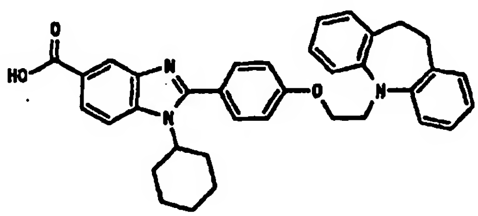
Example No. 114	1H NMR(δ) ppm
	300MHz, DMSO-d6 12.73(1H, s), 8.22(1H, s), 7.94and7.85(2H, ABq, J=8.4Hz), 7.60and6.99(4H, A'B'q, J=8.6Hz), 7.29-7.00(4H, m), 4.29(1H, brt, J=12.2Hz), 3.99(2H, t, J=6.3Hz), 2.41-2.20(2H, m), 1.95-1.76(4H, m), 1.70-1.14(7H, m), 0.76(3H, d, J=6.6Hz)
Purity >90% (NMR)	
MS 499(M+1)	

Table 29

Example No. 115	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.23(1H, s), 7.93and7.87(2H, ABq, J=8.6Hz), 7.69and7.19(4H, A'B'q, J=8.6Hz), 7.35(1H, t, J=7.8Hz), 6.82-6.69(3H, m), 4.30(1H, brt, J=12.2Hz), 4.00(2H, t, J=6.9Hz), 2.38-2.20(2H, m), 1.97-1.54(8H, m), 1.47-1.20(3H, m), 0.93(6H, d, J=6.6Hz)
Purity >90% (NMR)	
MS 499(M+1)	

Example No. 116	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.30(1H, s), 8.25(1H, d, J=8.9Hz), 8.03(1H, d, J=8.8Hz), 7.68(2H, d, J=8.8Hz), 7.24(2H, d, J=7.2Hz), 7.19-7.10(6H, m), 6.94(2H, t, J=7.2Hz), 4.34(1H, m), 4.19(4H, brs), 3.10(4H, brs), 2.40-2.15(2H, m), 2.10-1.95(2H, m), 1.95-1.75(2H, m), 1.75-1.55(1H, m), 1.55-1.20(3H, m).
Purity >90% (NMR)	
MS 557(M+1)	

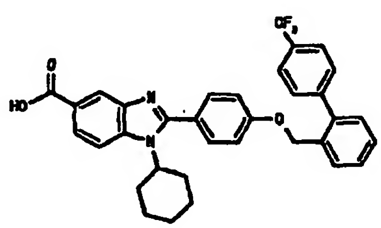
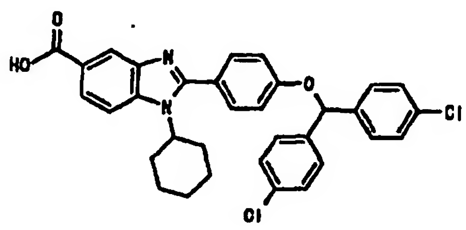
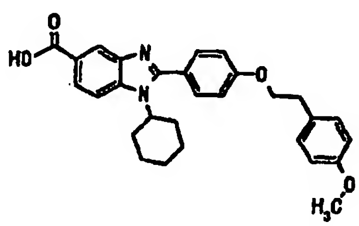
Example No. 117	1H NMR(δ) ppm
	300MHz, DMSO-d6 12.8(1H, brs), 8.22(1H, s), 7.98(1H, d, J=8.7Hz), 7.87(1H, d, J=8.6Hz), 7.80(2H, d, J=8.2Hz), 7.72-7.67(3H, m), 7.59(2H, d, J=8.7Hz), 7.54-7.51(2H, m), 7.42-7.41(1H, m), 7.11(2H, d, J=8.8Hz), 5.09(2H, s), 4.27(1H, m), 2.40-2.15(2H, m), 2.00-1.75(4H, m), 1.75-1.55(1H, m), 1.55-1.15(3H, m).
Purity >90% (NMR)	
MS 571(M+1)	

Table 30

Example No. 118	1H NMR(δ) ppm
	300MHz, DMSO-d6 13.3(1H, brs), 8.30(1H, s), 8.25(1H, d, J=8.9Hz), 8.04(1H, d, J=8.7Hz), 7.72(2H, d, J=8.8Hz), 7.57(4H, d, J=8.6Hz), 7.47(4H, d, J=8.6Hz), 7.33(2H, d, J=8.9Hz), 6.84(1H, s), 4.33(1H, m), 2.45-2.10(2H, m), 2.10-1.95(2H, m), 1.95-1.70(2H, m), 1.70-1.55(1H, m), 1.55-1.15(3H, m).
Purity >90% (NMR)	
MS 571(M+1)	

Example No. 119	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.32-8.30(2H, m), 8.07-8.03(1H, m), 7.74and6.90(4H, ABq, J=8.7Hz), 4.37(1H, m), 4.31(2H, t, J-6.8Hz), 3.74(3H, s), 3.04(2H, t, J=6.7Hz), 2.30(2H, m), 2.02(2H, m), 1.86(2H, m), 1.63(1H, m), 1.55-1.15(3H, m)
Purity >90% (NMR)	
MS 471(M+1)	

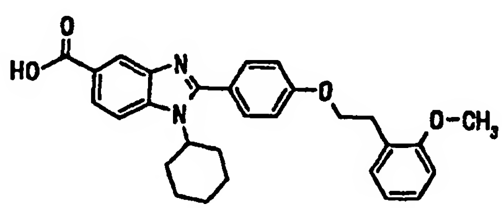
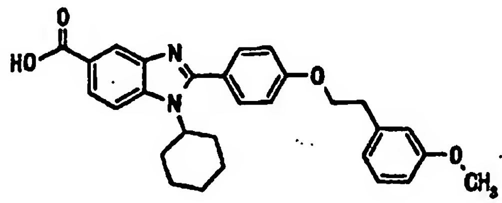
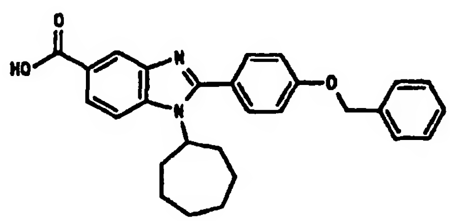
Example No. 120	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.23(1H, s), 7.99(1H, d, J=8.7Hz), 7.88(1H, d, J=8.4Hz), 7.61and7.16(4H, ABq, J=8.6Hz), 7.30-7.22(2H, m), 7.01(2H, d, J=8.1Hz), 6.92(1H, t, J=7.5Hz), 4.28(1H, m), 4.25(2H, t, J=7.2Hz), 3.83(3H, s), 3.07(2H, t, J=7.1Hz), 2.28(2H, m) 2.00-1.75(4H, m), 1.70-1.55(1H, m), 1.50-1.15(3H, m)
Purity >90% (NMR)	
MS 471(M+1)	

Table 31

Example No. 121	1H NMR(δ) ppm
	300MHz, DMSO-d6 12.85(1H, brs), 8.24(1H, s), 8.01(1H, d, J=8.7Hz), 7.90(1H, d, J=8.6Hz), 7.62and, 7.17(4H, ABq, J=8.7Hz), 7.24(1H, m), 6.94(2H, m), 6.82(1H, m), 4.32(2H, t, J=6.7Hz), 3.76(3H, s), 3.07(2H, t, J=6.7Hz), 2.29(2H, m), 2.00-1.75(4H, m), 1.70-1.55(1H, m), 1.50-1.15(3H, m)
Purity >90% (NMR)	
MS 471(M+1)	

Example No. 122	1H NMR(δ) ppm
	300MHz, DMSO-d6 12.8(1H, brs), 8.22(1H, s), 7.87(2H, m), 7.62(2H, d, J=8.1Hz), 7.60-7.20(7H, m), 5.23(2H, s), 4.46(1H, m), 2.50-2.30(2H, m), 1.70-1.40(10H, m).
Purity >90% (NMR)	
MS 441(M+1)	

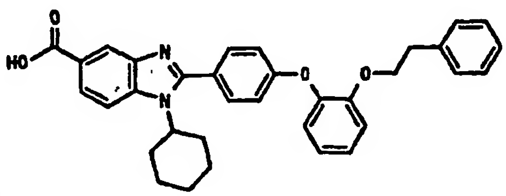
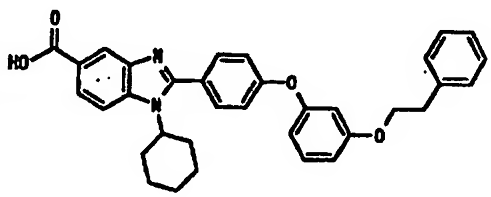
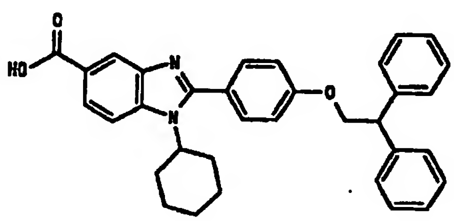
Example No. 123	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.24(1H, s), 7.97(1H, d, J=9.0Hz), 7.87(1H, d, J=8.4Hz), 7.65(2H, d, J=8.7Hz), 7.40-7.05(9H, m), 7.03(2H, d, J=8.4Hz), 4.31(1H, m), 4.18(2H, t, J=6.6Hz), 2.81(2H, t, J=6.3Hz), 2.40-2.20(2H, m), 2.00-1.70(4H, m), 1.70-1.50(1H, m), 1.50-1.05(3H, m).
Purity >90% (NMR)	
MS 533(M+1)	

Table 32

Example No. 124	1H NMR(δ) ppm
	300MHz, DMSO-d6 13. 1(1H, brs), 8. 29(1H, s), 8. 17(1H, d, J=8. 7Hz), 7. 99(1H, d, J=8. 7Hz), 7. 77(2H, d, J=8. 7Hz), 7. 40-7. 20(8H, m), 6. 84(1H, d, J=9. 3Hz), 6. 75-6. 72(2H, m), 4. 36(1H, m), 4. 22(2H, t, J=6. 8Hz), 3. 04(2H, t, J=6. 7Hz), 2. 40-2. 15(2H, m), 2. 15-1. 95(2H, m), 1. 95-1. 75(2H, m), 1. 75-1. 55(1H, m), 1. 55-1. 15(3H, m).
Purity >90% (NMR)	
MS 533(M+1)	

Example No. 125	1H NMR(δ) ppm
	300MHz, DMSO-d6 8. 32(1H, s), 8. 28(1H, d, J=8. 7Hz), 8. 05(1H, d, J=9. 0Hz), 7. 73(2H, d, J=9. 0Hz), 7. 43(4H, d, J=7. 2Hz), 7. 36-7. 20(8H, m), 4. 74(2H, d, J=7. 5Hz), 4. 57(1H, t, J=7. 5Hz), 4. 38(1H, m), 2. 40-2. 15(2H, m), 2. 15-1. 95(2H, m), 1. 95-1. 85(2H, m), 1. 85-1. 55(1H, m), 1. 55-1. 20(3H, m).
Purity >90% (NMR)	
MS 517(M+1)	

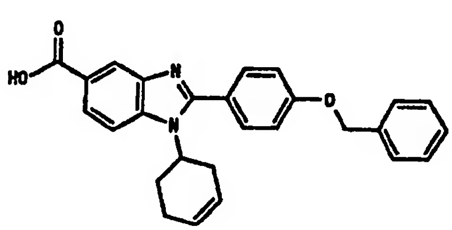
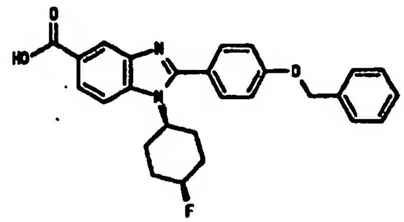
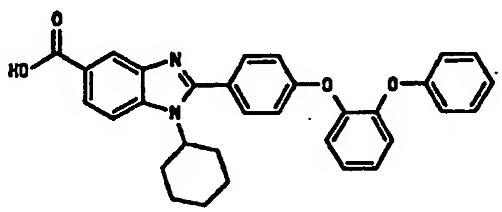
Example No. 126	1H NMR(δ) ppm
	300MHz, DMSO-d6 8. 32(1H, s), 8. 14(1H, d, J=8. 7Hz), 8. 03(1H, d, J=8. 7Hz), 7. 77(2H, d, J=9. 0Hz), 7. 52-7. 31(7H, m), 5. 74(2H, m), 5. 26(2H, s), 4. 61(1H, m), 2. 96(1H, m), 2. 60-2. 10(5H, m).
Purity >90% (NMR)	
MS 425(M+1)	

Table 33

Example No. 127	1H NMR(δ) ppm
	300MHz, DMSO-d6 13. 2(1H, brs), 8. 33(1H, s), 8. 12(1H, d, J=8. 7Hz), 7. 96(1H, d, J=8. 8Hz), 7. 79(2H, d, J=8. 7Hz), 7. 52-7. 32(7H, m), 5. 26(2H, s), 4. 92(1H, d, J=49. 4Hz), 4. 57(1H, m), 2. 65-2. 35(2H, m), 2. 25-1. 50(6H, m).
Purity >90% (NMR)	
MS 445(M+1)	

Example No. 128	1H NMR(δ) ppm
	300MHz, DMSO-d6 8. 21(1H, s), 7. 92and7. 85(2H, ABq, J=8. 6Hz), 7. 61and7. 06(4H, A'B'q, J=8. 6Hz), 7. 36-6. 91(9H, m), 4. 24(1H, brt, J=12. 2Hz), 2. 35-2. 15(2H, m), 1. 95-1. 75(4H, m), 1. 70-1. 58(1H, m), 1. 48-1. 14(3H, m)
Purity >90% (NMR)	
MS 505(M+1)	

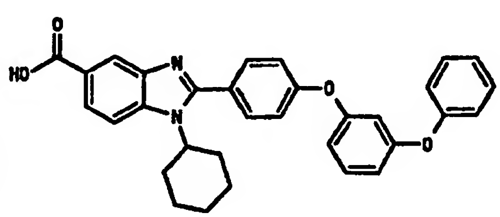
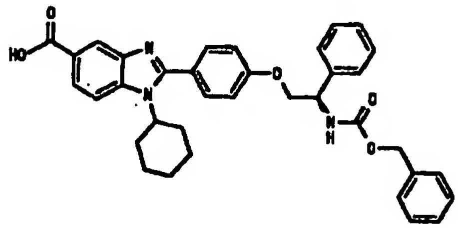
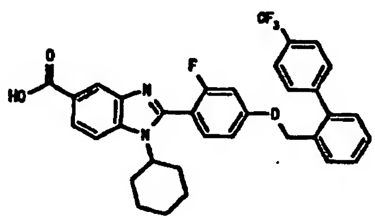
Example No. 129	1H NMR(δ) ppm
	300MHz, DMSO-d6 8. 21(1H, s), 7. 92and7. 86(2H, ABq, J=8. 6Hz), 7. 69and7. 22(4H, A'B'q, J=8. 6Hz), 7. 52-7. 39(1H, m), 7. 47and7. 41(2H, A"B"q, J=8. 1Hz), 6. 91(1H, d, J=8. 0Hz), 6. 89(1H, d, J=8. 2Hz), 6. 75(1H, s), 4. 36-4. 18(1H, m), 2. 38-2. 17(2H, m), 1. 95-1. 76(4H, m), 1. 70-1. 59(1H, m), 1. 44-1. 19(3H, m)
Purity >90% (NMR)	
MS 505(M+1)	

Table 34

Example No. 130	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.27(1H, s), 7.69(2H, d, J=8.6Hz), 7.49-7.21(11H, m), 5.08and5.03(2H, ABq, J=12.6Hz), 5.07-4.99(1H, m), 4.26(2H, d, J=6.6Hz), 2.40-2.18(2H, m), 2.04-1.77(4H, m), 1.70-1.58(1H, m), 1.48-1.15(3H, m)
Purity >90% (NMR)	
MS 590(M+1)	

Example No. 131	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.29(1H, s), 8.11(1H, d, J=9.0Hz), 7.96(1H, d, J=8.4Hz), 7.80(2H, d, J=8.1Hz), 7.72-7.41(7H, m), 7.12(1H, d, J=12.6Hz), 7.01(1H, d, J=8.4Hz), 5.12(2H, s), 4.06(1H, m), 2.35-2.10(2H, m), 2.00-1.75(4H, m), 1.75-1.55(1H, m), 1.60-1.20(3H, m).
Purity >90% (NMR)	
MS 589(M+1)	

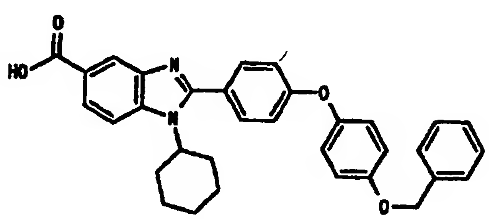
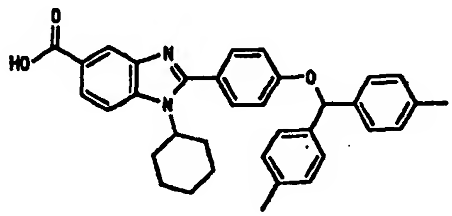
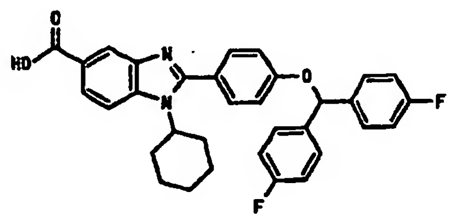
Example No. 132	1H NMR(δ) ppm
	300MHz, DMSO-d6 12.8(1H, brs), 8.23(1H, s), 7.97(1H, d, J=8.7Hz), 7.87(1H, d, J=8.6Hz), 7.66(2H, d, J=8.6Hz), 7.49-7.33(5H, m), 7.17-7.05(6H, m), 5.12(2H, s), 4.31(1H, m), 2.40-2.15(2H, m), 2.05-1.20(8H, m).
Purity >90% (NMR)	
MS 519(M+1)	

Table 35

Example No. 133	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.57(1H, s), 8.01(1H, d, J=8.7Hz), 7.66(1H, d, J=8.7Hz), 7.51(2H, d, J=8.7Hz), 7.31(4H, d, J=8.0Hz), 7.16(4H, d, J=8.0Hz), 7.09(2H, d, J=8.7Hz), 6.26(1H, s), 4.37(1H, m), 2.41-2.28(2H, m), 2.33(6H, s), 2.03-1.84(4H, m), 1.77(1H, m), 1.45-1.20(3H, m).
Purity >90% (NMR)	
MS 531(M+1)	

Example No. 134	1H NMR(δ) ppm
	8.59(1H, d, J=1.5Hz), 8.02(1H, dd, J=8.7, 1.5Hz), 7.68(1H, d, J=8.7Hz), 7.54(2H, d, J=8.8Hz), 7.39(4H, dd, J=8.7, 5.3Hz), 7.08(4H, d, J=8.7Hz), 7.05(2H, d, J=8.8Hz), 6.29(1H, s), 4.36(1H, m), 2.43-2.19(2H, m), 2.04-1.85(4H, m), 1.78(1H, m), 1.45-1.23(3H, m).
Purity >90% (NMR)	
MS 539(M+1)	

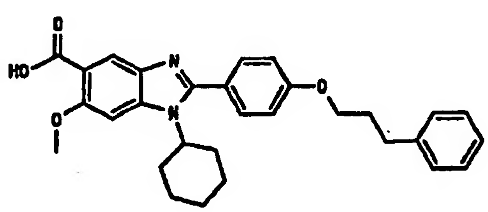
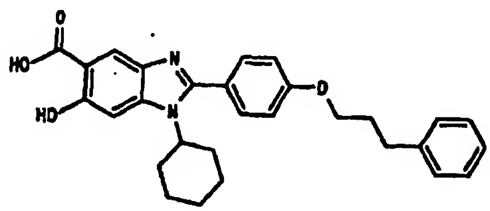
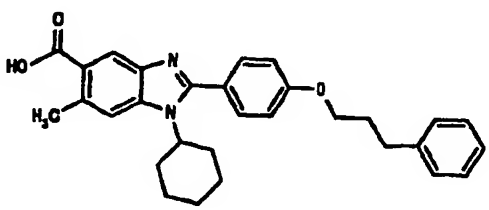
Example No. 135	1H NMR(δ) ppm
	300MHz, DMSO-d6 12.34(1H, brs), 7.93(1H, s), 7.55(1H, d, J=8.6Hz), 7.33-7.15(6H, m), 7.11(2H, d, J=8.6Hz), 4.30-4.20(1H, m), 4.07(2H, t, J=6.3Hz), 3.93(3H, s), 2.78(2H, t, J=7.4Hz), 2.35-2.19(2H, m), 2.12-2.00(2H, m), 1.91-1.79(4H, m), 1.69-1.60(1H, m), 1.47-1.20(3H, m)
Purity >90% (NMR)	
MS 485(M+1)	

Table 36

Example No.	136	1H NMR(δ) ppm
		300MHz, DMSO-d6 8.13(1H, s), 7.65(2H, d, J=8.7Hz), 7.63(1H, s), 7.35-7.12(7H, m), 4.35-4.20(1H, m), 4.10(1H, t, J=6.3Hz), 2.78(2H, t, J=7.5Hz), 2.33-1.78(8H, m), 1.70-1.16(4H, m)
Purity	>90% (NMR)	
MS	471(M+1)	

Example No.	137	1H NMR(δ) ppm
		300MHz, DMSO-d6 8.24(1H, s), 8.11(1H, s), 7.76(2H, d, J=9.0Hz), 7.37-7.16(7H, m), 4.43-4.30(1H, m), 4.13(2H, t, J=6.3Hz), 2.84-2.68(5H, m), 2.42-2.22(2H, m), 2.18-1.80(6H, m), 1.70-1.20(4H, m)
Purity	>90% (NMR)	
MS	469(M+1)	

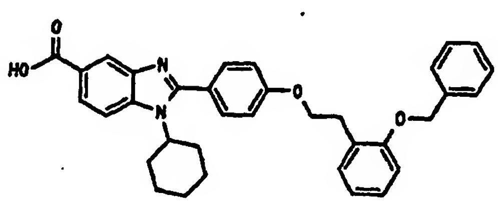
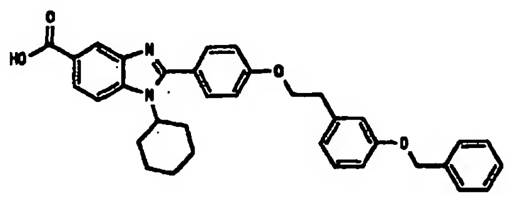
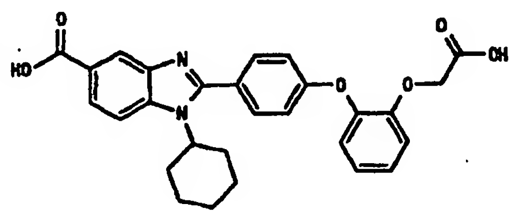
Example No.	138	1H NMR(δ) ppm
		300MHz, DMSO-d6 12.73(1H, brs), 8.22(1H, s), 7.76(1H, d, J=8.7Hz), 7.85(1H, d, J=8.7Hz), 7.54-7.49(4H, m), 7.42-7.21(5H, m), 7.11-7.09(3H, m), 6.93(1H, m), 5.17(2H, s), 4.29(3H, m), 3.11(2H, m), 2.40-2.20(2H, m), 1.99-1.23(8H, m)
Purity	>90% (NMR)	
MS	547(M+1)	

Table 37

Example No.	139	1H NMR(δ) ppm
		300MHz, DMSO-d6 12.73(1H, brs), 8.22(1H, s), 7.93(1H, d, J=8.7Hz), 7.73(1H, m), 7.60-7.57(2H, m), 7.47-6.90(1H, m), 5.11(2H, s), 4.33-4.28(3H, m), 3.09-3.04(2H, t, J=6.7Hz), 2.35-2.20(2H, m), 1.95-1.10(8H, m)
Purity	>90% (NMR)	
MS	547(M+1)	

Example No.	140	1H NMR(δ) ppm
		300MHz, DMSO-d6 12.83(2H, brs), 8.22(1H, s), 7.94(1H, d, J=8.7Hz), 7.85(1H, d, J=8.4Hz), 7.63-7.60(2H, m), 7.26-7.03(6H, m), 4.73(2H, s), 4.30(1H, m), 2.40-2.15(2H, m), 2.00-1.20(8H, m)
Purity	>90% (NMR)	
MS	487(M+1)	

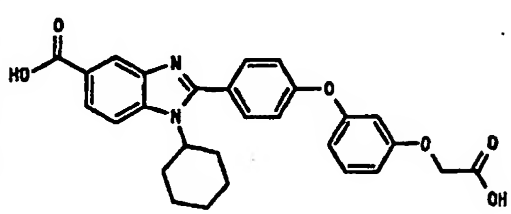
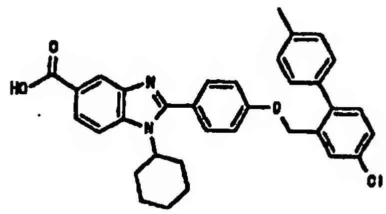
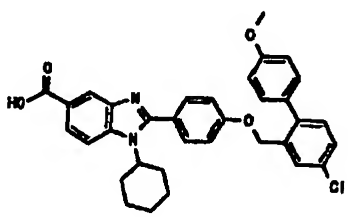
Example No.	141	1H NMR(δ) ppm
		300MHz, DMSO-d6 12.87(1H, brs), 8.24(1H, s), 7.97(1H, d, J=9.0Hz), 7.87(1H, d, J=8.7Hz), 7.69and7.19(4H, ABq, J=8.7Hz), 7.36(1H, t, J=8.7Hz), 6.80-6.72(3H, m), 4.71(2H, s), 4.32(1H, m), 2.29(2H, m), 1.95-1.25(8H, m)
Purity	>90% (NMR)	
MS	487(M+1)	

Table 38

Example No. 142	1H NMR(δ) ppm
	300MHz, DMSO-d6 8. 32 (1H, s), 8. 27 (1H, d, J=8. 7Hz), 8. 05 (1H, d, J=9. 0Hz), 7. 76-7. 72 (3H, m), 7. 54 (1H, d, J=8. 4Hz), 7. 39-7. 22 (7H, m), 5. 11 (1H, s), 4. 36 (1H, m), 2. 35 (3H, s), 2. 35-2. 15 (2H, m), 2. 15-1. 95 (2H, m), 1. 95-1. 75 (2H, m), 1. 75-1. 55 (1H, m), 1. 55-1. 15 (3H, m).
Purity >90% (NMR)	
MS 551 (M+1)	

Example No. 143	1H NMR(δ) ppm
	300MHz, DMSO-d6 13. 1 (1H, brs), 8. 30 (1H, s), 8. 24 (1H, d, J=8. 8Hz), 8. 03 (1H, d, J=8. 7Hz), 7. 74-7. 71 (3H, m), 7. 52 (1H, d, J=8. 3Hz), 7. 40-7. 36 (3H, m), 7. 23 (2H, d, J=8. 8Hz), 7. 01 (2H, d, J=8. 7Hz), 5. 11 (2H, s), 4. 35 (1H, m), 3. 79 (3H, s), 2. 45-2. 15 (2H, m), 2. 15-1. 95 (2H, m), 1. 95-1. 75 (2H, m), 1. 75-1. 55 (1H, m), 1. 55-1. 15 (3H, m).
Purity >90% (NMR)	
MS 567 (M+1)	

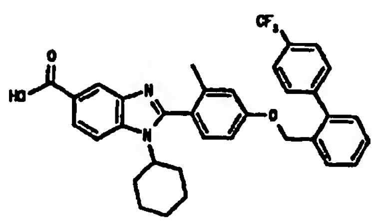
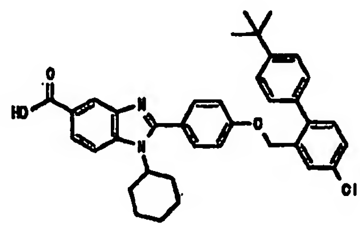
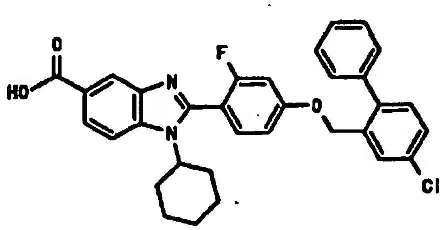
Example No. 144	1H NMR(δ) ppm
	300MHz, DMSO-d6 13. 0 (1H, brs), 8. 31 (1H, s), 8. 23 (1H, d, J=8. 7Hz), 8. 04 (1H, d, J=8. 7Hz), 7. 80 (2H, d, J=8. 3Hz), 7. 70-7. 66 (3H, m), 7. 55-7. 40 (4H, m), 7. 03-6. 95 (2H, m), 5. 08 (2H, s), 4. 03 (1H, m), 2. 40-2. 15 (2H, m), 2. 18 (3H, s), 2. 05-1. 70 (4H, m), 1. 70-1. 50 (1H, m), 1. 50-1. 10 (3H, m).
Purity >90% (NMR)	
MS 585 (M+1)	

Table 39

Example No. 145	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.31(1H, s), 8.23(1H, d, J=8.8Hz), 8.02(1H, d, J=8.7Hz), 7.73-7.71(3H, m), 7.54(1H, d, J=8.3Hz), 7.48(2H, d, J=8.4Hz), 7.41-7.37(3H, m), 7.22(2H, d, J=8.7Hz), 5.13(2H, s), 4.34(1H, m), 2.40-2.20(2H, m), 2.15-1.95(2H, m), 1.95-1.75(2H, m), 1.70-1.55(1H, m), 1.50-1.15(3H, m), 1.31(9H, s).
Purity >90% (NMR)	
MS 593(M+1)	

Example No. 146	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.29(1H, s), 8.13(1H, d, J=8.7Hz), 7.97(1H, d, J=8.6Hz), 7.76(1H, d, J=2.1Hz), 7.63(1H, t, J=8.5Hz), 7.57(1H, dd, J=8.2, 2.2Hz), 7.55-7.35(6H, m), 7.15(1H, d, J=12.1Hz), 7.02(1H, d, J=8.6Hz), 5.10(2H, s), 4.07(1H, m), 2.35-2.10(2H, m), 2.00-1.70(4H, m), 1.70-1.55(1H, m), 1.50-1.15(3H, m).
Purity >90% (NMR)	
MS 555(M+1)	

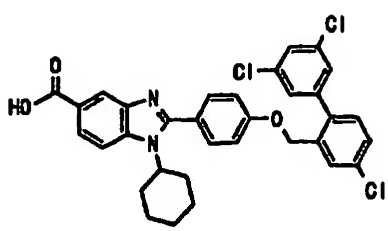
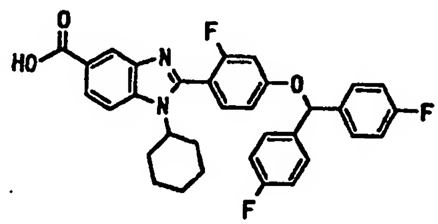
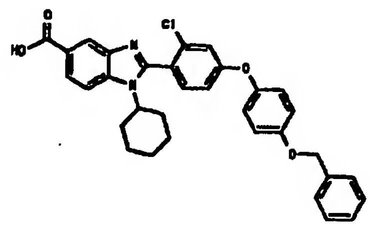
Example No. 147	1H NMR(δ) ppm
	300MHz, CDCl3 8.61(1H, s), 8.04(1H, d, J=8.7Hz), 7.69(1H, d, J=8.7Hz), 7.66(1H, d, J=2.4Hz), 7.59(2H, d, J=8.7Hz), 7.42(1H, dd, J=8.0, 2.4Hz), 7.38(1H, t, J=1.8Hz), 7.28(2H, d, J=1.8Hz), 7.26(1H, d, J=8.0Hz), 7.03(2H, d, J=8.7Hz), 4.94(2H, s), 4.37(1H, m), 2.43-2.21(2H, m), 2, 17-1.86(4H, m), 1.79(1H, m), 1.43-1.26(3H, m).
Purity >90% (NMR)	
MS 605(M+1)	

Table 40

Example No. 148	1H NMR(δ) ppm
	300MHz, DMSO-d6 8. 21 (s, 1H), 7. 89 (1H, d, J=8. 7Hz), 7. 87 (1H, d, J=8. 7Hz), 7. 63-7. 46 (5H, m), 7. 30-7. 12 (5H, m), 7. 08 (1H, d, J=11. 0Hz), 6. 81 (1H, s), 3. 92 (1H, m), 2. 15-2. 06 (2H, m), 1. 89-172 (4H, m), 1. 61 (1H, m), 1. 42-1. 09 (3H, m).
Purity >90% (NMR)	
MS 557 (M+1)	

Example No. 149	1H NMR(δ) ppm
	300MHz, DMSO-d6 8. 24 (1H, d, J=1. 5Hz), 7. 96 (1H, d, J=9. 0Hz), 7. 88 (1H, dd, J=9. 0, 1. 5Hz), 7. 58 (1H, d, J=8. 7Hz), 7. 50-7. 30 (5H, m), 7. 22-7. 00 (6H, m), 5. 13 (2H, s), 3. 98-3. 80 (1H, s), 2. 36-1. 10 (10H, m)
Purity >90% (NMR)	
MS 553 (M+1)	

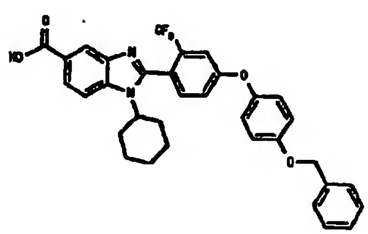
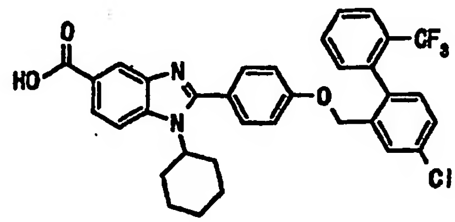
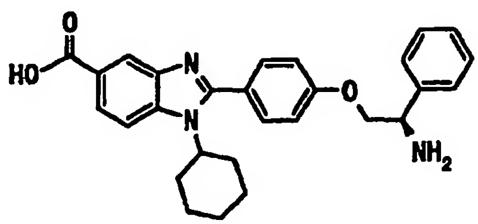
Example No. 150	1H NMR(δ) ppm
	300MHz, DMSO-d6 8. 23 (1H, s), 8. 95 (1H, d, J=8. 4Hz), 7. 88 (1H, d, J=8. 7Hz), 7. 66 (1H, d, J=8. 4Hz), 7. 52-7. 28 (7H, m), 7. 23 (2H, d, J=9. 3Hz), 7. 14 (2H, d, J=8. 7Hz), 5. 14 (2H, s), 3. 90-3. 72 (1H, m), 2. 20-1. 10 (10H, m)
Purity >90% (NMR)	
MS 587 (M+1)	

Table 41

Example No. 151	1H NMR(δ) ppm
	300MHz, DMSO-d6 8. 18(1H, s), 7. 92-7. 78(3H, m), 7. 78-7. 58(3H, m), 7. 58-7. 44(4H, m), 7. 29(1H, d, J=8. 2Hz), 7. 01(2H, d, J=8. 7Hz), 4. 88(1H, d, J=11. 8Hz), 4. 80(1H, d, J=11. 8Hz), 4. 22(1H, m), 2. 37-2. 16(2H, m), 1. 95-1. 75(4H, m), 1. 64(1H, m), 1. 48-1. 14(3H, m).
Purity >90% (NMR)	
MS 605(M+1)	

Example No. 152	1H NMR(δ) ppm
	300MHz, DMSO-d6 8. 21(2H, m), 7. 99-7. 80(2H, m), 7. 63-7. 08(9H, m), 4. 20-3. 98(4H, m), 2. 20-2. 15(2H, m), 1. 95-1. 74(4H, m), 1. 70-1. 54(1H, m), 1. 44-1. 14(3H, m)
Purity >90% (NMR)	
MS 456(M+1)	

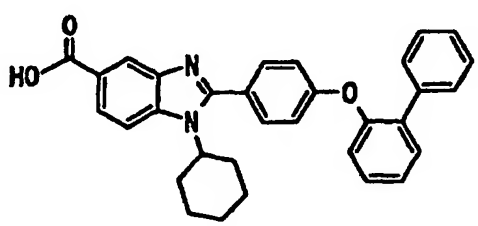
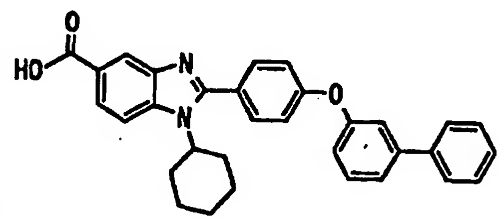
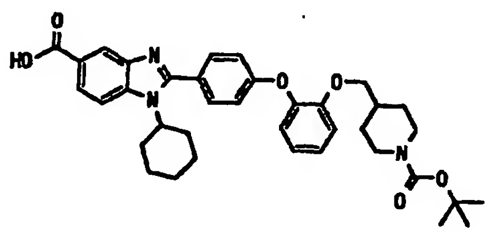
Example No. 153	1H NMR(δ) ppm
	300MHz, DMSO-d6 8. 20(1H, s), 8. 93and7. 83(2H, ABq, J=8. 7Hz), 7. 86-7. 21(11H, m), 7. 03(2H, d, J=8. 7Hz), 4. 20(1H, brt, J=12. 2Hz), 2. 32-2. 13(2H, m), 1. 92-1. 74(4H, m), 1. 69-1. 58(1H, m) 1. 45-1. 15(3H, m)
Purity >90% (NMR)	
MS 489(M+1)	

Table 42

Example No. 154	1H NMR(δ) ppm
	300MHz, DMSO-d6 8. 23 (1H, s), 7. 94and7. 86 (2H, ABq, J=8. 6Hz), 7. 72-7. 16 (13H, m), 5. 25 (2H, brs), 4. 55 (2H, d, J=6. 6Hz), 4. 31 (1H, brt, J=12. 2Hz), 2. 37-2. 18 (2H, m), 1. 98-1. 77 (4H, m), 1. 70-1. 58 (1H, m), 1. 48-1. 20 (3H, m)
Purity >90% (NMR)	
MS 489 (M+1)	

Example No. 155	1H NMR(δ) ppm
	300MHz, DMSO-d6 8. 21 (1H, s), 7. 85and7. 61 (2H, ABq, J=8. 7Hz), 7. 61and6. 99 (4H, A'B'q, J=8. 7Hz), 7. 28-7. 18 (1H, m), 7. 25 (2H, d, J=7. 5Hz), 7. 07-6. 99 (1Hm), 4. 30 (1H, brt, J=12. 2Hz), 3. 83 (2H, d, J=6. 0Hz), 3. 82-3. 72 (1H, m), 2. 68-2. 49 (2H, m), 2. 39-2. 21 (2H, m), 1. 95-1. 80 (4H, m), 1. 79-1. 60 (2H, m), 1. 46-1. 22 (5H, m), 1. 30 (9H, s), 1. 00-0. 82 (2H, m)
Purity >90% (NMR)	
MS 626 (M+1)	

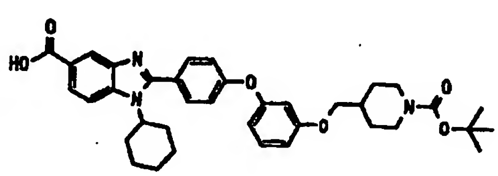
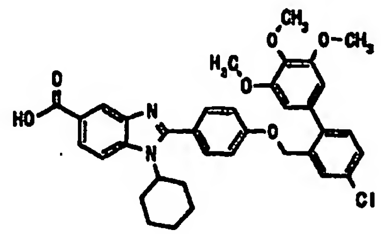
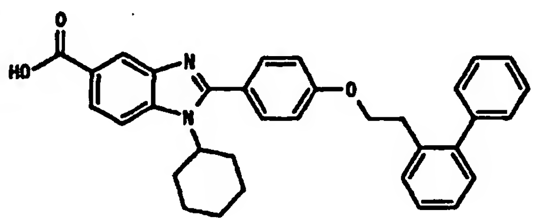
Example No. 156	1H NMR(δ) ppm
	300MHz, DMSO-d6 8. 22 (1H, s), 7. 92and7. 86 (2H, ABq, J=8. 7Hz), 7. 68and7. 18 (4H, A'B'q, J=8. 7Hz), 7. 35 (1H, t, J=8. 5Hz), 6. 80 (1H, d, J=8. 3Hz), 6. 72-6. 70 (2H, m) 4. 30 (1H, brt, J=12. 2Hz), 3. 99 (2H, brd, J=12. 0Hz), 3. 85 (2H, d, J=6. 3Hz), 2. 82-2. 62 (2H, m), 2. 38-2. 20 (2H, m), 1. 99-1. 59 (8H, m), 1. 42-1. 03 (5H, m), 1. 39 (9H, s)
Purity >90% (NMR)	
MS 626 (M+1)	

Table 43

Example No. 157	1H NMR(δ) ppm
	300MHz, DMSO-d6 12.78(1H, brs), 8.22(1H, s), 7.96(1H, d, J=8.6Hz), 7.86 (1H, d, J=8.6Hz), 7.75(1H, d, J=2.2Hz), 7.60(2H, d, J=8.4Hz), 7.55(1H, dd, J=8.3, 2.2Hz), 7.48(1H, d, J=8.3Hz), 7.18(2H, d, J=8.4Hz), 6.73(2H, s), 5.08(2H, s), 4.23(1H, m), 3.68(9H, s), 2.37-2.17 (2H, m), 1.99-1.79(4H, m), 1.65(1H, s), 1.49-1.15(3H, m).
Purity >90% (NMR)	
MS 627(M+1)	

Example No. 158	1H NMR(δ) ppm
	300MHz, DMSO-d6 12.75(1H, brs), 8.22(1H, s), 7.93(2H, d, J=8.7Hz), 7.85 (2H, d, J=8.5Hz), 7.53-7.21 (10H, m), 6.94(2H, d, J=8.7Hz), 4.30-4.12(3H, m), 3.05(2H, m), 2.35-2.15(2H, m), 1.95-1.75(4H, m), 1.75-1.55(1H, m), 1.50-1.10(3H, m)
Purity >90% (NMR)	
MS 517(M+1)	

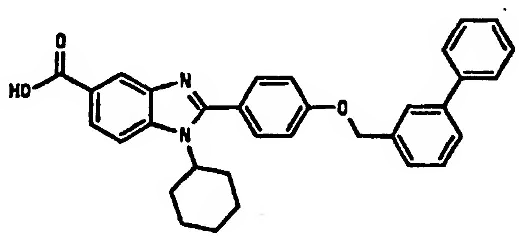
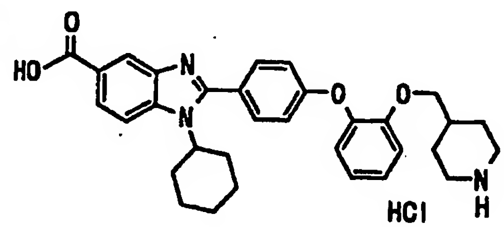
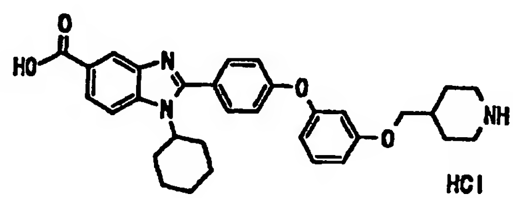
Example No. 159	1H NMR(δ) ppm
	300MHz, DMSO-d6 12.77(1H, brs), 8.22(1H, s), 7.95(1H, d, 8.6Hz), 7.86(1H, d, 8.6Hz), 7.80(1H, s), 7.70-7.35(10H, m), 7.27(2H, d, J=8.7Hz), 5.30(2H, s), 4.28(1H, m), 2.35-2.15(2H, m), 1.95-1.75(4H, m), 1.70-1.55(1H, m), 1.50-1.15(3H, m)
Purity >90% (NMR)	
MS 503(M+1)	

Table 44

Example No. 160	1H NMR(δ) ppm
	300MHz, DMSO-d6 8. 90 (1H, brs), 8. 59 (1h, brs), 8. 33 (1H, s), 8. 18and8. 00 (2H, ABq, J=8. 5Hz), 7. 73and 7. 10 (4H, A'B' q, J=8. 5Hz), 7. 32-7. 05 (4H, m), 4. 35 (1H, brt, J=12. 2Hz), 3. 86 (2H, d, J=6. 3Hz), 3. 25-3. 08 (2H, m), 2. 85-2. 66 (2H, m), 2. 40-2. 28 (2H, m), 2. 07-1. 14 (15H, m)
Purity >90% (NMR)	
MS 526 (M+1)	

Example No. 161	1H NMR(δ) ppm
	300MHz, DMSO-d6 9. 05 (1H, brs), 8. 76 (1h, brs), 8. 31 (1H, s), 8. 19and8. 00 (2H, ABq, J=8. 3Hz), 7. 79and 7. 25 (4H, A'B' q, J=8. 3Hz), 7. 39 (1H, brs), 6. 86-6. 74 (4H, m), 4. 37 (1H, brt, J=12. 2Hz), 3. 89 (2H, d, J=5. 0Hz), 3. 35-3. 18 (2H, m), 2. 98-2. 75 (2H, m), 2. 38-2. 17 (2H, m), 2. 16-1. 15 (15H, m)
Purity >90% (NMR)	
MS 526 (M+1)	

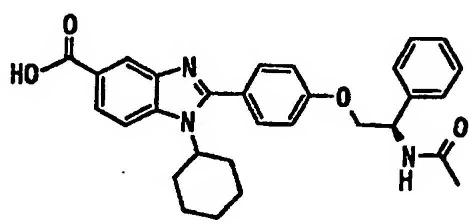
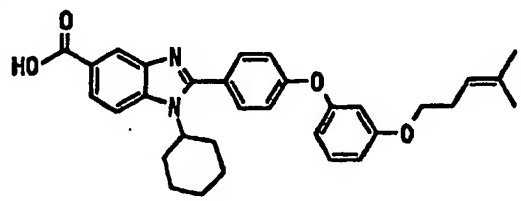
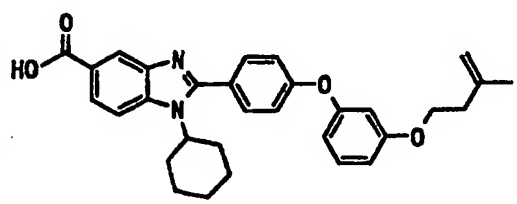
Example No. 162	1H NMR(δ) ppm
	300MHz, DMSO-d6 12. 87 (1H, brs), 8. 58 (1H, d, J=6. 0Hz), 8. 23 (1H, s), 7. 99 and7. 80 (2H, ABq, J=8. 6Hz), 7. 61and7. 18 (4H, A'B' q, J=8. 0Hz), 7. 45-7. 30 (5H, m), 5. 29 (1H, brs), 4. 26 (1H, brt, J=12. 2Hz), 2. 37-2. 11 (2H, m), 2. 00-1. 71 (4H, m), 1. 92 (3H, s), 1. 70-1. 52 (1H, m), 1. 45-1. 11 (3H, m)
Purity >90% (NMR)	
MS 498 (M+1)	

Table 45

Example No. 163	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.23(1H, s), 7.95and7.86(2H, ABq, J=8.6Hz), 7.69and7.18(4H, A'B'q, J=8.6Hz), 7.35(1H, t, J=8.6Hz), 6.80(1H, d, J=7.5Hz), 6.72-6.69(2H, m), 5.20(1H, t, J=3.7Hz), 4.31(1H, brt, J=12.2Hz), 3.95(2H, t, J=6.8Hz), 2.49-2.19(4H, m), 1.97-1.76(4H, m), 1.68(3H, s), 1.67-1.54(1H, m), 1.61(3H, s), 1.45-1.20(3H, m)
Purity >90% (NMR)	
MS 511(M+1)	

Example No. 164	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.20(1H, s), 7.87(2H, s), 7.68and7.18(4H, ABq, J=8.7Hz), 7.35(1H, t, J=7.9Hz), 6.81(1H, d, J=9.4Hz), 6.72(1Hs), 6.71(1H, d, J=6.8Hz), 4.80(2H, s), 4.29(1H, brt, J=12.2Hz), 4.10(1H, t, J=6.7Hz), 2.43(1H, t, J=6.7Hz), 2.39-2.19(2H, m), 1.97-1.78(4H, m), 1.76(3H, s), 1.70-1.56(1H, m), 1.43-1.19(3H, m)
Purity >90% (NMR)	
MS 497(M+1)	

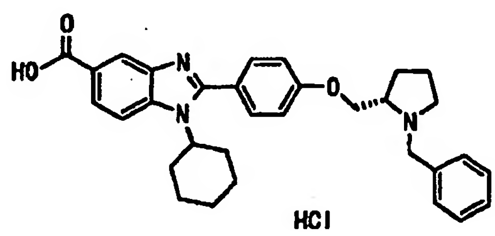
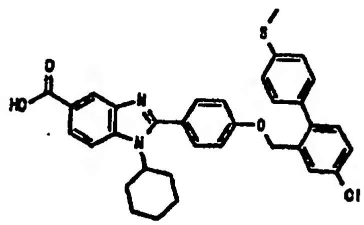
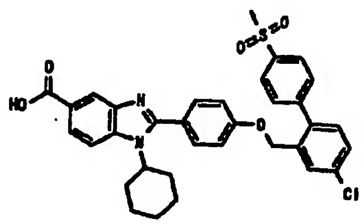
Example No. 165	1H NMR(δ) ppm
	300MHz, DMSO-d6 11.21(1H, brs), 8.33(1H, s), 8.25(1H, d, J=8.6Hz), 8.04(1H, d, J=8.6Hz), 7.78(2H, d, J=8.7Hz), 7.70-7.67(2H, m), 7.55-7.42(3H, m), 7.27(2H, d, J=8.7Hz), 4.73-4.30(5H, m), 4.20-3.97(1H, m), 3.42-3.10(2H, m), 2.45-1.23(14H, m)
Purity >90% (NMR)	
MS	

Table 46

Example No. 166	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.27(1H, s), 8.13(1H, d, J=8.4Hz), 7.97(1H, d, J=9.0Hz), 7.73(1H, d, J=1.8Hz), 7.68(2H, d, J=8.4Hz), 7.54(1H, dd, J=8.4, 2.1Hz), 7.41-7.31(5H, m), 7.19(2H, d, J=8.4Hz), 5.10(2H, s), 4.32(1H, m), 2.50(3H, s), 2.40-2.15(2H, m), 2.10-1.75(4H, m), 1.75-1.55(1H, m), 1.55-1.10(3H, m).
Purity >90% (NMR)	
MS 583(M+1)	

Example No. 167	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.25(1H, s), 8.09(1H, d, J=8.4Hz), 8.00(2H, d, J=8.4Hz), 7.94(1H, d, J=8.7Hz), 7.80(1H, d, J=2.1Hz), 7.73(2H, d, J=8.1Hz), 7.65(2H, d, J=8.7Hz), 7.60(1H, dd, J=8.1, 2.1Hz), 7.44(1H, d, J=8.1Hz), 7.16(2H, d, J=8.7Hz), 5.13(2H, s), 4.30(1H, m), 3.26(3H, s), 2.40-1.15(2H, m), 2.05-1.75(4H, m), 1.75-1.55(1H, m), 1.55-1.15(3H, m).
Purity >90% (NMR)	
MS 615(M+1)	

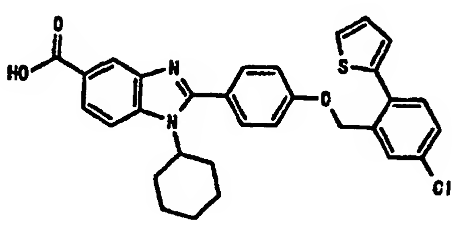
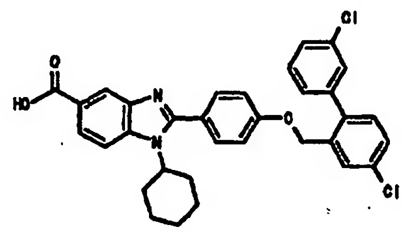
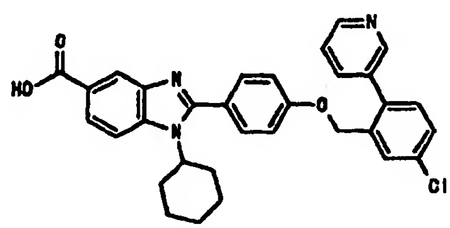
Example No. 168	1H NMR(δ) ppm
	300MHz, DMSO-d6 13.1(1H, brs), 8.32(1H, s), 8.28(1H, d, J=8.8Hz), 8.05(1H, d, J=8.7Hz), 7.80-7.75(3H, m), 7.69(1H, d, J=4.1Hz), 7.57(2H, m), 7.34-7.29(3H, m), 7.20-7.15(1H, m), 5.24(2H, s), 4.39(1H, m), 2.45-2.20(2H, m), 2.20-1.95(2H, m), 1.95-1.75(2H, m), 1.75-1.55(1H, m), 1.55-1.15(3H, m).
Purity >90% (NMR)	
MS 543(M+1)	

Table 47

Example No. 169	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.31(1H, s), 8.26(1H, d, J=8.7Hz), 8.05(1H, d, J=8.7Hz), 7.78-7.71(3H, m), 7.59-7.41(6H, m), 7.23(2H, d, J=9.0Hz), 5.11(2H, s), 4.35(1H, m), 2.40-2.15(2H, m), 2.15-1.95(2H, m), 1.95-1.75(2H, m), 1.75-1.55(1H, m), 1.55-1.15(3H, m).
Purity >90% (NMR)	
MS 571(M+1)	

Example No. 170	1H NMR(δ) ppm
	300MHz, DMSO-d6 12.7(1H, brs), 8.66(1H, s), 8.61(1H, m), 8.21(1H, s), 7.92-7.79(4H, m), 7.61-7.56(3H, m), 7.50-7.43(2H, m), 7.10(2H, d, J=8.7Hz), 5.09(2H, s), 4.26(1H, m), 2.40-2.15(2H, m), 2.00-1.75(4H, m), 1.75-1.55(1H, m), 1.50-1.15(3H, m).
Purity >90% (NMR)	
MS 538(M+1)	

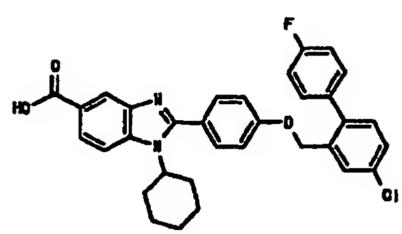
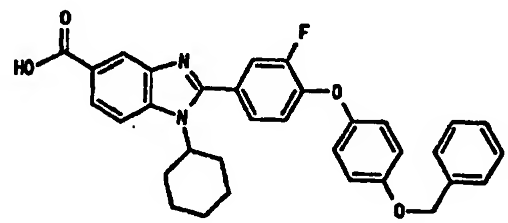
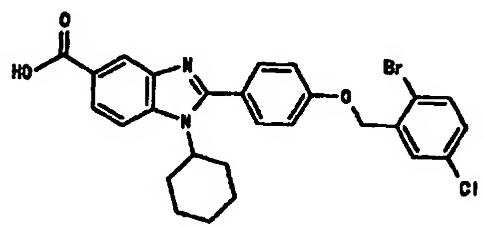
Example No. 171	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.31(1H, s), 8.25(1H, d, J=8.7Hz), 8.04(1H, d, J=8.7Hz), 7.74-7.71(3H, m), 7.57-7.46(3H, m), 7.39(1H, d, J=8.1Hz), 7.31-7.21(4H, m), 5.11(2H, s), 4.35(1H, m), 2.40-2.15(2H, m), 2.15-1.95(2H, m), 1.95-1.75(2H, m), 1.75-1.55(1H, m), 1.55-1.15(3H, m).
Purity >90% (NMR)	
MS 555(M+1)	

Table 48

Example No. 172	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.24(1H, s), 7.99(1H, d, J=8.7Hz), 7.88(1H, d, J=10.5Hz), 7.70(1H, dd, J=11.4, 1.8Hz), 7.48-7.32(6H, m), 7.17-7.09(5H, m), 5.12(2H, s), 4.30(1H, m), 2.40-2.15(2H, m), 2.05-1.75(4H, m), 1.75-1.55(1H, m), 1.55-1.20(3H, m).
Purity >90% (NMR)	
MS 537(M+1)	

Example No. 173	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.33(1H, s), 8.29(1H, d, J=8.7Hz), 8.06(1H, d, J=8.7Hz), 7.82-7.74(4H, m), 7.45(1H, dd, J=8.4, 3.0Hz), 7.39(2H, d, J=8.7Hz), 5.28(2H, s), 4.40(1H, m), 2.40-2.15(2H, m), 2.15-1.95(2H, m), 1.95-1.75(2H, m), 1.75-1.55(1H, m), 1.55-1.15(3H, m).
Purity >90% (NMR)	
MS 540(M+1)	

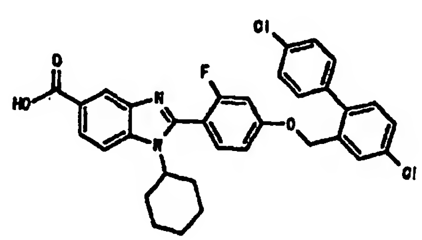
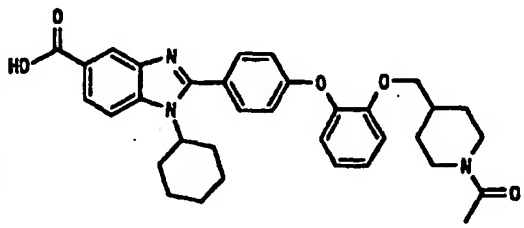
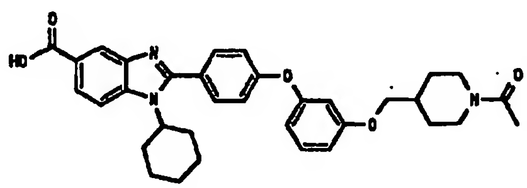
Example No. 174	1H NMR(δ) ppm
	300MHz, DMSO-d6 12.80(1H, brs), 8.26(1H, s), 8.01(1H, d, J=8.7Hz), 7.85(1H, d, J=8.7Hz), 7.80-7.70(1H, m), 7.60-7.36(7H, m), 7.18-6.91(2H, m), 5.09(2H, s), 4.11-3.90(1H, m), 2.32-1.18(14H, m)
Purity >90% (NMR)	
MS 590(M+1)	

Table 49

Example No. 175	1H NMR(δ) ppm
	300MHz, DMSO-d6 12. 75(1H, s), 8. 21(1H, s), 7. 94and7. 85(2H, ABq, J=8. 7Hz), 7. 61and7. 00(4H, A' B' q, J=8. 5Hz), 7. 31-6. 91(2H, m), 7. 25(2H, d, J=7. 7Hz), 5. 41(2H, brs), 4. 54(2H, d, J=6. 6Hz), 4. 35-4. 14(2H, m), 2. 49-2. 15(3H, m), 1. 95-1. 55(5H, m), 1. 50-1. 13(5H, m), 1. 10-0. 77(2H, m)
Purity >90% (NMR)	
MS 568(M+1)	

Example No. 176	1H NMR(δ) ppm
	300MHz, DMSO-d6 8. 24(1H, s), 7. 97and7. 87(2H, ABq, J=8. 6Hz), 7. 69and7. 19(4H, A' B' q, J=8. 6Hz), 7. 35(1H, t, J=8. 1Hz), 6. 81(1H, d, J=9. 2Hz), 6. 72(1H, s), 6. 71(1H, d, J=6. 5Hz), 4. 48-4. 20(2H, m), 3. 95-3. 75(3H, m), 3. 03(1H, t, J=12. 3Hz), 2. 60-2. 40(1H, m), 2. 39-2. 15(2H, m), 2. 07-1. 58(6H, m), 1. 99(3H, s), 1. 50-1. 00(5H, m)
Purity >90% (NMR)	
MS 568(M+1)	

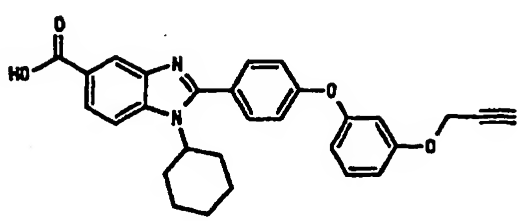
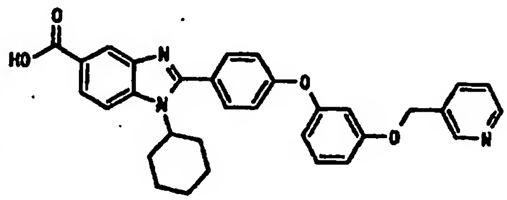
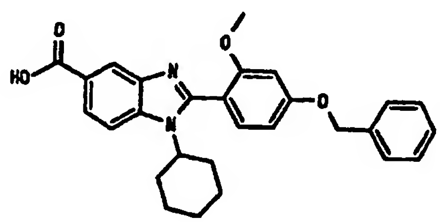
Example No. 177	1H NMR(δ) ppm
	300MHz, DMSO-d6 12. 76(1H, s), 8. 23(1H, s), 7. 96and7. 86(2H, ABq, J=8. 6Hz), 7. 69and7. 20(4H, A' B' q, J=8. 6Hz), 7. 39(1H, t, J=8. 2Hz), 6. 86(1H, d, J=8. 3Hz), 6. 81(1H, s), 6. 76(1h, d, J=8. 0Hz), 4. 83(2H, s), 4. 31(1H, brt, J=12. 2Hz), 2. 39-2. 19(2H, m), 1. 99-1. 79(4H, m), 1. 70-1. 58(1H, m), 1. 48-1. 20(3H, m)
Purity >90% (NMR)	
MS 467(M+1)	

Table 50

Example No. 178	1H NMR(δ) ppm
	300MHz, DMSO-d6 12. 85(1H, s), 8. 75(1H, s), 8. 63(2H, d, J=3. 8Hz), 8. 25(1H, s), 8. 04-8. 01(2H, m), 8. 02and7. 90(2H, ABq, J=8. 6Hz), 7. 72and7. 20(4H, A' B' q, J=8. 6Hz), 7. 57(2H, dd, J=7. 8, 5. 0Hz), 7. 40(1H, t, J=8. 2Hz), 6. 93(1H, d, J=8. 2Hz), 6. 87(1H, s), 6. 77(1H, d, J=8. 2Hz), 5. 23(2H, s), 4. 33(1H, brt, J=12. 2Hz), 2. 40-2. 18(2H, m), 2. 00-1. 55(5H, m), 1. 50-1. 15(3H, m)
Purity >90% (NMR)	
MS 520(M+1)	

Example No. 179	1H NMR(δ) ppm
	300MHz, DMSO-d6 8. 32(1H, s), 8. 29(1H, d, J=9. 0Hz), 8. 06(1H, d, J=8. 7Hz), 7. 61(1H, d, J=8. 4Hz), 7. 58-7. 32(5H, m), 6. 98(1H, d, J=2. 1Hz), 6. 93(1H, dd, J=8. 7, 2. 1Hz), 5. 27(2H, s), 4. 16-4. 00(1H, m), 3. 87(3H, s), 2. 20-2. 12(2H, m), 2. 02-1. 98(4H, m), 1. 70-1. 60(1H, m), 1. 52-1. 10(3H, m)
Purity >90% (NMR)	
MS 457(M+1)	

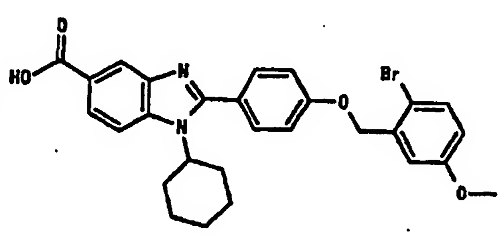
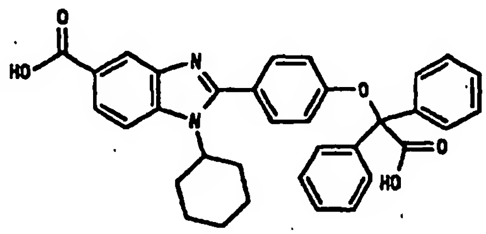
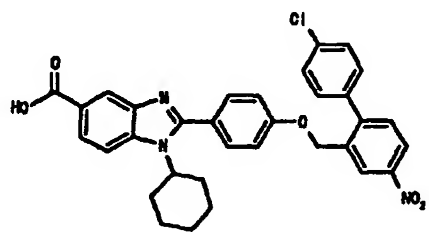
Example No. 180	1H NMR(δ) ppm
	300MHz, DMSO-d6 8. 21(1H, s), 7. 91(1H, d, J=8. 6Hz), 7. 85(1H, d, J=8. 6Hz), 7. 63(2H, d, J=8. 4Hz), 7. 60(1H, d, J=9. 0Hz), 7. 25(2H, d, J=8. 4Hz), 7. 23(1H, d, J=3. 0Hz), 6. 95(1H, dd, J=9. 0, 3. 0Hz), 5. 19(2H, s), 4. 30(1H, m), 3. 78(3H, s), 2. 40-2. 19(2H, m), 2. 00-1. 87(4H, m), 1. 66(1H, m), 1. 49-1. 18(3H, m).
Purity >90% (NMR)	
MS 536(M+1)	

Table 51

Example No. 181	1H NMR(δ) ppm
	300MHz, DMSO-d6 8. 19(1H, s), 7. 95(1H, d, J=8. 7Hz), 7. 86(1H, d, J=8. 7Hz), 7. 65(4H, d, J=7. 4Hz), 7. 47(2H, d, J=8. 7Hz), 7. 44-7. 27(6H, m), 6. 99(2H, d, J=8. 7Hz), 4. 20(1H, m), 2. 34-2. 12(2H, m), 1. 98-1. 75(4H, m), 1. 64(1H, m), 1. 46-1. 13(3H, m).
Purity >90% (NMR)	
MS 547(M+1)	

Example No. 182	1H NMR(δ) ppm
	300MHz, DMSO-d6 8. 55(1H, d, J=2. 1Hz), 8. 32(1H, m), 8. 21(1H, s), 7. 95(1H, d, J=8. 4Hz), 7. 86(1H, d, J=7. 8Hz), 7. 68-7. 56(7H, m), 7. 14(2H, d, J=8. 7Hz), 5. 21(1H, s), 4. 26(1H, m), 2. 35-2. 15(2H, m), 2. 00-1. 75(4H, m), 1. 74-1. 55(1H, m), 1. 50-1. 15(3H, m)
Purity >90% (NMR)	
MS 582(M+)	

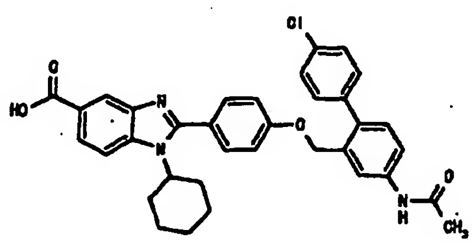
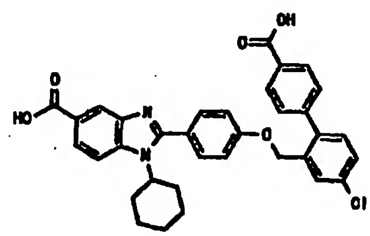
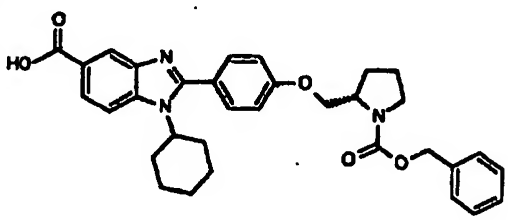
Example No. 183	1H NMR(δ) ppm
	300MHz, DMSO-d6 10. 16(1H, s), 8. 25(1H, s), 8. 07(1H, d, J=8. 7Hz), 7. 94-7. 87(2H, m), 7. 71-7. 62(3H, m), 7. 50-7. 42(4H, m), 7. 30(1H, d, J=8. 4Hz), 7. 14(2H, d, J=8. 4Hz), 5. 06(2H, s), 4. 31(1H, m), 2. 35-2. 15(2H, m), 2. 05-1. 75(4H, m), 1. 75-1. 55(1H, m), 1. 50-1. 15(3H, m)
Purity >90% (NMR)	
MS 594(M+)	

Table 52

Example No. 184	1H NMR(δ) ppm
	300MHz, DMSO-d6 13.2(2H, brs), 8.30(1H, s), 8.26(1H, d, J=8.8Hz), 8.04(1H, d, J=8.8Hz), 8.00(2H, d, J=8.2Hz), 7.79(1H, s), 7.73(2H, d, J=8.7Hz), 7.61-7.56(3H, m), 7.44(1H, d, J=8.3Hz), 7.23(2H, d, J=8.8Hz), 5.13(2H, s), 4.35(1H, m), 2.45-2.15(2H, m), 2.15-1.95(2H, m), 1.95-1.75(1H, m), 1.75-1.15(3H, m).
Purity >90% (NMR)	
MS 581(M+1)	

Example No. 185	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.30(1H, m), 8.24(1H, d, J=9.0Hz), 8.03(1H, d, J=9.0Hz), 7.79-7.10(9H, m), 5.20-5.07(2H, m), 4.43-4.04(4H, m), 3.50-3.36(2H, m), 2.40-1.19(14H, m)
Purity >90% (NMR)	
MS 554(M+1)	

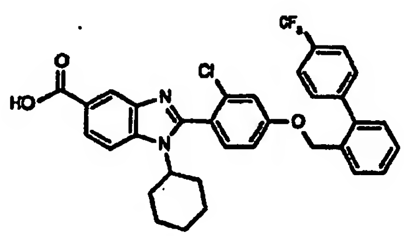
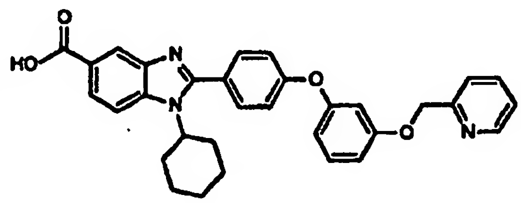
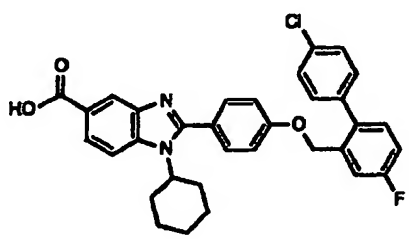
Example No. 186	1H NMR(δ) ppm
	(DMSO-d6) δ:8.29(1H, brs), 8.10(1H, d, J=8.4Hz), 7.97(1H, d, J=8.4Hz), 7.79(2H, d, J=8.4Hz), 7.74-7.67(1H, m), 7.68(2H, d, J=8.4Hz), 7.61(1H, d, J=8.4Hz), 7.57-7.50(2H, m), 7.46-7.39(1H, m), 7.29(1H, d, J=2.4Hz), 7.11(1H, dd, J=2.4, 8.4Hz), 5.12(2H, s), 3.99-3.84(1H, m), 2.35-1.72(6H, m), 1.68-1.55(1H, m), 1.42-1.10(3H, m)
Purity >90% (NMR)	
MS 605(M+1)	

Table 53

Example No.	187	1H NMR(δ) ppm
		300MHz, DMSO-d6 12. 76 (1H, s), 8. 57 (1H, d, J=4. 4Hz), 8. 23 (1H, s), 7. 96and7. 86 (2H, ABq, J=8. 2Hz), 7. 87-7. 82 (1H, m), 7. 68and7. 12 (4H, A'B' q, J=8. 6Hz), 7. 53 (2H, d, J=7. 8Hz), 7. 37 (1H, t, J=8. 3Hz), 7. 36-7. 33 (1H, m), 6. 90 (1H, d, J=8. 3Hz), 6. 83 (1H, s), 6. 74 (1H, d, J=8. 0Hz), 5. 20 (2H, s), 4. 31 (1H, brt, J=12. 2Hz), 2. 35-2. 19 (2H, m), 1. 99-1. 57 (5H, m), 1. 45-1. 20 (3H, m)
Purity	>90% (NMR)	
MS	520 (M+1)	

Example No.	188	1H NMR(δ) ppm
		300MHz, DMSO-d6 12. 77 (1H, brs), 8. 21 (1H, d, J=1, 4Hz), 7. 92 (1H, d, J=8. 7Hz), 7. 88 (1H, dd, J=8. 7, 1. 4Hz), 7. 57 (2H, d, J=8. 7Hz), 7. 57-7. 27 (7H, m), 7. 11 (2H, d, J=8. 7Hz), 5. 07 (2H, s), 4. 26 (1H, m), 2. 36-2. 16 (2H, m), 1. 98-1. 75 (4H, m), 1. 64 (1H, m), 1. 49-1. 17 (3H, m).
Purity	>90% (NMR)	
MS	555 (M+1)	

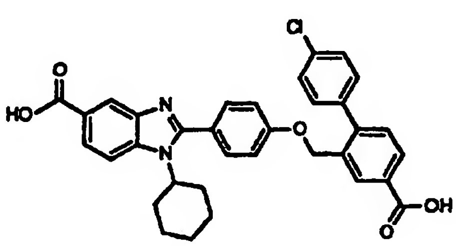
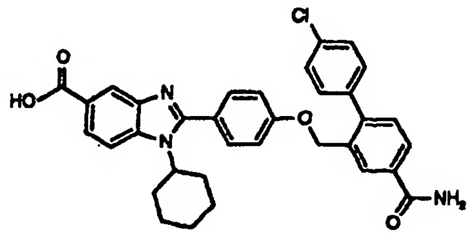
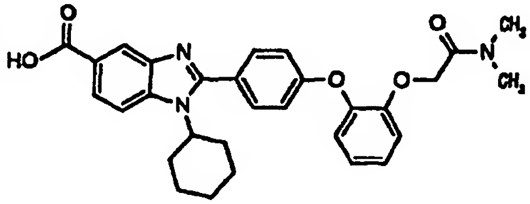
Example No.	189	1H NMR(δ) ppm
		300MHz, DMSO-d6 8. 32 (1H, s), 8. 30-8. 20 (2H, m), 8. 10-7. 98 (2H, m), 7. 74 (2H, d, J=9. 0Hz), 7. 60-7. 46 (5H, m), 7. 24 (2H, d, J=9. 0Hz), 5. 19 (2H, s), 4. 44-4. 30 (1H, m), 2. 40-2. 20 (2H, m), 2. 12-1. 78 (4H, m), 1. 72-1. 58 (4H, m)
Purity	>90% (NMR)	
MS	581 (M+1)	

Table 54

Example No. 190	1H NMR(δ) ppm
	300MHz, DMSO-d6 8. 36-7. 90 (5H, m), 7. 74 (2H, d, J=8. 6Hz), 7. 60-7. 40 (5H, m), 7. 25 (2H, d, J=8. 7Hz), 5. 14 (2H, s), 4. 45-4. 28 (1H, m), 2. 40-2. 15 (4H, m), 1. 75-1. 55 (1H, m), 1. 55-1. 20 (3H, m)
Purity >90% (NMR)	
MS 580 (M+1)	

Example No. 191	1H NMR(δ) ppm
	300MHz, DMSO-d6 8. 22 (1H, s), 7. 94 (1H, d, J=8. 4Hz), 7. 85 (1H, d, J=8. 7Hz), 7. 61 (2H, d, J=8. 7Hz), 7. 25-7. 00 (6H, m), 4. 86 (2H, s), 4. 30 (1H, m), 2. 89 (3H, s), 2. 80 (3H, s), 2. 29 (2H, m), 2. 00-1. 75 (4H, m), 1. 70-1. 55 (1H, m), 1. 50-1. 15 (3H, m)
Purity >90% (NMR)	
MS 514 (M+1)	

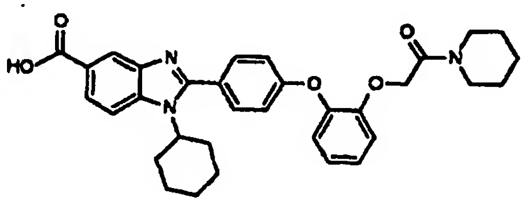
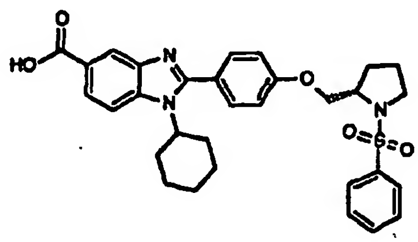
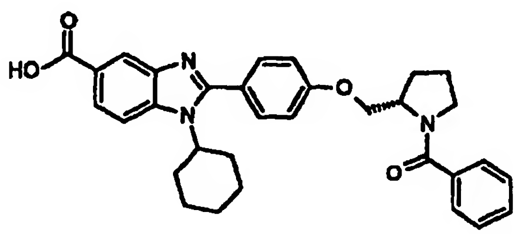
Example No. 192	1H NMR(δ) ppm
	300MHz, DMSO-d6 8. 22 (1H, s), 7. 94 (1H, d, J=8. 4Hz), 7. 85 (1H, d, J=8. 7Hz), 7. 61 (2H, d, J=8. 7Hz), 7. 26-7. 01 (6H, m), 4. 84 (2H, s), 4. 31 (1H, m), 3. 36 (4H, m), 2. 29 (2H, m), 2. 00-1. 75 (4H, m), 1. 75-1. 15 (10H, m)
Purity >90% (NMR)	
MS 554 (M+1)	

Table 55

Example No. 193	1H NMR(δ) ppm
	300MHz, DMSO-d6 13.00(1H, brs), 8.29(1H, d, J=1.4Hz), 8.15(1H, d, J=8.8Hz), 7.97(1H, dd, J=1.4Hz, 8.8Hz), 7.89(2H, d, J=8.8Hz), 7.80-7.60(5H, m) 7.25(2H, d, J=8.8Hz), 4.47-3.90(4H, m), 3.20-3.10(2H, m), 2.41-1.22(14H, m)
Purity >90% (NMR)	
MS 560(M+1)	

Example No. 194	1H NMR(δ) ppm
	300MHz, DMSO-d6 12.80(1H, brs), 8.23(1H, s), 7.97(1H, d, J=8.5Hz), 7.87(1H, d, J=8.5Hz), 7.70-7.17(9H, m), 4.60-4.13(4H, m), 3.72-3.40(2H, m), 2.40-1.15(14H, m)
Purity >90% (NMR)	
MS 524(M+1)	

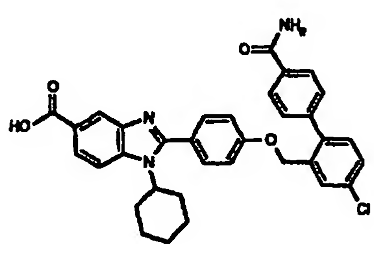
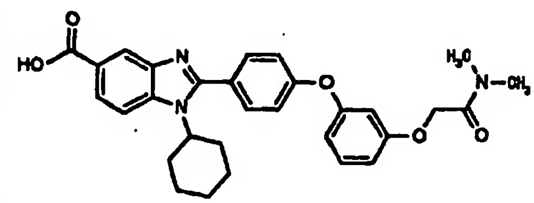
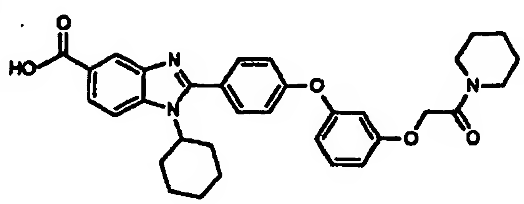
Example No. 195	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.25(1H, s), 8.09-7.92(5H, m), 7.77(1H, s), 7.65(2H, d, J=8.4Hz), 7.59-7.51(3H, m), 7.43(2H, d, J=8.4Hz), 7.17(2H, d, J=8.7Hz), 5.10(2H, s), 4.30(1H, m), 2.40-2.15(2H, m), 2.10-1.75(4H, m), 1.75-1.55(1H, m), 1.55-1.10(3H, m).
Purity >90% (NMR)	
MS 580(M+1)	

Table 56

Example No. 196	1H NMR(δ) ppm
	300MHz, DMSO-d6 8. 22 (1H, s), 7. 95 (1H, d, J=8. 4Hz), 7. 86 (1H, d, J=8. 4Hz), 7. 69and7. 18 (4H, ABq, J=8. 7Hz), 7. 34 (1H, t, J=8. 0Hz), 6. 80-6. 69 (3H, m), 4. 83 (2H, s), 4. 31 (1H, m), 2. 98 (3H, s), 2. 84 (3H, s), 2. 29 (2H, m), 2. 00-1. 75 (4H, m), 1. 70-1. 55 (1H, m), 1. 50-1. 15 (3H, m)
Purity >90% (NMR)	
MS 514 (M+1)	

Example No. 197	1H NMR(δ) ppm
	300MHz, DMSO-d6 8. 23 (1H, s), 7. 95 (1H, d, J=8. 4Hz), 7. 86 (1H, d, J=8. 7Hz), 7. 69and7. 18 (4H, ABq, J=8. 7Hz), 7. 35 (1H, t, J=8. 4Hz), 6. 80-6. 70 (3H, m), 4. 82 (2H, s), 4. 31 (1H, m), 3. 40 (4H, m), 2. 29 (2H, m), 2. 00-1. 75 (4H, m), 1. 70-1. 15 (10H, m)
Purity >90% (NMR)	
MS 554 (M+1)	

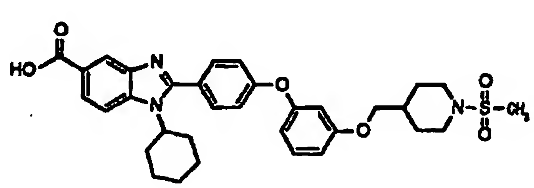
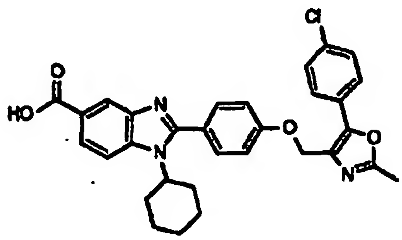
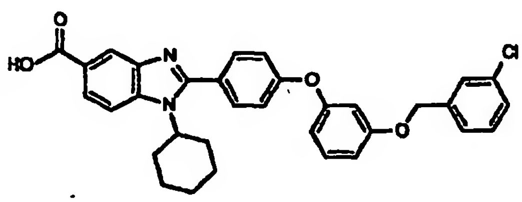
Example No. 198	1H NMR(δ) ppm
	300MHz, DMSO-d6 12. 75 (1H, s), 8. 23 (1H, d, J=4. 4Hz), 7. 95and7. 86 (2H, ABq, J=8. 6Hz), 7. 69and7. 19 (4H, A'B'q, J=8. 6Hz), 7. 36 (1H, t, J=7. 8Hz), 6. 82 (1H, d, J=9. 3Hz), 6. 73 (1H, s), 6. 71 (1H, d, J=7. 2Hz), 4. 30 (1H, brt, J=12. 2Hz), 3. 89 (2H, d, J=6. 0Hz), 3. 59 (2H, d, J=11. 7Hz), 2. 85 (3H, s), 2. 73 (2H, t, J=10. 5Hz), 2. 41-2. 20 (2H, m), 1. 98-1. 59 (8H, m), 1. 46-1. 18 (5H, m)
Purity >90% (NMR)	
MS 604 (M+1)	

Table 57

Example No. 199	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.33(1H, s), 8.30(1H, d, J=8.9Hz), 8.06(1H, d, J=8.7Hz), 7.79(2H, d, J=8.7Hz), 7.70(2H, d, J=8.7Hz), 7.61(2H, d, J=8.7Hz), 7.39(2H, d, J=8.8Hz), 5.28(2H, s), 4.39(1H, m), 2.50-2.15(2H, m), 2.15-1.95(2H, m), 1.95-1.75(2H, m), 1.75-1.55(1H, m), 1.55-1.15(3H, m).
Purity >90% (NMR)	
MS 542(M+1)	

Example No. 200	1H NMR(δ) ppm
	(DMSO-d6) δ:8.23(1H, s), 7.96(1H, d, J=8.6Hz), 7.86(1H, d, J=8.6Hz), 7.69(2H, d, J=8.4Hz), 7.52(1H, s), 7.50-7.30(4H, m), 7.18(2H, d, J=8.4Hz), 6.90(1H, d, J=8.3Hz), 6.84(1H, s), 6.74(1H, d, J=8.3Hz), 5.15(2H, s), 4.39-4.21(1H, m), 2.39-2.18(2H, m), 1.99-1.80(4H, m), 1.71-1.59(1H, m), 1.50-1.20(3H, m)
Purity >90% (NMR)	
MS 553(M+1)	

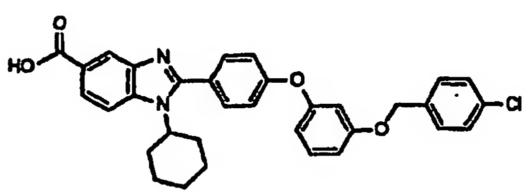
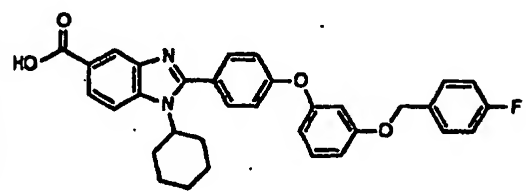
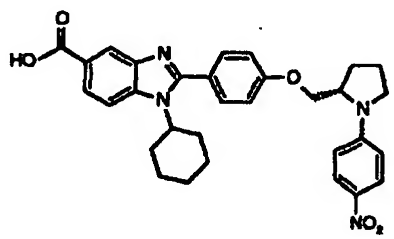
Example No. 201	1H NMR(δ) ppm
	(DMSO-d6) δ:8.26(1H, s), 8.06(1H, d, J=8.7Hz), 7.92(1H, d, J=8.7Hz), 7.72(2H, d, J=8.7Hz), 7.47(4H, s), 7.38(1H, t, J=8.2Hz), 7.20(2H, d, J=8.7Hz), 6.90(1H, d, J=8.2Hz), 6.83(1H, s), 6.74(1H, d, J=8.2Hz), 5.14(2H, s), 2.40-2.19(2H, m), 2.04-1.78(4H, m), 1.71-1.60(1H, m), 1.50-1.21(3H, m)
Purity >90% (NMR)	
MS 553(M+1)	

Table 58

Example No. 202	1H NMR(δ) ppm
	(DMSO-d6) δ : 12.81 (1H, brs), 8.24 (1H, s), 7.99 (1H, d, J=8.7Hz), 7.87 (1H, d, J=8.7Hz), 7.69 (2H, d, J=8.6Hz), 7.53-7.47 (2H, m), 7.38 (1H, t, J=8.2Hz), 7.26-7.16 (4H, m), 6.89 (1H, d, J=8.2Hz), 6.82 (1H, s), 6.73 (1H, d, J=8.2Hz), 5.11 (2H, s), 4.40-4.21 (1H, m), 2.40-2.17 (2H, m), 2.01-1.77 (4H, m), 1.71-1.59 (1H, m), 1.50-1.20 (3H, m)
Purity >90% (NMR)	
MS 537 (M+1)	

Example No. 203	1H NMR(δ) ppm
	300MHz, DMSO-d6 12.74 (1H, brs), 8.21 (1H, s), 8.08 (2H, d, J=9.0Hz), 7.93 (1H, d, J=8.7Hz), 7.85 (2h, d, J=8.7Hz), 7.58 (2H, d, J=8.7Hz), 7.13 (2H, d, J=8.7Hz), 6.83 (2H, d, J=9.0Hz), 4.50-4.08 (4H, m), 3.68-3.30 (2H, m), 2.40-1.23 (14H, m)
Purity >90% (NMR)	
MS 541 (M+1)	

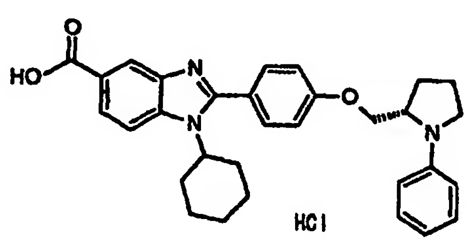
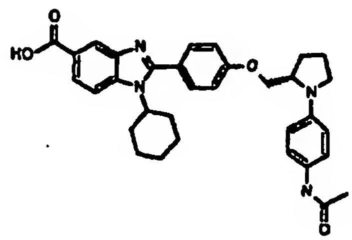
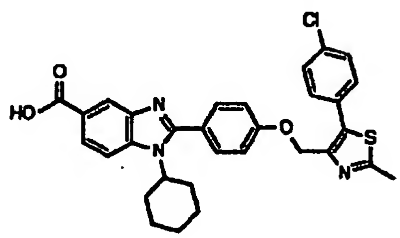
Example No. 204	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.39-8.28 (2H, m), 8.08 (1H, d, J=8.8Hz), 7.76 (2H, d, J=8.7Hz), 7.29 (2H, d, J=8.7Hz), 7.25-7.13 (2H. m), 6.80-6.60 (3H, m), 4.46-3.98 (4H, m), 3.51-3.42 (1H, m), 3.20-3.04 (1H, m), 2.39-1.20 (14H, m)
Purity >90% (NMR)	
MS	

Table 59

Example No. 205	1H NMR(δ) ppm
	300MHz, DMSO-d6 9.59(1H, brs), 8.23(1H, s), 8.04(1H, d, J=8.4Hz), 7.90(1H, d, J=8.4Hz), 7.62(2H, d, J=8.7Hz), 7.39(2H, 2H, d, J=8.7Hz) 7.18(2H, d, J=8.7Hz), 6.63(2H, d, J=8.7Hz), 3.95-3.37(4H, m), 3.51-3.40(1H, m), 3.17-3.02(1H. m), 2.39-1.18(17H, m)
Purity >90% (NMR)	
MS 553(M+1)	

Example No. 206	1H NMR(δ) ppm
	300MHz, DMSO-d6 13.1(1H, brs), 8.33(1H, s), 8.29(1H, d, J=8.8Hz), 8.06(1H, d, J=8.7Hz), 7.77(2H, d, J=8.7Hz), 7.59-7.52(4H, m), 7.35(2H, d, J=8.8Hz), 5.19(2H, s), 4.39(1H, m), 2.71(3H, s), 2.45-2.20(2H, m), 2.20-1.95(2H, m), 1.95-1.75(2H, m), 1.75-1.55(1H, m), 1.55-1.15(3H, m).
Purity >90% (NMR)	
MS 558(M+1)	

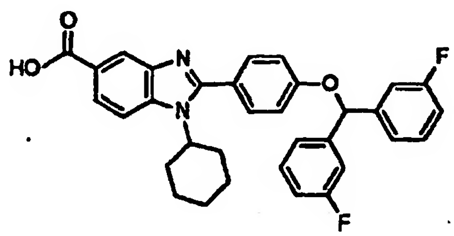
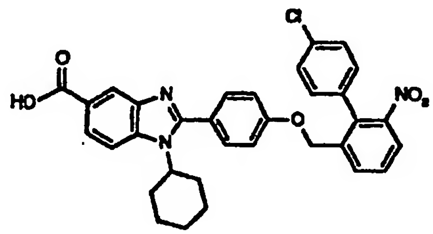
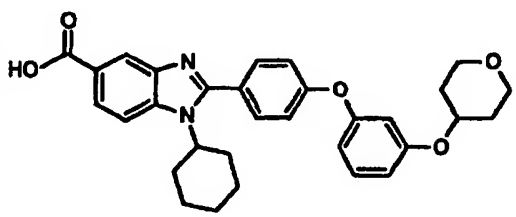
Example No. 207	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.29(1H, s), 8.26(1H, d, J=8.8Hz), 8.04(1H, d, J=8.7Hz), 7.73(2H, d, J=8.8Hz), 7.50-7.41(6H, m), 7.36(2H, d, J=8.8Hz), 7.18-7.13(2H, m), 6.84(1H, s), 4.33(1H, m), 2.40-2.15(2H, m), 2.15-1.95(2H, m), 1.95-1.75(2H, m), 1.75-1.55(1H, m), 1.55-1.15(3H, m).
Purity >90% (NMR)	
MS 539(M+1)	

Table 60

Example No. 208	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.32(1H, s), 8.27(1H, d, J=9.0Hz), 8.07-8.00(3H, m), 7.79-7.70(3H, m), 7.51(2H, d, J=8.1Hz), 7.40(2H, d, J=8.4Hz), 7.18(2H, d, J=8.7Hz), 4.99(2H, s), 4.34(1H, m), 2.40-2.15(2H, m), 2.15-1.95(2H, m), 1.95-1.75(2H, m), 1.75-1.55(1H, m), 1.55-1.15(3H, m).
Purity >90% (NMR)	
MS 582(M+1)	

Example No. 209	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.24(1H, d, J=4.4Hz), 7.98and7.88(2H, ABq, J=8.6Hz), 7.70and7.19(4H, A'B'q, J=8.4Hz), 7.35(1H, t, J=8.4Hz), 6.86(1H, d, J=8.1Hz), 6.79(1H, s), 6.71(1H, d, J=8.1Hz), 4.65-4.53(1H, m), 4.31(1H, brt, J=12.2Hz), 3.88-3.78(2H, m), 3.48(2H, t, J=9.0Hz), 2.39-2.19(2H, m), 1.02-1.71(6H, m), 1.70-1.50(3H, m), 1.46-1.19(3H, m)
Purity >90% (NMR)	
MS 513(M+1)	

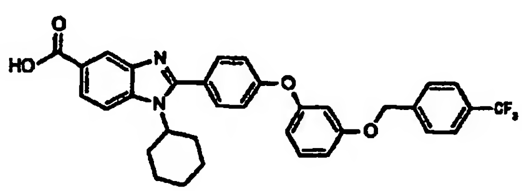
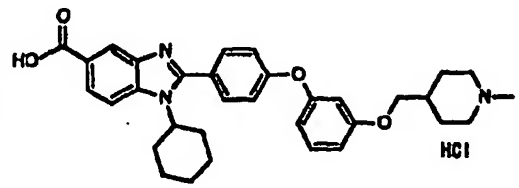
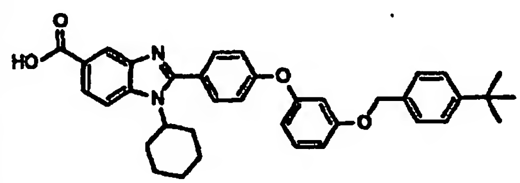
Example No. 210	1H NMR(δ) ppm
	300MHz, DMSO-d6 12.75(1H, s), 8.23(1H, s), 7.96and7.87(2H, ABq, J=8.7Hz), 7.84-7.66(6H, m), 7.38(1H, t, J=8.4Hz), 7.18(2H, d, J=8.4Hz), 6.91(1H, d, J=9.0Hz), 6.84(1H, s), 6.74(1H, d, J=8.1Hz), 5.26(2H, s), 4.31(1H, brt, J=12.2Hz), 2.40-2.20(2H, m), 1.99-1.76(4H, m), 1.69-1.58(1H, m), 1.45-1.20(3H, m)
Purity >90% (NMR)	
MS 587(M+1)	

Table 61

Example No. 211	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.29(1H, s), 8.15and7.47(2H, ABq, J=9.0Hz), 7.77and7.24(4H, ABq, J=8.9Hz), 7.39(1H, t, J=7.8Hz), 6.84(1H, d, J=9.3Hz), 6.76(1H, s), 6.75(1H, d, J=9.5Hz), 4.36(1H, brt, J=12.2Hz), 3.89(2H, d, J=6.0Hz), 3.42(2H, d, J=10.8Hz), 3.04-2.88(2H, m), 2.78-2.60(1H, m), 2.71(2H, d, J=4.8Hz), 2.38-2.20(2H, m), 2.07-1.80(7H, m), 1.70-1.20(5H, m)
Purity >90% (NMR)	
MS 540(M+1)	

Example No. 212	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.22(1H, s), 7.93and7.87(2H, ABq, J=8.6Hz), 7.68and7.17(4H, A'B'q, J=8.7Hz), 7.43-7.33(5H, m), 6.87(1H, d, J=8.1Hz), 7.18(2H, d, J=8.4Hz), 6.91(1H, d, J=9.0Hz), 6.81(1H, s), 6.72(1H, d, J=8.0Hz), 5.08(2H, s), 4.36(1H, brt, J=12.2Hz), 2.37-2.20(2H, m), 1.98-1.78(4H, m), 1.69-1.60(1H, m), 1.41-1.21(3H, m), 1.28(9H, s)
Purity >90% (NMR)	
MS 575(M+1)	

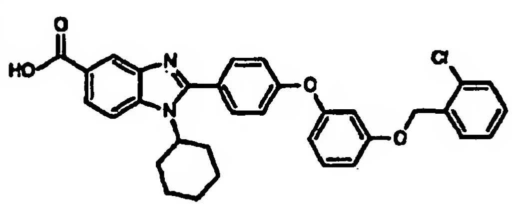
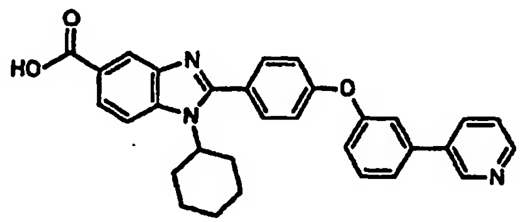
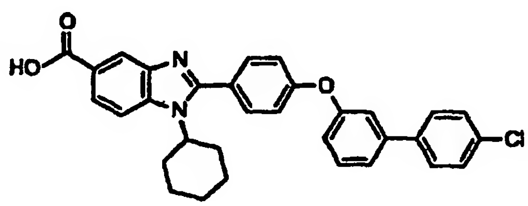
Example No. 213	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.23(1H, s), 7.95and7.86(2H, ABq, J=8.4Hz), 7.69and7.19(4H, A'B'q, J=8.7Hz), 7.62-7.36(5H, m), 6.90(1H, d, J=8.1Hz), 6.84(1H, s), 6.76(1H, d, J=8.1Hz), 5.19(2H, s), 4.31(1H, brt, J=12.2Hz), 2.40-2.19(2H, m), 1.99-1.76(4H, m), 1.68-1.55(1H, m), 1.50-1.18(3H, m)
Purity >90% (NMR)	
MS 553(M+1)	

Table 62

Example No. 214	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.94(1H, d, J=2.1Hz), 8.60(1H, dd, J=4.8, 1.5Hz), 8.23(1H, d, J=1.5Hz), 8.12(1H, dt, J=8.1, 2.1Hz), 7.93(1H, d, J=8.7Hz), 7.87(1H, dd, J=8.7, 1.5Hz), 7.70(1H, d, J=8.7Hz), 7.67-7.54(3H, m), 7.50(1H, dd, J=8.1, 4.8Hz), 7.25(2H, d, J=8.7Hz), 7.21(1H, m), 4.31(1H, m), 2.38-2.19(2H, m), 2.00-1.78(4H, m), 1.65(1H, m), 1.48-1.22(3H, m).
Purity >90% (NMR)	
MS 490(M+1)	

Example No. 215	1H NMR(δ) ppm
	300MHz, DMSO-d6 12.75(1H, brs), 8.23(1H, s), 7.95(1H, d, J=8.7Hz), 7.86(1H, d, J=8.7Hz), 7.73(2H, d, J=8.4Hz), 7.71(2H, d, J=8.4Hz), 7.63-7.39(2H, m), 7.52(2H, d, J=8.4Hz), 7.24(2H, d, J=8.4Hz), 7.18(1H, m), 4.31(1H, m), 2.39-2.20(2H, m), 2.00-1.76(4H, m), 1.65(1H, m), 1.49-1.18(3H, m).
Purity >90% (NMR)	
MS 523(M+1)	

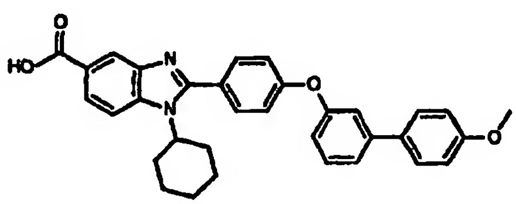
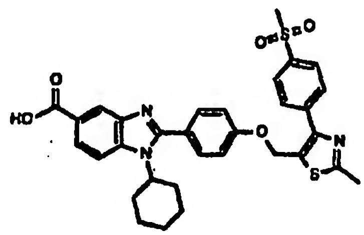
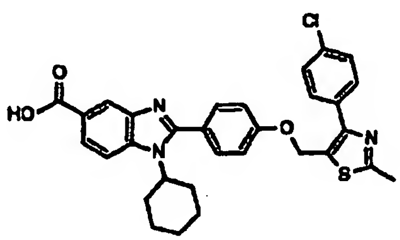
Example No. 216	1H NMR(δ) ppm
	300MHz, DMSO-d6 12.77(1H, s), 8.23(1H, d, J=1.4Hz), 7.95(1H, d, J=8.6Hz), 7.86(1H, dd, J=8.6, 1.4Hz), 7.70(2H, d, J=8.7Hz), 7.64(2H, d, J=8.8Hz), 7.56-7.48(2H, m), 7.40(1H, s), 7.23(2H, d, J=8.7Hz), 7.10(1H, m), 7.03(2H, d, J=8.8Hz), 4.31(1H, m), 3.80(3H, s), 2.48-2.20(2H, m), 2.00-1.88(4H, m), 1.66(1H, m), 1.50-1.21(3H, m).
Purity >90% (NMR)	
MS 519(M+1)	

Table 63

Example No. 217	1H NMR(δ) ppm
	(DMSO-d6) δ :12.80(1H, brs), 8.23(1H, s), 8.04(1H, d, J=8.6Hz), 7.96(3H, d, J=8.6Hz), 7.86(1H, d, J=8.7Hz), 7.63(2H, d, J=8.6Hz), 7.25(2H, d, J=8.6Hz), 5.50(2H, s), 4.36-4.21(1H, m), 3.27(3H, s), 2.74(3H, s), 2.40-2.19(2H, m), 1.99-1.79(4H, m), 1.71-1.60(1H, m), 1.49-1.19(3H, m)
Purity >90% (NMR)	
MS 602(M+1)	

Example No. 218	1H NMR(δ) ppm
	300MHz, DMSO-d6 12.9(1H, brs), 8.25(1H, s), 8.04(1H, d, J=8.7Hz), 7.91(1H, d, J=8.6Hz), 7.72(2H, d, J=8.5Hz), 7.67(2H, d, J=8.7Hz), 7.56(2H, d, J=8.5Hz), 7.26(2H, d, J=8.7Hz), 5.45(2H, s), 4.31(1H, m), 2.71(3H, s), 2.40-2.15(2H, m), 2.05-1.80(4H, m), 1.75-1.55(1H, m), 1.55-1.15(3H, m).
Purity >90% (NMR)	
MS 558(M+1)	

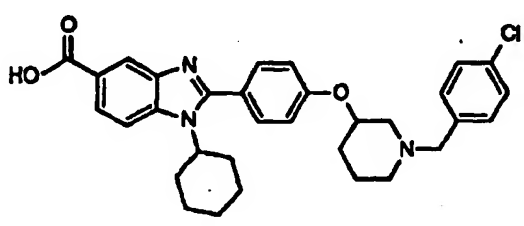
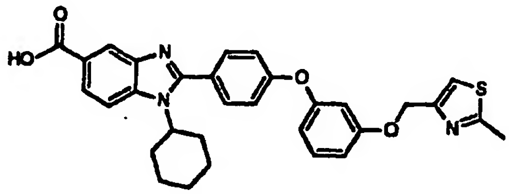
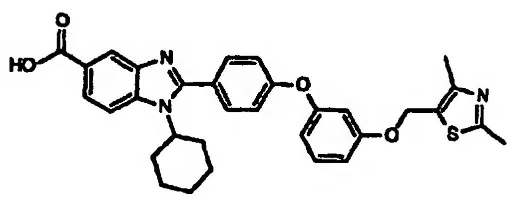
Example No. 219	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.21(1H, d, J=1.5Hz), 7.93(1H, d, J=9.0Hz), 7.84(1H, dd, J=9.0, 1.5Hz), 7.56(2H, d, J=8.7Hz), 7.42-7.30(4H, m), 7.12(2H, d, J=8.7Hz), 4.53(1H, brs), 4.36-4.20(1H, m), 3.55(2H, brs), 3.00-2.90(1H, m), 2.70-2.58(1H, m), 2.40-1.10(18H, m)
Purity >90% (NMR)	
MS 544(M+1)	

Table 64

Example No.	220	1H NMR(δ) ppm
		300MHz, DMSO-d6 12. 76(1H, s), 8. 23(1H, s), 7. 96and7. 87(2H, ABq, J=8. 9Hz), 7. 69and7. 19(4H, A' B' q, J=8. 6Hz), 7. 55(1H, s), 7. 37(1H, t, J=8. 1Hz), 6. 91(1H, d, J=7. 8Hz), 6. 85(1H, s), 6. 74(1H, d, J=7. 5Hz), 5. 13(2H, s), 4. 31(1H, brt, J=12. 2Hz), 2. 65(3H, s), 2. 41-2. 20(2H, m), 2. 00-1. 74(4H, m), 1. 70-1. 59(1H, m), 1. 58-1. 20(3H, m)
Purity	>90% (NMR)	
MS	540(M+1)	

Example No.	221	1H NMR(δ) ppm
		300MHz, DMSO-d6 8. 23(1H, s), 7. 96and7. 86(2H, ABq, J=8. 6Hz), 7. 69and7. 18(4H, A' B' q, J=8. 7Hz), 7. 37(1H, t, J=8. 2Hz), 6. 87(1H, d, J=8. 2Hz), 6. 82(1H, s), 6. 75(1H, d, J=8. 0Hz), 5. 24(2H, s), 4. 32(1H, brt, J=12. 2Hz), 2. 58(3H, s), 2. 38-2. 20(2H, m), 2. 30(3H, s), 2. 00-1. 79(4H, m), 1. 70-1. 59(1H, m), 1. 44-1. 20(3H, m)
Purity	>90% (NMR)	
MS	554(M+1)	

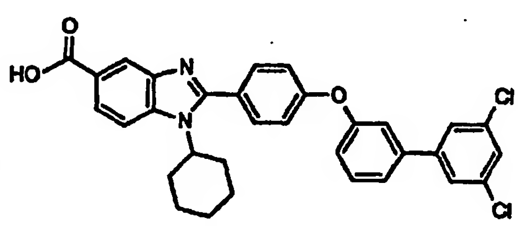
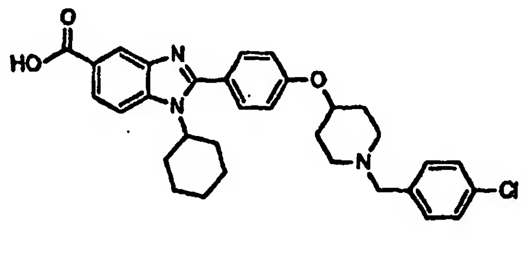
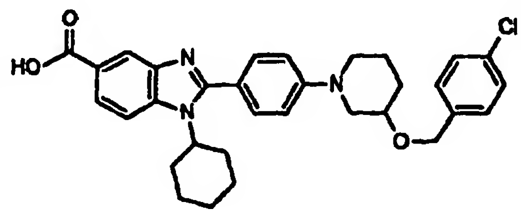
Example No.	222	1H NMR(δ) ppm
		300MHz, DMSO-d6 12. 88(1H, brs), 8. 25(s, 1H), 8. 07-7. 57(11H, m), 7. 26(2H, d, J=8. 7Hz), 7. 24(1H, m), 4. 34(1H, m), 2. 30-2. 20(2H, m), 2. 03-1. 78(4H, m), 1. 64(1H, m), 1. 49-1. 19(3H, m).
Purity	>90% (NMR)	
MS	557(M+1)	

Table 65

Example No.	223	1H NMR(δ) ppm
		300MHz, DMSO-d6 10. 96 (1H, brs), 8. 21 (1H, d, J=1. 4Hz), 7. 93 (1H, d, J=8. 7 Hz), 7. 84 (1H, dd, J=8. 7, 1. 4 Hz), 7. 76-7. 40 (7H, m), 7. 18 (2H, d, J=8. 0Hz), 4. 24-4. 16 (2H, m), 2. 40-1. 12 (18H, m)
Purity	>90% (NMR)	
MS	544 (M+1)	

Example No.	224	1H NMR(δ) ppm
		(DMSO-d6) δ :8. 22 (1H, s), 8. 07 (1H, d, J=8. 4Hz), 7. 92 (1H, d, J=8. 4Hz), 7. 54 (2H, d, J=8. 7Hz), 7. 40 (2H, d, J=8. 4Hz), 7. 30 (2H, d, J=8. 4Hz), 7. 14 (2H, d, J=8. 7Hz), 4. 61 (2H, s), 4. 48-4. 32 (1H, m), 3. 82 (1H, brd, J=12. 3Hz), 3. 65-3. 47 (2H, m), 3. 10 (brdd, J=8. 4, 12. 3Hz), 2. 40-2. 20 (2H, m), 2. 09-1. 76 (6H, m), 1. 71-1. 16 (6H, m)
Purity	>90% (NMR)	
MS	544 (M+1)	

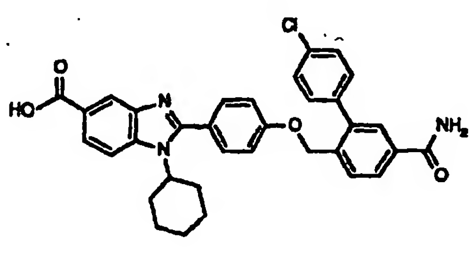
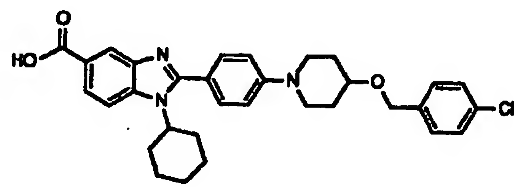
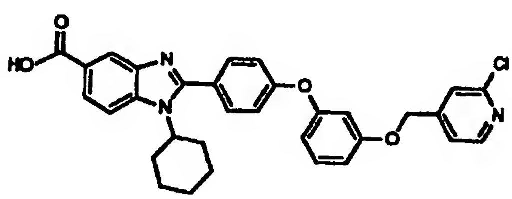
Example No.	225	1H NMR(δ) ppm
		(DMSO-d6) δ :12. 83 (1H, brs), 8. 21 (1H, s), 8. 10 (1H, brs), 7. 01-7. 91 (2H, m), 7. 89-7. 82 (2H, m), 7. 75 (1H, d, J=8. 0Hz), 7. 59 (2H, d, J=8. 7Hz), 7. 53 (4H, s), 7. 46 (1H, brs), 7. 12 (2H, d, J=8. 7Hz), 7. 23 (2H, s), 4. 35-4. 17 (1H, m), 2. 38-2. 20 (2H, m), 1. 99-1. 79 (4H, m), 1. 71-1. 59 (1H, m), 1. 48-1. 18 (3H, m)
Purity	>90% (NMR)	
MS	580 (M+1)	

Table 66

Example No. 226	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.33and8.08(2H, ABq, J=8.7Hz), 8.31(1H, m), 7.66and7.26(4H, A'B'q, J=9.2Hz), 7.42and7.39(4H, A"B"q, J=8.7Hz), 4.57(2H, s), 4.50(1H, brt, J=12.2Hz), 3.85-3.62(3H, m), 3.28-3.16(2H, m), 2.42-2.23(2H, m), 2.14-1.81(6H, m), 1.72-1.25(6H, m)
Purity >90% (NMR)	
MS 544(M+1)	

Example No. 227	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.43(1H, d, J=5.0Hz), 8.23(1H, s), 7.96and7.86(2H, ABq, J=8.6Hz), 7.69and7.18(4H, A'B'q, J=8.6Hz), 7.57(1H, s), 7.47(1H, d, J=5.0Hz), 7.40(2H, t, J=8.2Hz), 6.91(1H, d, J=8.3Hz), 6.85(1H, s), 6.77(1H, d, J=7.9Hz), 5.25(2H, s), 4.31(1H, brt, J=12.2Hz), 2.40-2.19(2H, m), 1.99-1.75(4H, m), 1.73-1.57(1H, m), 1.49-1.19(3H, m)
Purity >90% (NMR)	
MS 554(M+1)	

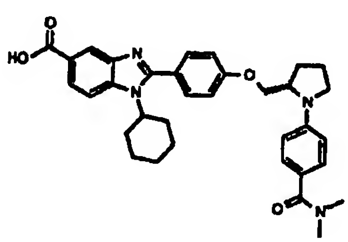
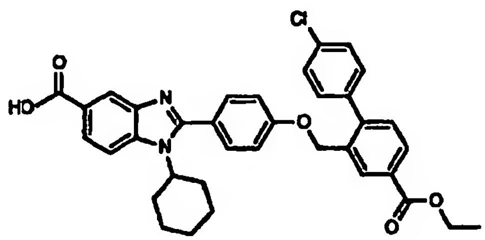
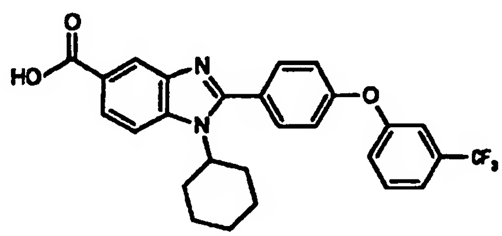
Example No. 228	1H NMR(δ) ppm
	300MHz, DMSO-d6 12.80(1H, brs), 8.22(1H, s), 7.94(1H, d, J=8.6Hz), 7.87(1H, d, J=8.6Hz), 7.60(2H, d, J=8.7Hz), 7.32(2H, d, J=8.7Hz) 7.17(2H, d, J=8.7Hz), 6.70(2H, d, J=8.7Hz), 4.35-3.97(4H, m), 3.62-3.11(2H, m), 2.96(6H, s), 2.39-1.12(14H, m)
Purity >90% (NMR)	
MS 567(M+1)	

Table 67

Example No. 229	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.25(1H, s), 8.20(1H, s), 8.04(1H, dd, J=8.1, 1.8Hz), 7.92(1H, d, J=8.1Hz), 7.84(1H, d, J=9.9Hz), 7.62-7.50(7H, m), 7.12(2H, d, J=8.7Hz), 5.14(2H, s), 4.36(2H, q, J=6.9Hz), 4.30-4.20(1H, m), 2.38-2.18(2H, m), 1.98-1.18(8H, m), 1.35(3H, t, J=6.9Hz)
Purity >90% (NMR)	
MS 608(M+1)	

Example No. 230	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.35(1H, s), 8.27(1H, d, J=8.7Hz), 8.05(1H, d, J=9.0Hz), 7.87(2H, d, J=8.7Hz), 7.74(1H, t, J=8.1Hz), 7.64(1H, d, J=7.8Hz), 7.59-7.50(2H, m), 7.36(2H, d, J=8.7Hz), 4.39(1H, m), 2.40-2.15(2H, m), 2.15-1.95(2H, m), 1.95-1.75(2H, m), 1.75-1.55(1H, m), 1.55-1.20(3H, m).
Purity about90% (NMR)	
MS 481(M+1)	

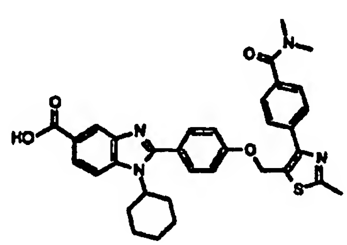
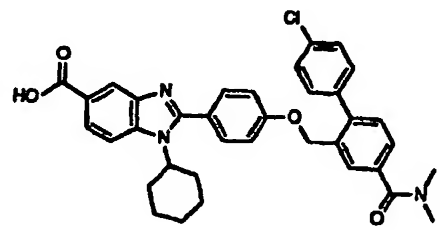
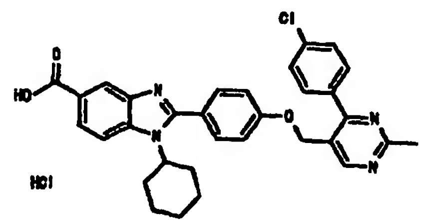
Example No. 231	1H NMR(δ) ppm
	300MHz DMSO-d6 12.78(1H, brs), 8.23(1H, d, J=1.5Hz), 7.96(1H, d, J=8.7Hz), 7.87(1H, dd, J=8.7, 1.5Hz), 7.75(2H, d, J=8.4Hz), 7.63(2H, d, J=8.4Hz), 7.52(2H, d, J=8.4Hz), 7.24(2H, d, J=8.4Hz), 5.47(2H, s), 4.29(1H, m), 2.97(6H, brs), 2.72(3H, s), 2.39-2.16(2H, m), 2.00-1.78(4H, m), 1.71-1.59(1H, m), 1.49-1.17(3H, m).
Purity about90% (NMR)	
MS 595(M+1)	

Table 68

Example No. 232	1H NMR(δ) ppm
	300MHz, DMSO-d6 12.8(1H, brs), 8.22(1H, s), 7.96(1H, d, J=8.7Hz), 7.86(1H, d, J=8.6Hz), 7.70(1H, s), 7.59(2H, d, J=8.7Hz), 7.53-7.50(5H, m), 7.42(1H, d, J=7.9Hz), 7.12(2H, d, J=8.7Hz), 5.11(2H, s), 4.27(1H, m), 3.01(3H, brs), 2.97(3H, brs), 2.40-2.15(2H, m), 2.00-1.75(4H, m), 1.75-1.55(1H, m), 1.50-1.15(3H, m).
Purity >90% (NMR)	
MS 608(M+1)	

Example No. 233	1H NMR(δ) ppm
	DMSO-d6 13.20(1H, brs), 8.99(1H, s), 8.32(1H, s), 8.25(1H, d, J=8.8Hz), 8.04(1H, d, J=8.6Hz), 7.79-7.74(4H, m), 7.60(2H, d, J=8.5Hz), 7.30(2H, d, J=8.7Hz), 5.26(2H, s), 4.36(1H, m), 2.72(3H, s), 2.50-2.15(2H, m), 2.15-1.95(2H, m), 1.95-1.75(2H, m), 1.75-1.55(1H, m), 1.55-1.15(3H, m).
Purity >90% (NMR)	
MS 553(M+1-HCl)	

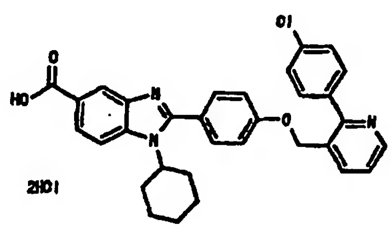
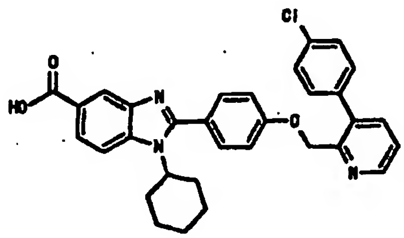
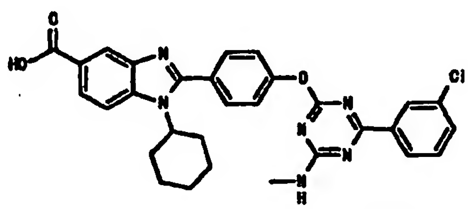
Example No. 234	1H NMR(δ) ppm
	DMSO-d6 8.77(1H, d, J=3.6Hz), 8.36-8.26(3H, m), 8.08(1H, d, J=8.8Hz), 7.79(2H, d, J=8.7Hz), 7.72-7.64(3H, m), 7.58(2H, d, J=8.4Hz), 7.30(2H, d, J=8.7Hz), 5.26(2H, s), 4.38(1H, m), 2.50-2.15(2H, m), 2.15-1.95(2H, m), 1.95-1.75(2H, m), 1.75-1.55(1H, m), 1.55-1.15(3H, m).
Purity >90% (NMR)	
MS 538(M+1-2HCl)	

Table 69

Example No. 235	1H NMR(δ) ppm
	300MHz, DMSO-d6 12.74(1H, brs), 8.67(1H, dd, J=3.1, 1.6Hz), 8.21(1H, d, J=1.6Hz), 7.93(1H, dJ=8.6Hz), 7.90-7.80(2H, m), 7.60-7.50(7H, m), 7.09(2H, d, J=8.7Hz), 5.16(2H, s), 4.26(1H, m), 2.40-2.20(2H, m), 2.00-1.60(5H, m), 1.50-1.20(3H, m)
Purity >90% (NMR)	
MS APCI-Ms 538(M+1)	

Example No. 236	1H NMR(δ) ppm
 CF_3CO_2H	300MHz, DMSO-d-6 8.40-7.40(11H, m), 2.95, 2.81(3H, each d, J=4.7Hz), 2.40-2.20(2H, m), 2.10-1.80(4H, m), 1.70-1.60(1H, m), 1.50-1.20(3H, m)
Purity >90% (NMR)	
MS APCI-Ms 555(M+1)	

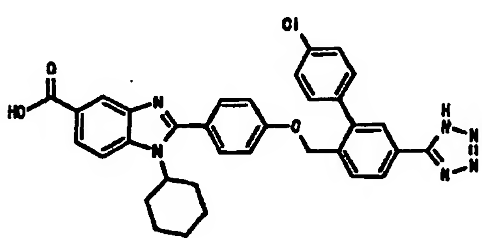
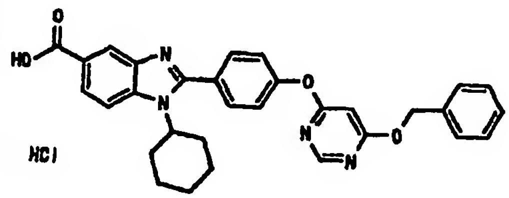
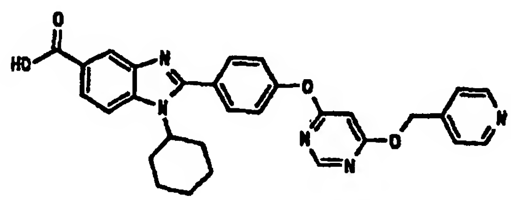
Example No. 237	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.21(1H, s), 8.15(1H, d, J=9.5Hz), 8.02(1H, s), 8.00-7.80(3H, m), 7.70-7.50(6H, m), 7.12(2H, d, J=8.7Hz), 5.16(2H, s), 4.28(1H, m), 2.40-2.20(2H, m), 2.00-1.80(4H, m), 1.65(1H, m), 1.50-1.20(3H, m)
Purity >90% (NMR)	
MS FAB-Ms 605(M+1)	

Table 70

Example No. 238	1H NMR(δ) ppm
	300MHz, DMSO-d6 12.80(1H, brs), 8.54(1H, s), 8.25(1H, s), 7.98and7.88(2H, Abq, J=8.6Hz), 7.76(2H, d, J=8.6Hz), 7.53-7.31(3H, m), 6.61(1H, s), 5.46(2H, s), 4.32(1H, brt), 2.40-2.20(2H, m), 2.02-1.79(4H, m), 1.69-1.59(1H, m), 1.48-1.19(3H, m)
Purity >90% (NMR)	
MS APCI-Ms 521(M+1)	

Example No. 239	1H NMR(δ) ppm
	300MHz, DMSO-d6 12.79(1H, brs), 8.60(2H, d, J=1.5Hz), 8.53(1H, s), 8.25(1H, s), 7.98and7.85(2H, ABq, J=9.4Hz), 7.76(2H, d, J=9.0Hz), 7.44(4H, d, J=6.5Hz), 6.69(1H, s), 5.53(2H, s), 4.32(1H, brt), 2.40-2.19(2H, m), 2.03-1.82(4H, m), 1.72-1.61(1H, m), 1.42-1.22(3H, m)
Purity >90% (NMR)	
MS APCI-Ms 522(M+1)	

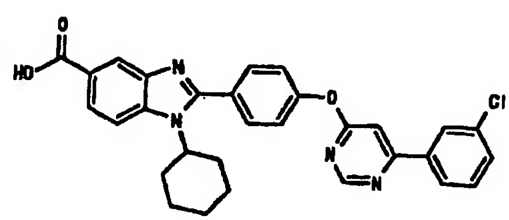
Example No. 240	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.90(1H, s), 8.32(1H, s), 8.28(1H, s), 8.25(1H, d, J=8.3Hz), 8.05(1H, d, J=8.8Hz), 7.96(1H, s), 7.93(1H, d, J=8.8Hz), 7.83(1H, d, J=8.4Hz), 7.68-7.59(2H, m), 7.54(2H, d, J=8.8Hz), 4.37(1H, brt), 2.30(2H, m), 2.00(2H, m), 1.88(2H, m), 1.67(1H, m), 1.5-1.2(3H, m)
Purity >90% (NMR)	
MS APCI-Ms 525(M+1)	

Table 71

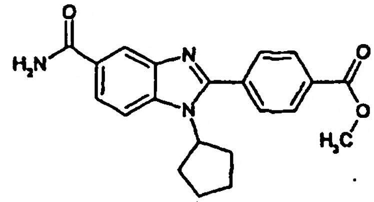
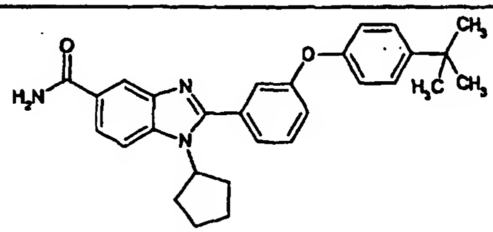
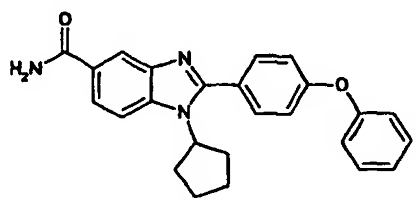
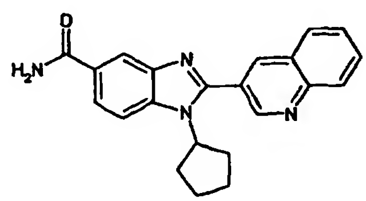
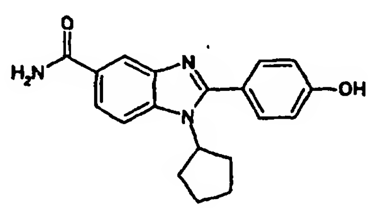
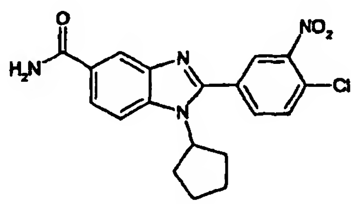
Ex. No.	Formula	MS
1001		364 (M+H)
1002		454 (M+H)
1003		398 (M+H)
1004		357 (M+H)
1005		322 (M+H)
1006		385 (M+H)

Table 72

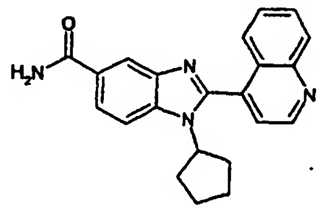
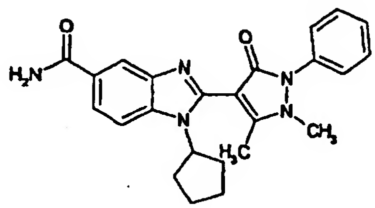
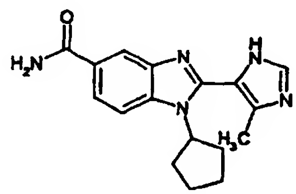
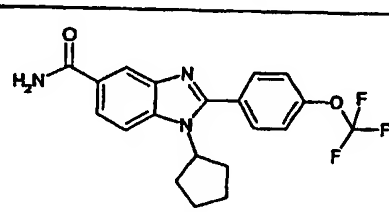
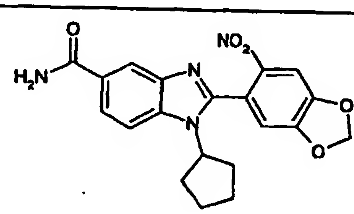
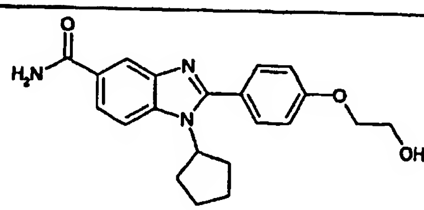
Ex. No.	Formula	MS
1007		357 (M+H)
1008		416 (M+H)
1009		310 (M+H)
1010		390 (M+H)
1011		395 (M+H)
1012		366 (M+H)

Table 73

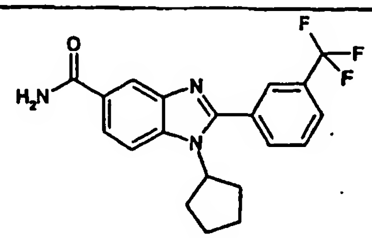
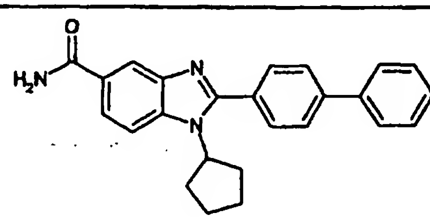
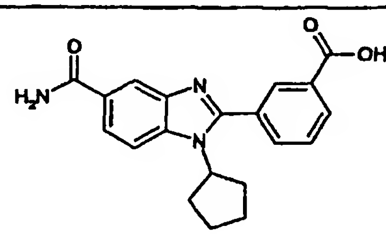
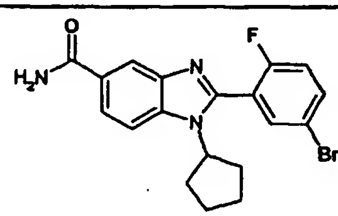
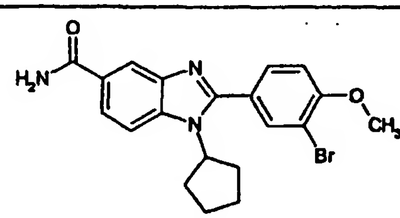
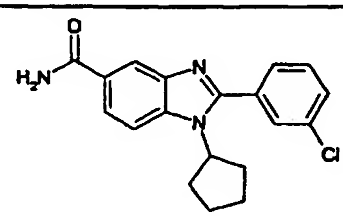
Ex. No.	Formula	MS
1013		374 (M+H)
1014		382 (M+H)
1015		350 (M+H)
1016		402 (M+H)
1017		414 (M+H)
1018		340 (M+H)

Table 74

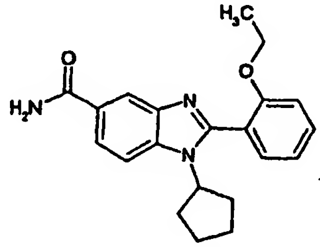
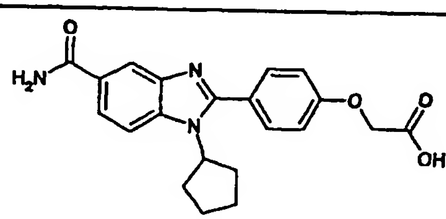
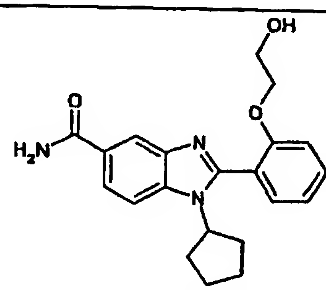
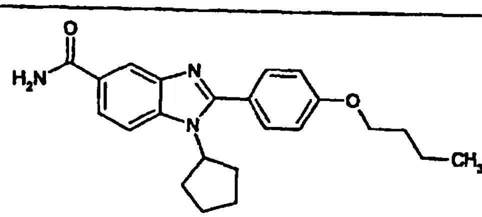
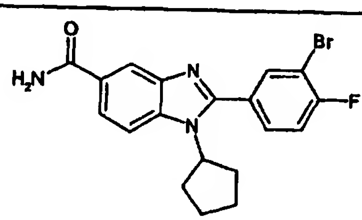
Ex. No.	Formula	MS
1019		350 (M+H)
1020		380 (M+H)
1021		366 (M+H)
1022		378 (M+H)
1023		402 (M+H)

Table 75

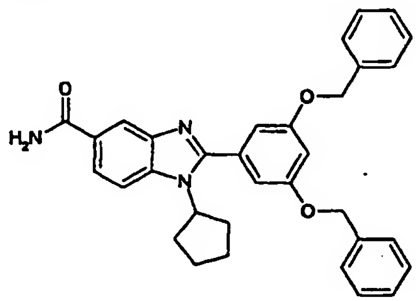
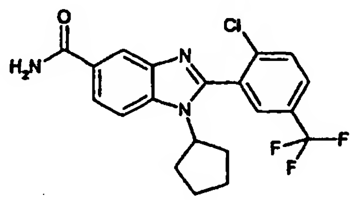
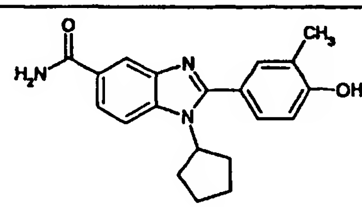
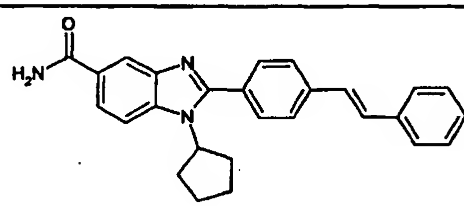
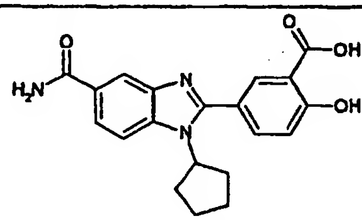
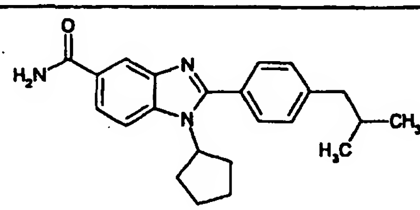
Ex. No.	Formula	MS
1024		518 (M+H)
1025		408 (M+H)
1026		336 (M+H)
1027		408 (M+H)
1028		366 (M+H)
1029		362 (M+H)

Table 76

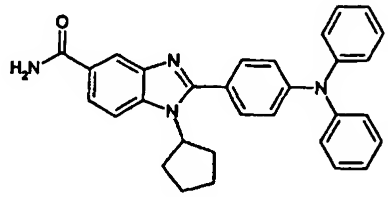
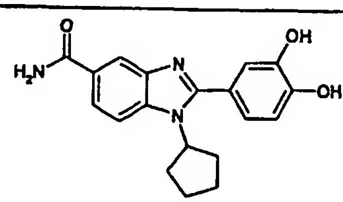
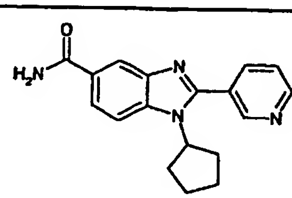
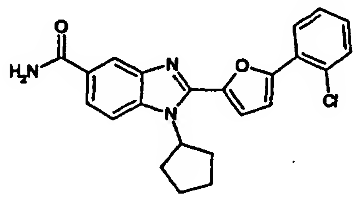
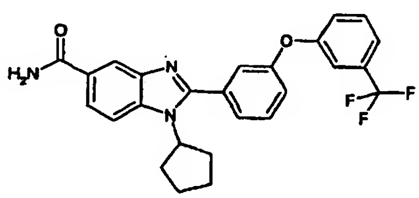
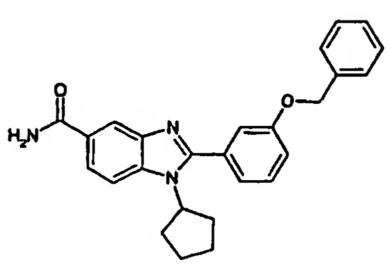
Ex. No.	Formula	MS
1030		473 (M+H)
1031		338 (M+H)
1032		307 (M+H)
1033		406 (M+H)
1034		466 (M+H)
1035		412 (M+H)

Table 77

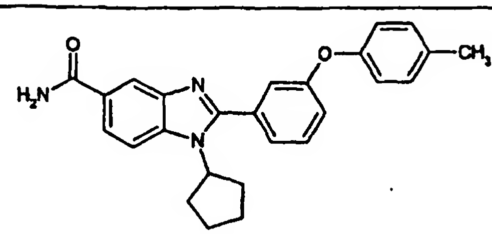
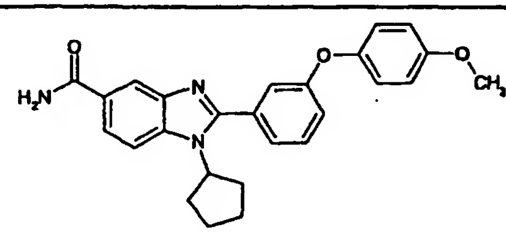
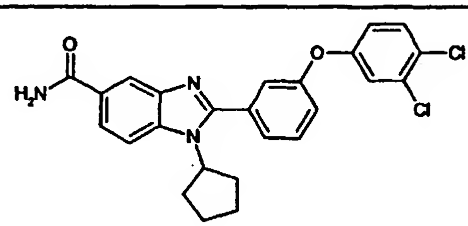
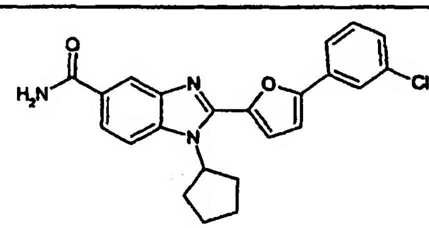
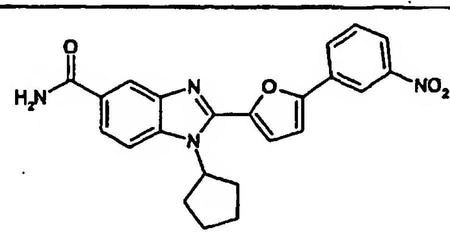
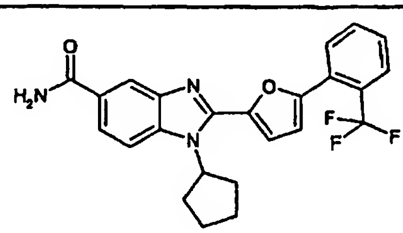
Ex. No.	Formula	MS
1036		412 (M+H)
1037		428 (M+H)
1038		466 (M+H)
1039		406 (M+H)
1040		417 (M+H)
1041		440 (M+H)

Table 78

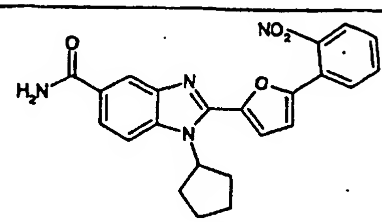
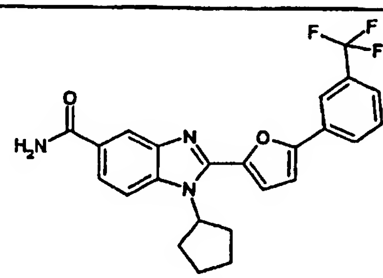
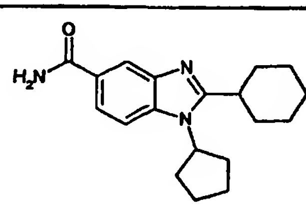
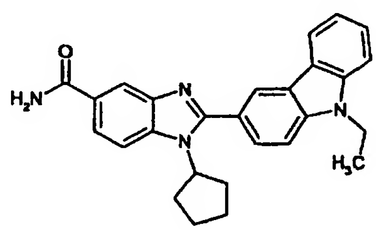
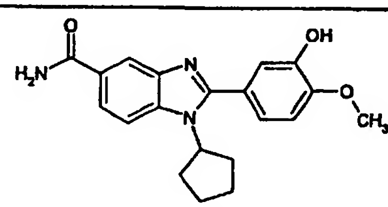
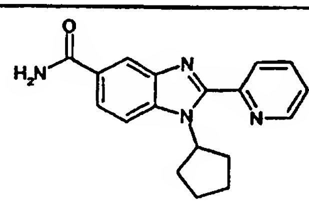
Ex. No.	Formula	MS
1042		417 (M+H)
1043		440 (M+H)
1044		312 (M+H)
1045		423 (M+H)
1046		352 (M+H)
1047		307 (M+H)

Table 79

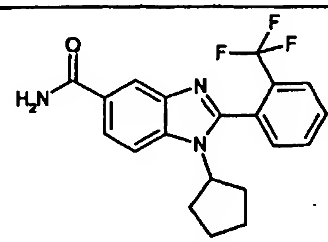
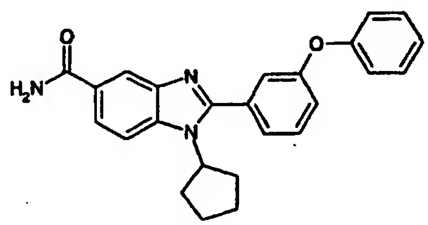
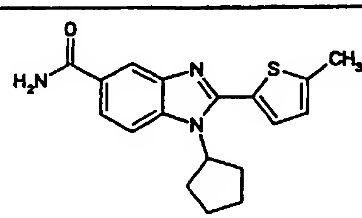
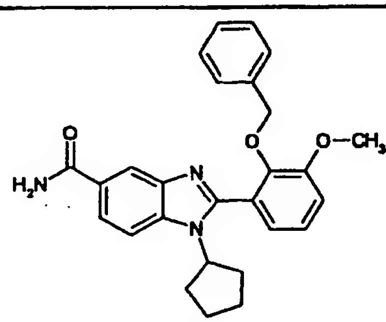
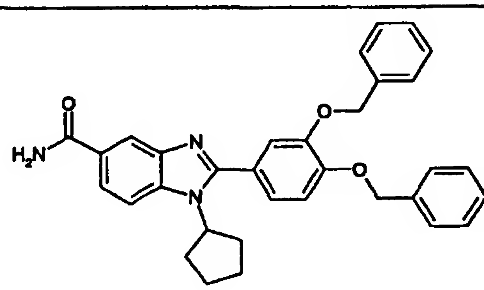
Ex. No.	Formula	MS
1048		374 (M+H)
1049		398 (M+H)
1050		326 (M+H)
1051		442 (M+H)
1052		518 (M+H)

Table 80

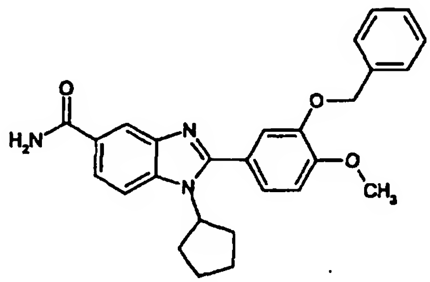
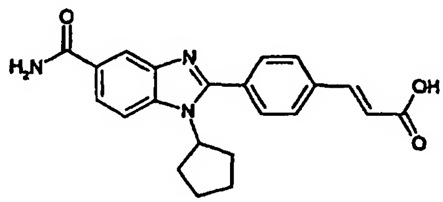
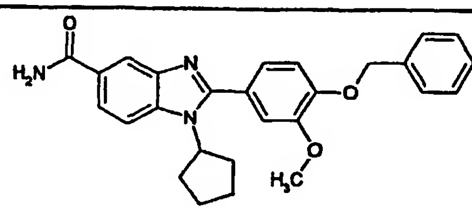
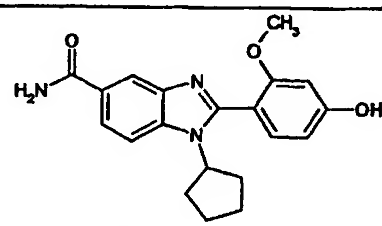
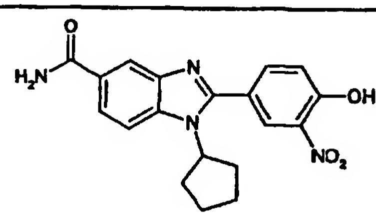
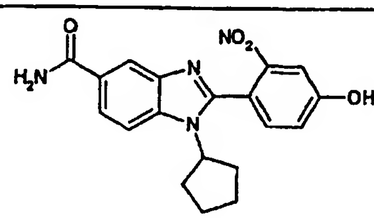
Ex. No.	Formula	MS
1053		442 (M+H)
1054		376 (M+H)
1055		442 (M+H)
1056		352 (M+H)
1057		367 (M+H)
1058		367 (M+H)

Table 81

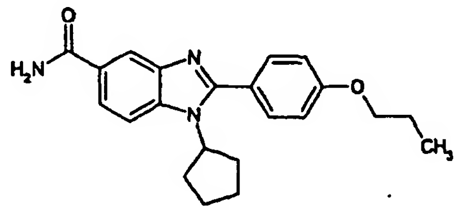
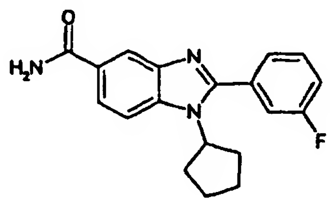
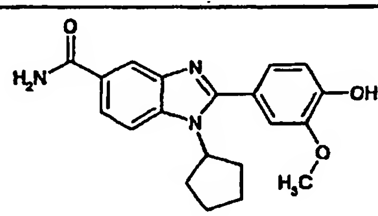
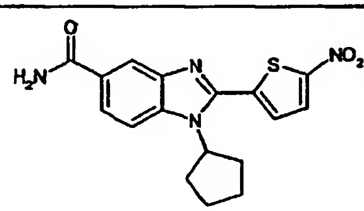
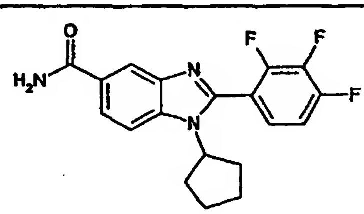
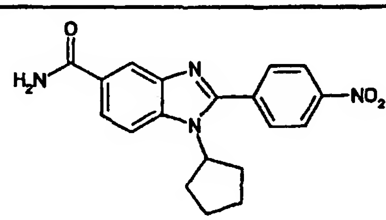
Ex. No.	Formula	MS
1059		364 (M+H)
1060		324 (M+H)
1061		352 (M+H)
1062		357 (M+H)
1063		360 (M+H)
1064		351 (M+H)

Table 82

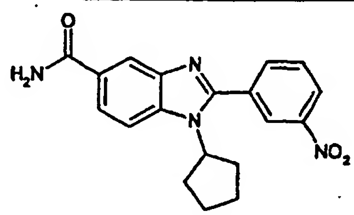
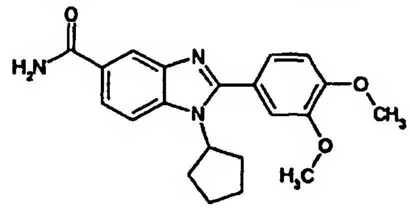
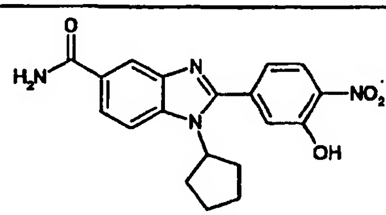
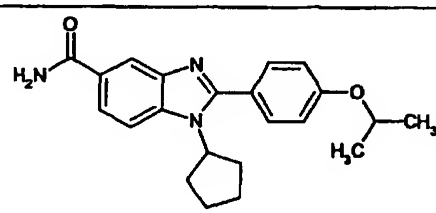
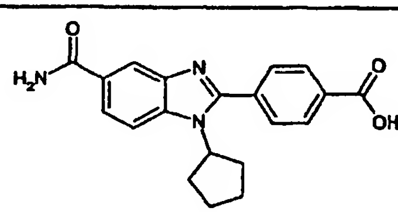
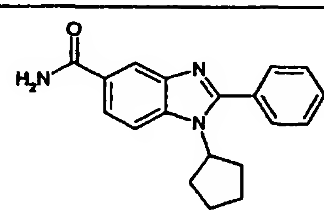
Ex. No.	Formula	MS
1065		351 (M+H)
1066		366 (M+H)
1067		367 (M+H)
1068		364 (M+H)
1069		350 (M+H)
1070		306 (M+H)

Table 83

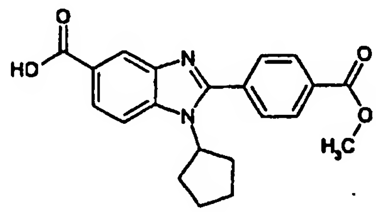
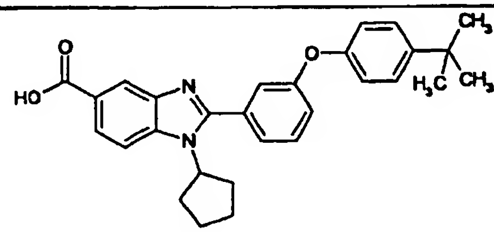
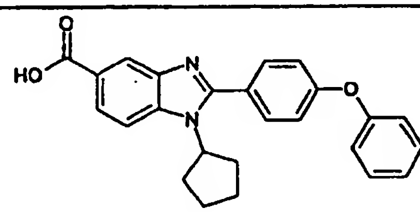
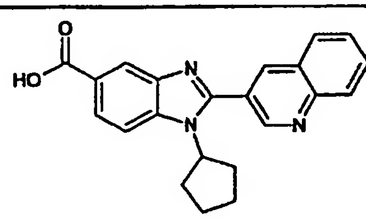
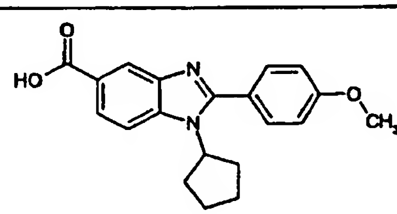
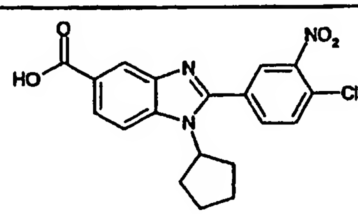
Ex. No.	Formula	MS
1071		365 (M+H)
1072		455 (M+H)
1073		399 (M+H)
1074		358 (M+H)
1075		337 (M+H)
1076		386 (M+H)

Table 84

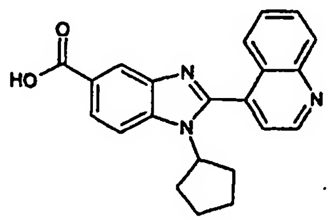
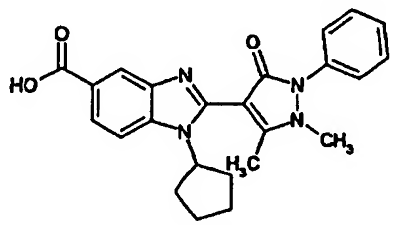
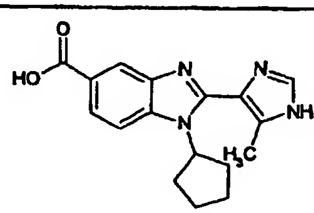
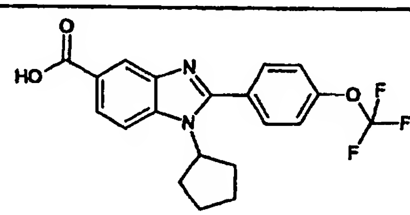
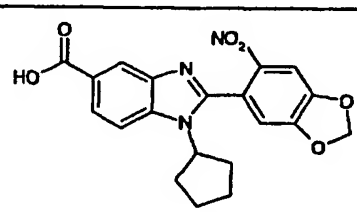
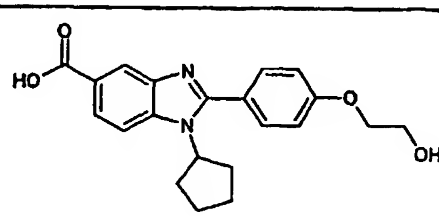
Ex. No.	Formula	MS
1077		358 (M+H)
1078		417 (M+H)
1079		311 (M+H)
1080		391 (M+H)
1081		396 (M+H)
1082		367 (M+H)

Table 85

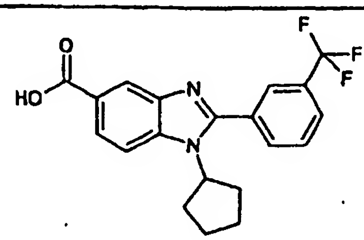
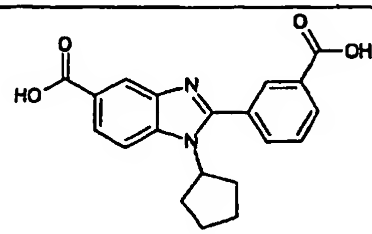
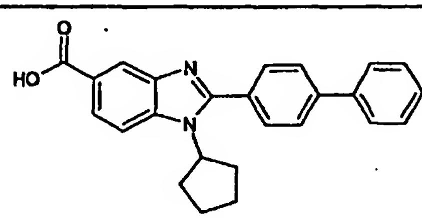
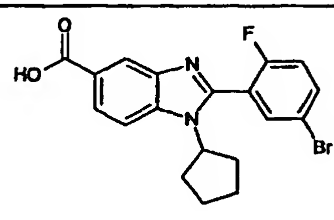
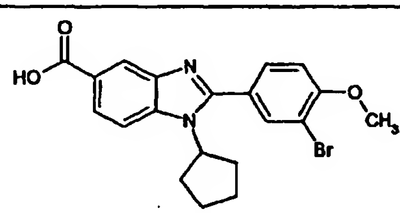
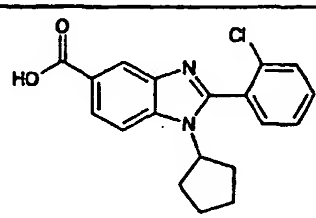
Ex. No.	Formula	MS
1083		375(M+H)
1084		351(M+H)
1085		383(M+H)
1086		403(M+H)
1087		415(M+H)
1088		341(M+H)

Table 86

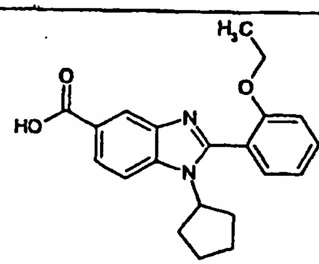
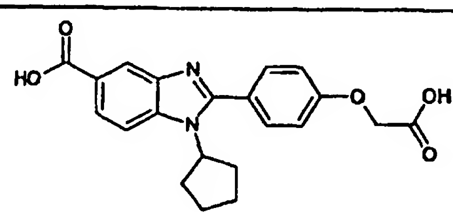
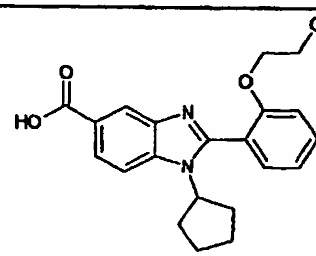
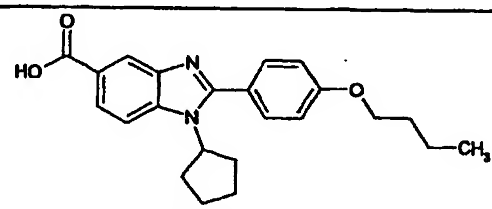
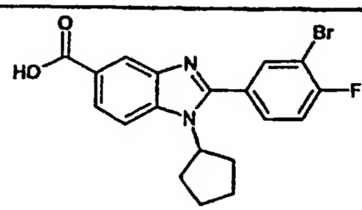
Ex. No.	Formula	MS
1089		351 (M+H)
1090		381 (M+H)
1091		367 (M+H)
1092		379 (M+H)
1093		403 (M+H)

Table 87

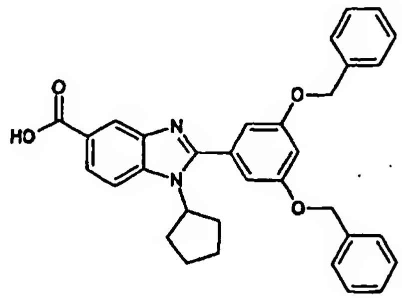
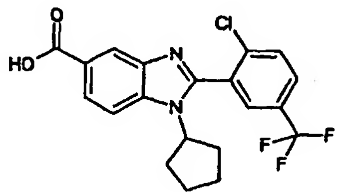
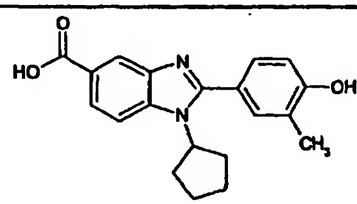
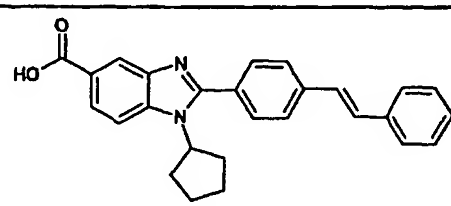
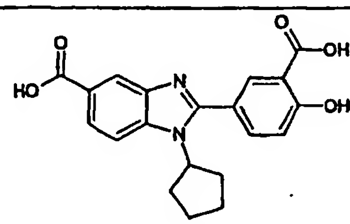
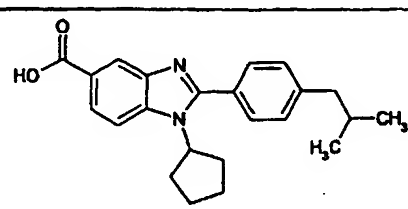
Ex. No.	Formula	MS
1094		519 (M+H)
1095		409 (M+H)
1096		337 (M+H)
1097		409 (M+H)
1098		367 (M+H)
1099		363 (M+H)

Table 88

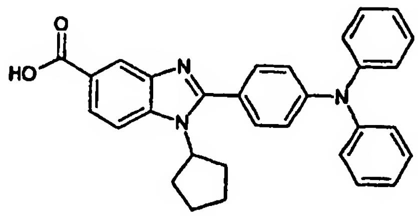
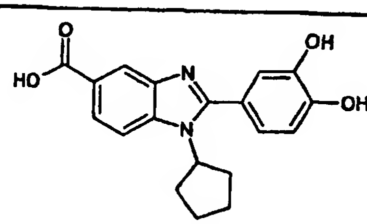
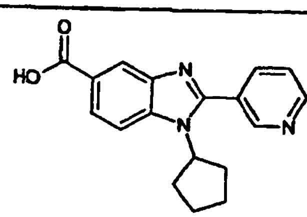
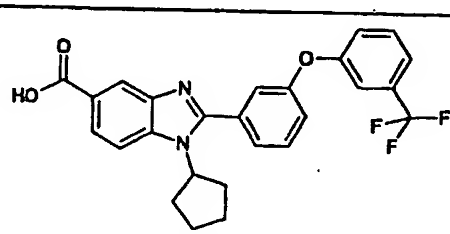
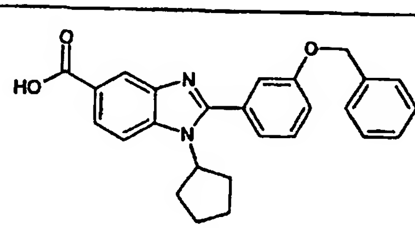
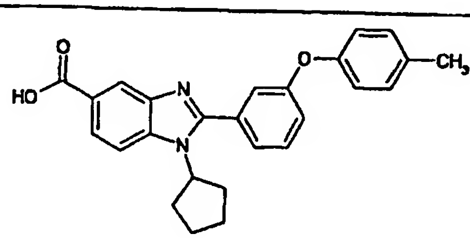
Ex. No.	Formula	MS
1100		474 (M+H)
1101		339 (M+H)
1102		308 (M+H)
1103		467 (M+H)
1104		413 (M+H)
1105		413 (M+H)

Table 89

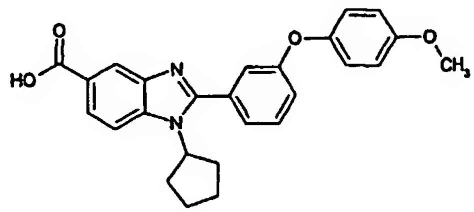
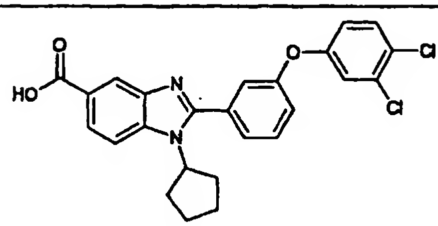
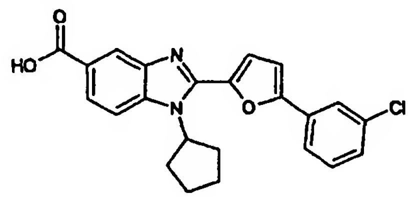
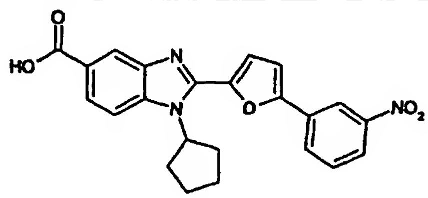
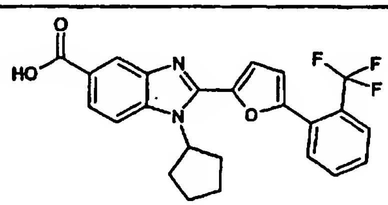
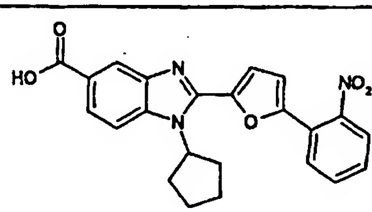
Ex. No.	Formula	MS
1106		429 (M+H)
1107		467 (M+H)
1108		
1109		
1110		441 (M+H)
1111		418 (M+H)

Table 90

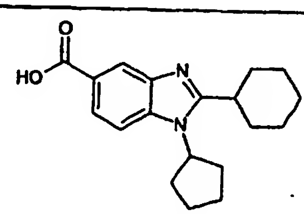
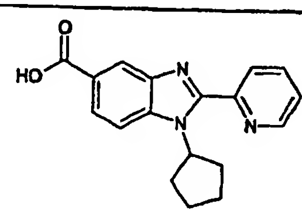
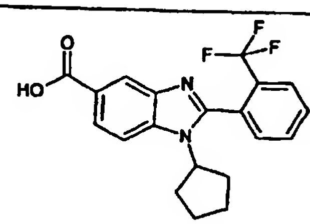
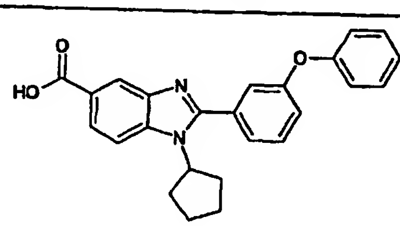
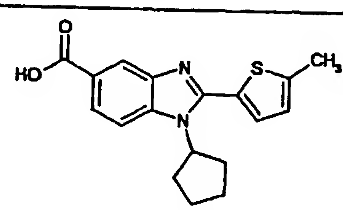
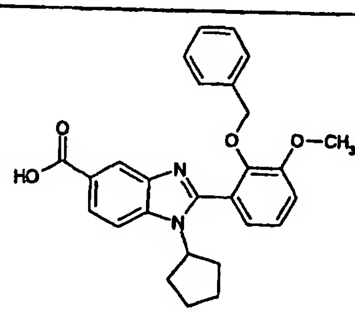
Ex. No.	Formula	MS
1112		313 (M+H)
1113		308 (M+H)
1114		375 (M+H)
1115		399 (M+H)
1116		327 (M+H)
1117		443 (M+H)

Table 91

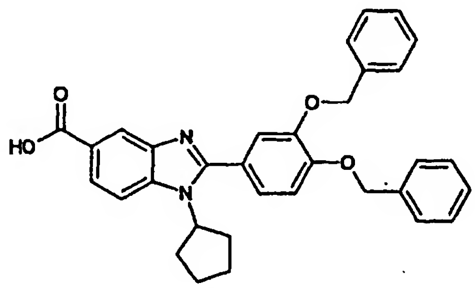
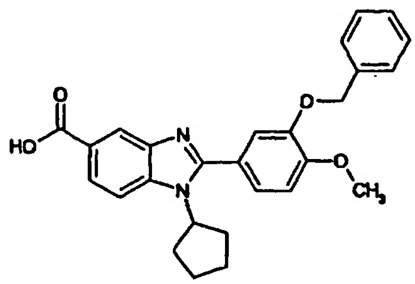
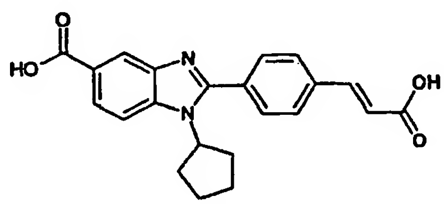
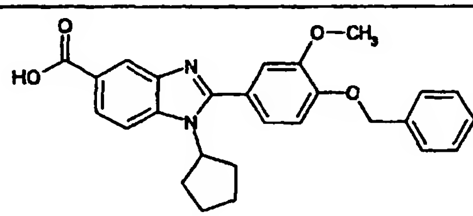
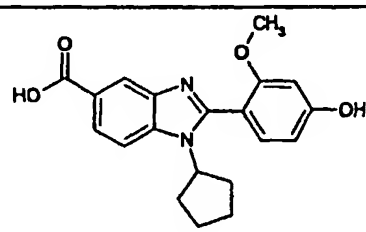
Ex. No.	Formula	MS
1118		519 (M+H)
1119		443 (M+H)
1120		377 (M+H)
1121		443 (M+H)
1122		353 (M+H)

Table 92

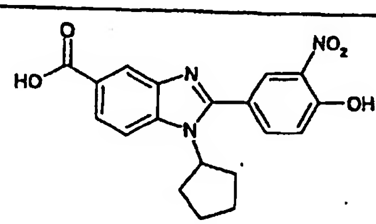
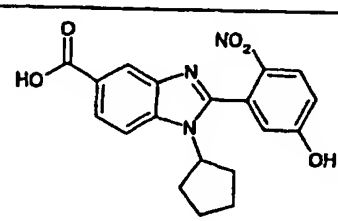
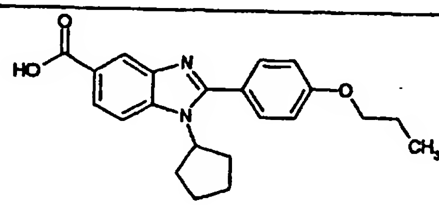
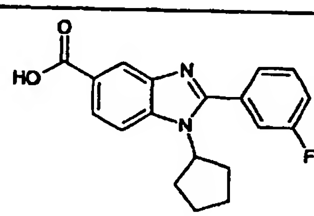
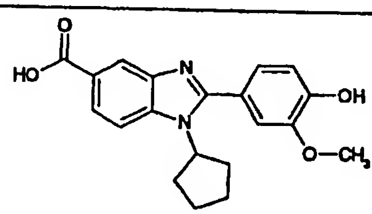
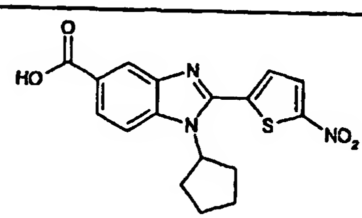
Ex. No.	Formula	MS
1123		368 (M+H)
1124		368 (M+H)
1125		365 (M+H)
1126		325 (M+H)
1127		353 (M+H)
1128		358 (M+H)

Table 93

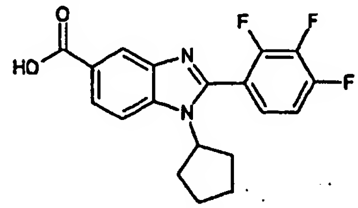
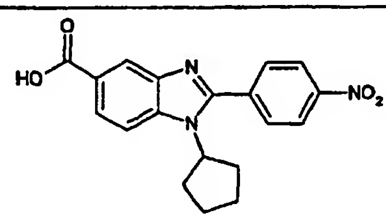
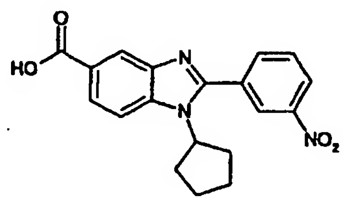
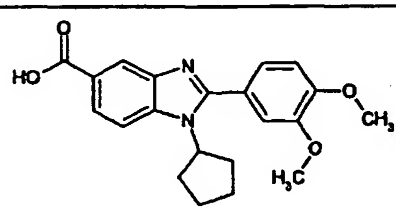
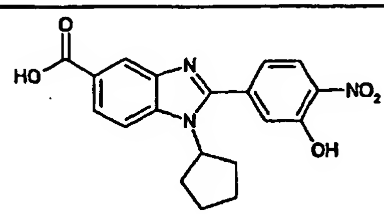
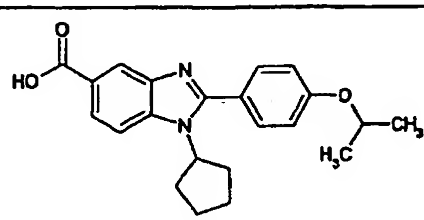
Ex. No.	Formula	MS
1129		361 (M+H)
1130		352 (M+H)
1131		352 (M+H)
1132		367 (M+H)
1133		368 (M+H)
1134		365 (M+H)

Table 94

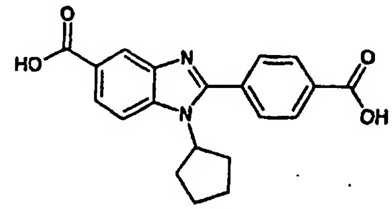
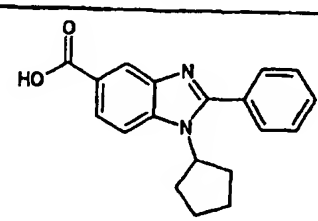
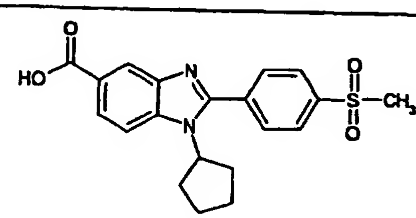
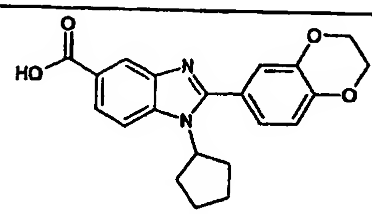
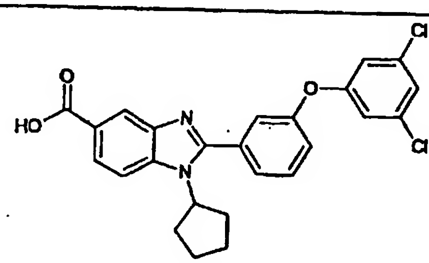
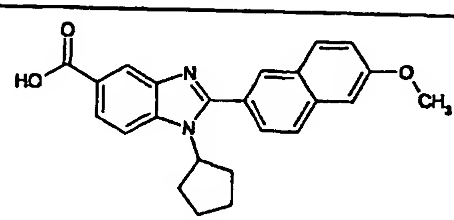
Ex. No.	Formula	MS
1135		351 (M+H)
1136		307 (M+H)
1137		385 (M+H)
1138		365 (M+H)
1139		467 (M+H)
1140		387 (M+H)

Table 95

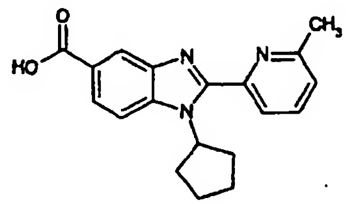
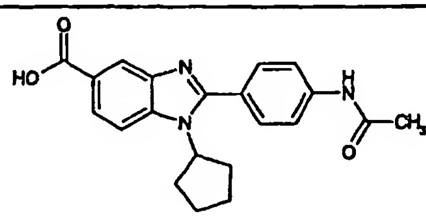
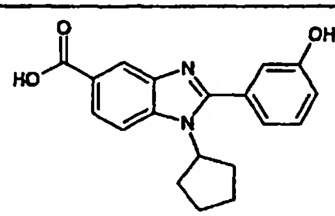
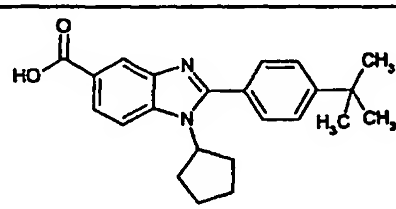
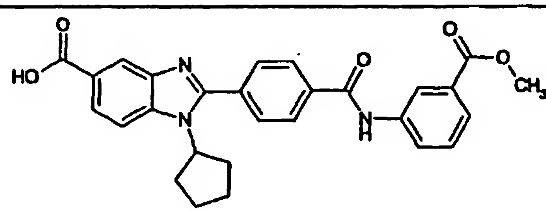
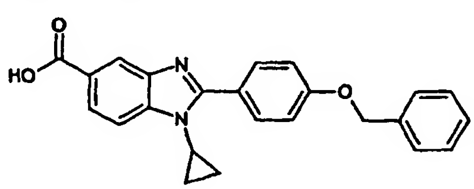
Ex. No.	Formula	MS
1141		322 (M+H)
1142		364 (M+H)
1143		323 (M+H)
1144		363 (M+H)
1145		484 (M+H)
1146		385 (M+H)

Table 96

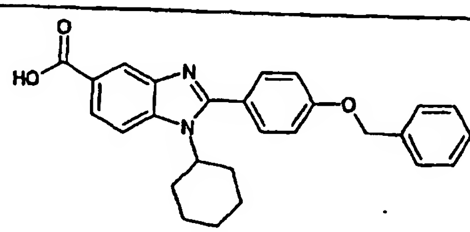
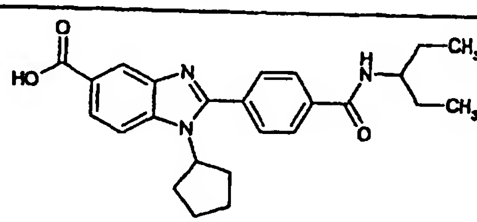
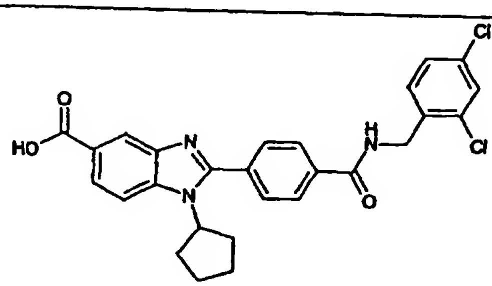
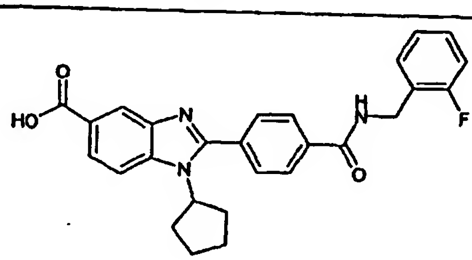
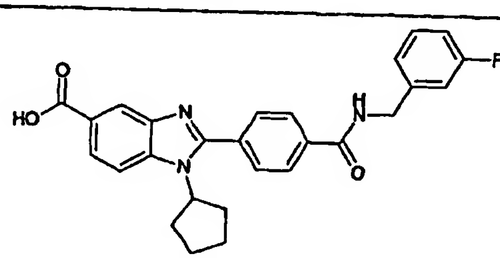
Ex. No.	Formula	MS
1147		427 (M+H)
1148		420 (M+H)
1149		508 (M+H)
1150		458 (M+H)
1151		458 (M+H)

Table 97

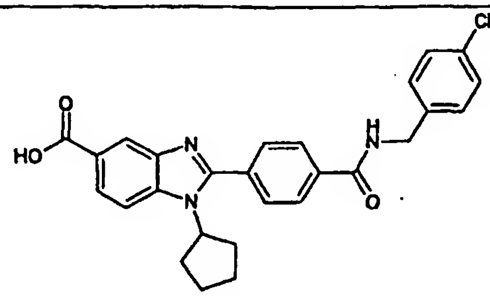
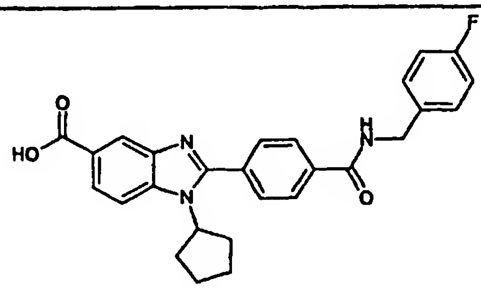
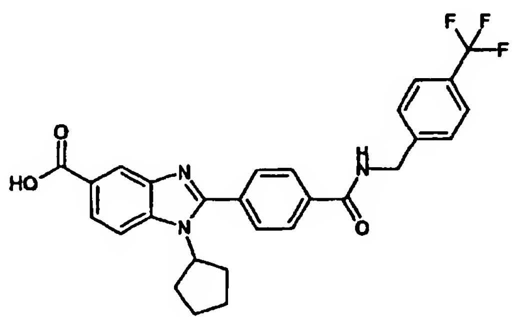
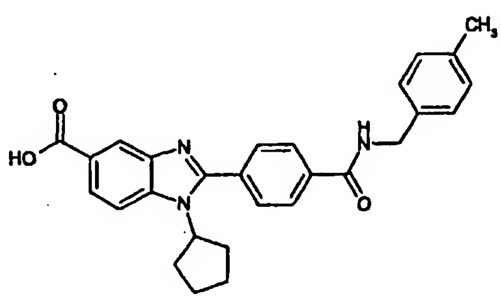
Ex. No.	Formula	MS
1152		474(M+H)
1153		458(M+H)
1154		508(M+H)
1155		454(M+H)

Table 98

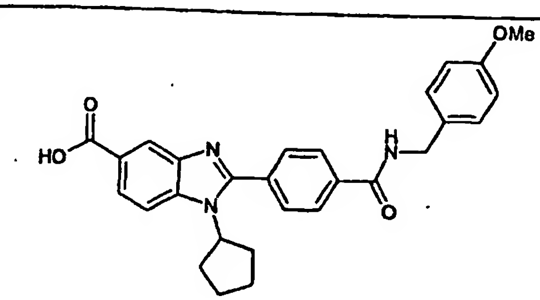
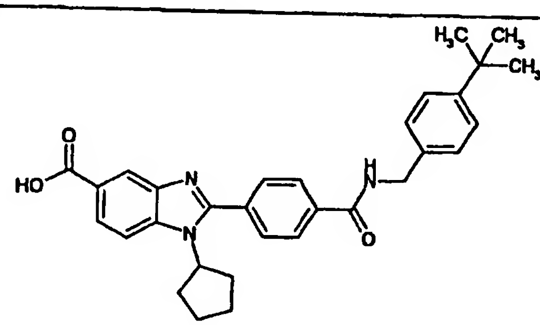
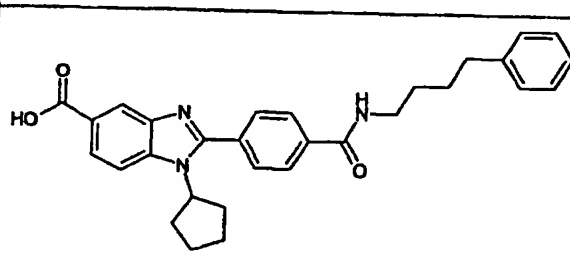
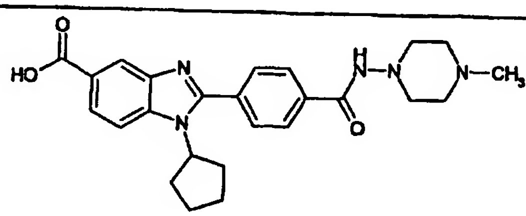
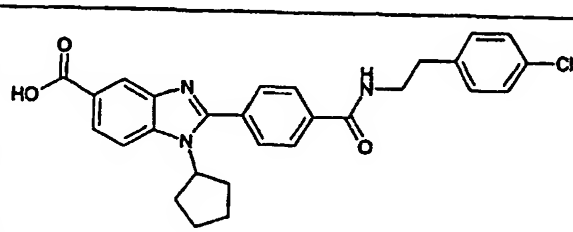
Ex. No.	Formula	MS
1156		470 (M+H)
1157		496 (M+H)
1158		482 (M+H)
1159		448 (M+H)
1160		488 (M+H)

Table 99

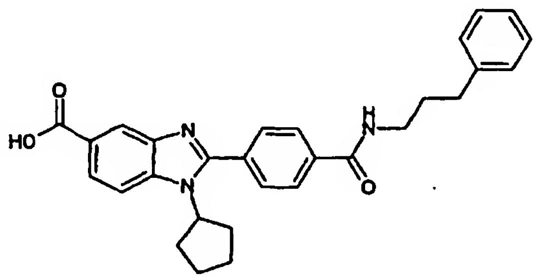
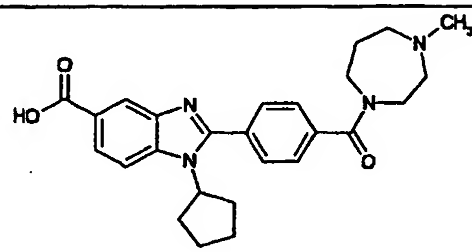
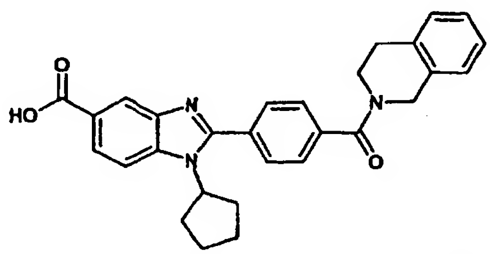
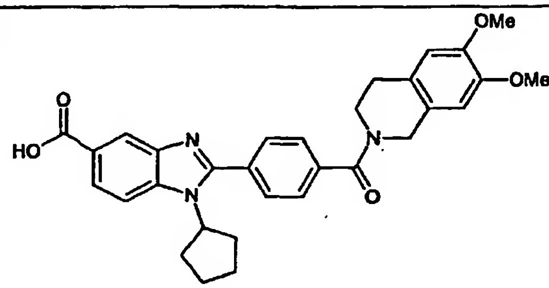
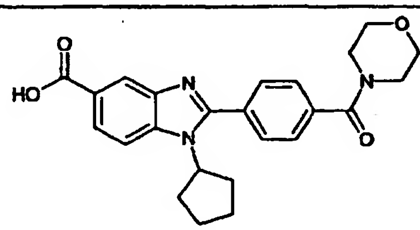
Ex. No.	Formula	MS
1161		468 (M+H)
1162		447 (M+H)
1163		466 (M+H)
1164		526 (M+H)
1165		420 (M+H)

Table 100

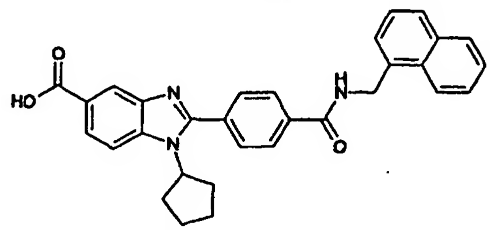
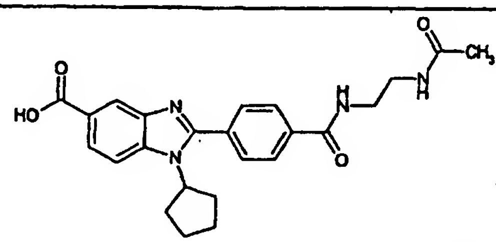
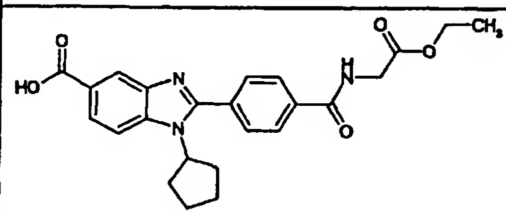
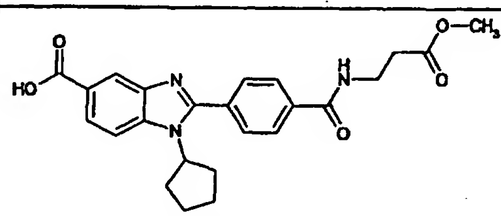
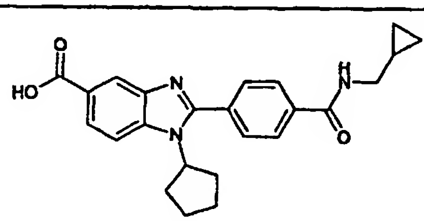
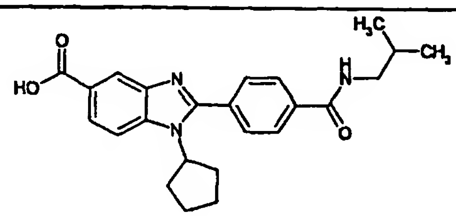
Ex. No.	Formula	MS
1166		490 (M+H)
1167		435 (M+H)
1168		436 (M+H)
1169		436 (M+H)
1170		404 (M+H)
1171		406 (M+H)

Table 101

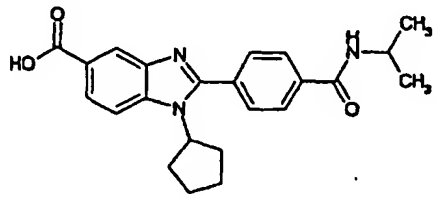
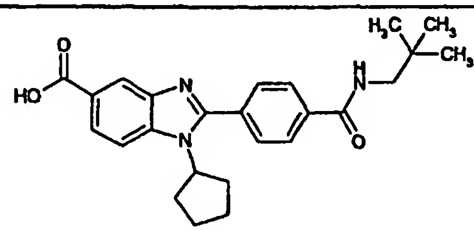
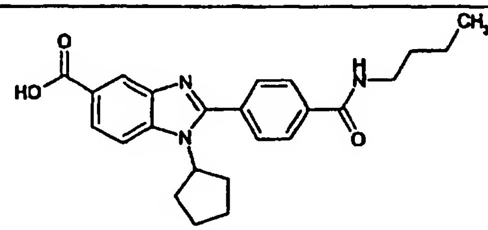
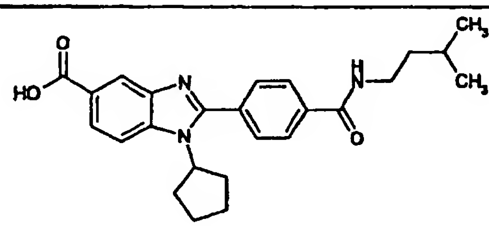
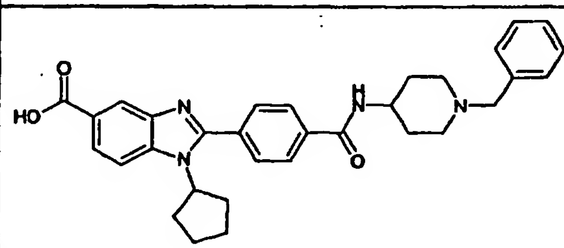
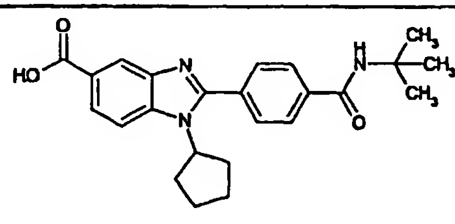
Ex. No.	Formula	MS
1172		392 (M+H)
1173		420 (M+H)
1174		406 (M+H)
1175		420 (M+H)
1176		523 (M+H)
1177		406 (M+H)

Table 102

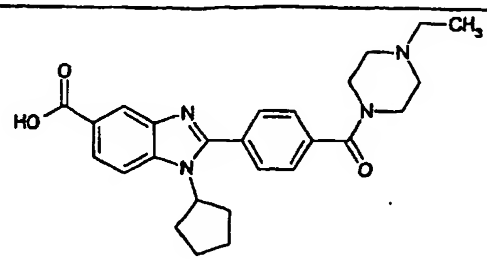
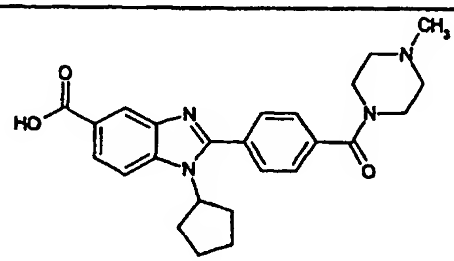
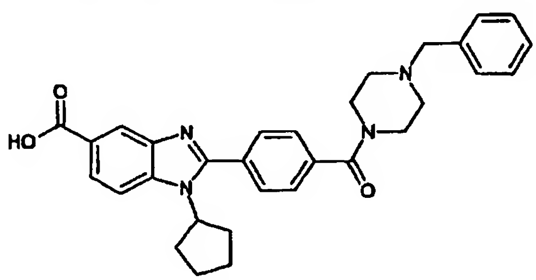
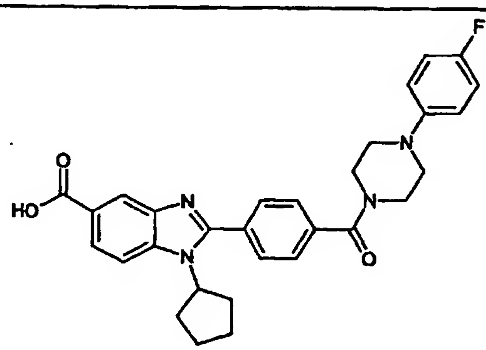
Ex. No.	Formula	MS
1178		447 (M+H)
1179		433 (M+H)
1180		509 (M+H)
1181		513 (M+H)

Table 103

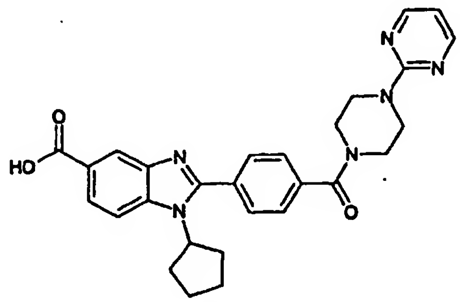
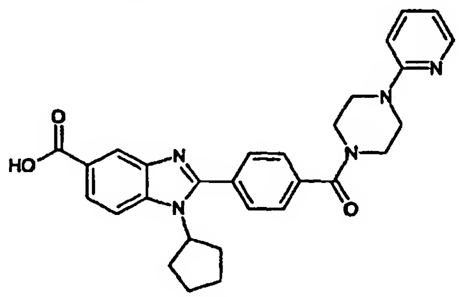
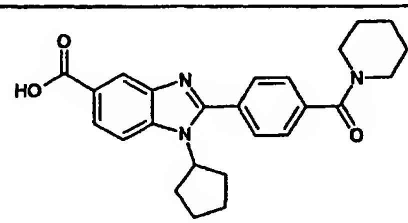
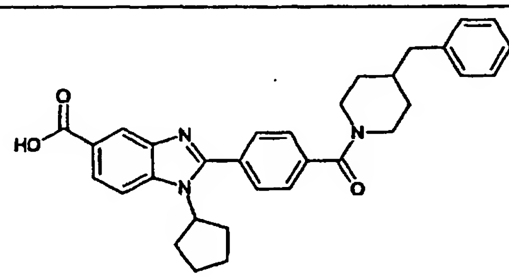
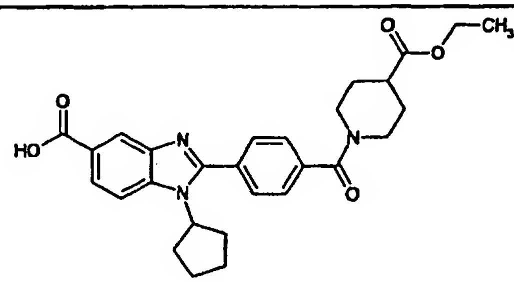
Ex. No.	Formula	MS
1182		497 (M+H)
1183		496 (M+H)
1184		418 (M+H)
1185		508 (M+H)
1186		490 (M+H)

Table 104

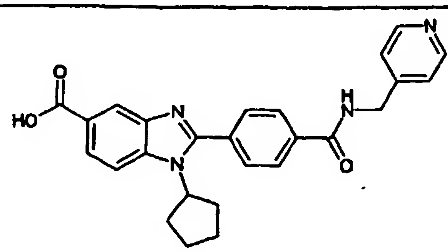
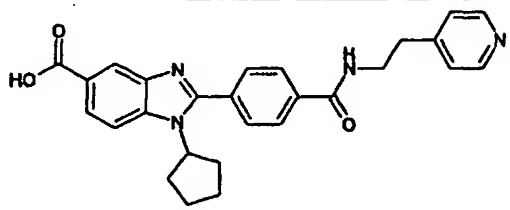
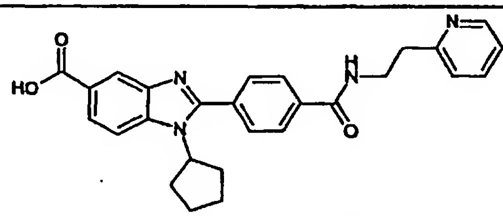
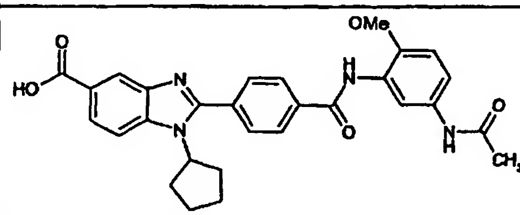
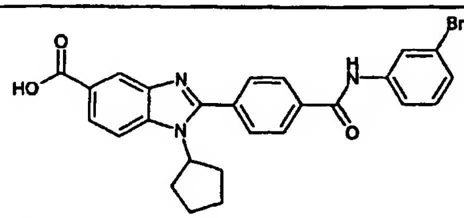
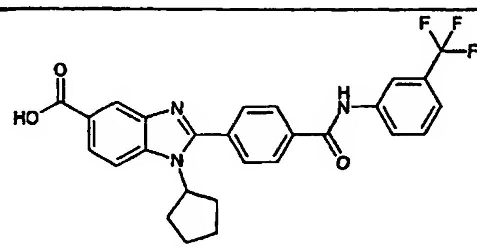
Ex. No.	Formula	MS
1187		441 (M+H)
1188		455 (M+H)
1189		455 (M+H)
1190		513 (M+H)
1191		504 (M+H)
1192		494 (M+H)

Table 105

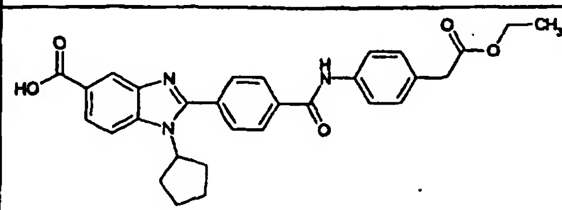
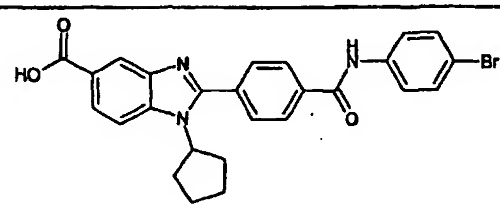
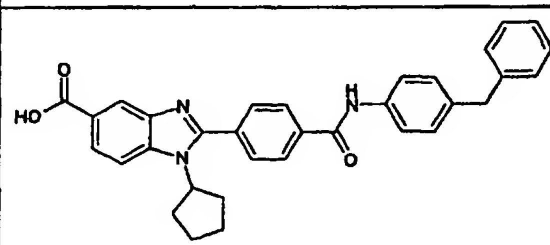
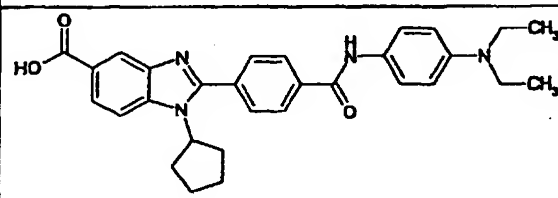
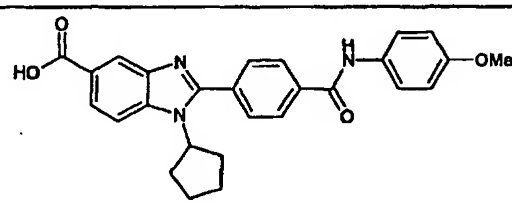
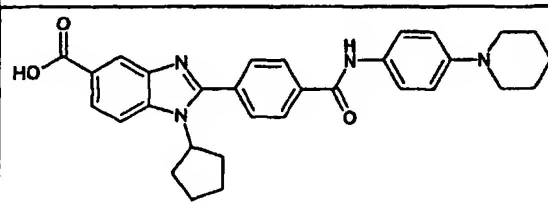
Ex. No.	Formula	MS
1193		512 (M+H)
1194		504 (M+H)
1195		516 (M+H)
1196		497 (M+H)
1197		456 (M+H)
1198		509 (M+H)

Table 106

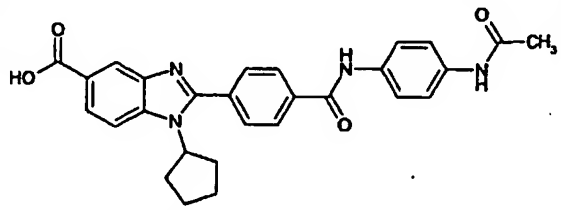
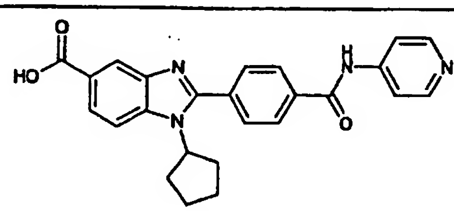
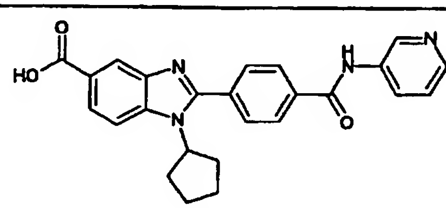
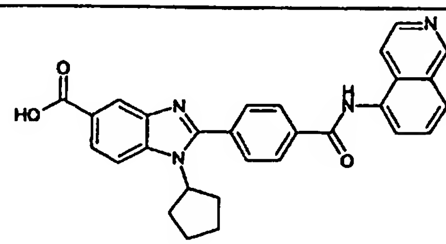
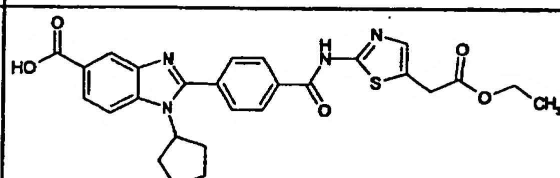
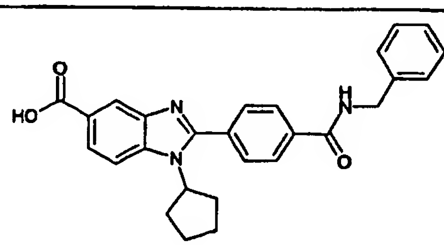
Ex. No.	Formula	MS
1199		483 (M+H)
1200		427 (M+H)
1201		427 (M+H)
1202		477 (M+H)
1203		519 (M+H)
1204		440 (M+H)

Table 107

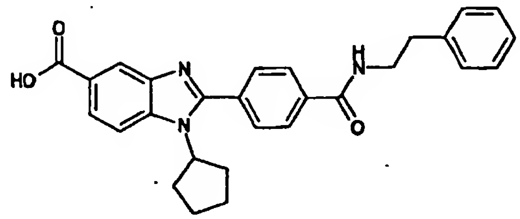
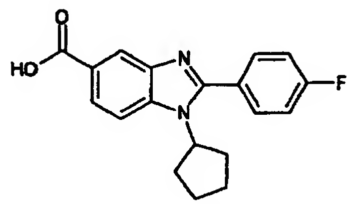
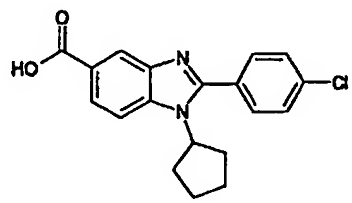
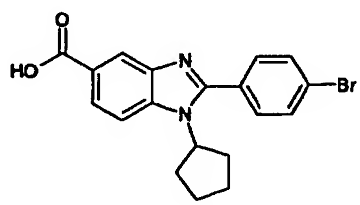
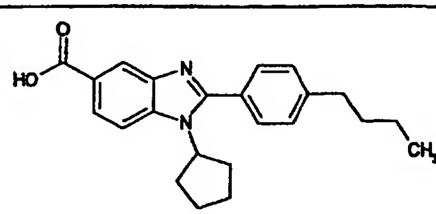
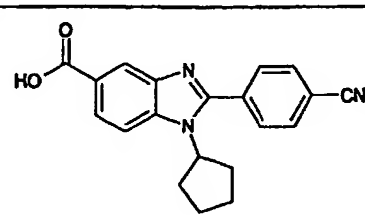
Ex. No.	Formula	MS
1205		454 (M+H)
1206		325 (M+H)
1207		341 (M+H)
1208		385 (M+H)
1209		363 (M+H)
1210		332 (M+H)

Table 108

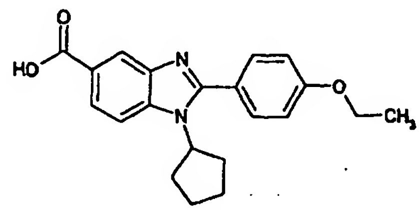
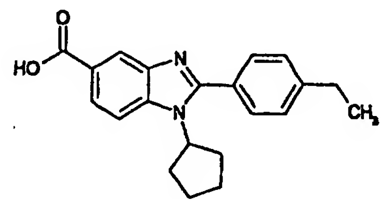
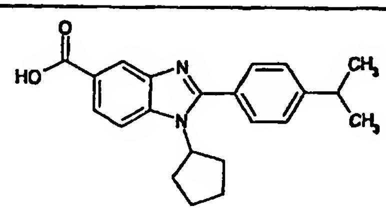
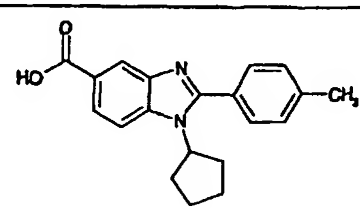
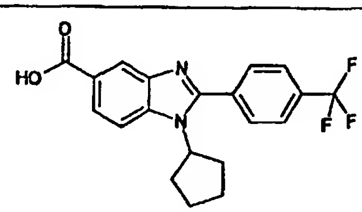
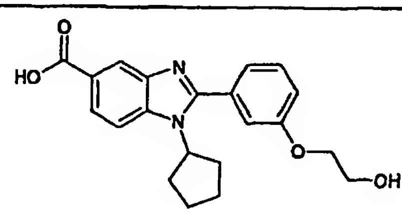
Ex. No.	Formula	MS
1211		351 (M+H)
1212		335 (M+H)
1213		349 (M+H)
1214		321 (M+H)
1215		375 (M+H)
1216		367 (M+H)

Table 109

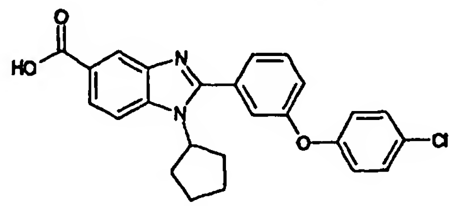
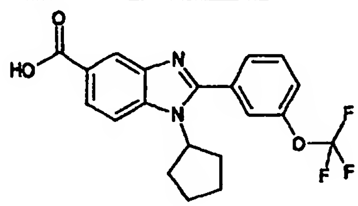
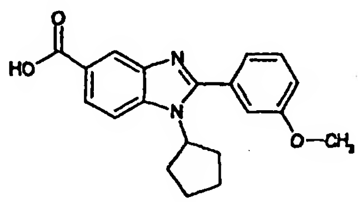
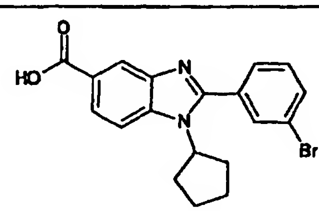
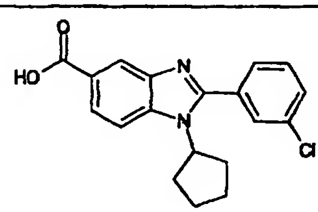
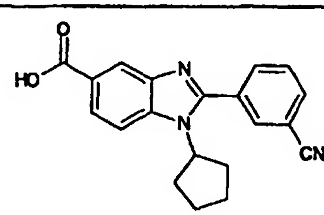
Ex. No.	Formula	MS
1217		433 (M+H)
1218		391 (M+H)
1219		337 (M+H)
1220		385 (M+H)
1221		341 (M+H)
1222		332 (M+H)

Table 110

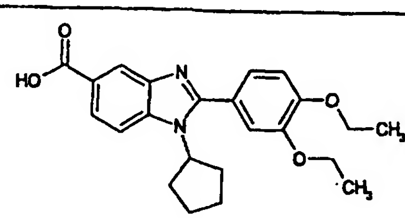
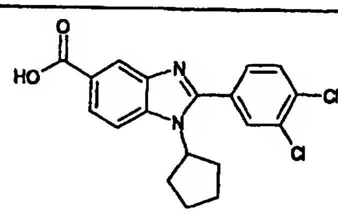
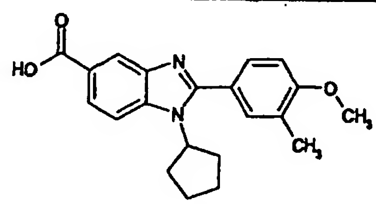
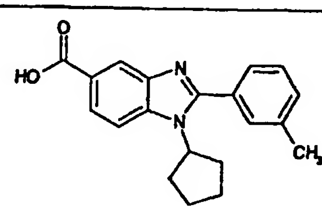
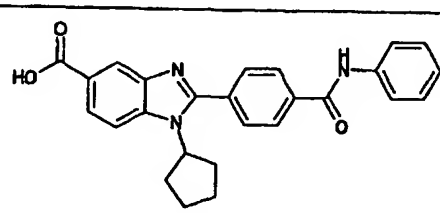
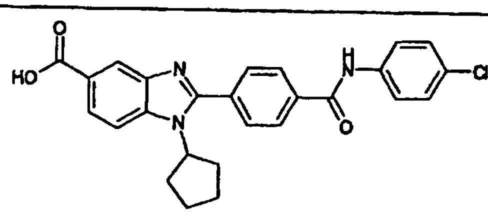
Ex. No.	Formula	MS
1223		395 (M+H)
1224		375 (M+H)
1225		351 (M+H)
1226		321 (M+H)
1227		426 (M+H)
1228		460 (M+H)

Table 111

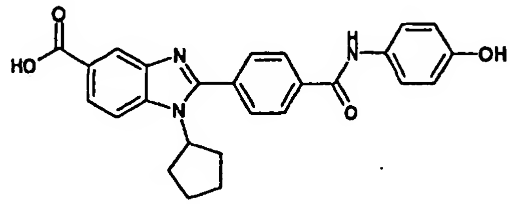
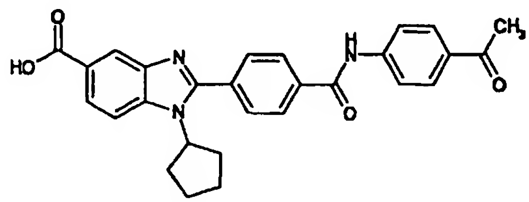
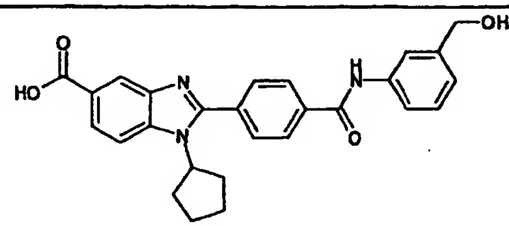
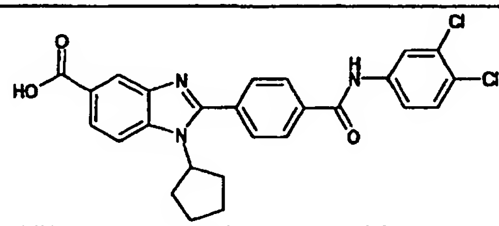
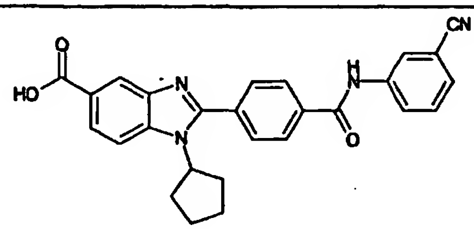
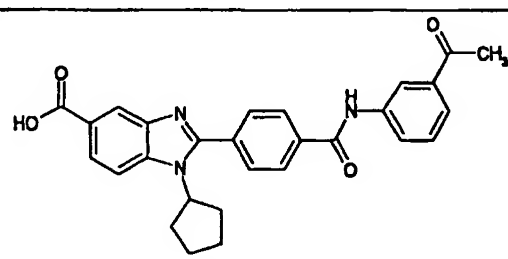
Ex. No.	Formula	MS
1229		442 (M+H)
1230		468 (M+H)
1231		456 (M+H)
1232		494 (M+H)
1233		451 (M+H)
1234		468 (M+H)

Table 112

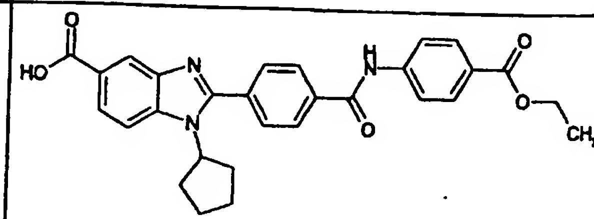
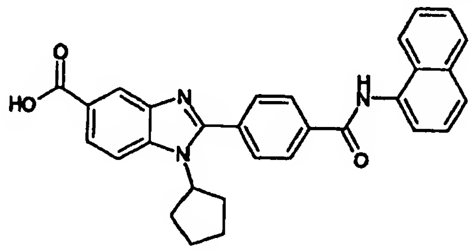
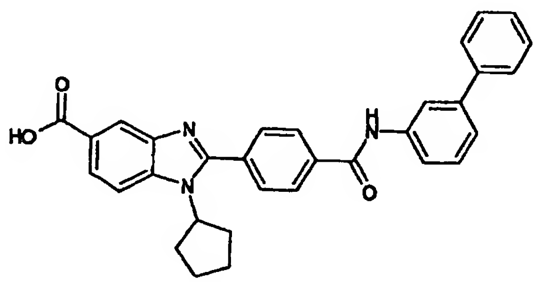
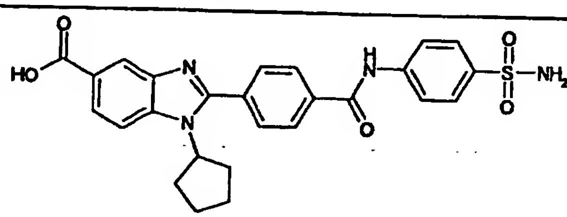
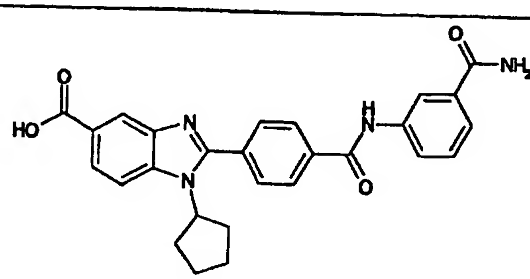
Ex. No.	Formula	MS
1235		498 (M+H)
1236		476 (M+H)
1237		502 (M+H)
1238		505 (M+H)
1239		469 (M+H)

Table 113

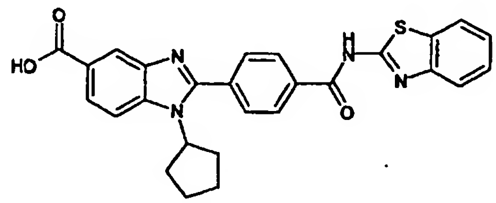
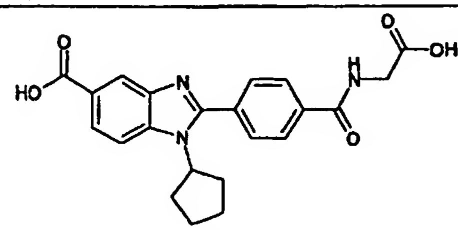
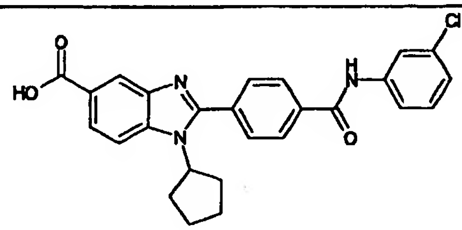
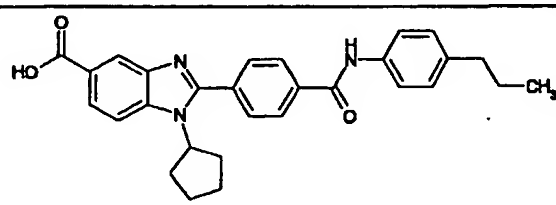
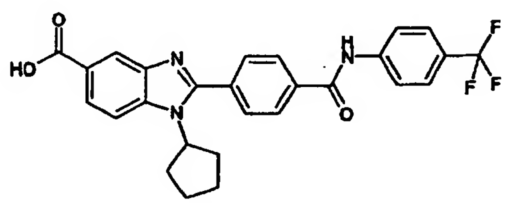
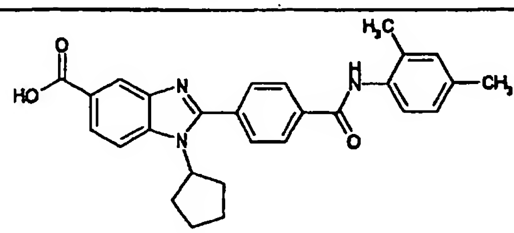
Ex. No.	Formula	MS
1240		483 (M+H)
1241		408 (M+H)
1242		460 (M+H)
1243		468 (M+H)
1244		494 (M+H)
1245		454 (M+H)

Table 114

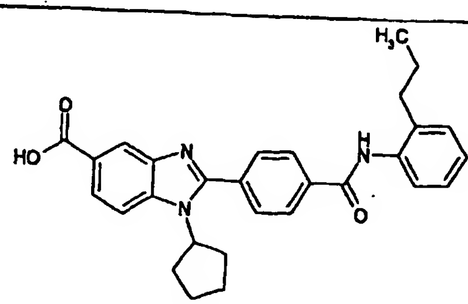
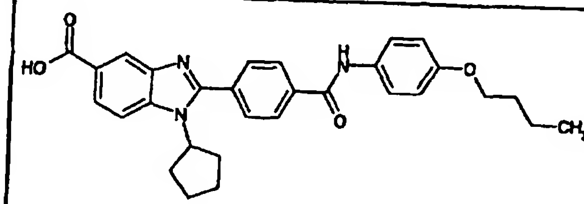
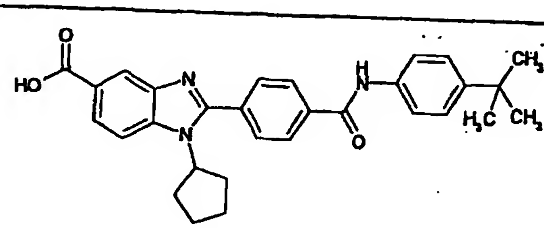
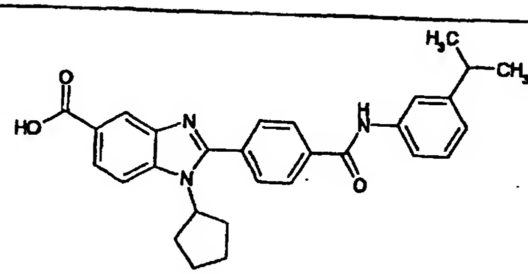
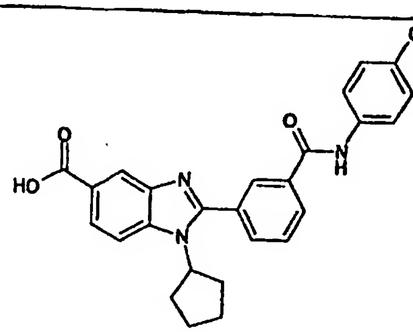
Ex. No.	Formula	MS
1246		468 (M+H)
1247		498 (M+H)
1248		482 (M+H)
1249		468 (M+H)
1250		460 (M+H)

Table 115

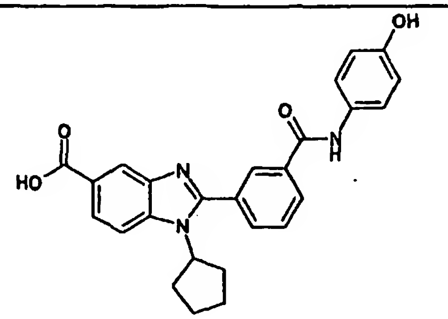
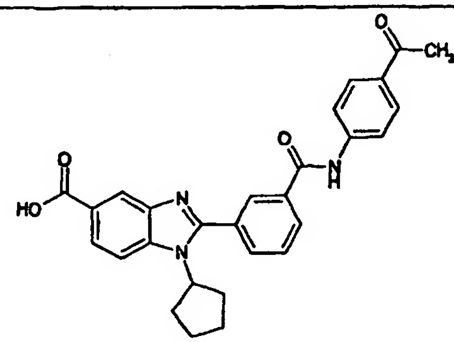
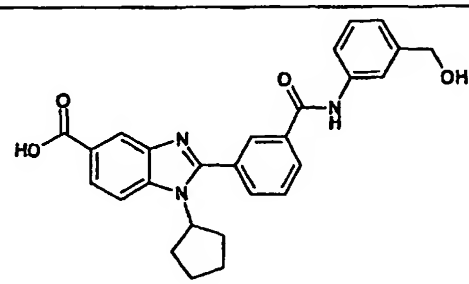
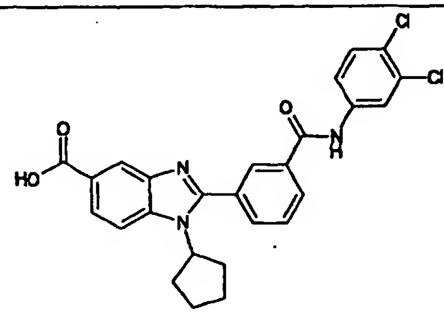
Ex. No.	Formula	MS
1251		442 (M+H)
1252		468 (M+H)
1253		456 (M+H)
1254		494 (M+H)

Table 116

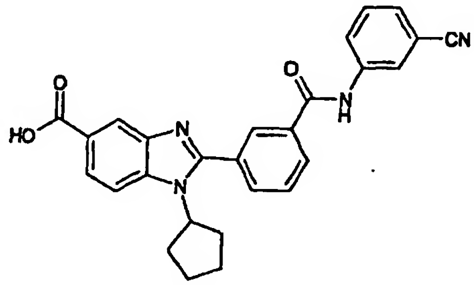
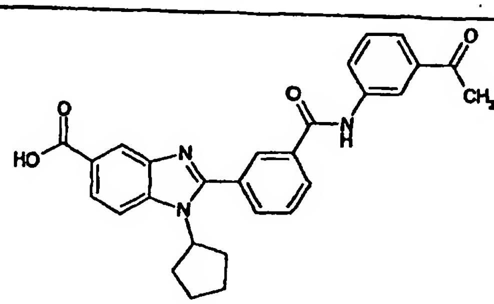
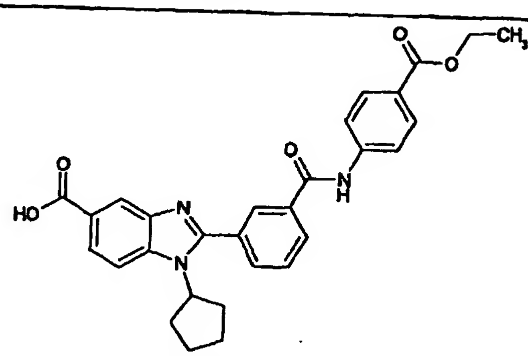
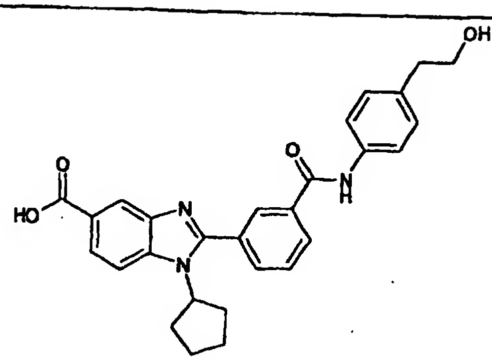
Ex. No.	Formula	MS
1255		451 (M+H)
1256		468 (M+H)
1257		498 (M+H)
1258		470 (M+H)

Table 117

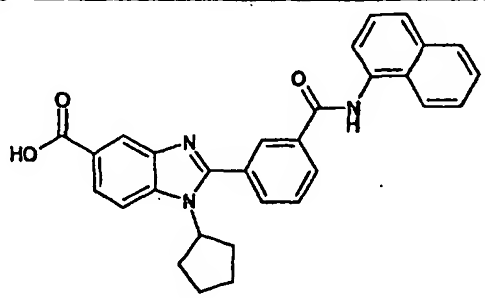
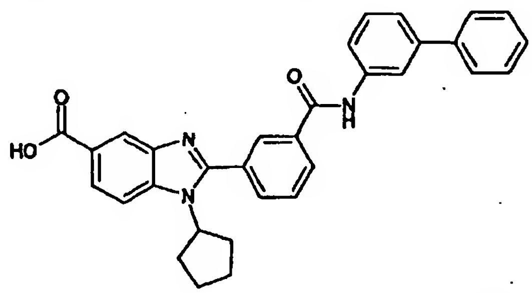
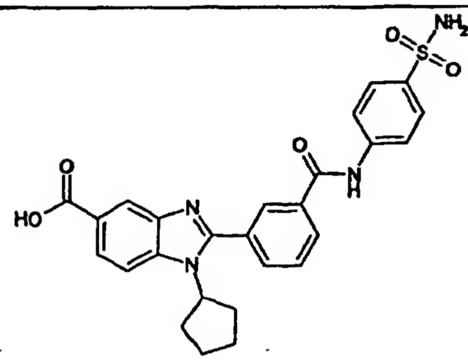
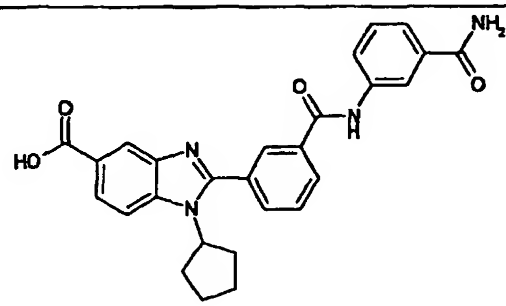
Ex. No.	Formula	MS
1259		476 (M+H)
1260		502 (M+H)
1261		505 (M+H)
1262		469 (M+H)

Table 118

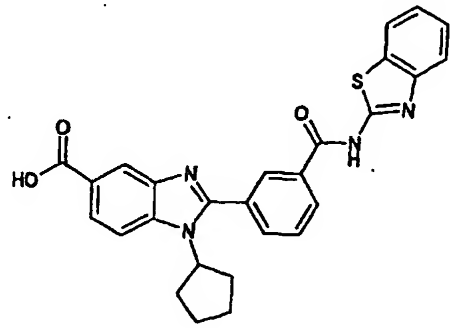
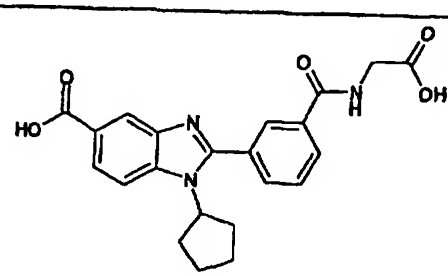
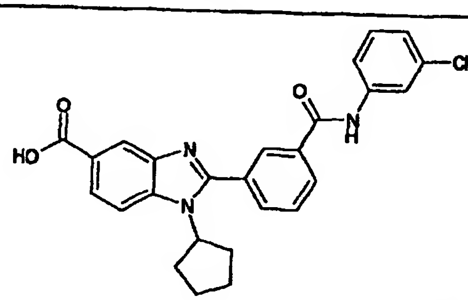
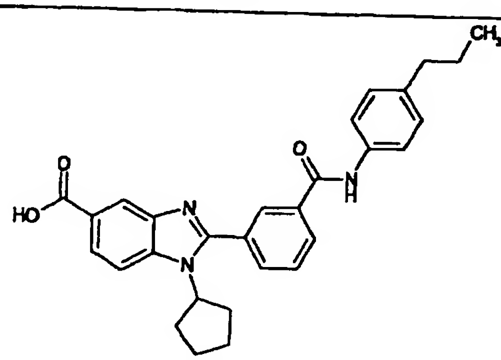
Ex. No.	Formula	MS
1263		483 (M+H)
1264		408 (M+H)
1265		460 (M+H)
1266		468 (M+H)

Table 119

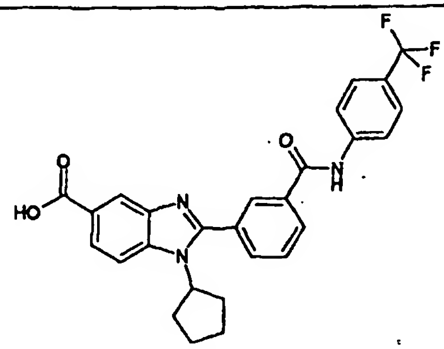
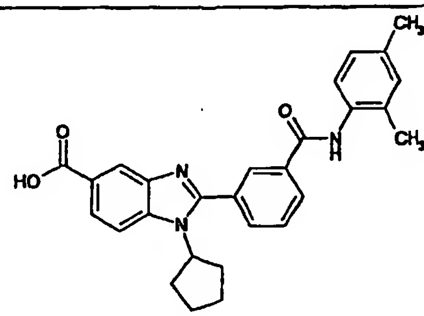
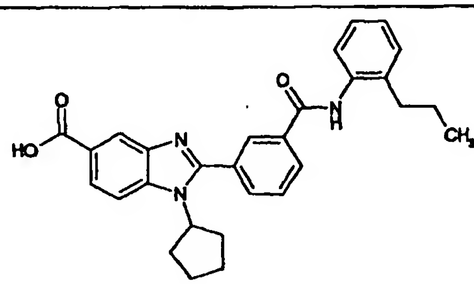
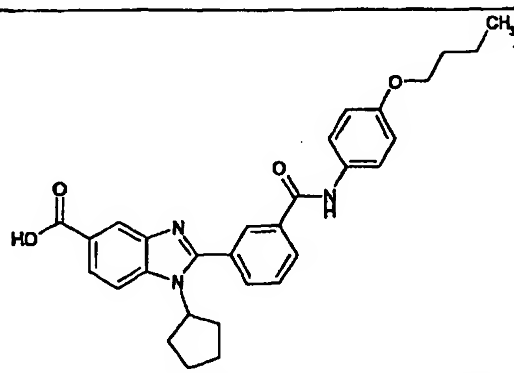
Ex. No.	Formula	MS
1267		494 (M+H)
1268		454 (M+H)
1269		468 (M+H)
1270		498 (M+H)

Table 120

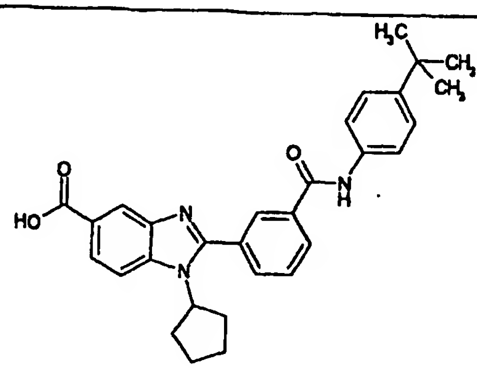
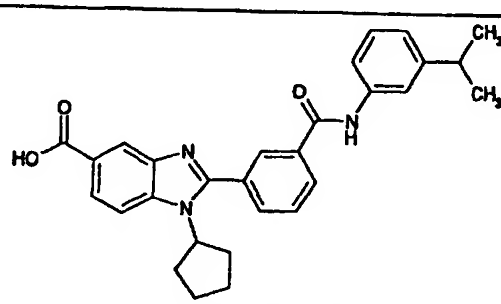
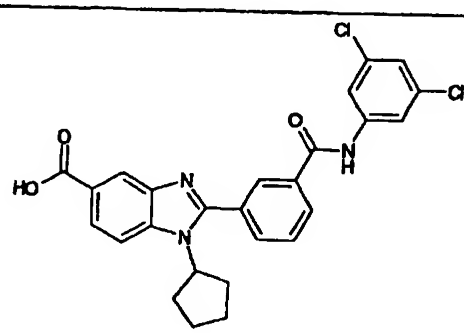
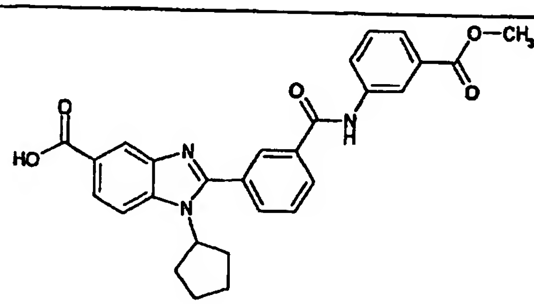
Ex. No.	Formula	MS
1271		482 (M+H)
1272		468 (M+H)
1273		494 (M+H)
1274		484 (M+H)

Table 121

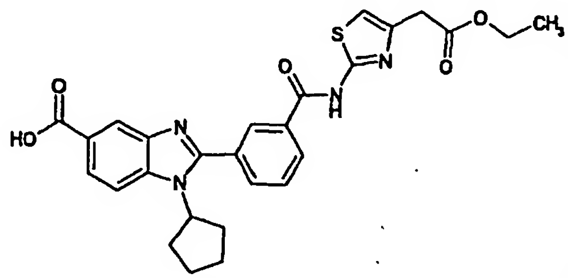
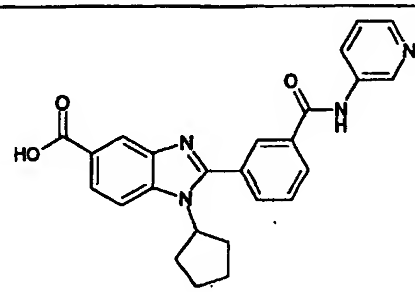
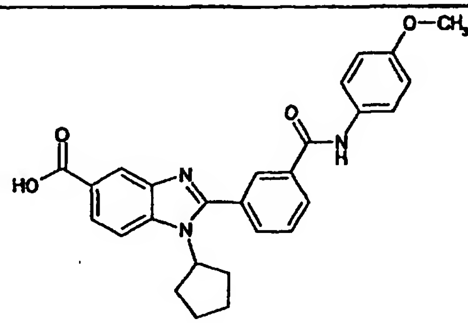
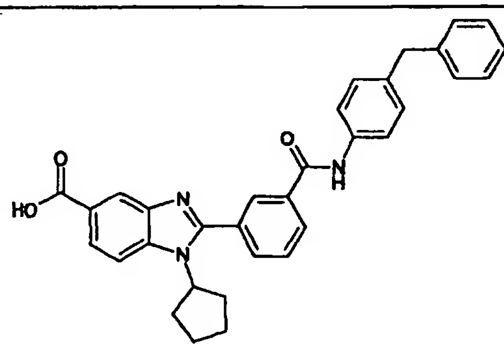
Ex. No.	Formula	MS
1275		519 (M+H)
1276		427 (M+H)
1277		456 (M+H)
1278		516 (M+H)

Table 122

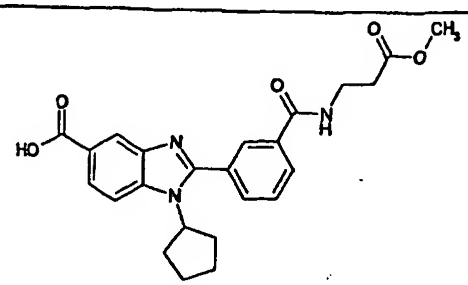
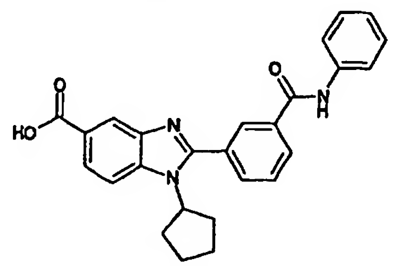
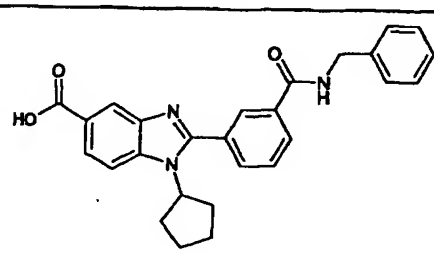
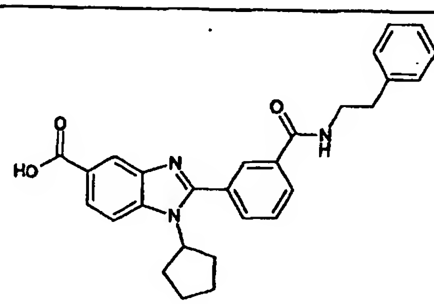
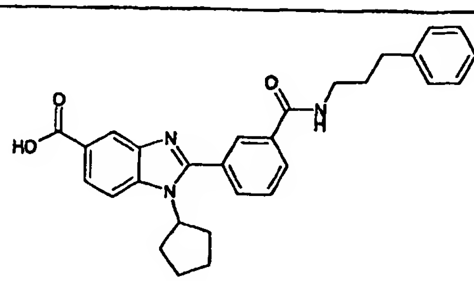
Ex. No.	Formula	MS
1279		436 (M+H)
1280		426 (M+H)
1281		440 (M+H)
1282		454 (M+H)
1283		468 (M+H)

Table 123

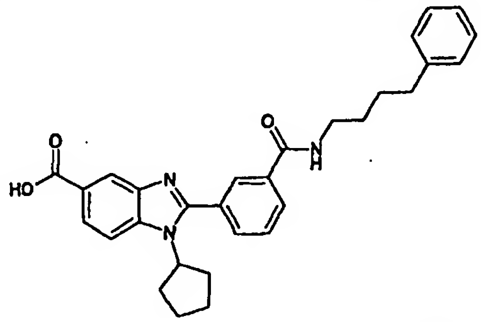
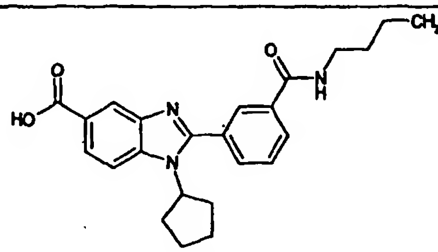
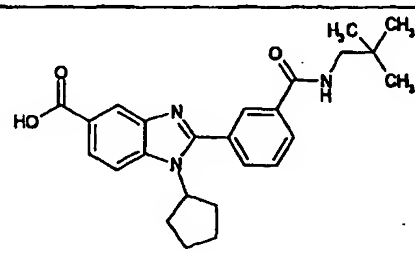
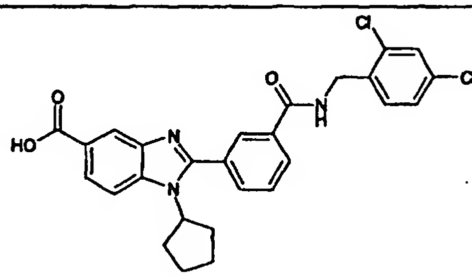
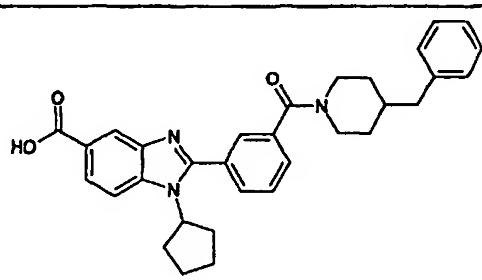
Ex. No.	Formula	MS
1284		482 (M+H)
1285		406 (M+H)
1286		420 (M+H)
1287		508 (M+H)
1288		508 (M+H)

Table 124

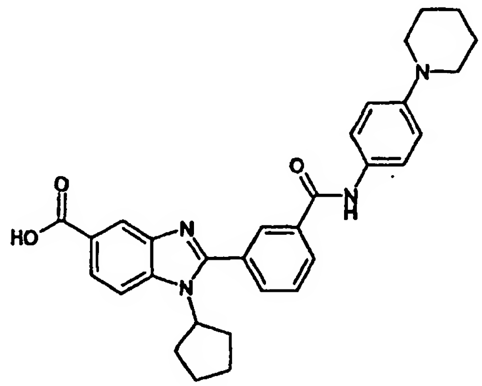
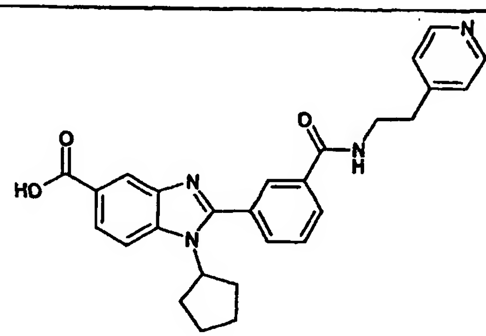
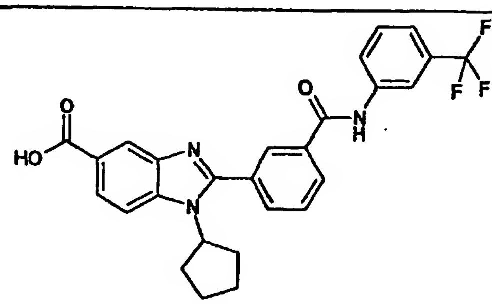
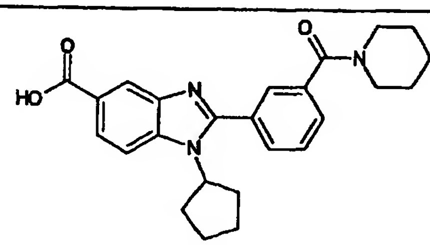
Ex. No.	Formula	MS
1289		509 (M+H)
1290		455 (M+H)
1291		494 (M+H)
1292		418 (M+H)

Table 125

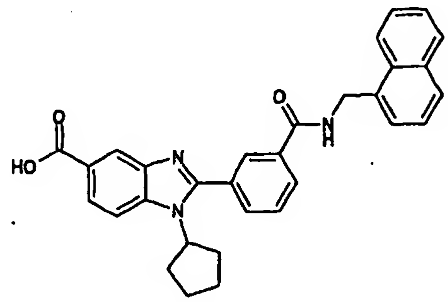
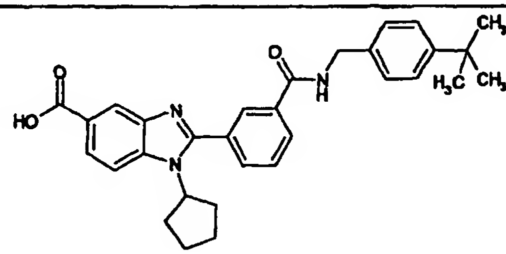
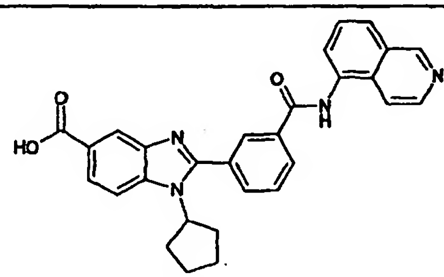
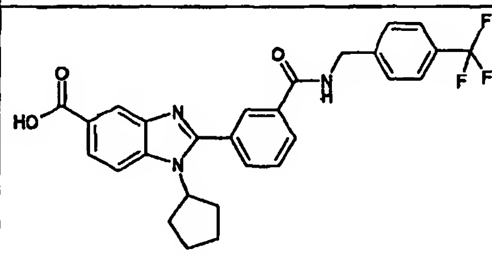
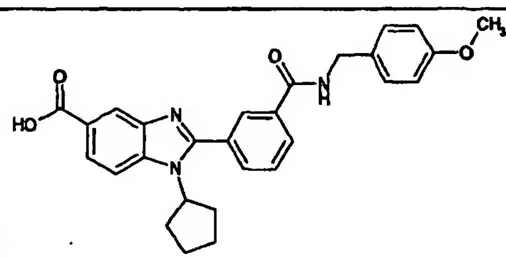
Ex. No.	Formula	MS
1293		490 (M+H)
1294		496 (M+H)
1295		477 (M+H)
1296		508 (M+H)
1297		470 (M+H)

Table 126

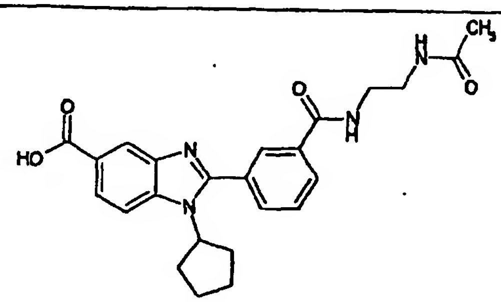
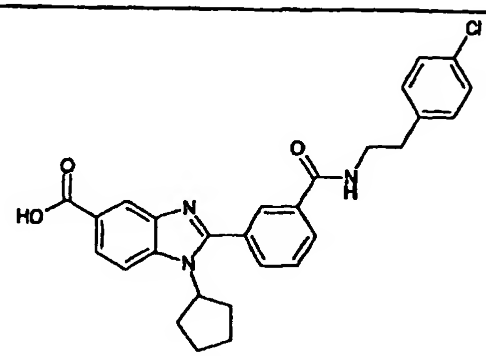
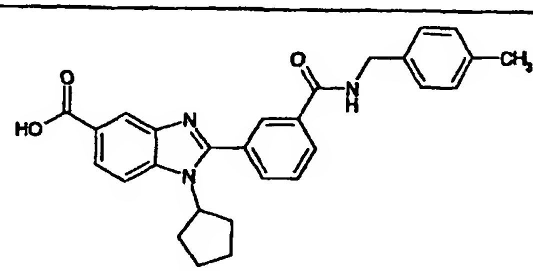
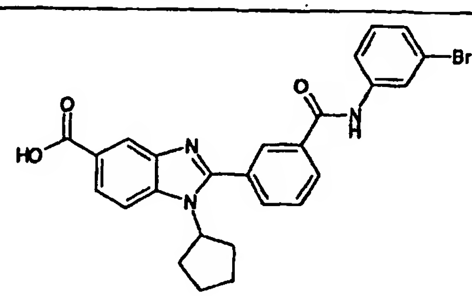
Ex. No.	Formula	MS
1298		435 (M+H)
1299		488 (M+H)
1300		454 (M+H)
1301		504 (M+H)

Table 127

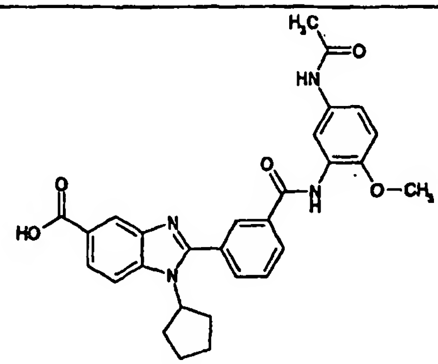
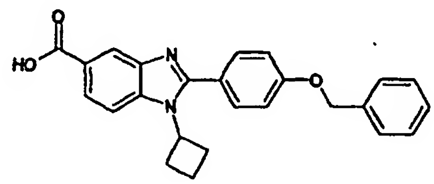
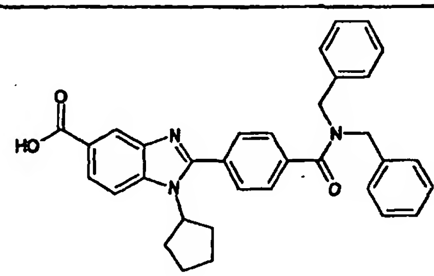
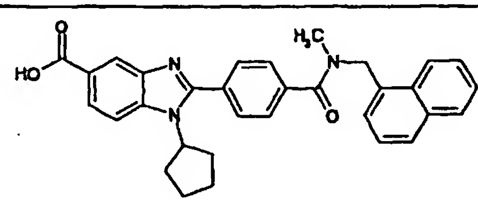
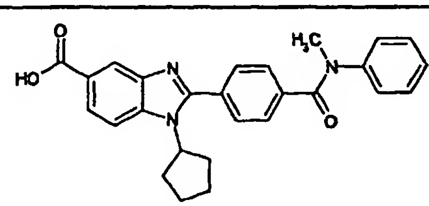
Ex. No.	Formula	MS
1302		513 (M+H)
1303		399 (M+H)
1304		530 (M+H)
1305		504 (M+H)
1306		440 (M+H)

Table 128

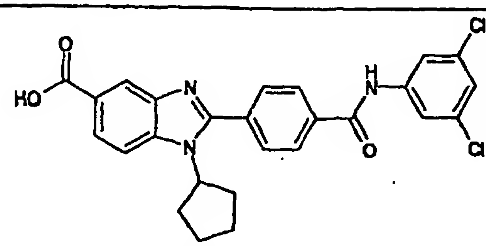
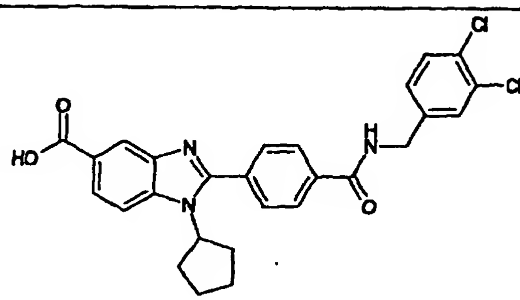
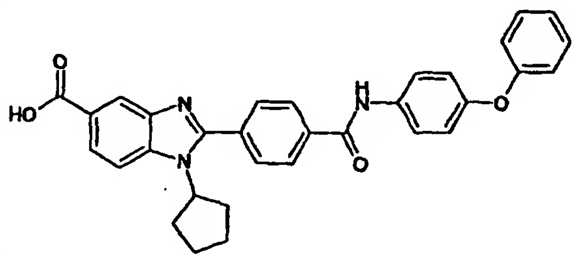
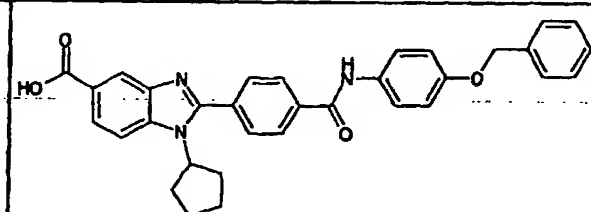
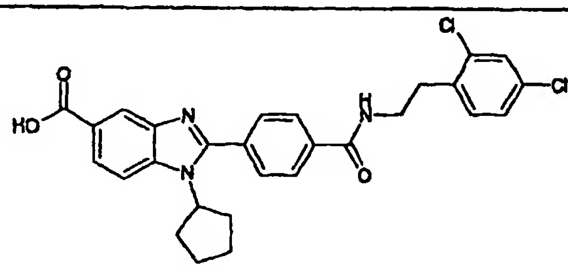
Ex. No.	Formula	MS
1307		494 (M+H)
1308		508 (M+H)
1309		518 (M+H)
1310		532 (M+H)
1311		522 (M+H)

Table 129

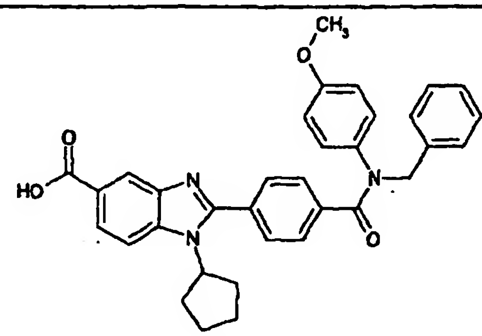
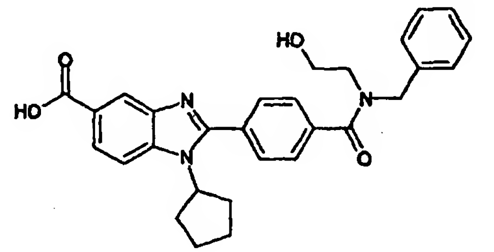
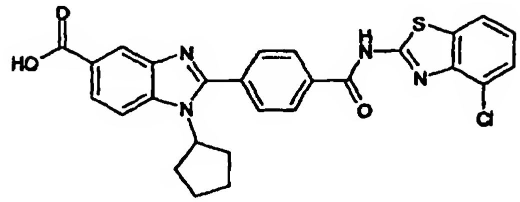
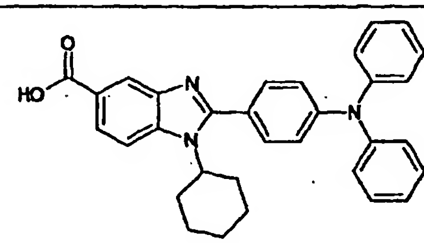
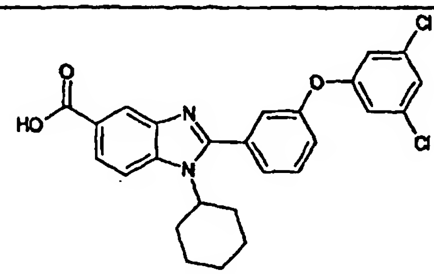
Ex. No.	Formula	MS
1312		546 (M+H)
1313		484 (M+H)
1314		517 (M+H)
1315		488 (M+H)
1316		481 (M+H)

Table 130

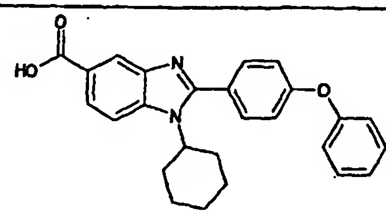
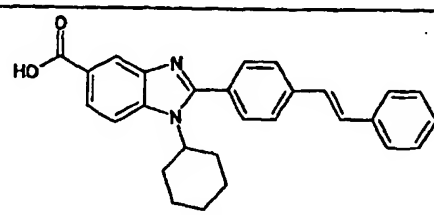
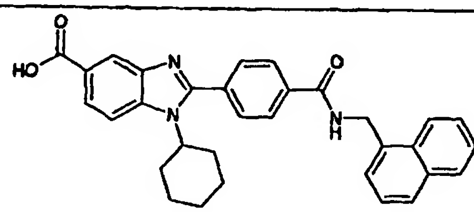
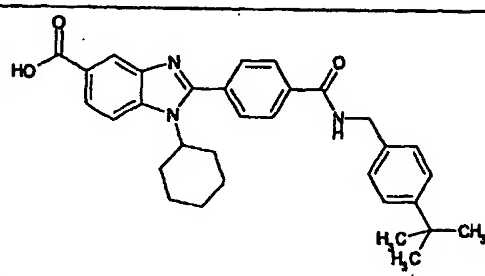
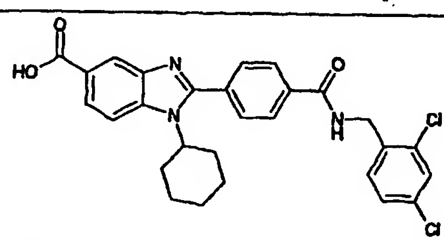
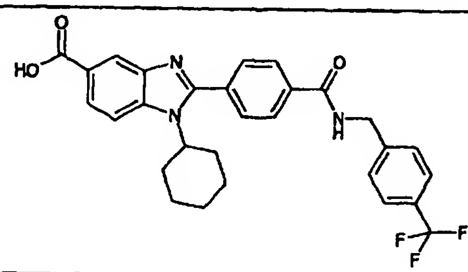
Ex. No.	Formula	MS
1317		413 (M+H)
1318		423 (M+H)
1319		504 (M+H)
1320		510 (M+H)
1321		522 (M+H)
1322		522 (M+H)

Table 131

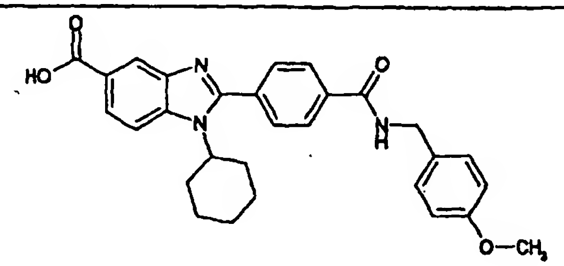
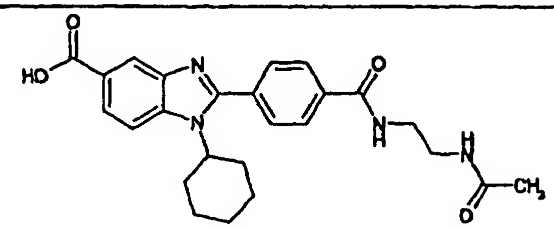
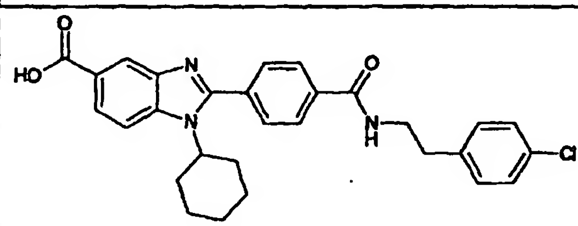
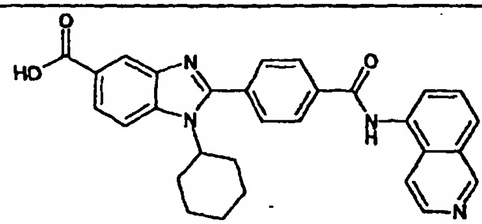
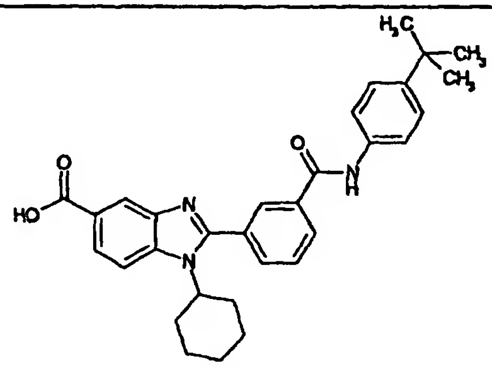
Ex. No.	Formula	MS
1323		484 (M+H)
1324		449 (M+H)
1325		502 (M+H)
1326		491 (M+H)
1327		496 (M+H)

Table 132

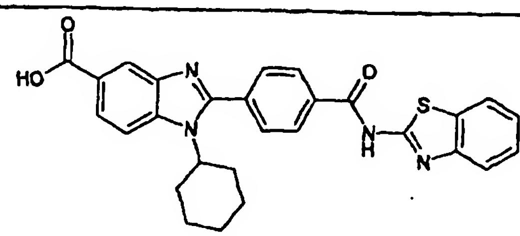
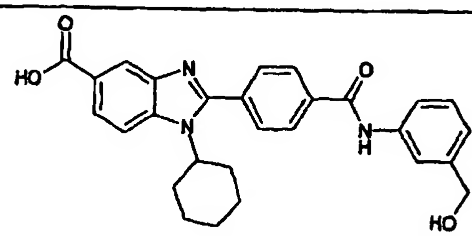
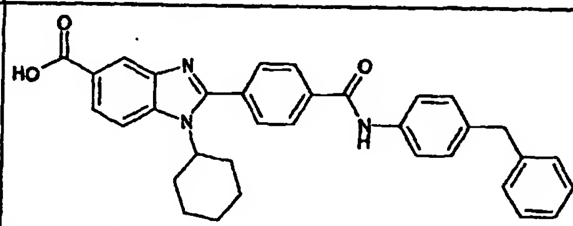
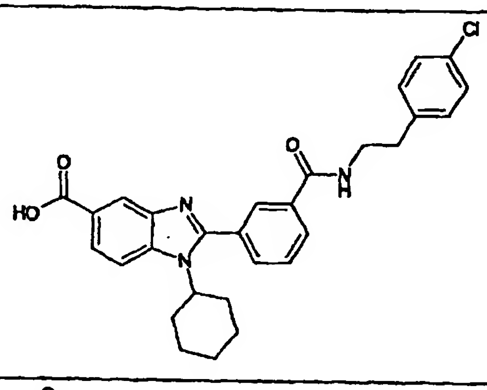
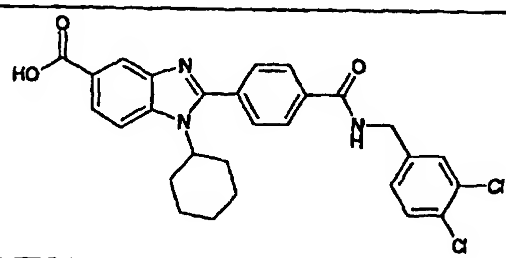
Ex. No.	Formula	MS
1328		497 (M+H)
1329		470 (M+H)
1330		530 (M+H)
1331		502 (M+H)
1332		522 (M+H)

Table 133

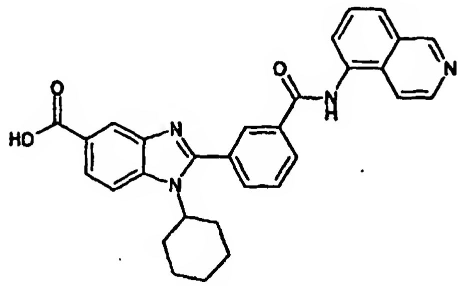
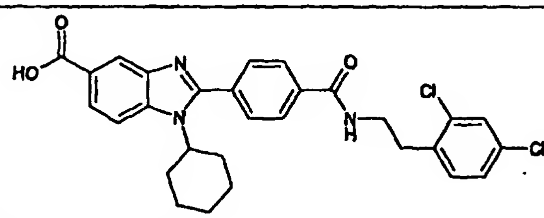
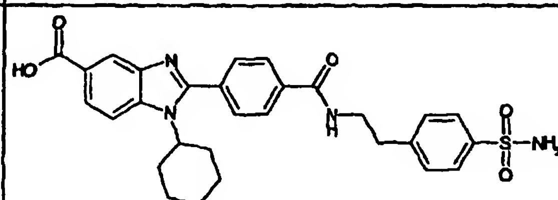
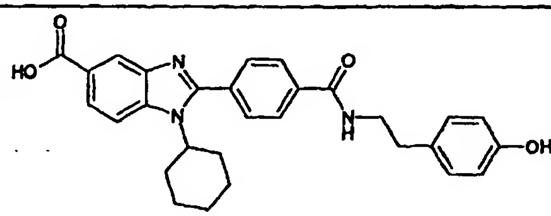
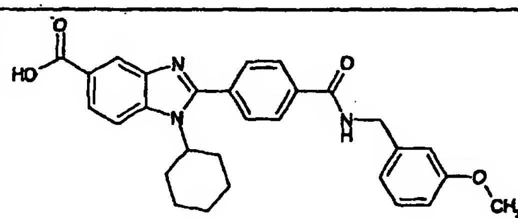
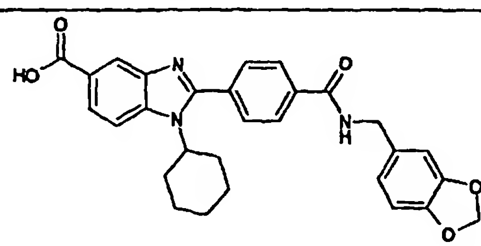
Ex. No.	Formula	MS
1333		491 (M+H)
1334		536 (M+H)
1335		547 (M+H)
1336		484 (M+H)
1337		484 (M+H)
1338		498 (M+H)

Table 134

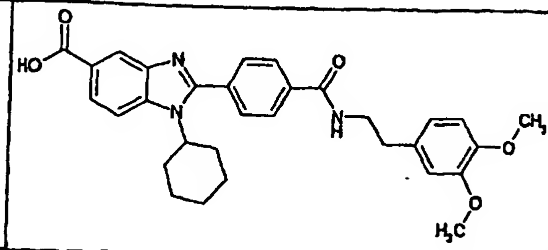
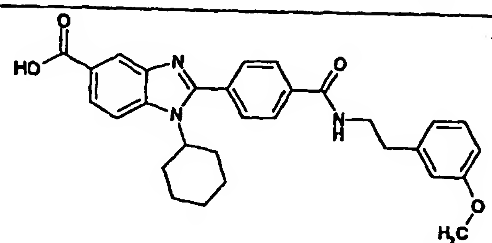
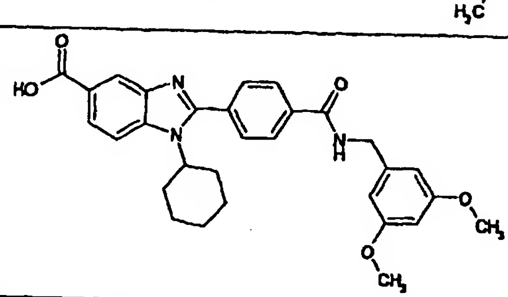
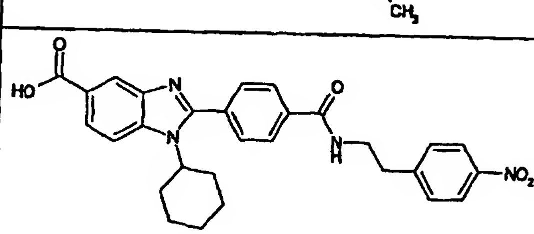
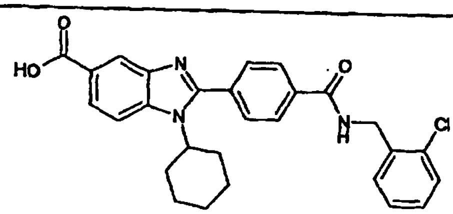
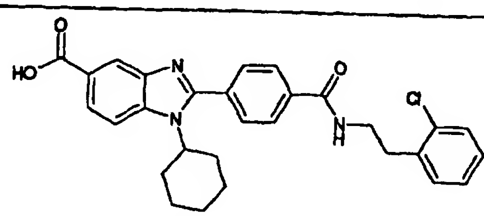
Ex. No.	Formula	MS
1339		528 (M+H)
1340		498 (M+H)
1341		514 (M+H)
1342		513 (M+H)
1343		488 (M+H)
1344		502 (M+H)

Table 135

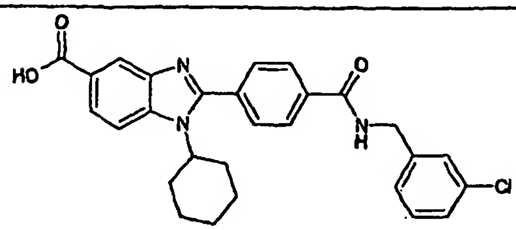
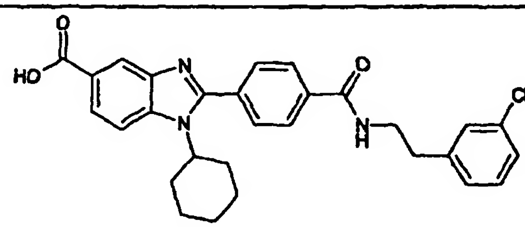
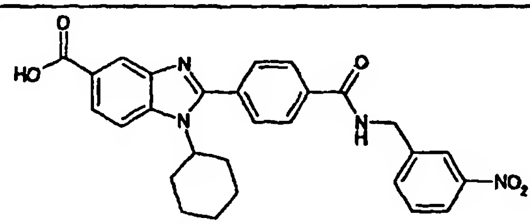
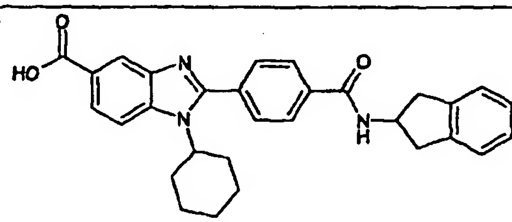
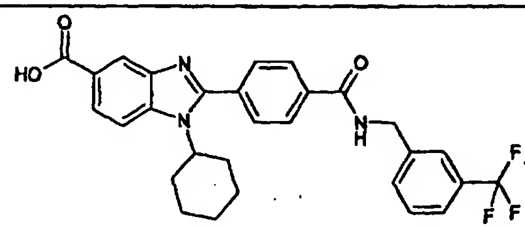
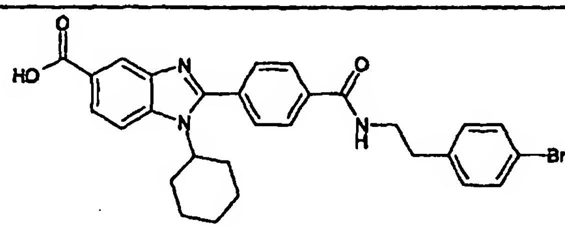
Ex. No.	Formula	MS
1345		488 (M+H)
1346		502 (M+H)
1347		499 (M+H)
1348		480 (M+H)
1349		522 (M+H)
1350		546 (M+H)

Table 136

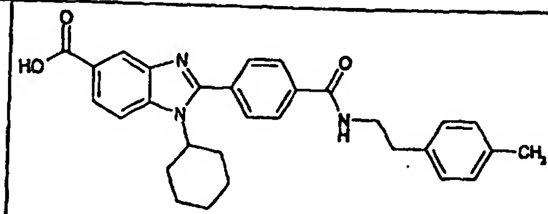
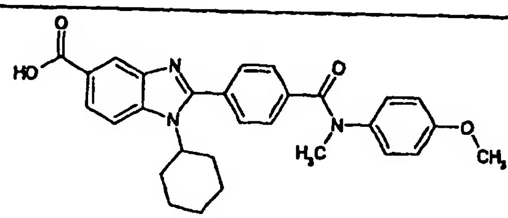
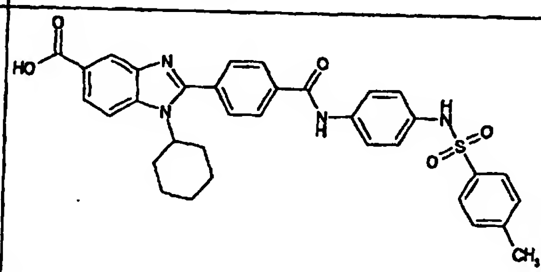
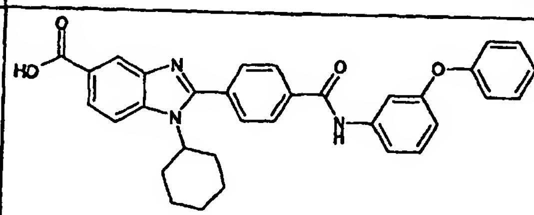
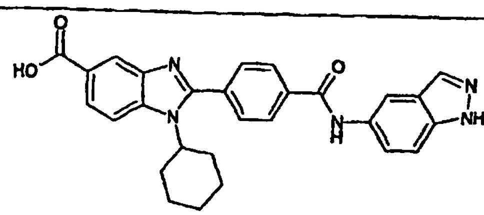
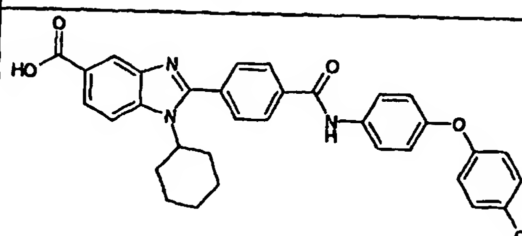
Ex. No.	Formula	MS
1351		482 (M+H)
1352		484 (M+H)
1353		609 (M+H)
1354		532 (M+H)
1355		480 (M+H)
1356		566 (M+H)

Table 137

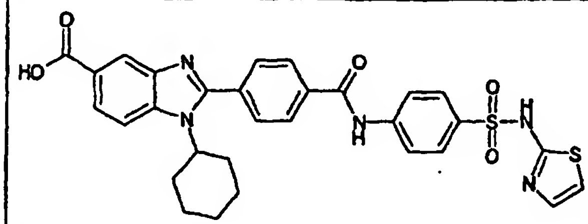
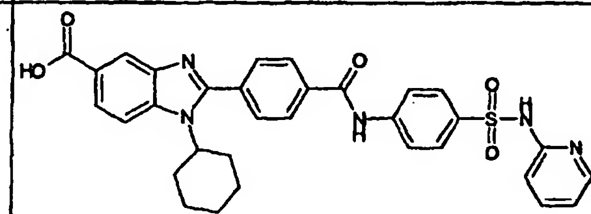
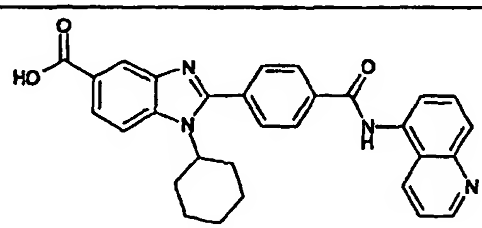
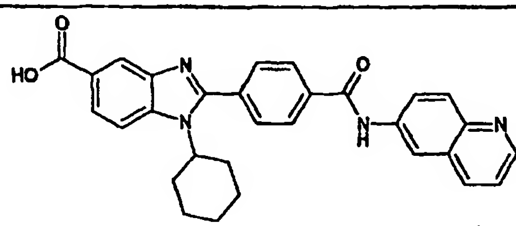
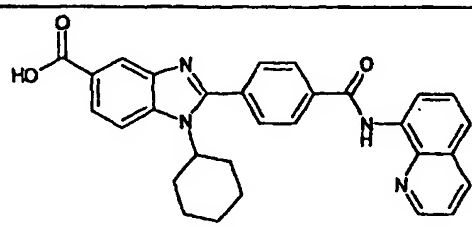
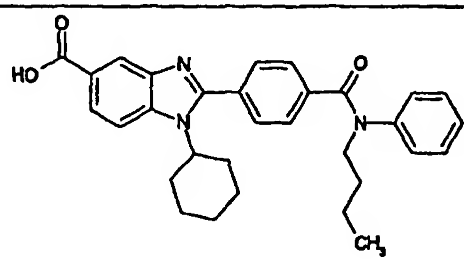
Ex. No.	Formula	MS
1357		602 (M+H)
1358		596 (M+H)
1359		491 (M+H)
1360		491 (M+H)
1361		491 (M+H)
1362		496 (M+H)

Table 138

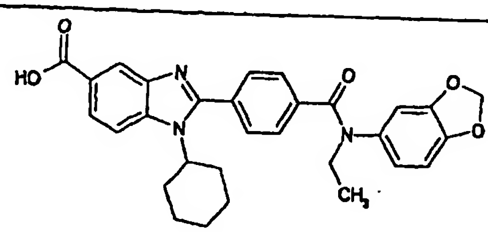
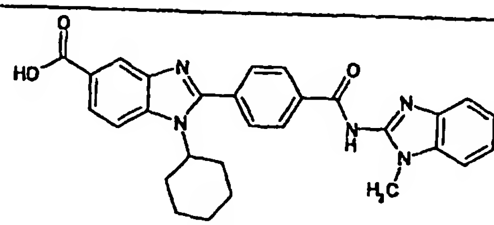
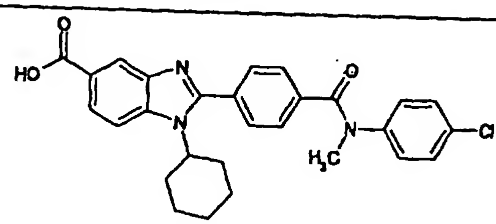
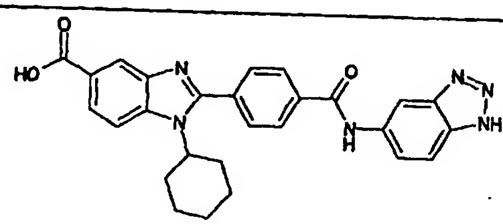
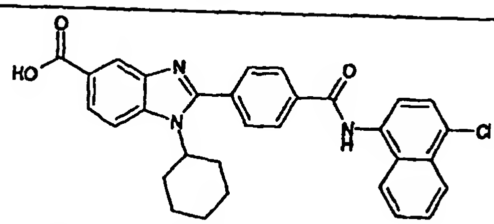
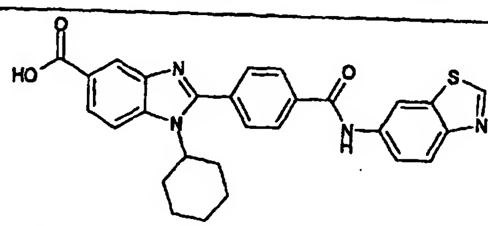
Ex. No.	Formula	MS
1363		512 (M+H)
1364		494 (M+H)
1365		488 (M+H)
1366		481 (M+H)
1367		524 (M+H)
1368		497 (M+H)

Table 139

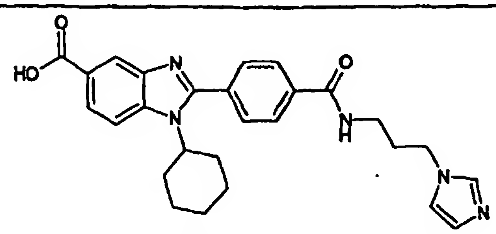
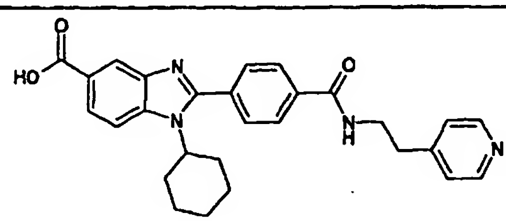
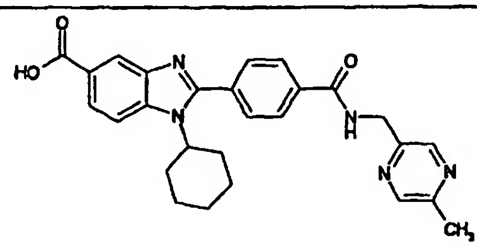
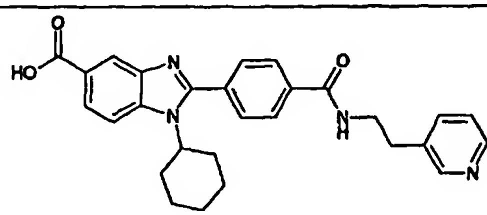
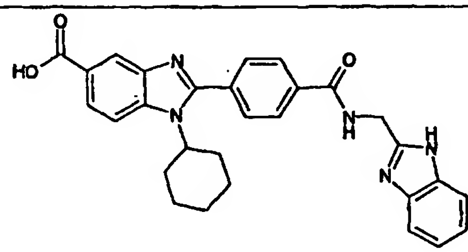
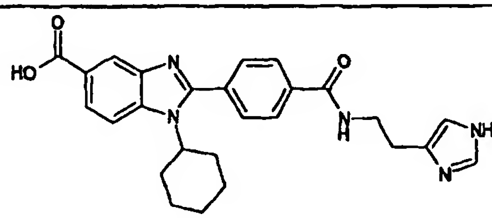
Ex. No.	Formula	MS
1369		472 (M+H)
1370		469 (M+H)
1371		470 (M+H)
1372		469 (M+H)
1373		494 (M+H)
1374		458 (M+H)

Table 140

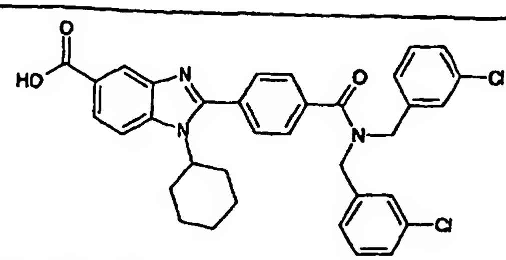
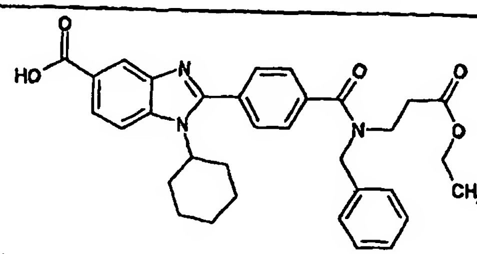
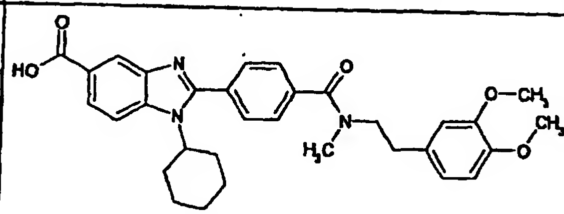
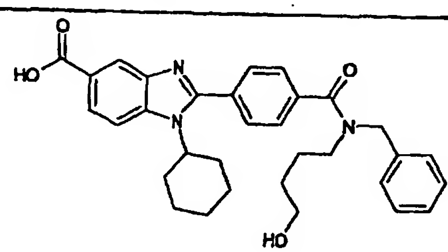
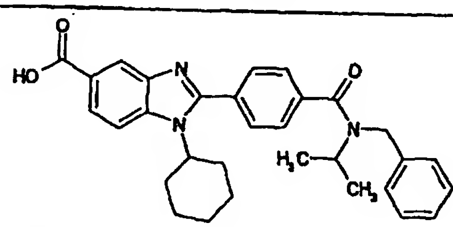
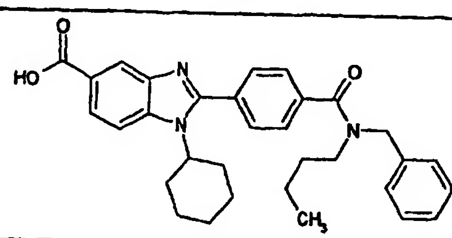
Ex. No.	Formula	MS
1375		612 (M+H)
1376		554 (M+H)
1377		542 (M+H)
1378		526 (M+H)
1379		496 (M+H)
1380		510 (M+H)

Table 141

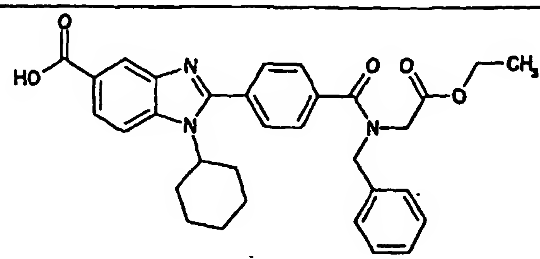
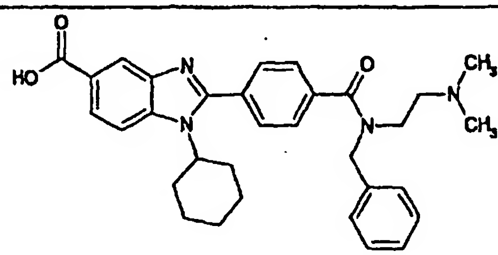
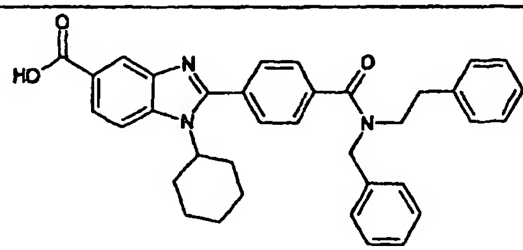
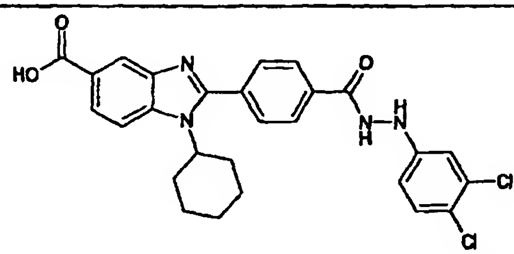
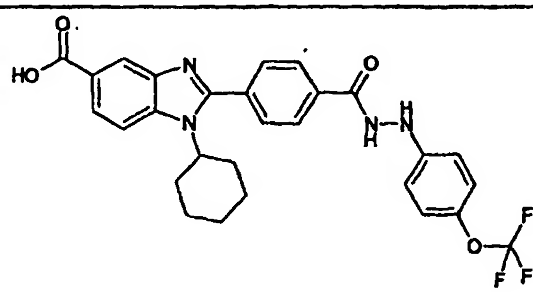
Ex. No.	Formula	MS
1381		540 (M+H)
1382		525 (M+H)
1383		558 (M+H)
1384		523 (M+H)
1385		539 (M+H)

Table 142

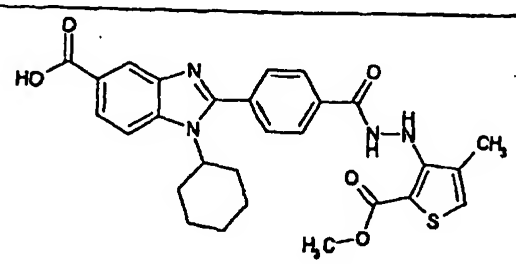
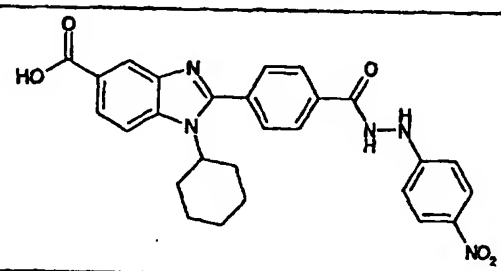
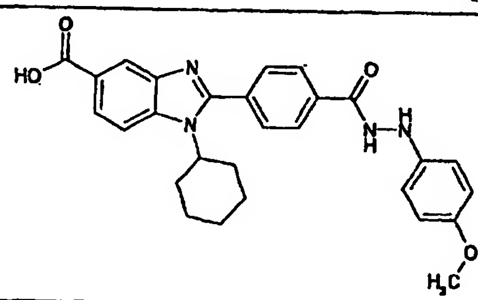
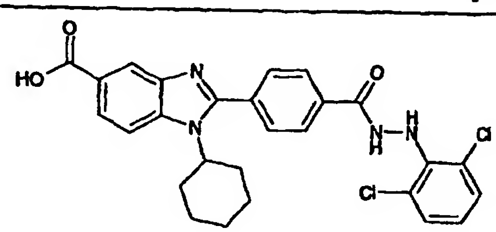
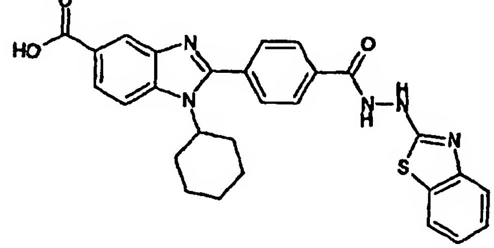
Ex. No.	Formula	MS
1386		533 (M+H)
1387		500 (M+H)
1388		485 (M+H)
1389		523 (M+H)
1390		512 (M+H)

Table 143

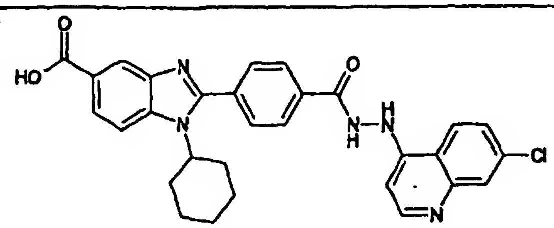
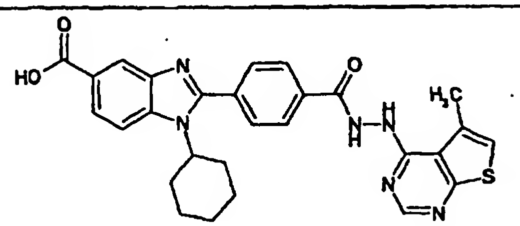
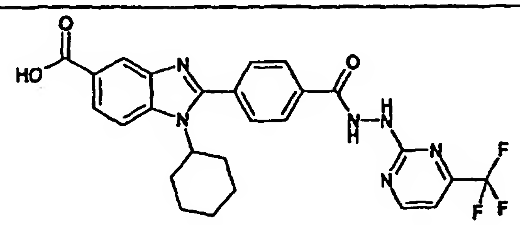
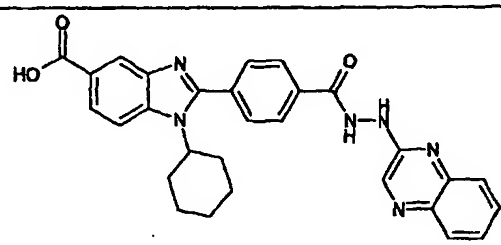
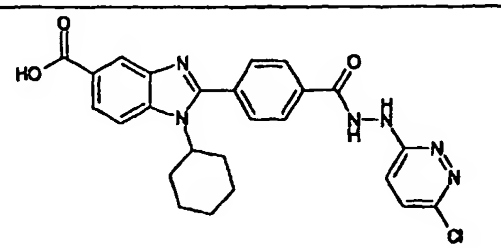
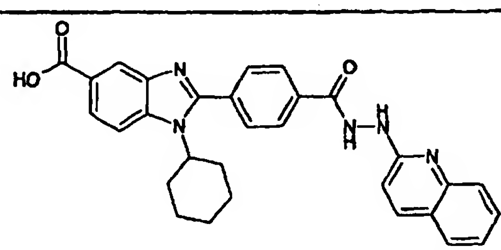
Ex. No.	Formula	MS
1391		540 (M+H)
1392		527 (M+H)
1393		525 (M+H)
1394		507 (M+H)
1395		491 (M+H)
1396		506 (M+H)

Table 144

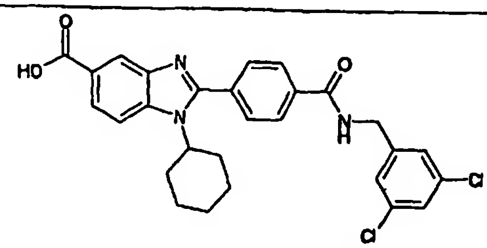
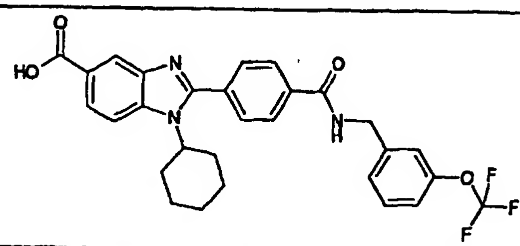
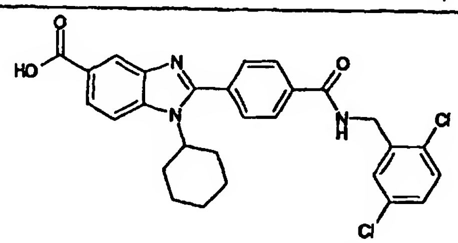
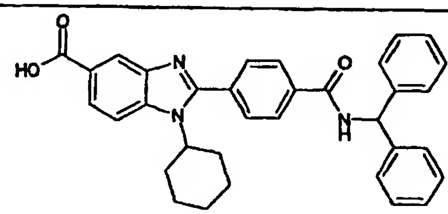
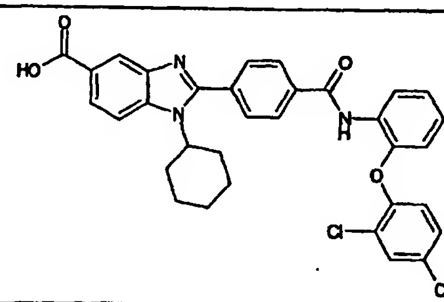
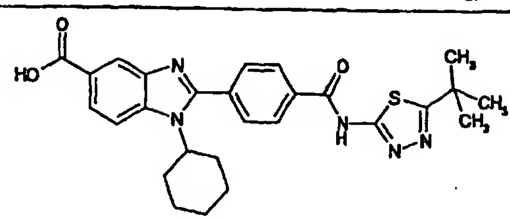
Ex. No.	Formula	MS
1397		522 (M+H)
1398		538 (M+H)
1399		522 (M+H)
1400		530 (M+H)
1401		600 (M+H)
1402		504 (M+H)

Table 145

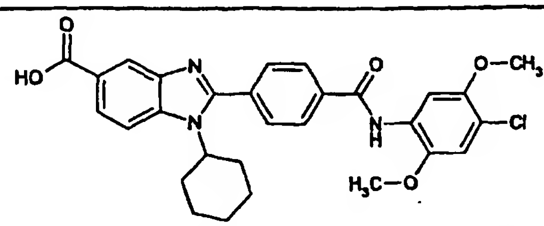
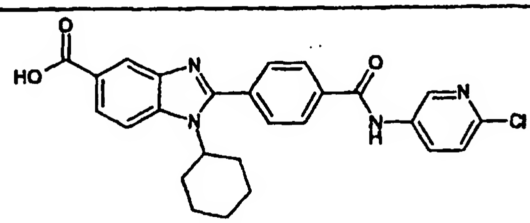
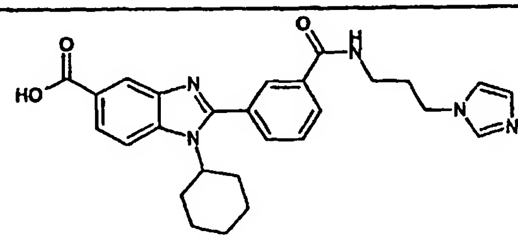
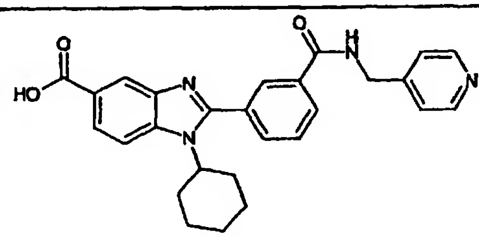
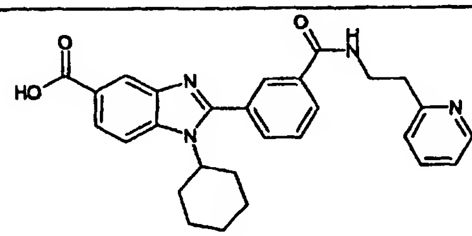
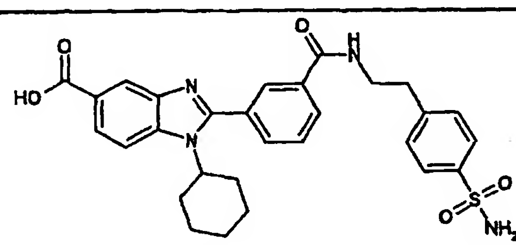
Ex. No.	Formula	MS
1403		534 (M+H)
1404		475 (M+H)
1405		472 (M+H)
1406		455 (M+H)
1407		469 (M+H)
1408		547 (M+H)

Table 146

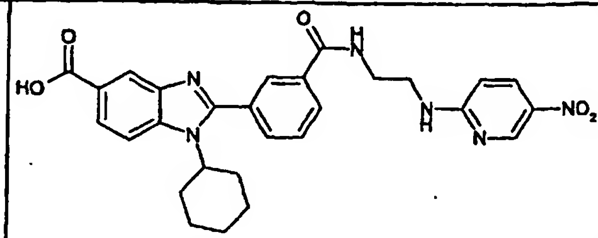
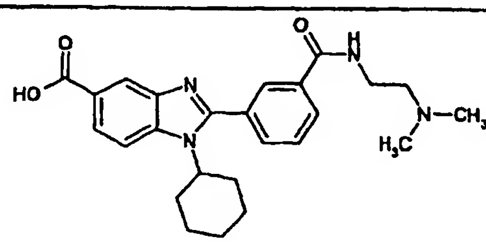
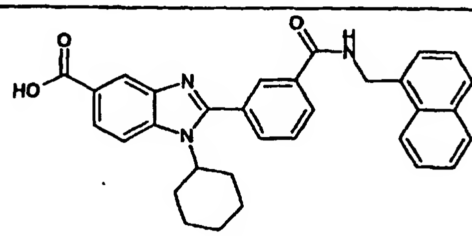
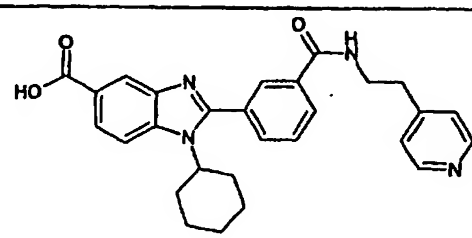
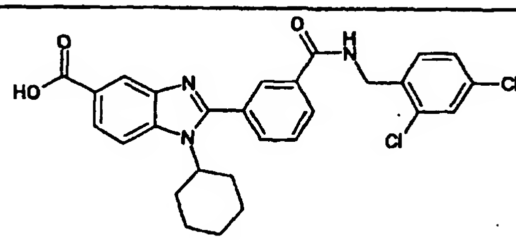
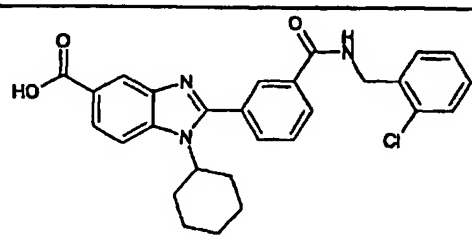
Ex. No.	Formula	MS
1409		529 (M+H)
1410		435 (M+H)
1411		504 (M+H)
1412		469 (M+H)
1413		522 (M+H)
1414		488 (M+H)

Table 147

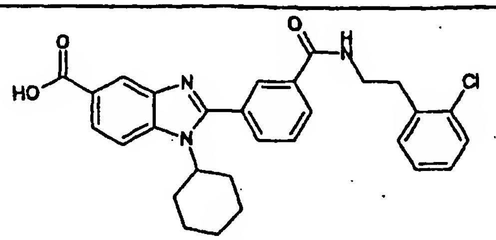
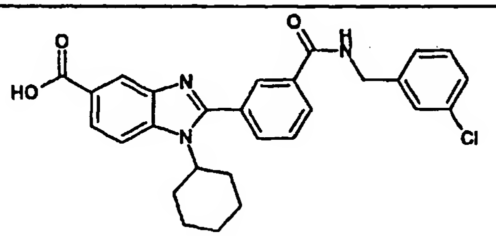
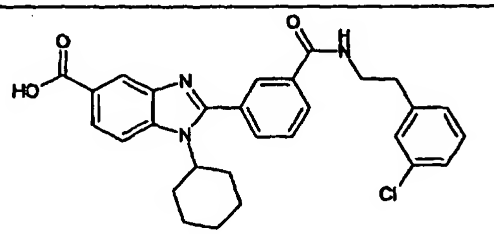
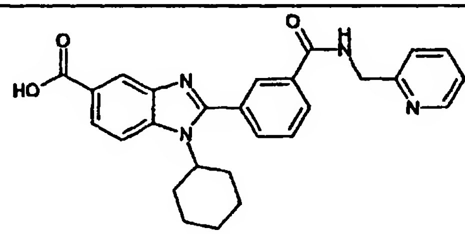
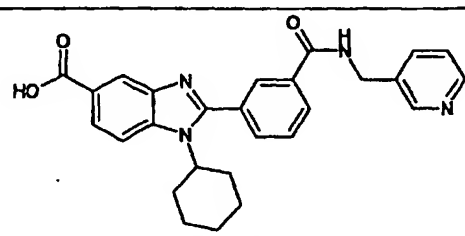
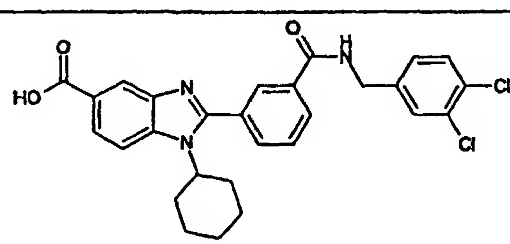
Ex. No.	Formula	MS
1415		502 (M+H)
1416		488 (M+H)
1417		502 (M+H)
1418		455 (M+H)
1419		455 (M+H)
1420		522 (M+H)

Table 148

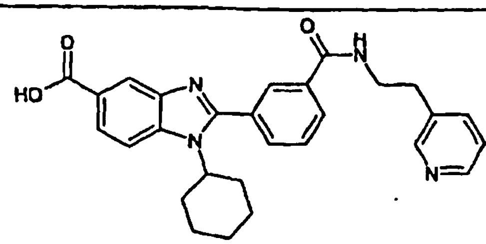
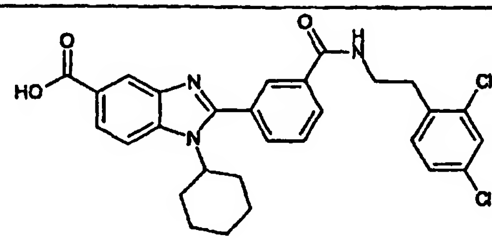
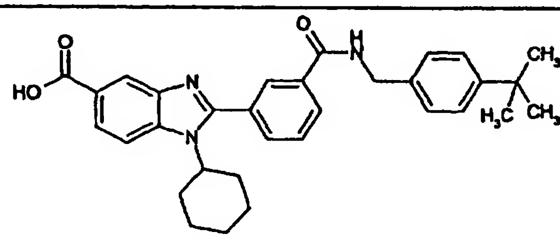
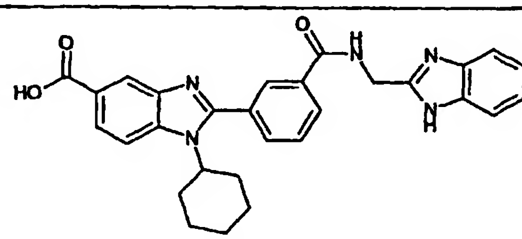
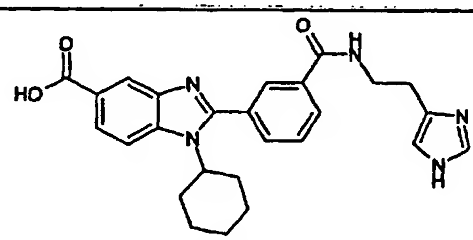
Ex. No.	Formula	MS
1421		469(M+H)
1422		536(M+H)
1423		510(M+H)
1424		494(M+H)
1425		458(M+H)

Table 149

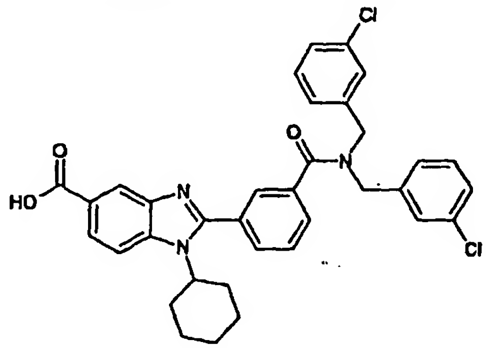
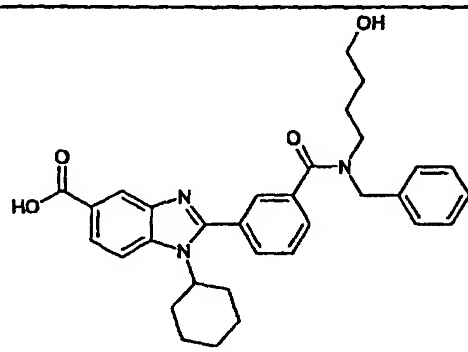
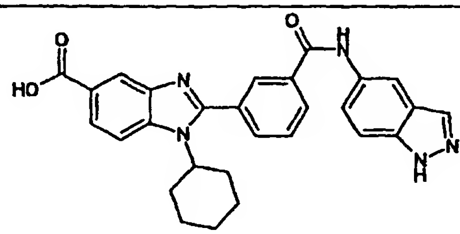
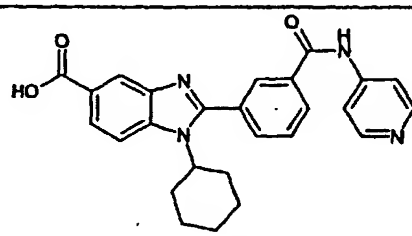
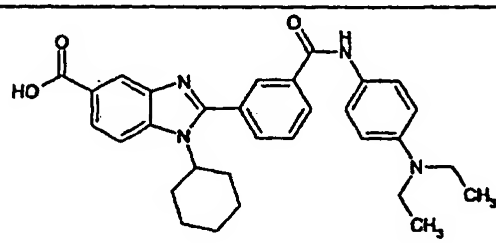
Ex. No.	Formula	MS
1426		612 (M+H)
1427		526 (M+H)
1428		480 (M+H)
1429		441 (M+H)
1430		511 (M+H)

Table 150

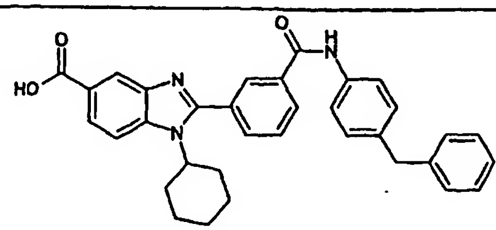
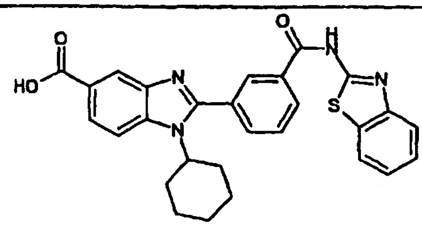
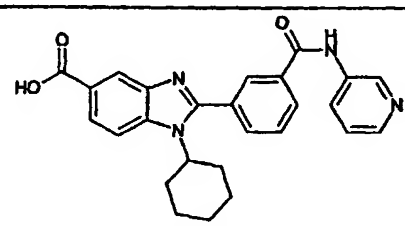
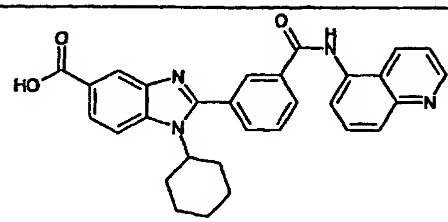
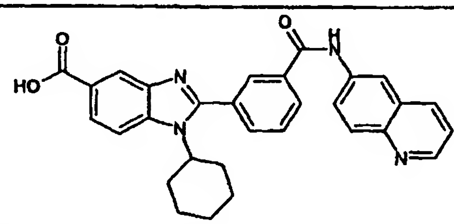
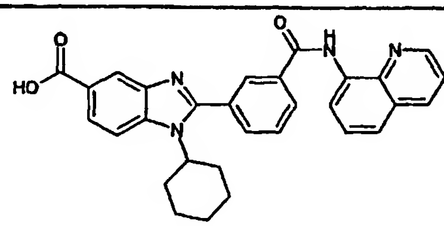
Ex. No.	Formula	MS
1431		530 (M+H)
1432		497 (M+H)
1433		441 (M+H)
1434		491 (M+H)
1435		491 (M+H)
1436		491 (M+H)

Table 151

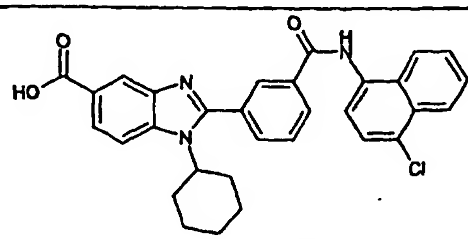
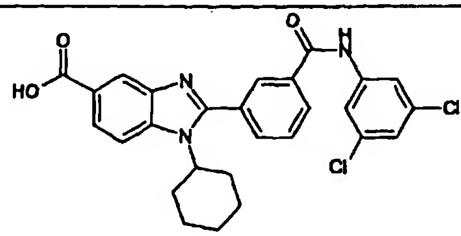
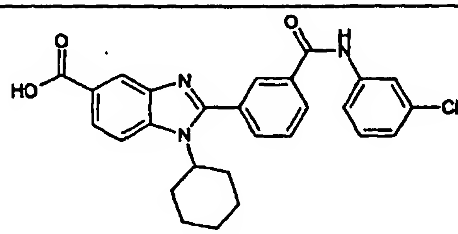
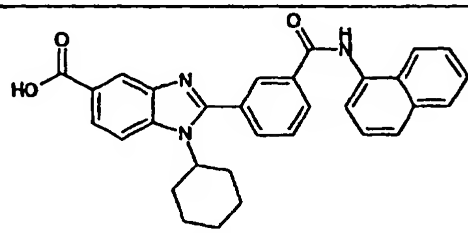
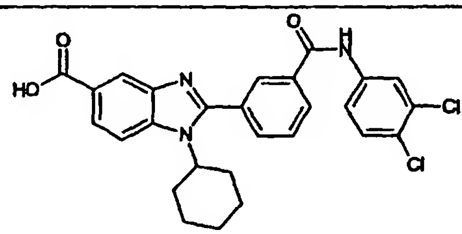
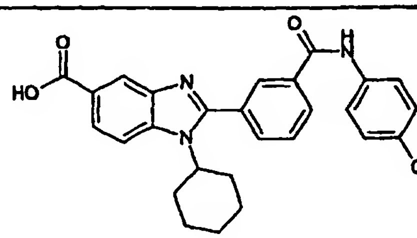
Ex. No.	Formula	MS
1437		524 (M+H)
1438		508 (M+H)
1439		474 (M+H)
1440		490 (M+H)
1441		508 (M+H)
1442		474 (M+H)

Table 152

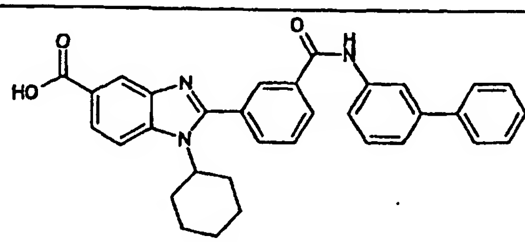
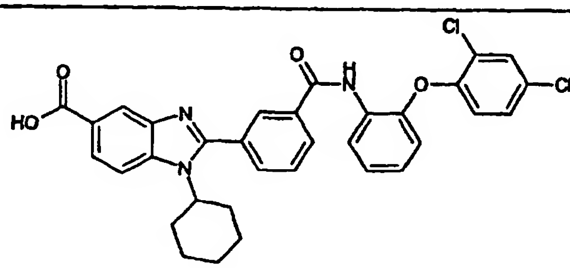
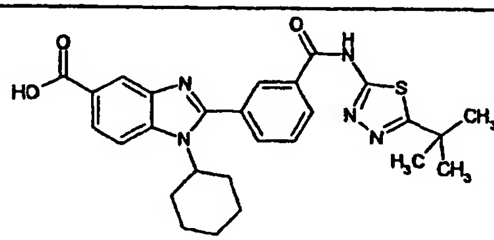
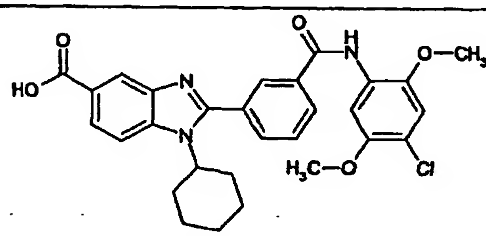
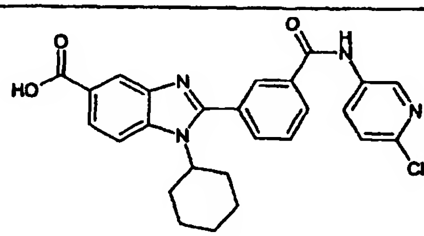
Ex. No.	Formula	MS
1443		516 (M+H)
1444		600 (M+H)
1445		504 (M+H)
1446		534 (M+H)
1447		475 (M+H)

Table 153

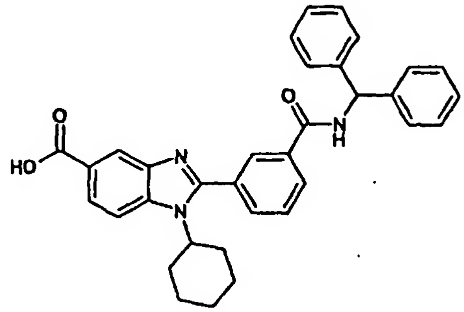
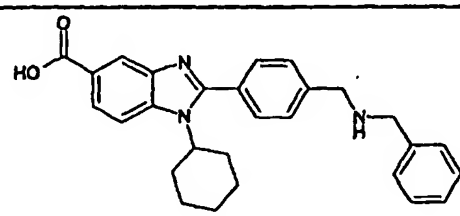
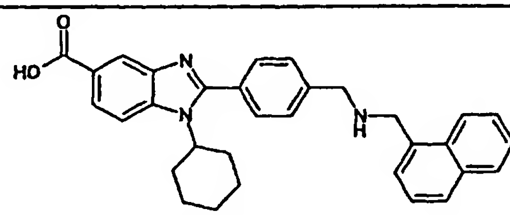
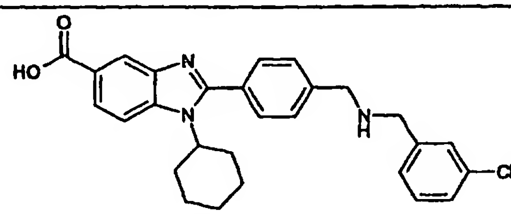
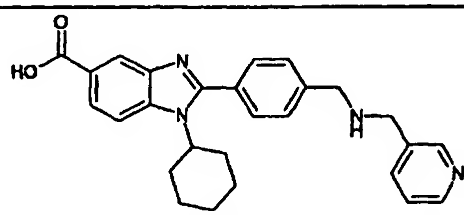
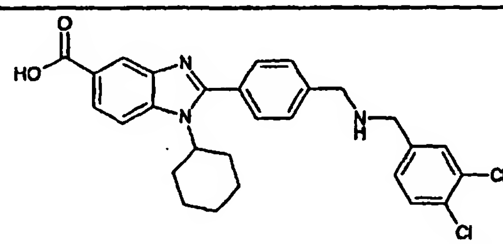
Ex. No.	Formula	MS
1448		530 (M+H)
1449		440 (M+H)
1450		490 (M+H)
1451		474 (M+H)
1452		441 (M+H)
1453		508 (M+H)

Table 154

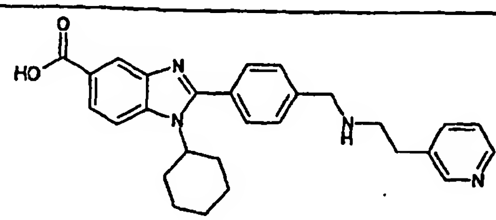
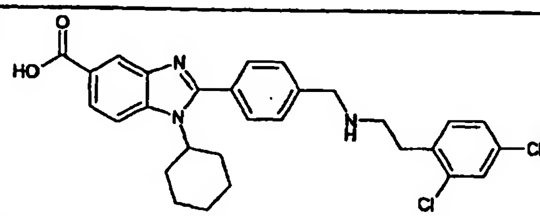
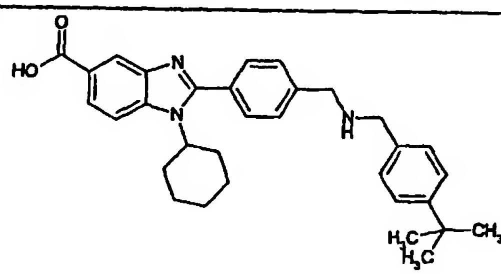
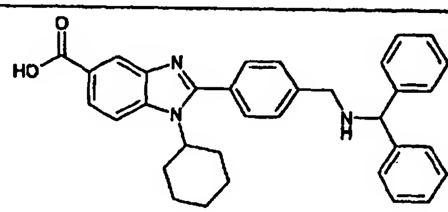
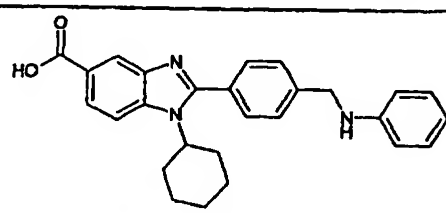
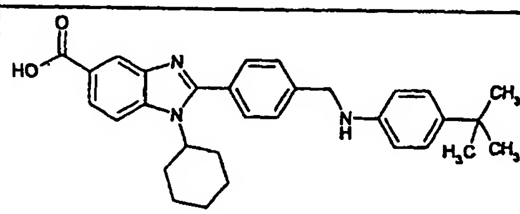
Ex. No.	Formula	MS
1454		455 (M+H)
1455		522 (M+H)
1456		496 (M+H)
1457		516 (M+H)
1458		426 (M+H)
1459		482 (M+H)

Table 155

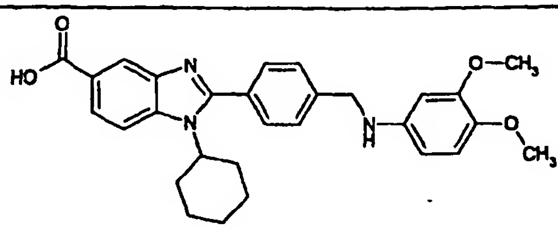
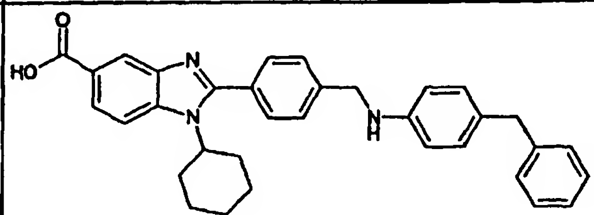
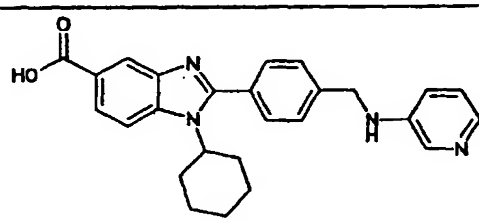
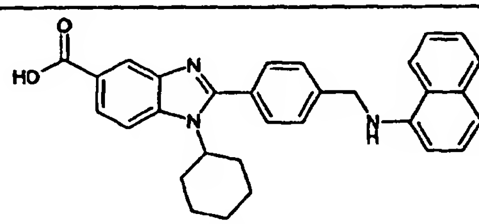
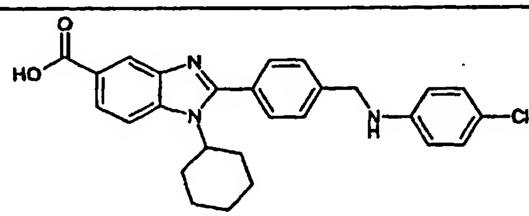
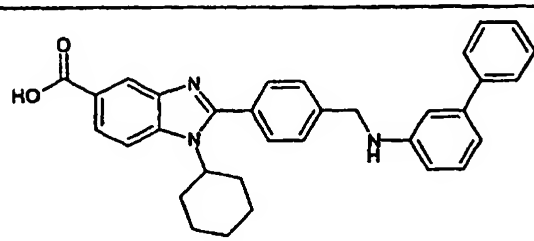
Ex. No.	Formula	MS
1460		486 (M+H)
1461		516 (M+H)
1462		427 (M+H)
1463		476 (M+H)
1464		460 (M+H)
1465		502 (M+H)

Table 156

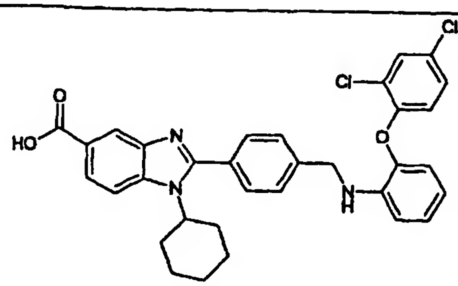
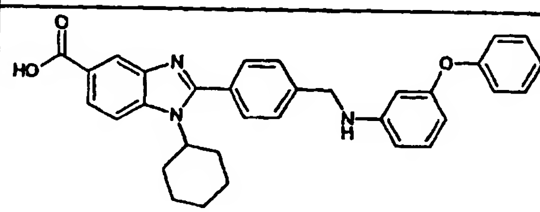
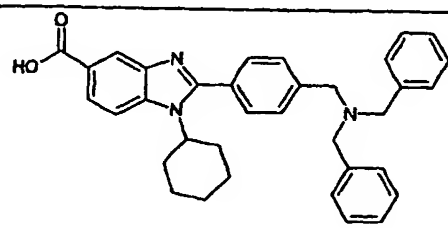
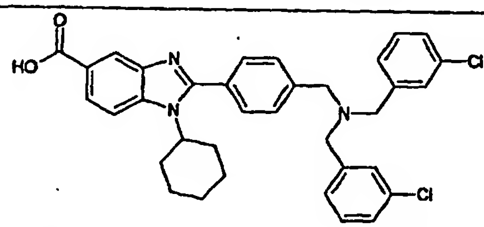
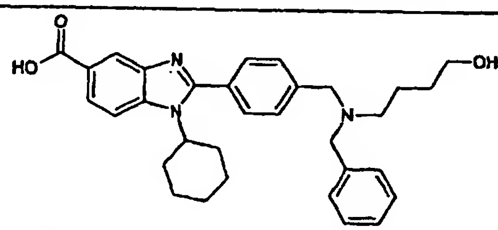
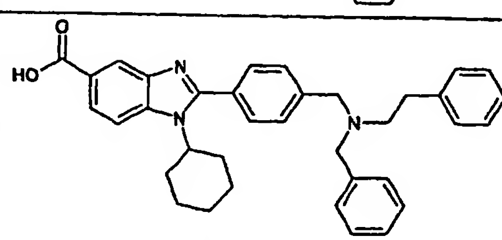
Ex. No.	Formula	MS
1466		586 (M+H)
1467		518 (M+H)
1468		530 (M+H)
1469		598 (M+H)
1470		512 (M+H)
1471		544 (M+H)

Table 157

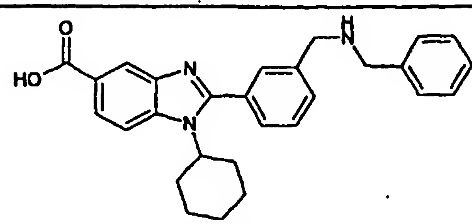
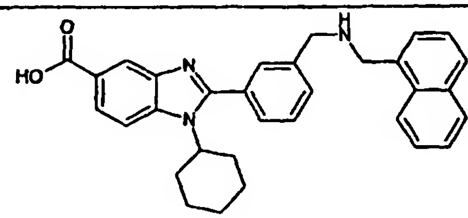
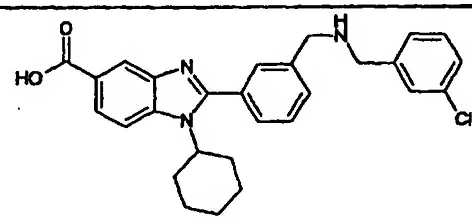
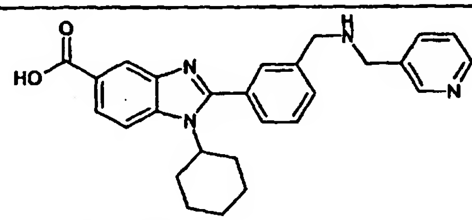
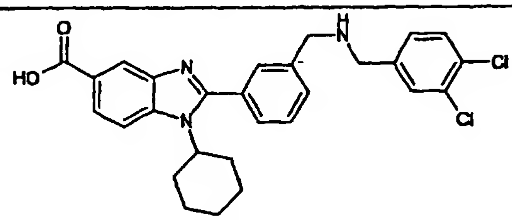
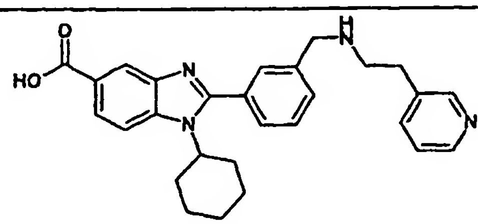
Ex. No.	Formula	MS
1472		440 (M+H)
1473		490 (M+H)
1474		474 (M+H)
1475		441 (M+H)
1476		508 (M+H)
1477		455 (M+H)

Table 158

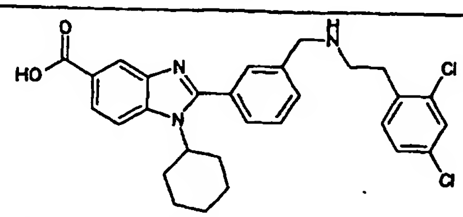
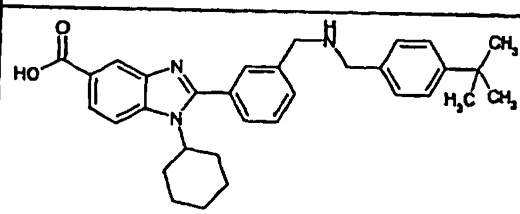
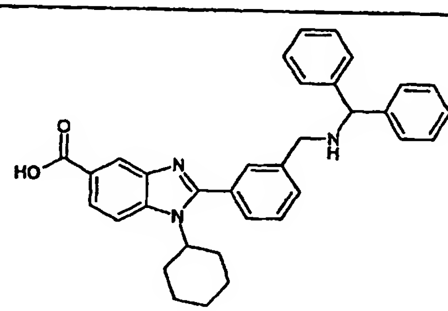
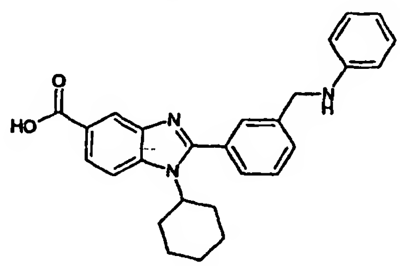
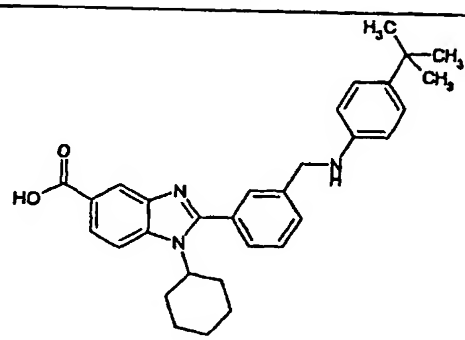
Ex. No.	Formula	MS
1478		522 (M+H)
1479		496 (M+H)
1480		516 (M+H)
1481		426 (M+H)
1482		482 (M+H)

Table 159

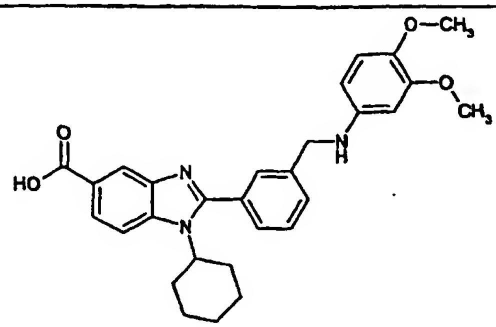
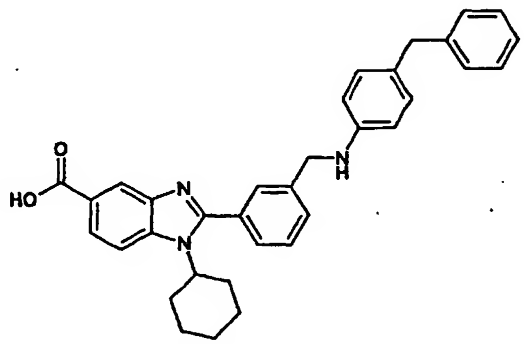
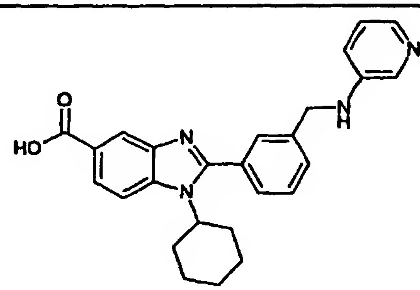
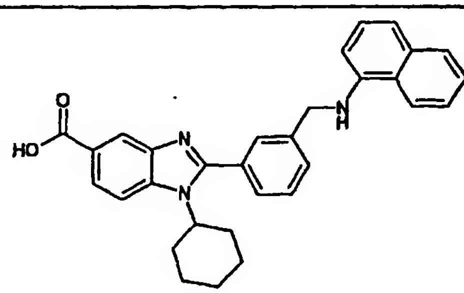
Ex. No.	Formula	MS
1483		486 (M+H)
1484		516 (M+H)
1485		427 (M+H)
1486		476 (M+H)

Table 160

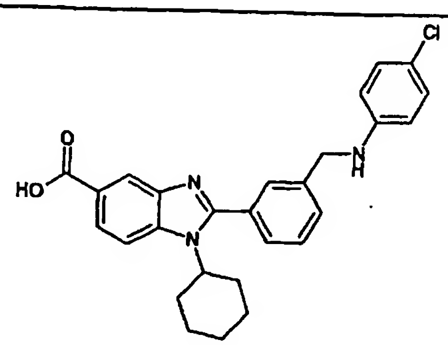
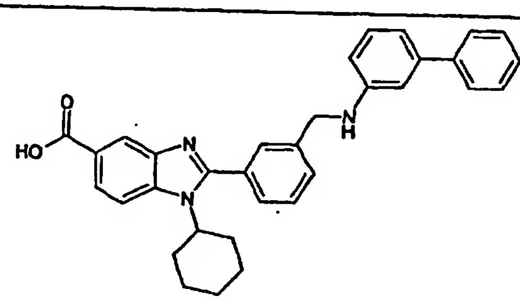
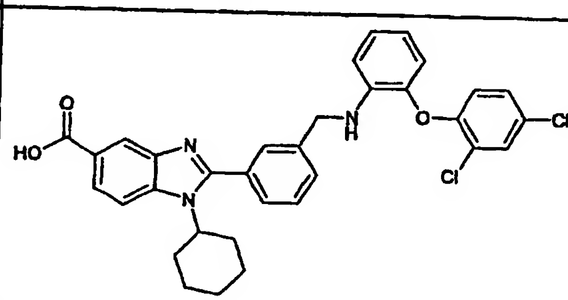
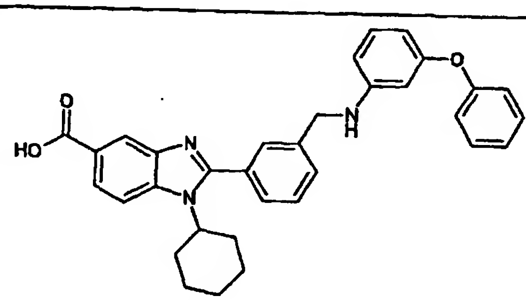
Ex. No.	Formula	MS
1487		460 (M+H)
1488		502 (M+H)
1489		586 (M+H)
1490		518 (M+H)

Table 161

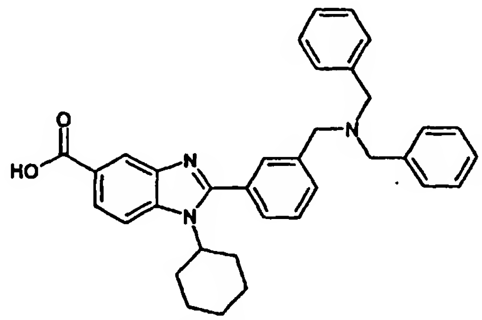
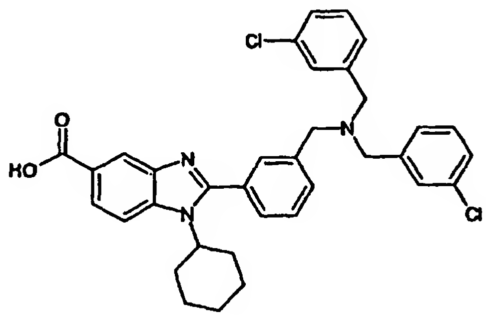
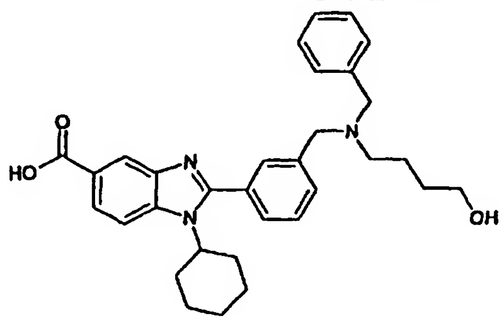
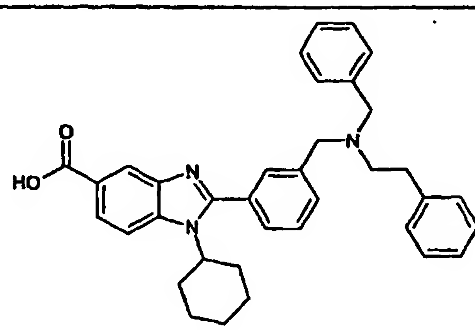
Ex. No.	Formula	MS
1491		530 (M+H)
1492		598 (M+H)
1493		512 (M+H)
1494		544 (M+H)

Table 162

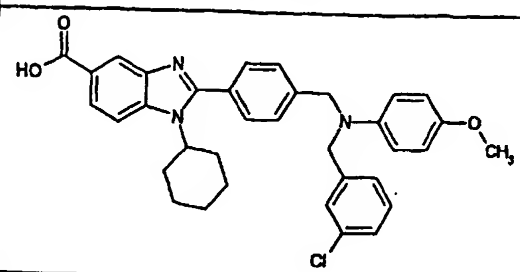
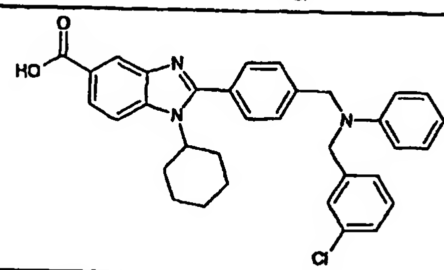
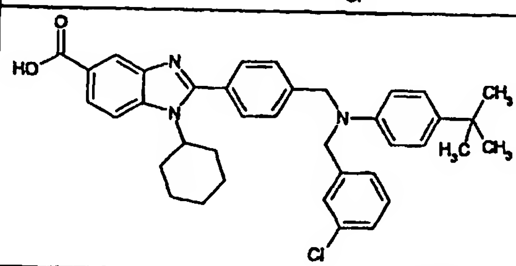
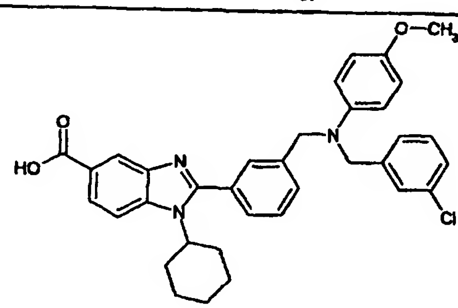
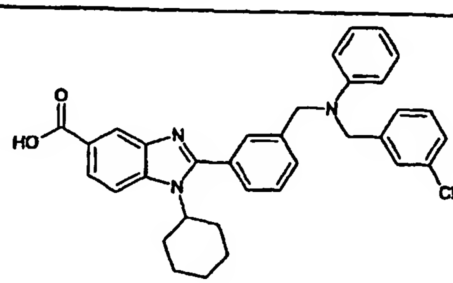
Ex. No.	Formula	MS
1495		580 (M+H)
1496		550 (M+H)
1497		606 (M+H)
1498		580 (M+H)
1499		550 (M+H)

Table 163

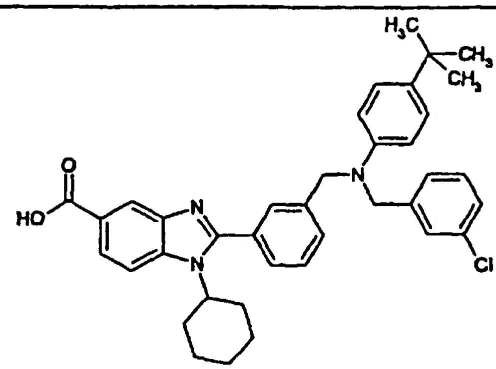
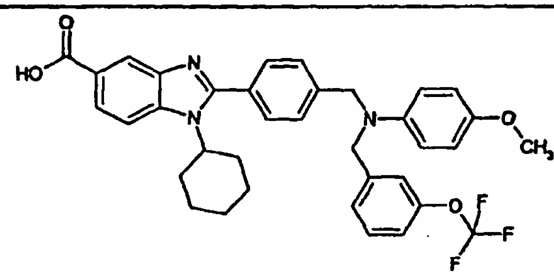
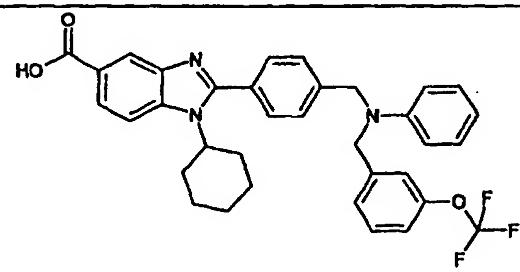
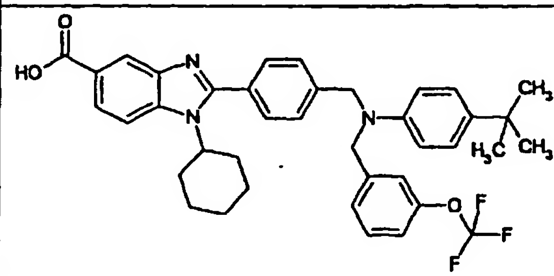
Ex. No.	Formula	MS
1500		606 (M+H)
1501		630 (M+H)
1502		600 (M+H)
1503		656 (M+H)

Table 164

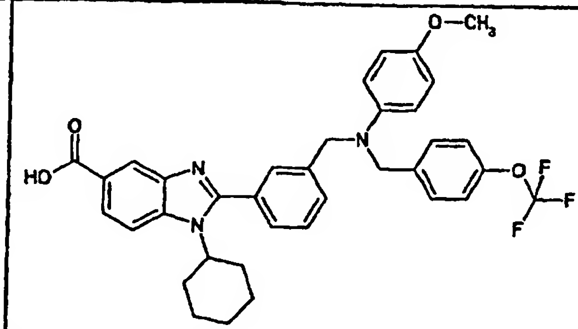
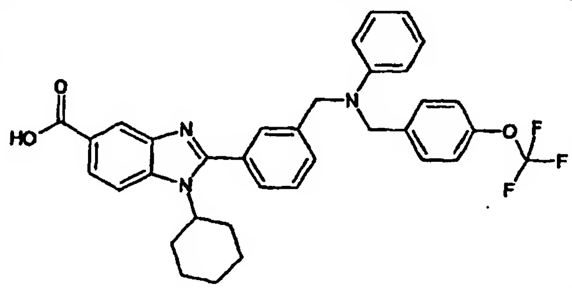
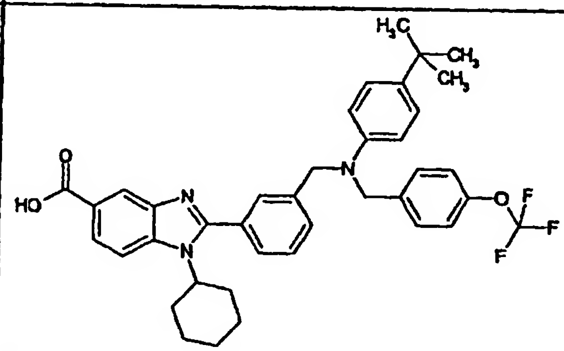
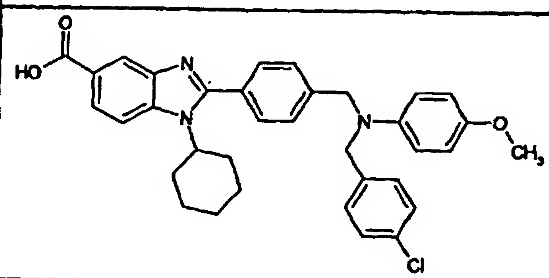
Ex. No.	Formula	MS
1504		630 (M+H)
1505		600 (M+H)
1506		656 (M+H)
1507		580 (M+H)

Table 165

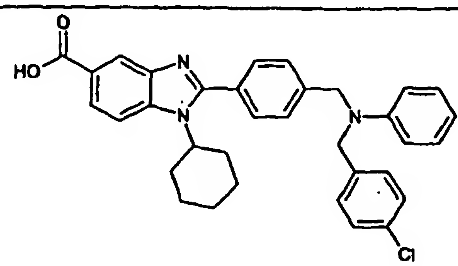
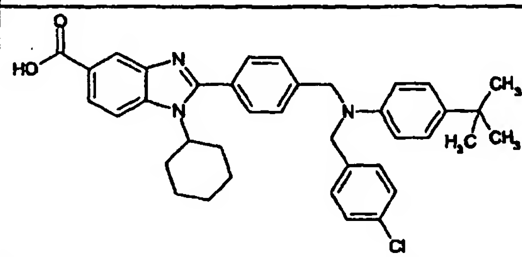
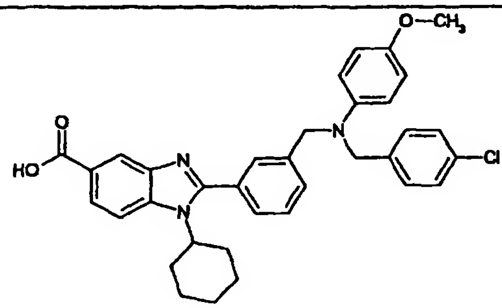
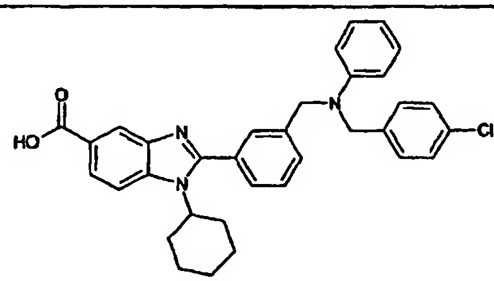
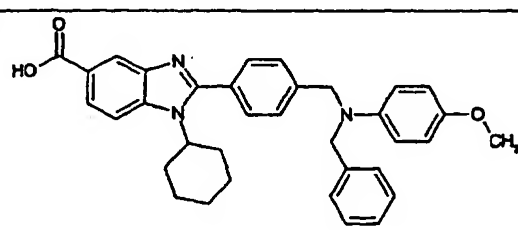
Ex. No.	Formula	MS
1508		550 (M+H)
1509		606 (M+H)
1510		580 (M+H)
1511		550 (M+H)
1512		546 (M+H)

Table 166

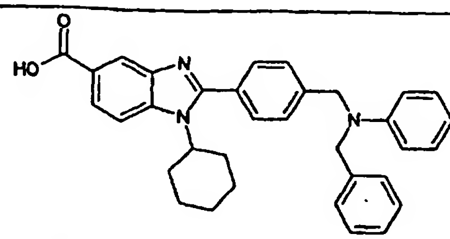
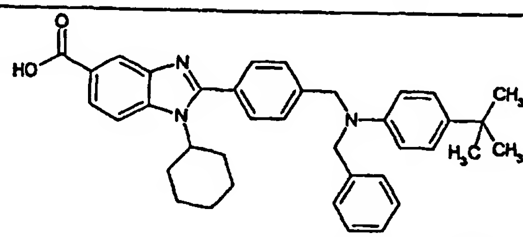
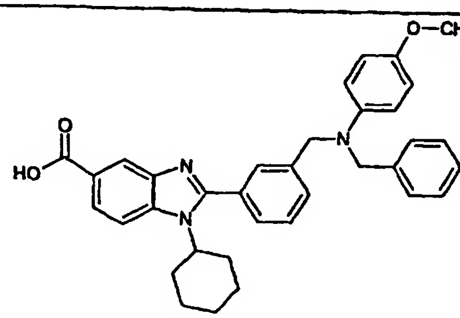
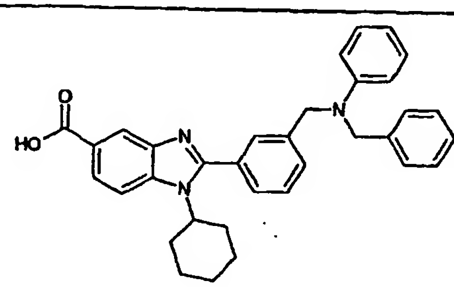
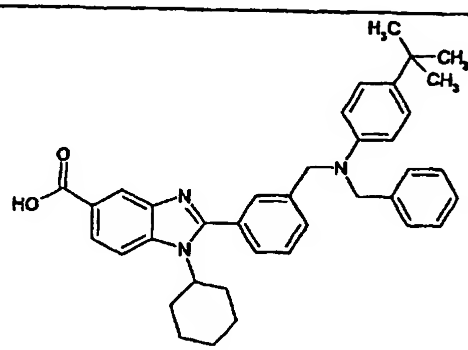
Ex. No.	Formula	MS
1513		516 (M+H)
1514		572 (M+H)
1515		546 (M+H)
1516		516 (M+H)
1517		572 (M+H)

Table 167

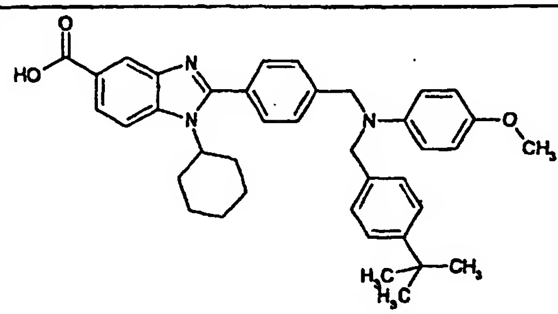
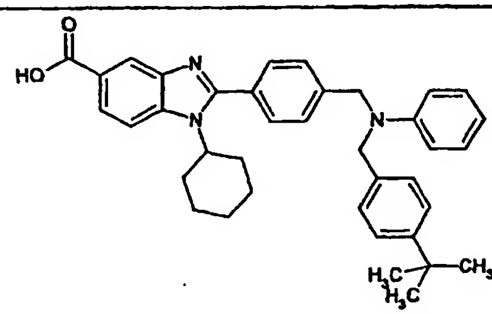
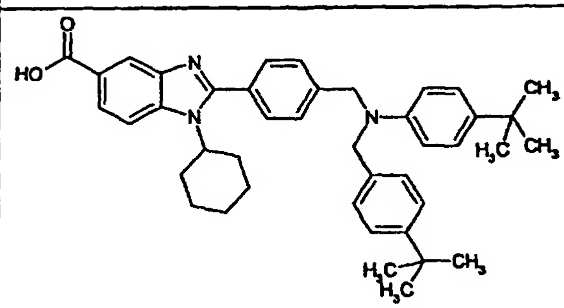
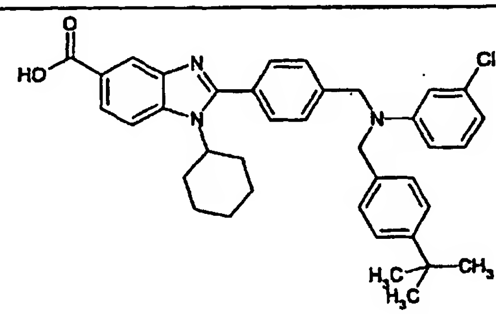
Ex. No.	Formula	MS
1518		602 (M+H)
1519		572 (M+H)
1520		628 (M+H)
1521		606 (M+H)

Table 168

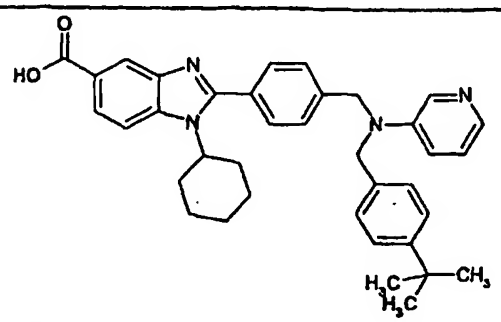
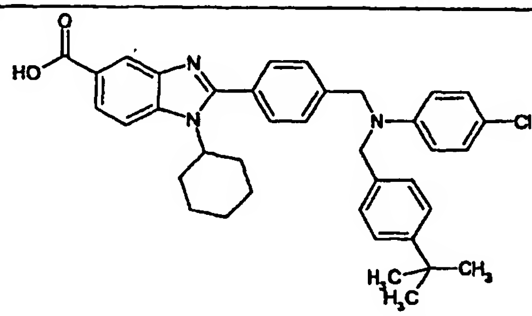
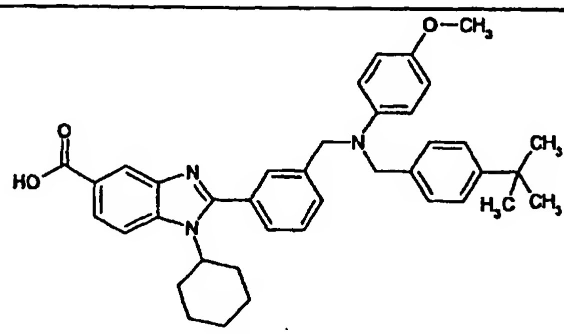
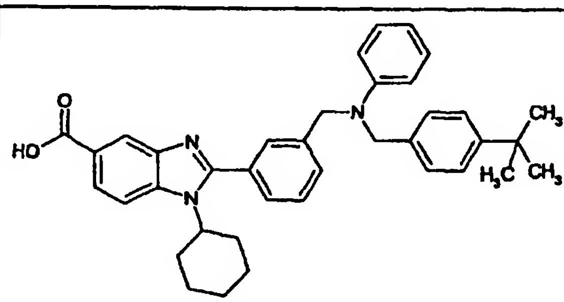
Ex. No.	Formula	MS
1522		573 (M+H)
1523		606 (M+H)
1524		602 (M+H)
1525		572 (M+H)

Table 169

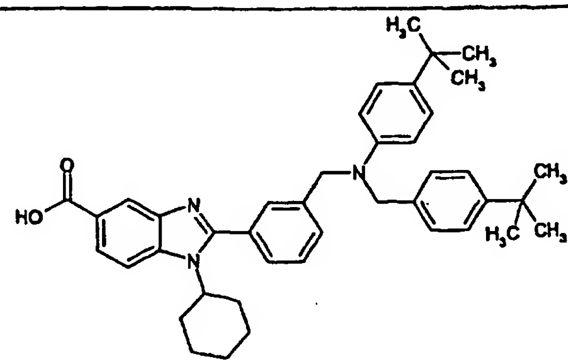
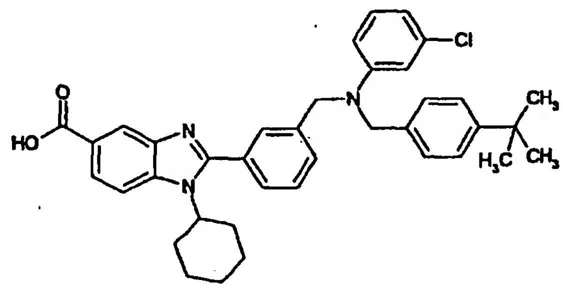
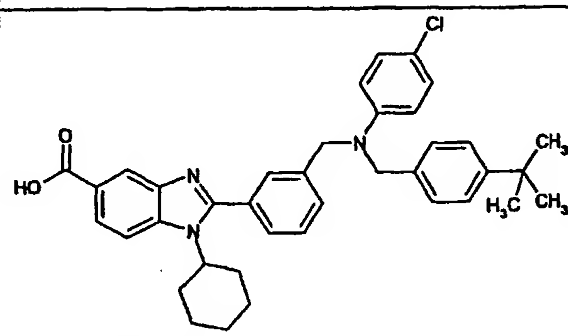
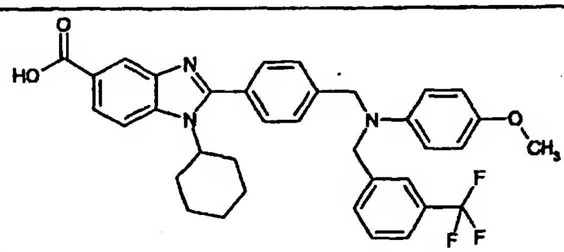
Ex. No.	Formula	MS
1526		628 (M+H)
1527		606 (M+H)
1528		606 (M+H)
1529		614 (M+H)

Table 170

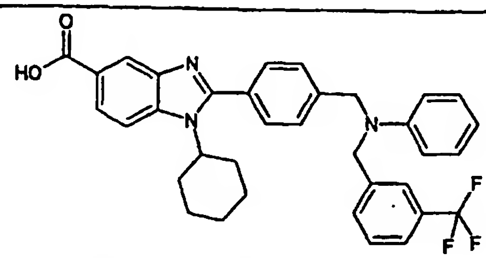
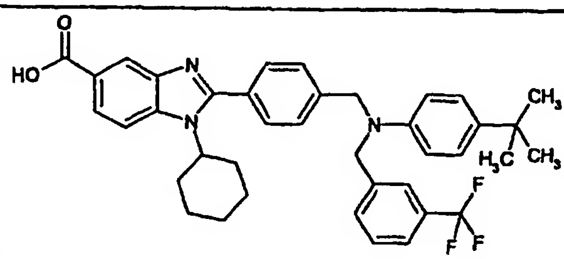
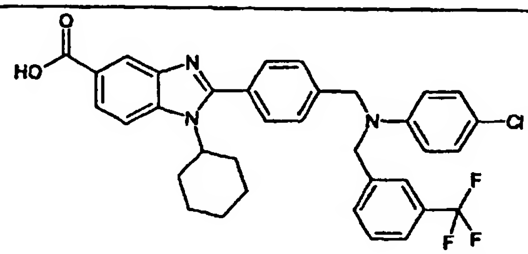
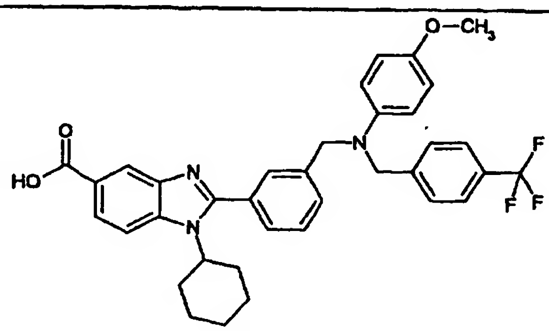
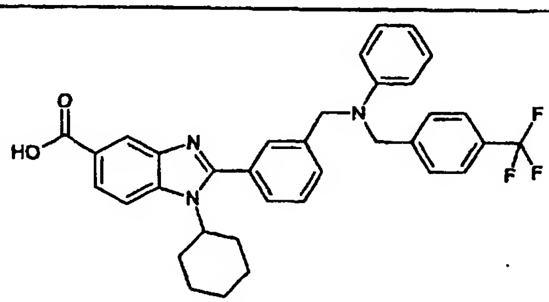
Ex. No.	Formula	MS
1530		584 (M+H)
1531		640 (M+H)
1532		618 (M+H)
1533		614 (M+H)
1534		584 (M+H)

Table 171

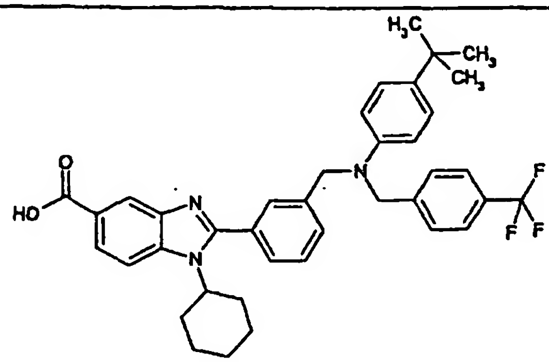
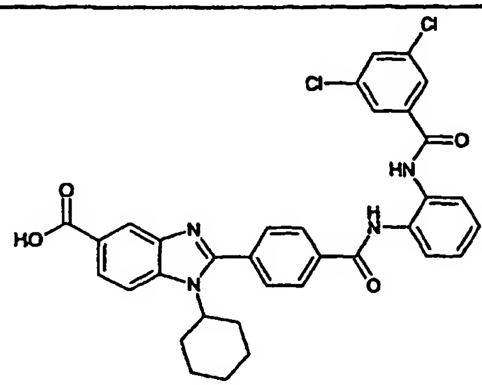
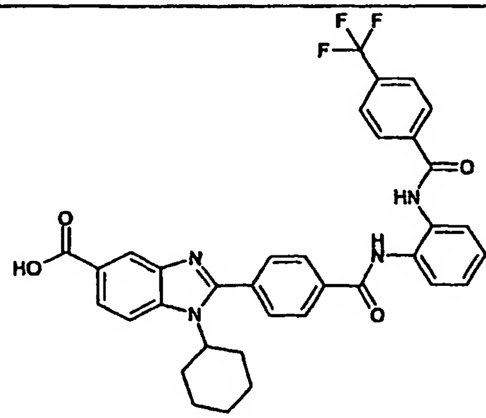
Ex. No.	Formula	MS
1535		640 (M+H)
1536		627 (M+H)
1537		627 (M+H)

Table 172

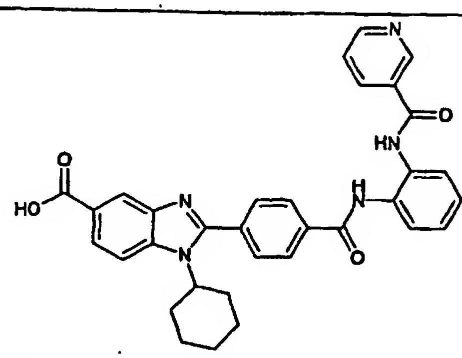
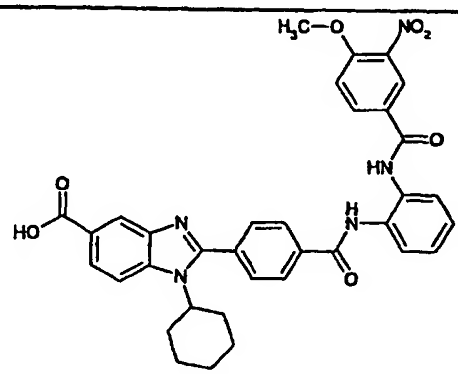
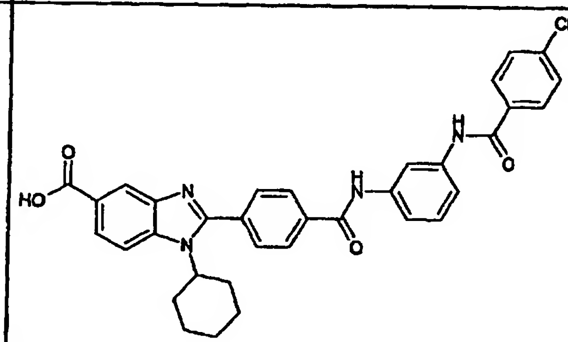
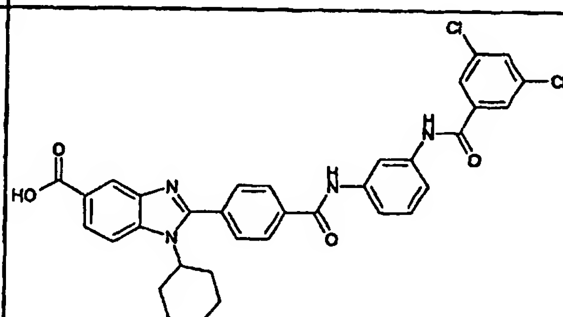
Ex. No.	Formula	MS
1538		560 (M+H)
1539		634 (M+H)
1540		593 (M+H)
1541		627 (M+H)

Table 173

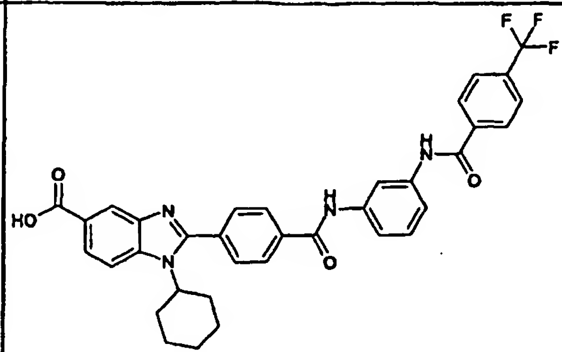
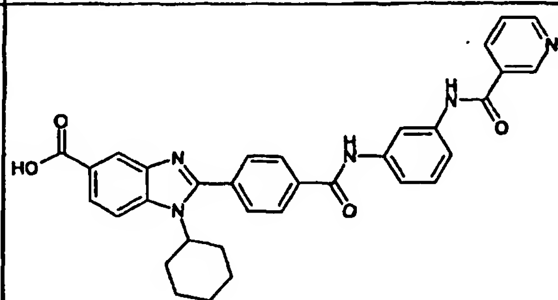
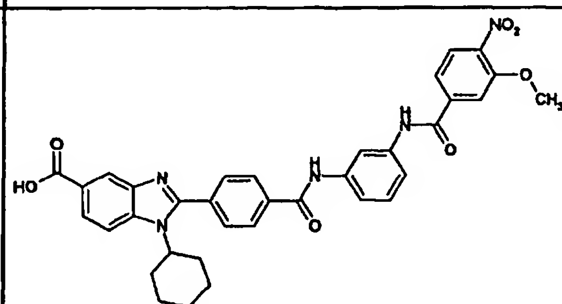
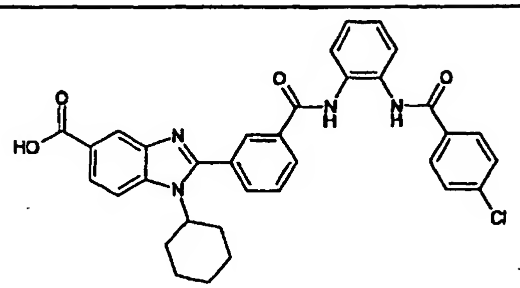
Ex. No.	Formula	MS
1542		627 (M+H)
1543		560 (M+H)
1544		634 (M+H)
1545		593 (M+H)

Table 174

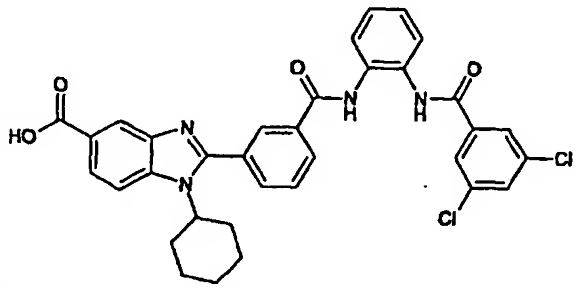
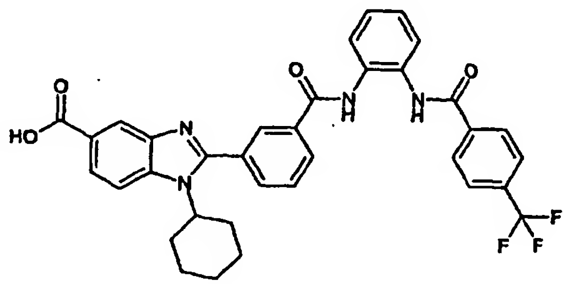
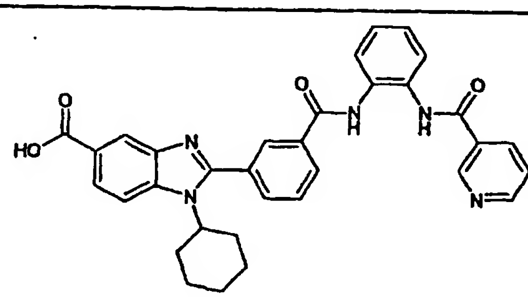
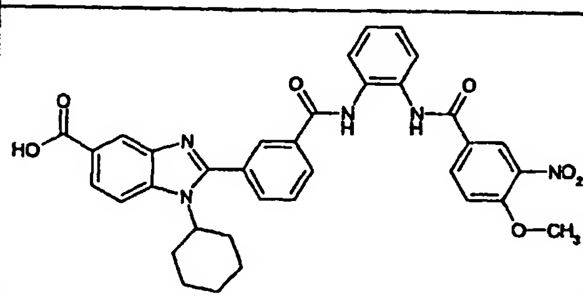
Ex. No.	Formula	MS
1546		627 (M+H)
1547		627 (M+H)
1548		560 (M+H)
1549		634 (M+H)

Table 175

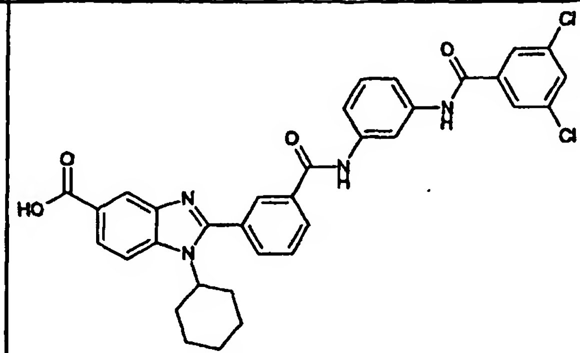
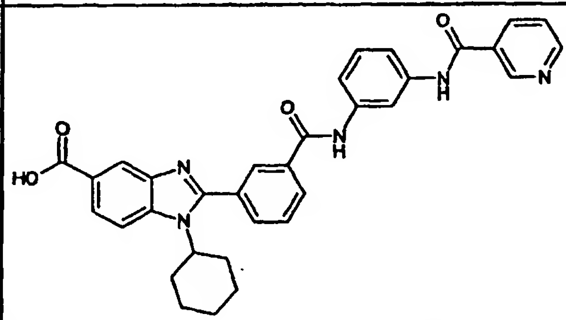
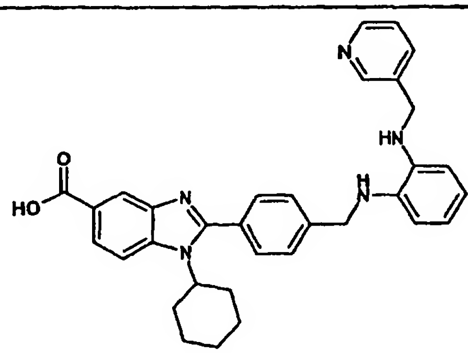
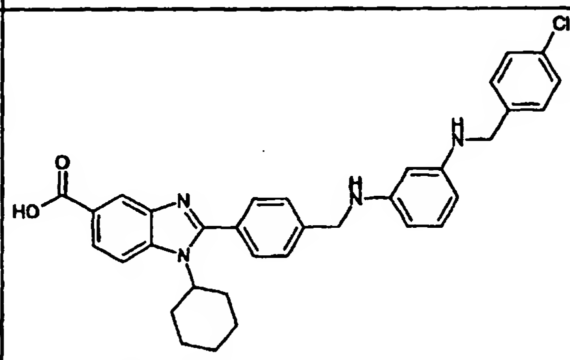
Ex. No.	Formula	MS
1550		627 (M+H)
1551		560 (M+H)
1552		532 (M+H)
1553		565 (M+H)

Table 176

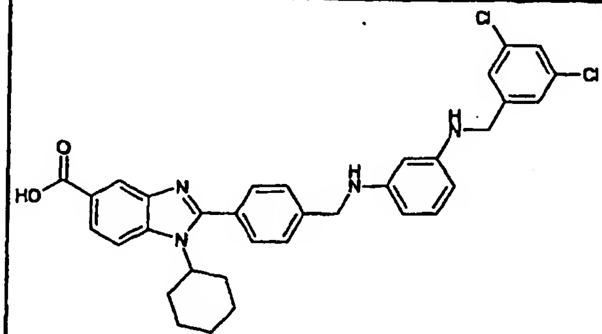
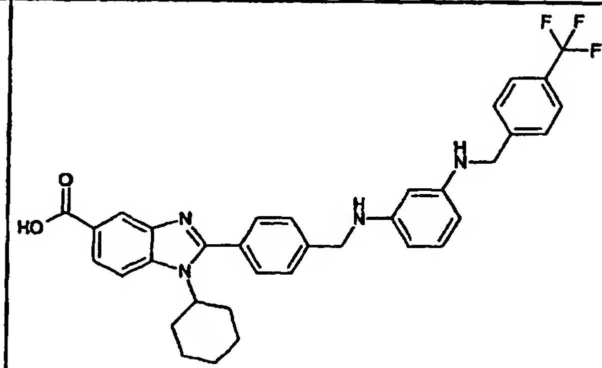
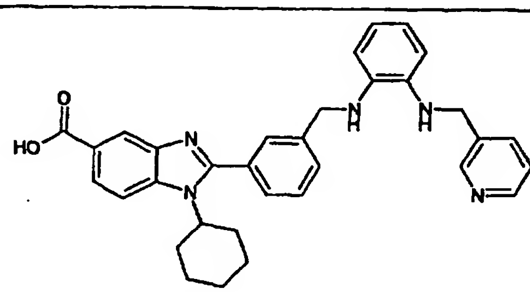
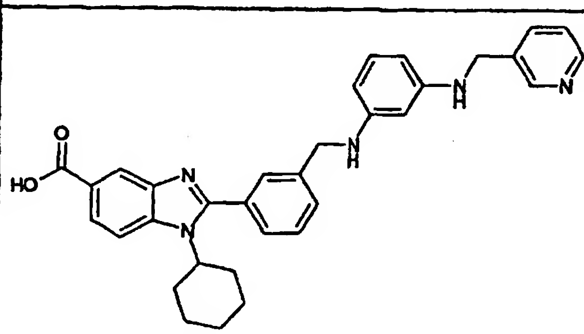
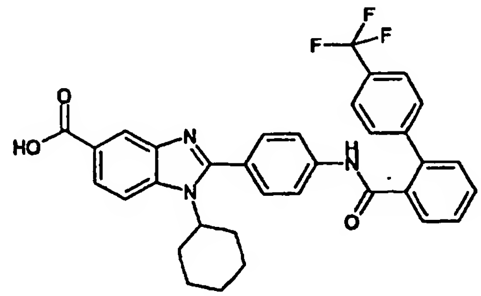
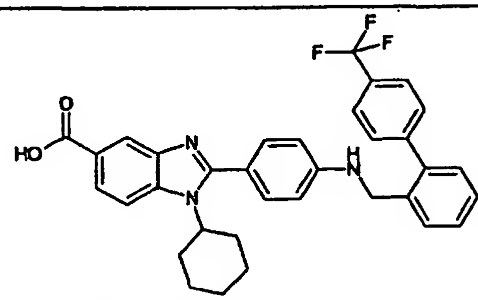
Ex. No.	Formula	MS
1554		599 (M+H)
1555		599 (M+H)
1556		532 (M+H)
1557		532 (M+H)

Table 177

Ex. No.	Formula	MS
1558		584 (M+H)
1559		570 (M+H)

[0292] The evaluation of the HCV polymerase inhibitory activity of the compound of the present invention is explained in the following. This polymerase is an enzyme coded for by the non-structural protein region called NS5B on the RNA gene of HCV (EMBO J., 15:12-22, 1996).

Experimental Example [I]

i) Preparation of enzyme (HCV polymerase)

[0293] Using, as a template, a cDNA clone corresponding to the full length RNA gene of HCV BK strain obtained from the blood of a patient with hepatitis C, a region encoding NS5B (591 amino acids; J Virol 1991 Mar, 65(3), 1105-13) was amplified by PCR. The objective gene was prepared by adding a 6 His tag {base pair encoding 6 continuous histidine (His)} to the 5' end thereof and transformed to *Escherichia coli*. The *Escherichia coli* capable of producing the objective protein was cultured. The obtained cells were suspended in a buffer solution containing a surfactant and crushed in a microfluidizer. The supernatant was obtained by centrifugation and applied to various column chromatographys {poly[U]-Sepharose, Sephacryl S-200, mono-S (Pharmacia)}, inclusive of metal chelate chromatography, to give a standard enzyme product.

ii) Synthesis of substrate RNA

[0294] Using a synthetic primer designed based on the sequence of HCV genomic 3' untranslated region, a DNA fragment (148 bp) containing polyU and 3'X sequence was entirely synthesized and cloned into plasmid pBluescript SK II(+) (Stratagene). The cDNA encoding full length NS5B, which was prepared in i) above, was digested with restriction enzyme KpnI to give a cDNA fragment containing the nucleotide sequence of from the restriction enzyme

cleavage site to the termination codon. This cDNA fragment was inserted into the upstream of 3' untranslated region of the DNA in pBluescript SK II(+) and ligated. The about 450 bp inserted DNA sequence was used as a template in the preparation of substrate RNA. This plasmid was cleaved immediately after the 3'X sequence, linearized and purified by phenol-chloroform treatment and ethanol precipitation to give DNA.

[0295] RNA was synthesized (37°C, 3 hr) by run-off method using this purified DNA as a template, a promoter of pBluescript SK II(+), MEGAscript RNA synthesis kit (Ambion) and T7 RNA polymerase. DNaseI was added and the mixture was incubated for 1 hr. The template DNA was removed by decomposition to give a crude RNA product. This product was treated with phenol-chloroform and purified by ethanol precipitation to give the objective substrate RNA.

[0296] This RNA was applied to formaldehyde denaturation agarose gel electrophoresis to confirm the quality thereof and preserved at -80°C.

iii) Assay of enzyme (HCV polymerase) inhibitory activity

[0297] A test substance (compound of the present invention) and a reaction mixture (30 μl) having the following composition were reacted at 25°C for 90 min.

[0298] 10% Trichloroacetic acid at 4°C and 1% sodium pyrophosphate solution (150 μl) were added to this reaction mixture to stop the reaction. The reaction mixture was left standing in ice for 15 min to insolubilize RNA. This RNA was trapped on a glass filter (Whatman GF/C and the like) upon filtration by suction. This filter was washed with a solution containing 1% trichloroacetic acid and 0.1% sodium pyrophosphate, washed with 90% ethanol and dried. A liquid scintillation cocktail (Packard) was added and the radioactivity of RNA synthesized by the enzyme reaction was measured on a liquid scintillation counter.

[0299] The HCV polymerase inhibitory activity (IC_{50}) of the compound of the present invention was calculated from the values of radioactivity of the enzyme reaction with and without the test substance.

[0300] The results are shown in Tables 178 - 184.

Reaction mixture : HCV polymerase (5 μg/ml) obtained in i), substrate RNA (10 μg/ml) obtained in ii), ATP (50 μM), GTP (50 μM), CTP (50 μM), UTP (2 μM), [5,6-^{3}H]UTP (46 Ci/mmol (Amersham), 1.5 μCi) 20 mM Tris-HCl (pH 7.5), EDTA (1 mM), $MgCl_2$ (5 mM), NaCl (50 mM), DTT (1 mM), BSA (0.01%)

Table 178

Ex. No.	HCV polymerase inhibitory activity IC_{50} [μM]	Ex. No.	HCV polymerase inhibitory activity IC_{50} [μM]
2	0.079	67	0.26
6	0.034	68	0.28
9	0.019	70	0.19
11	0.53	71	0.62
12	0.60	77	0.51
17	0.047	81	0.18
20	0.042	82	0.097
26	0.033	83	0.52
30	0.052	85	0.17
43	0.58	86	0.13
44	0.95	87	0.80
45	0.40	88	0.092
46	0.47	89	0.34
47	0.54	90	0.20
48	0.44	91	0.53
49	0.94	93	0.16
50	0.54	94	0.084
51	1.0	96	0.25
54	0.56	97	0.16

Table 178 (continued)

Ex. No.	HCV polymerase inhibitory activity IC_{50} [μM]	Ex. No.	HCV polymerase inhibitory activity IC_{50} [μM]
55	0.36	98	0.30

Table 179

Ex. No.	HCV polymerase inhibitory activity IC_{50} [μM]	Ex. No.	HCV polymerase inhibitory activity IC_{50} [μM]
99	0.53	120	0.16
100	0.78	121	0.19
101	0.14	122	0.51
103	0.17	123	0.10
104	0.073	124	0.091
105	0.076	125	0.12
106	0.40	128	0.14
107	0.11	129	0.12
108	0.21	130	0.16
109	0.11	131	0.046
110	0.24	132	0.055
111	0.14	133	0.12
112	0.11	134	0.071
113	0.071	139	0.26
114	0.56	140	0.11
115	0.17	141	0.43
116	0.37	142	0.055
117	0.075	143	0.053
118	0.14	144	0.19
119	0.13	145	0.088

Table 180

Ex. No.	HCV polymerase inhibitory activity No. IC_{50} [μM]	Ex.	HCV polymerase inhibitory activity IC_{50} [μM]
146	0.043	167	0.033
147	0.31	168	0.078
148	0.038	169	0.15
149	0.15	170	0.048
150	0.24	171	0.050
151	0.20	172	0.10
153	0.19	173	0.14
154	0.076	174	0.030
155	0.53	175	0.29
156	0.23	176	0.053
157	0.16	177	0.077

Table 180 (continued)

Ex. No.	HCV polymerase inhibitory activity No. IC_{50} [μM]	Ex.	HCV polymerase inhibitory activity IC_{50} [μM]
158	0.11	178	0.052
159	0.13	179	0.63
160	0.24	180	0.11
161	0.062	181	0.71
162	0.43	182	0.021
163	0.15	183	0.017
164	0.16	184	0.018
165	0.58	185	0.11
166	0.055	186	0.37

Table 181

Ex. No. No.	HCV polymerase inhibitory activity IC_{50} [μM]	Ex. No.	HCV polymerase inhibitory activity IC_{50} [μM]
187	0.056	207	0.081
188	0.038	208	0.039
189	0.017	209	0.12
190	0.020	210	0.31
191	0.43	211	0.059
192	0.22	212	0.23
193	0.13	213	0.10
194	0.52	214	0.059
195	0.023	215	0.078
196	0.20	216	0.084
197	0.11	217	0.058
198	0.044	218	0.033
199	0.11	219	0.13
200	0.10	220	0.073
201	0.14	221	0.058
202	0.095	222	0.041
203	0.063	223	0.21
204	0.16	225	0.014
205	0.077	227	0.045
206	0.05	228	0.18

Table 182

Ex. No.	HCV polymerase inhibitory activity IC_{50} [μM]	Ex. No.	HCV polymerase inhibitory activity IC_{50} [μM]
229	0.022	257	0.074
230	0.17	259	0.10

Table 182 (continued)

Ex. No.	HCV polymerase inhibitory activity IC_{50} [μM]	Ex. No.	HCV polymerase inhibitory activity IC_{50} [μM]
231	0.073	260	0.27
232	0.015	262	0.013
233	0.028	263	0.035
234	0.022	264	<0.01
235	0.036	265	0.014
236	0.075	266	0.018
237	0.015	267	0.014
238	0.19	268	0.012
239	0.17	269	0.013
240	0.055	270	0.012
248	0.012	271	0.024
249	0.022	272	0.066
250	0.018	273	0.041
252	0.32	276	0.023
253	0.65	279	0.017
254	0.038	280	0.016
255	0.038	281	0.052
256	0.079	282	0.019

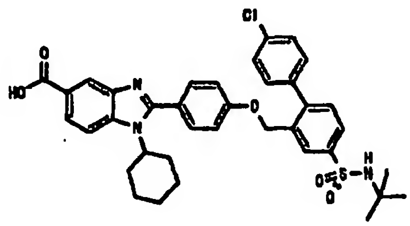
Table 183

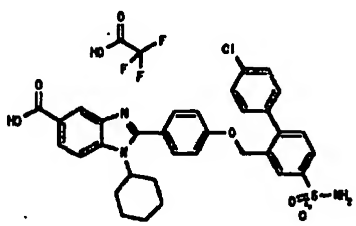
Ex. No.	HCV polymerase inhibitory activity IC_{50} [μM]	Ex. No.	HCV polymerase inhibitory activity IC_{50} [μM]
283	0.014	298	0.011
284	0.014	299	0.018
285	0.012	300	0.045
286	0.014	301	0.017
287	0.012	303	0.10
288	0.013	304	0.017
289	<0.01	305	0.01
290	0.012	306	0.013
291	0.016	307	0.022
292	0.015	308	0.023
293	0.034	311	0.16
294	0.032	312	0.023
295	0.045	313	0.025
296	0.034	314	0.097
297	0.022	315	0.028

Table 184

Ex. No.	HCV polymerase inhibitory activity IC_{50} [μM]	Ex. No.	HCV polymerase inhibitory activity IC_{50} [μM]
316	0.022	502	0.024
317	0.032	503	0.196
318	0.012	601	0.32
319	0.030	701	0.052

Table 185

Example No. 249	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.02(1H, d, J=1.5Hz), 8.11(1H, d, J=1.8Hz), 7.96-7.81(3H, m), 7.67(1H, s), 7.61-7.49(6H, m), 7.08(2H, d, J=8.6Hz), 5.19(2H, s), 4.25(1H, m), 2.38-2.17(2H, m), 1.96-1.78(4H, m), 1.70-1.56(1H, m), 1.46-1.16(3H, m); 1.11(9H, s)
Purity >90% (NMR)	
MS 672(M+1)	

Example No. 250	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.25(1H, d, J=1.5Hz), 8.16-8.08(2H, m), 7.99-7.88(2H, m), 7.66(2H, d, J=8.6Hz), 7.60-7.48(5H, m), 7.19(2H, d, J=8.6Hz), 5.17(2H, s), 4.31(1H, m), 2.39-2.20(2H, m), 2.04-1.79(4H, m), 1.72-1.60(1H, m), 1.50-1.18(3H, m)
Purity >90% (NMR)	
MS 616(M+1)	

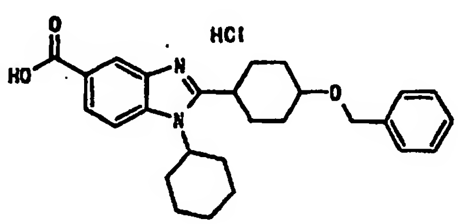
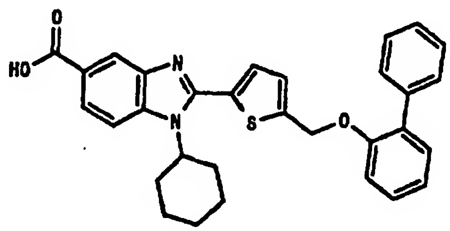
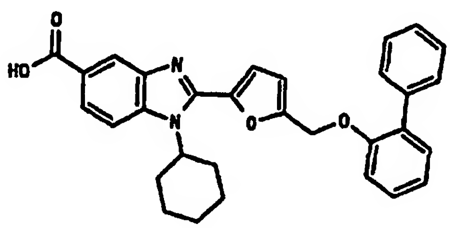
Example No. 251	1H NMR(δ) ppm
	300MHz, DMSO-d6 cis and trans mixture 8.13and8.11(total 1H, each s), 7.90-7.74(2H, m), 7.42-7.22(5H, m), 4.56and4.52(total 2H, each s), 4.42(1H, brs), 3.78-3.06(2H, m)2.33-1.33(18H, m)
Purity >90% (NMR)	
MS 433(M+1)	

Table 186

Example No. 252	1H NMR(δ) ppm
	300MHz, DMSO-d6 8. 20(1H, d, J=1. 5Hz), 7. 96(1H, d, J=8. 6Hz), 7. 84(1H, dd, J=8. 6, 1. 5Hz), 7. 54(2H, d, J=6. 9Hz), 7. 48-7. 26(8H, m), 7. 09(1H, t, J=7. 3Hz), 5. 43(2H, s), 4. 06(1H, m), 2. 40-2. 20(2H, m), 2. 01-1. 80(4H, m), 1. 75-1. 64(1H, m), 1. 51-1. 28(3H, m)
Purity >90% (NMR)	
MS 509(M+1)	

Example No. 253	1H NMR(δ) ppm
	300MHz, DMSO-d6 8. 21(1H, d, J=1. 5Hz), 7. 93(1H, d, J=8. 7Hz), 7. 85(1H, dd, J=8. 4, 1. 5Hz), 7. 54-7. 47(2H, m), 7. 40-7. 24(6H, m), 7. 15(1H, d, J=3. 6Hz), 7. 11-7. 05(1H, m), 6. 81(1H, d, J=3. 6Hz), 5. 26(2H, s), 4. 96(1H, m), 2. 32-2. 13(2H, m), 1. 95-1. 72(4H, m), 1. 68-1. 55(1H, m), 1. 43-1. 18(3H, m)
Purity >90% (NMR)	
MS 493(M+1)	

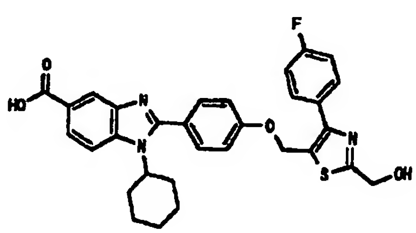
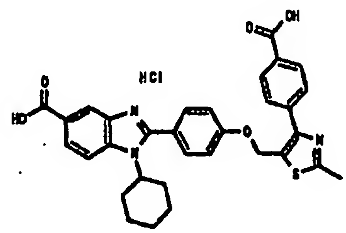
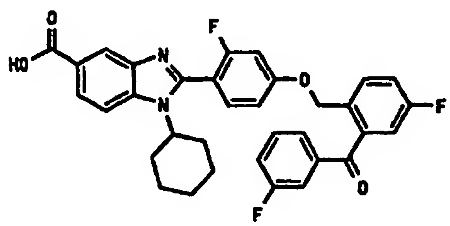
Example No. 254	1H NMR(δ) ppm
	300MHz, DMSO-d6 8. 25(1H, s), 8. 02(1H, d, J=8. 7Hz), 7. 90(1H, dd, J=8. 4, 1. 4Hz), 7. 80-7. 71(2H, m), 7. 67(2H, d, J=8. 7Hz), 7. 33(2H, t, J=8. 7Hz), 7. 26(2H, d, J=8. 7Hz), 5. 46(2H, s), 4. 78(2H, s), 4. 31(1H, m), 2. 39-2. 19(2H, m), 2. 03-1. 79(4H, m), 1. 71-1. 59(1H, m), 1. 50-1. 17(3H, m)
Purity >90% (NMR)	
MS 558(M+1)	

Table 187

Example No. 255	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.34(1H, s), 8.32(1H, d, J=8.8Hz), 8.09-8.03(3H, m), 7.83(2H, d, J=8.3Hz), 7.79(2H, d, J=8.8Hz), 7.36(2H, d, J=8.8Hz), 5.54(2H, s), 4.38(1H, m), 2.74(3H, s), 2.40-2.18(2H, m), 2.13-1.96(2H, m), 1.93-1.78(2H, m), 1.73-1.57(1H, m), 1.55-1.15(3H, m)
Purity >90% (NMR)	
MS 568(M+1)	

Example No. 256	1H NMR(δ) ppm
	300MHz, DMSO-d6 12.67(1H, brs), 8.23(1H, s), 7.94and7.87(2H, ABq, J=8.6Hz), 7.79(1H, dd, J=8.7, 5.4Hz), 7.62-7.41(7H, m), 6.80(1H, dd, J=11.9, 2.3Hz), 6.69(1H, dd, J=8.1, 2.1Hz), 5.20(2H, s), 3.93(1H, brt, J=15.3Hz), 2.30-2.11(2H, brm) 1.88-1.74(4H, brm), 1.64-1.58(1H, brm), 1.41-1.14(3H, brm)
Purity >90% (NMR)	
MS 585(M+1)	

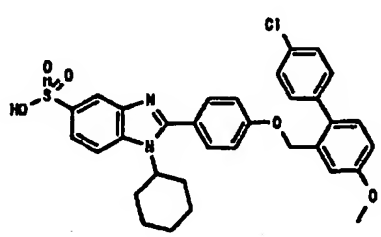
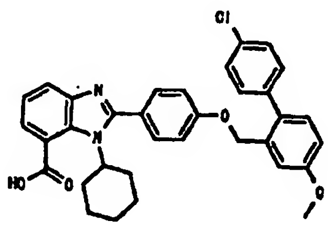
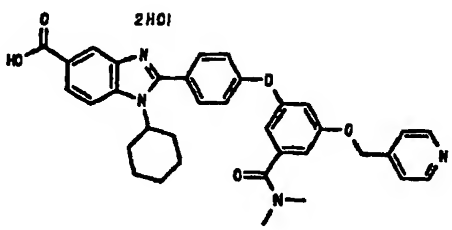
Example No. 257	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.19(1H, d, J=8.7Hz), 7.93(1H, s), 7.83-7.71(3H, m), 7.50-7.39(4H, m), 7.34-7.10(4H, m), 7.06(1H, dd, J=8.4, 2.9Hz), 5.09(2H, s), 4.34(1H, m), 3.82(3H, s), 2.39-2.19(2H, m), 2.11-1.98(2H, m), 1.94-1.79(2H, m), 1.74-1.58(1H, m), 1.52-1.21(3H, m)
Purity >90% (NMR)	
MS 603(M+1)	

Table 188

Example No. 258	1H NMR(δ) ppm
	300MHz, DMSO-d6 7.79(1H, d, J=6.7Hz), 7.56(1H, d, J=7.5Hz), 7.49(2H, d, J=8.6Hz), 7.42(4H, s), 7.32-7.23(3H, m), 7.09-7.03(3H, m), 5.02(2H, s), 4.46(1H, m), 3.82(3H, s), 1.95-1.83(2H, m), 1.75-1.44(5H, m), 1.30-1.10(2H, m), 0.89-0.71(1H, m)
Purity >90% (NMR)	
MS 567(M+1)	

Example No. 259	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.93(2H, d, J=6.6Hz), 8.36(1H, s), 8.28(1H, d, J=8.7Hz), 8.10-8.03(3H, m), 7.85(2H, d, J=8.7Hz), 7.33(2H, d, J=8.7Hz), 7.23(1H, s), 7.23(1H, s), 6.81(1H, s), 5.56(2H, s), 4.39(1H, m), 2.97, 2.92(6H, s), 2.40-2.18(2H, m), 2.16-1.95(2H, m), 1.90-1.75(2H, m), 1.70-1.55(1H, m), 1.50-1.15(3H, m)
Purity >90% (NMR)	
MS 591(M+1)	

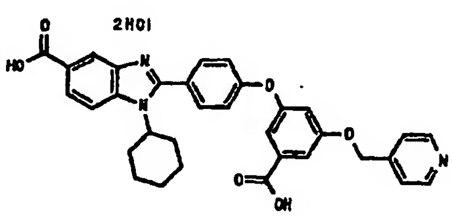
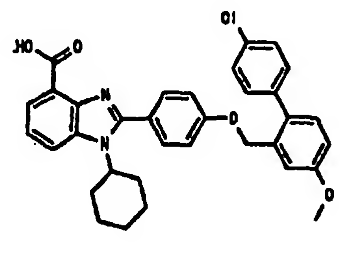
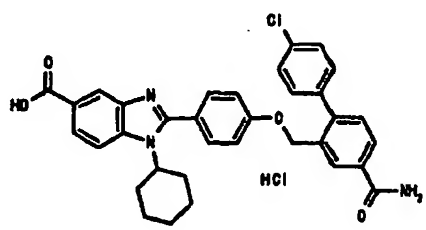
Example No. 260	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.93(2H, d, J=6.3Hz), 8.35(1H, s), 8.26(1H, d, J=8.7Hz), 8.09-8.02(3H, m), 7.86(2H, d, J=8.7Hz), 7.50(1H, s), 7.35(2H, d, J=8.4Hz), 7.24(2H, d, J=7.8Hz), 5.60(2H, s), 4.39(1H, m), 2.50-2.18(2H, m), 2.15-1.95(2H, m), 1.90-1.75(2H, m), 1.70-1.55(1H, m) 1.50-1.10(3H, m)
Purity >90% (NMR)	
MS 564(M+1)	

Table 189

Example No. 261	1H NMR(δ) ppm
	300MHz, DMSO-d6 8. 22(1H, d, J=7. 8Hz), 7. 85(1H, d, J=6. 7Hz), 7. 63(2H, d, J=9. 0H), 7. 51-7. 38(5H, m), 7. 29(1H, d, J=8. 3Hz), 7. 23(1H, d, J=3. 0Hz), 7. 06(2H, d, J=9. 0Hz), 7. 06(1H, dd, J=8. 6, 3. 0Hz), 5. 05(2H, s), 4. 41-4. 25(1H, m), 3. 83(3H, s), 2. 40-2. 20(2H, m), 2. 03-1. 78(4H, m), 1. 72-1. 57(1H, m), 1. 50-1. 18(3H, m)
Purity >90% (NMR)	
MS 567(M+1)	

Example No. 262	1H NMR(δ) ppm
	300MHz, DMSO-d6 8. 29(1H, d, J=1. 5Hz), 8. 26(1H, d, J=9. 0Hz), 8. 19(1H, d, J=1. 8Hz), 8. 13(1H, brs), 8. 08-7. 96(2H, m), 7. 73(2H, d, J=9. 0Hz), 7. 57-7. 43(6H, m), 7. 24(2H, d, J=9. 0Hz), 5. 14(2H, s), 4. 36(1H, m), 2. 38-2. 18(2H, m), 2. 12-1. 97(2H, m), 1. 93-1. 80(2H, m), 1. 73-1. 58(1H, m), 1. 52-1. 20(3H, m)
Purity >90% (NMR)	
MS 580(M+1)	

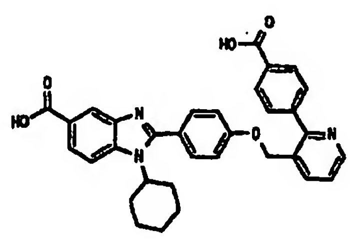
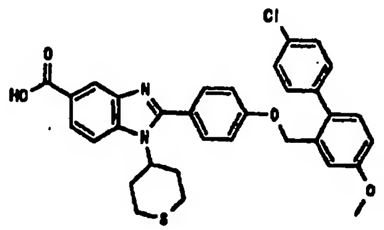
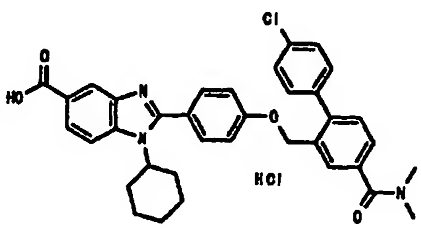
Example No. 263	1H NMR(δ) ppm
	300MHz, DMSO-d6 12. 85(1H, brs), 8. 72(1H, d, J=4. 8Hz), 8. 22(1H, s), 8. 14(1H, d, J=6. 3Hz), 8. 03and7. 76(4H, ABq, J=8. 6Hz), 7. 93and7. 85(2H, A'B'q, J=8. 6Hz), 7. 60and7. 15(4H, A"B"q, J=8. 7Hz), 7. 55(1H, dd, J=6. 3, 4. 8Hz), 5. 19(2H, s), 4. 26(1H, brt, J=12. 6Hz), 2. 35-2. 18(2H, brm), 1. 95-1. 77(4H, brm), 1. 70-1. 60(1H, brm), 1. 45-1. 15(3H, brm)
Purity >90% (NMR)	
MS 548(M+1)	

Table 190

Example No. 264	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.23(1H, d, J=1.0Hz), 7.92(1H, dd, J=8.7, 1.0Hz), 7.87(1H, d, J=8.7Hz), 7.60(2H, d, J=8.6Hz), 7.47(2H, d, J=8.7Hz), 7.44(2H, d, J=8.7Hz), 7.30(1H, d, J=8.3Hz), 7.23(1H, d, J=2.6Hz), 7.11(2H, d, J=8.7Hz), 7.06(1H, dd, J=8.7, 2.6Hz), 5.04(2H, s), 4.36(1H, m), 3.83(3H, s), 2.80-2.70(4H, m), 2.60-2.40(2H, m), 2.30-2.20(2H, m)
Purity >90% (NMR)	
MS 586, 588(M+1)	

Example No. 265	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.30(1H, d, J=1.5Hz), 8.25(1H, d, J=9.1Hz), 8.03(1H, dd, J=8.7, 1.5Hz), 7.76-7.96(3H, m), 7.55-7.49(5H, m), 7.42(1H, d, J=7.6Hz), 7.23(2H, d, J=8.7Hz), 5.15(2H, s), 4.35(1H, m), 3.01(3H, s), 2.97(3H, s), 2.37-2.20(2H, m), 2.09-1.97(2H, m), 1.94-1.81(2H, m), 1.72-1.30(1H, m), 1.50-1.21(3H, m)
Purity >90% (NMR)	
MS 608(M+1)	

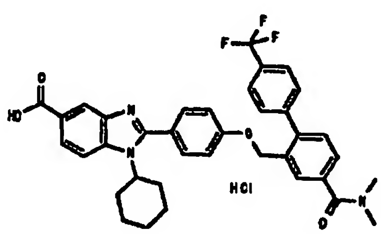
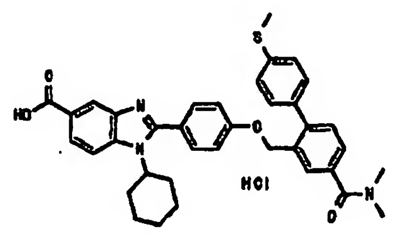
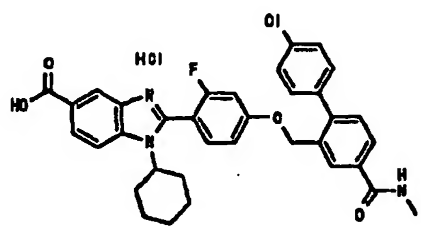
Example No. 266	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.27(1H, d, J=1.5Hz), 8.20(1H, d, J=9.0Hz), 8.00(1H, dd, J=8.6, 1.5Hz), 7.82(2H, d, J=8.2Hz), 7.76-7.65(5H, m), 7.56(1H, dd, J=7.9, 1.8Hz), 7.47(1H, d, J=7.5Hz), 7.20(2H, d, J=8.6Hz), 5.16(2H, s), 4.32(1H, m), 3.02(3H, s), 2.98(3H, s), 2.38-2.19(2H, m), 2.07-1.95(2H, m), 1.93-1.80(2H, m), 1.72-1.58(1H, m), 1.52-1.18(3H, m)
Purity >90% (NMR)	
MS 642(M+1)	

Table 191

Example No. 267	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.34(2H, m), 8.03(1H, d, J=8.3Hz), 7.77-7.68(3H, m), 7.54-7.40(4H, m), 7.33(2H, d, J=8.6Hz), 7.24(2H, d, J=9.0Hz), 5.16(2H, s), 4.36(1H, m), 3.01(3H, s), 2.97(3H, s), 2.40-2.20(2H, m), 2.11-1.97(2H, m), 1.93-1.81(2H, m), 1.71-1.60(1H, m), 1.50-1.21(3H, m)
Purity >90% (NMR)	
MS 620(M+1)	

Example No. 268	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.67-8.59(1H, m), 8.30(1H, s), 8.13-8.20(2H, m), 8.02-7.92(2H, m), 7.65(1H, t, J=8.3Hz), 7.56-7.45(5H, m), 7.18(1H, dd, J=12.0, 2.2Hz), 7.05(1H, dd, J=8.6, 2.2Hz), 5.14(2H, s), 4.09(1H, m), 2.82(3H, d, J=4.5Hz), 2.34-2.12(2H, m), 1.99-1.79(4H, m), 1.71-1.59(1H, m), 1.49-1.21(3H, m)
Purity >90% (NMR)	
MS 612(M+1)	

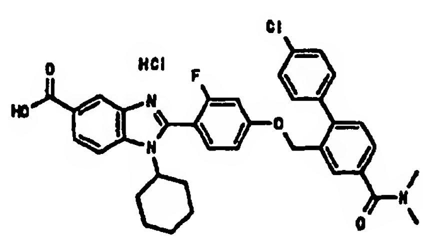
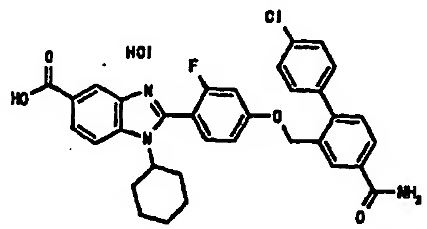
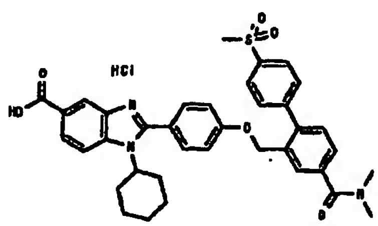
Example No. 269	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.29(1H, s), 8.13(1H, d, J=9.0Hz), 7.97(1H, dd, J=8.6, 1.5Hz), 7.71(1H, d, J=1.8Hz), 7.63(1H, t, J=8.2Hz), 7.56-7.41(6H, m), 7.17(1H, dd, J=12.0, 2.2Hz), 7.03(1H, dd, J=8.2, 1.8Hz), 5.14(2H, s), 4.15-4.00(1H, m), 3.01(3H, s), 2.98(3H, s), 2.32-2.13(2H, m)1.95-1.79(4H, m), 1.72-1.59(1H, m), 1.45-1.21(3H, m)
Purity >90% (NMR)	
MS 626(M+1)	

Table 192

Example No. 270	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.24(1H, d, J=1.4Hz), 8.19(1H, d, J=1.8Hz), 8.11(1H, brs), 8.02-7.85(3H, m), 7.60-7.44(7H, m), 7.10(1H, dd, J=12.0, 2.1Hz), 6.98(1H, dd, J=8.4, 2.1Hz), 5.11(2H, s), 3.98(1H, m), 2.30-2.12(2H, m), 1.91-1.73(4H, m), 1.71-1.58(1H, m), 1.45-1.15(3H, m)
Purity >90% (NMR)	
MS 598(M+1)	

Example No. 271	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.29(1H, d, J=1.5Hz), 8.24(1H, d, J=8.7Hz), 8.07-7.98(3H, m), 7.80-7.68(5H, m), 7.56(1H, dd, J=8.0, 1.8Hz), 7.47(1H, d, J=8.0Hz), 7.21(2H, d, J=8.4Hz), 5.18(2H, s), 4.34(1H, m), 3.27(3H, s), 3.02(3H, s), 2.98(3H, s), 2.38-2.18(2H, m), 2.10-1.95(2H, m), 1.93-1.79(2H, m), 1.72-1.59(1H, m), 1.50-1.19(3H, m)
Purity >90% (NMR)	
MS 652(M+1)	

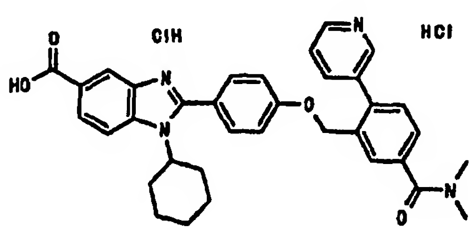
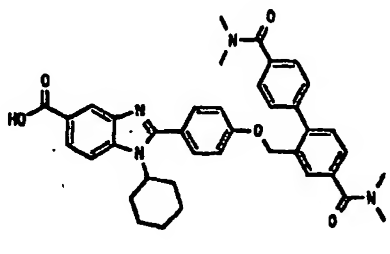
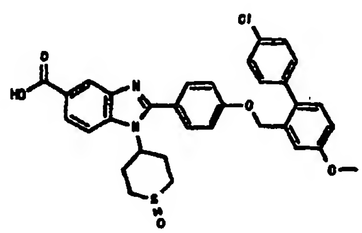
Example No. 272	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.97(1H, d, J=1.8Hz), 8.85(1H, d, J=4.7Hz), 8.46(1H, d, J=8.0Hz), 8.39-8.26(2H, m), 8.06(1H, d, J=8.7Hz), 7.99-7.64(6H, m), 7.24(2H, d, J=8.7Hz), 5.25(2H, s), 4.36(1H, m), 3.03(3H, s), 2.97(3H, s), 2.39-2.19(2H, m), 2.14-1.96(2H, m), 1.94-1.78(2H, m), 1.73-1.60(1H, m), 1.21-1.55(3H, m)
Purity >90% (NMR)	
MS 575(M+1)	

Table 193

Example No. 273	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.30(1H, s), 8.27(1H, d, J=8.7Hz), 8.05(1H, d, J=8.7Hz), 7.77-7.67(3H, m). 7.58-7.48(6H, m), 7.22(2H, d, J=8.4Hz), 5.18(2H, s), 4.35(1H, brt, J=9.8Hz), 3.06-2.88(12H, brm), 2.38-2.20(2H, brm), 2.08-1.96(2H, brm), 1.90-1.80(2H, brm), 1.70-1.60(1H, brm), 1.49-1.22(3H, brm)
Purity >90% (NMR)	
MS 645(M+1)	

Example No. 274	1H NMR(δ) ppm
	300MHz, DMSO-d6 mixture of cis and trans 8.35, 8.34(1H, s), 8.15-8.10(2H, m), 7.79-7.70(3H, m), 7.49(2H, d, J=8.7Hz), 7.44(2H, d, J=8.7Hz), 7.31(1H, d, J=8.4Hz), 7.25-7.19(2H, m), 7.07(1H, d, J=8.5Hz), 5.08(2H, s), 4.75(1H, m), 3.83(3H, s), 3.70-1.90(8H, m)
Purity about 80% (NMR)	
MS 601(M+1)	

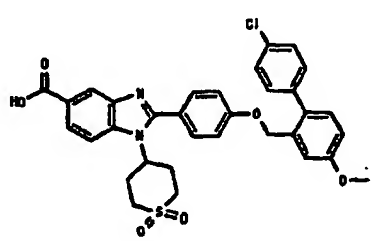
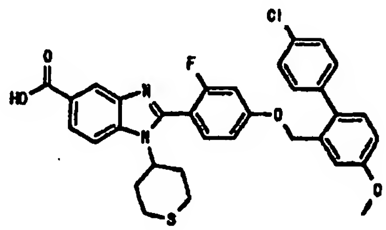
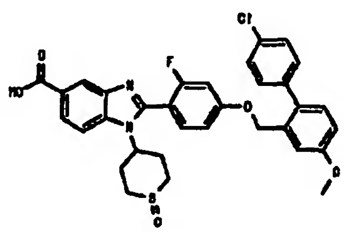
Example No. 275	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.33(1H, s), 8.13(1H, d, J=7.5Hz), 7.93(1H, d, J=8.8Hz), 7.74(2H, d, J=8.7Hz), 7.49(2H, d, J=8.6Hz), 7.44(2H, d, J=8.6Hz), 7.31(1H, d, J=8.5Hz), 7.25-7.15(3H, m), 7.07(1H, d, J=8.5Hz), 5.08(2H, s), 4.98(1H, m), 3.83(3H, s), 3.65-3.45(2H, m), 3.30-3.10(2H, m), 3.00-2.75(2H, m), 2.60-2.30(2H, m)
Purity >90% (NMR)	
MS 617(M+1)	

Table 194

Example No. 276	1H NMR(δ) ppm
	300MHz, DMSO-d6 8. 25(1H, s), 7. 93and7. 87(2H, ABq, J=9. 1Hz), 7. 55(1H, t, J=8. 6Hz), 7. 48and7. 42(4H, A'B'q, J=8. 6Hz), 7. 31(1H, d, J=8. 5Hz), 7. 24(1H, d, J=2. 6Hz), 7. 09-6. 95(3H, m), 5. 05(2H, s), 4. 11(1H, brt, J=14. 0Hz), 3. 84(3H, s), 2. 83-2. 67(4H, brm), 2. 50-2. 32(2H, brm), 2. 21-2. 10(2H, brm)
Purity >90% (NMR)	
MS 603(M+1)	

Example No. 277	1H NMR(δ) ppm
	300MHz, DMSO-d6 cis and trans mixture 8. 28and8. 24(total 1H, each s), 7. 94-7. 87(1H, m), 7. 60-7. 41(5H, m), 7. 31(1H, d, J=8. 5Hz), 7. 23-7. 21(1H, m), 7. 12-7. 05(2H, m), 7. 00-6. 95(1H, m), 5. 06and5. 05(total 2H, each s), 4. 47and4. 34(total 1H, each brs), 3. 83(3H, s), 3. 12-1. 76(8H, m)
Purity >90% (NMR)	
MS 619(M+1)	

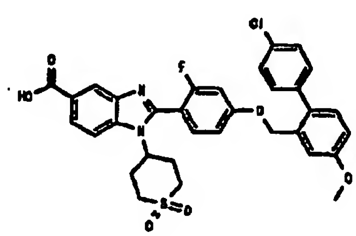
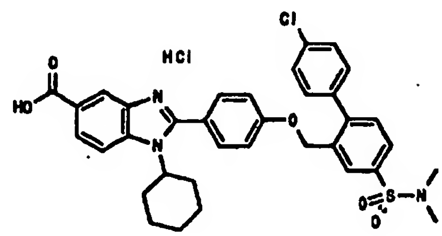
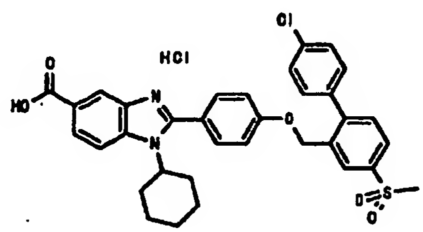
Example No. 278	1H NMR(δ) ppm
	300MHz, DMSO-d6 12. 9(1H, brs), 8. 27(1H, s), 7. 97and7. 74(2H, ABq, J=8. 6Hz), 7. 58(1H, t, J=8. 6Hz), 7. 49and7. 43(4H, A'B'q, J=8. 5Hz), 7. 31(1H, d, J=8. 5Hz), 7. 22(1H, d, J=2. 6Hz), 7. 13-6. 92(3H, m), 5. 05(2H, s), 4. 67(1H, brt, J=14. 2Hz), 3. 57-3. 40(2H, brm), 3. 20-3. 05(2H, brm), 2. 91-2. 70(2H, brm), 2. 28-2. 11(2H, brm)
Purity >90% (NMR)	
MS 635(M+1)	

Table 195

Example No. 279	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.30(1H, s), 8.23(1H, d, J=8.7Hz), 8.06-8.00(2H, m), 7.83(1H, dd, J=8.0, 1.8Hz), 7.71(2H, d, J=8.4Hz), 7.64(1H, d, J=8.0Hz), 7.59-7.54(4H, m), 7.22(2H, d, J=8.4Hz), 5.25(2H, s), 4.33(1H, m), 2.66(3H, s), 2.66(3H, s), 2.37-2.19(2H, m), 1.93-1.80(2H, m), 1.70-1.59(1H, m), 1.47-1.21(3H, m)
Purity >90% (NMR)	
MS 644(M+1)	

Example No. 280	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.32-8.23(3H, m), 8.08-8.01(2H, m), 7.73(2H, d, J=8.6Hz), 7.65(1H, d, J=8.2Hz), 7.59-7.51(4H, m), 7.25(2H, d, J=8.6Hz), 5.21(2H, s), 4.34(1H, m), 3.32(3H, s), 2.37-2.19(2H, m), 2.10-1.98(2H, m), 1.93-1.80(2H, m), 1.71-1.60(1H, m), 1.51-1.21(3H, m)
Purity >90% (NMR)	
MS 615(M+1)	

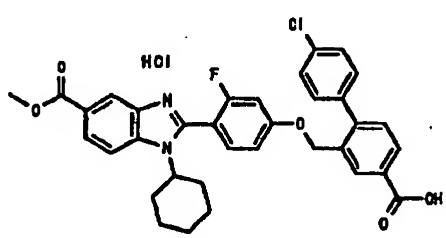
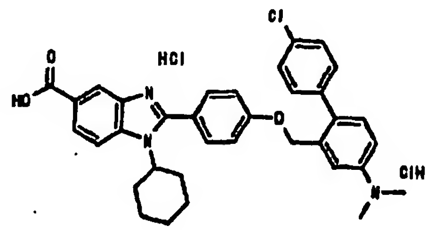
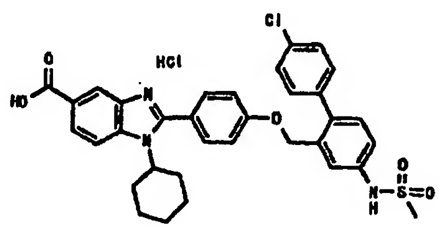
Example No. 281	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.30(1H, d, J=1.5Hz), 8.24(1H, s), 8.14(1H, d, J=8.6Hz), 8.07-7.95(2H, m), 7.63(1H, t, J=8.6Hz), 7.57-7.47(5H, m), 7.16(1H, dd, J=12.0, 2.2Hz), 7.03(1H, dd, J=8.6, 2.2Hz), 5.17(2H, s), 4.06(1H, m), 3.90(3H, s), 2.31-2.11(2H, m), 1.97-1.78(4H, m), 1.71-1.59(1H, m), 1.43-1.22(3H, m)
Purity >90% (NMR)	
MS 315	

Table 196

Example No. 282	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.36(1H, s), 8.35(1H, d, J=9.3Hz), 8.09(1H, d, J=9.3Hz), 7.78(2H, d, J=8.7Hz), 7.48-7.25(9H, m), 5.09(2H, s), 4.39(1H, m), 3.04(6H, s), 2.40-2.15(2H, m), 2.10-1.95(2H, m), 1.90-1.75(2H, m), 1.70-1.55(1H, m), 1.50-1.20(3H, m)
Purity >90% (NMR)	
MS 580(M+1)	

Example No. 283	1H NMR(δ) ppm
	300MHz, DMSO-d6 10.03(1H, s), 8.33(1H, s), 8.29(1H, d, J=8.7Hz), 8.06(1H, d, J=9.0Hz), 7.74(2H, d, J=9.0Hz), 7.51-7.42(5H, m), 7.37-7.30(2H, m), 7.22(2H, d, J=8.7Hz), 5.10(2H, s), 4.37(1H, m), 3.06(3H, s), 2.40-2.18(2H, m), 2.15-1.95(2H, m), 1.90-1.80(2H, m), 1.75-1.55(1H, m), 1.50-1.20(3H, m)
Purity >90% (NMR)	
MS 630(M+1)	

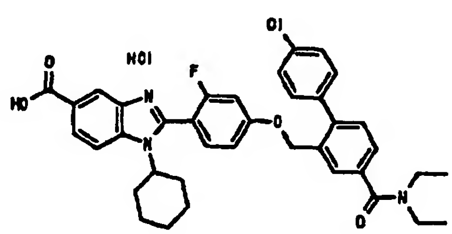
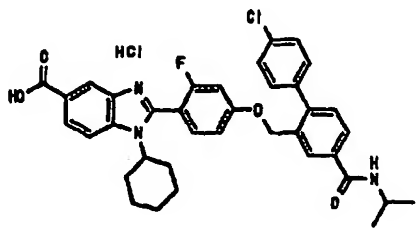
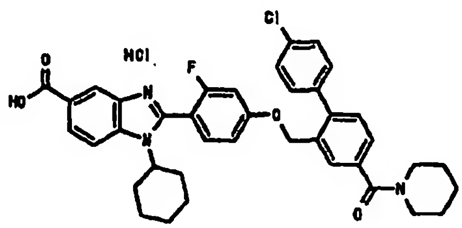
Example No. 284	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.30(1H, s), 8.14(1H, d, J=8.7Hz), 7.97(1H, d, J=8.7Hz), 7.96-7.41(8H, m), 7.16(1H, dd, J=12.4, 2.2Hz), 7.03(1H, dd, J=8.4, 2.2Hz), 5.15(2H, s), 4.15(1H, m), 3.54-3.16(4H, m), 2.33-2.13(2H, m), 1.97-1.79(4H, m), 1.70-1.02(9H, m)
Purity >90% (NMR)	
MS 654(M+1)	

Table 197

Example No. 285	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.37(1H, d, J=7.3Hz), 8.30(1H, s), 8.19-8.12(2H, m), 8.02-7.95(2H, m), 7.65(1H, t, J=8.4Hz), 7.56-7.43(5H, m), 7.18(1H, dd, J=12.0, 1.8Hz), 7.06(1H, dd, J=8.4, 2.1Hz), 5.13(2H, s), 4.22-4.03(2H, m), 2.34-2.13(2H, m), 1.99-1.78(4H, m), 1.72-1.57(1H, m), 1.44-1.14(3H, m), 1.20, 1.18(6H, each s)
Purity >90% (NMR)	
MS 640(M+1)	

Example No. 286	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.29(1H, s), 8.13(1H, d, J=8.7Hz), 7.97(1H, dd, J=8.7, 1.4Hz), 7.69-7.40(8H, m), 7.16(1H, dd, J=12.0, 2.2Hz), 7.02(1H, dd, J=8.4, 2.2Hz), 5.15(2H, s), 4.07(1H, m), 3.71-3.23(2H, m), 1.98-1.71(4H, m), 1.71-1.18(10H, m)
Purity >90% (NMR)	
MS 666(M+1)	

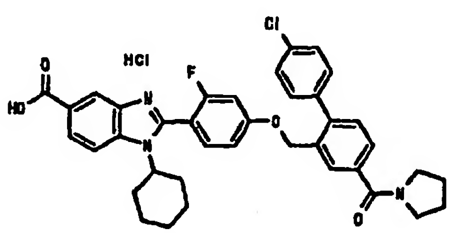
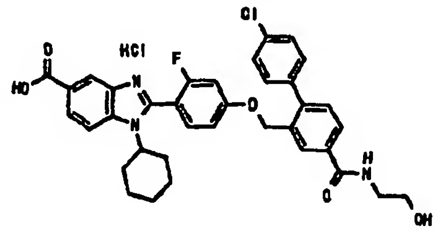
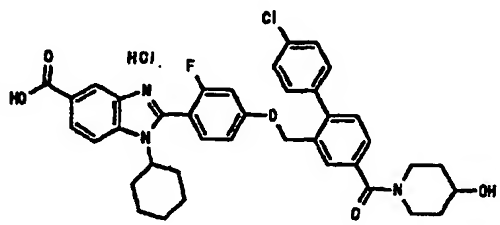
Example No. 287	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.29(1H, s), 8.13(1H, d, J=8.0Hz), 7.97(1H, d, J=8.4Hz), 7.83(1H, s), 7.68-7.41(7H, m), 7.17(1H, d, J=12.0Hz), 7.03(1H, d, J=8.4Hz), 5.15(2H, s), 4.07(1H, m), 3.58-3.41(4H, m), 2.34-2.13(2H, m), 1.97-1.77(8H, m), 1.71-1.58(1H, m), 1.49-1.18(3H, m)
Purity >90% (NMR)	
MS 652(M+1)	

Table 198

Example No.	288	1H NMR(δ) ppm
		300MHz, DMSO-d6 8. 62(1N, m), 8. 31(1H, s), 8. 22-8. 14(2H, m), 8. 99(2H, d, J=8. 7Hz), 7. 66(1H, t, J=7. 7Hz), 7. 58-7. 44(5H, m), 7. 19(1H, dd, J=8. 7, 2. 2Hz), 5. 14(2H, s), 4. 11(1H, m), 3. 67-3. 49(2H, m), 3. 45-3. 30(2H, m), 2. 37-2. 12(2H, m), 2. 00-1. 76(4H, m), 1. 70-1. 58(1H, m), 1. 48-1. 17(3H, m)
Purity	>90% (NMR)	
MS	642(M+1)	

Example No.	289	1H NMR(δ) ppm
		400MHz, DMSO-d6 8. 28(1H, s), 8. 11(1H, d, J=8. 9Hz), 7. 96(1H, d, J=8. 9Hz), 7. 68(1H, s), 7. 62(1H, t, J=8. 2Hz), 7. 55-7. 41(6H, m), 7. 15(1H, d, J=11. 7Hz), 7. 02(1H, d, J=8. 4Hz), 5. 14(2H, s), 4. 12-3. 13(6H, m), 2. 30-1. 19(13H, m)
Purity	>90% (NMR)	
MS	682(M+1)	

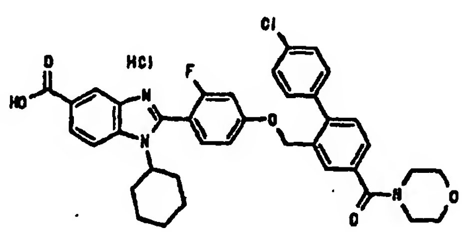
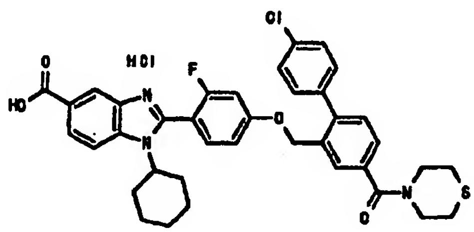
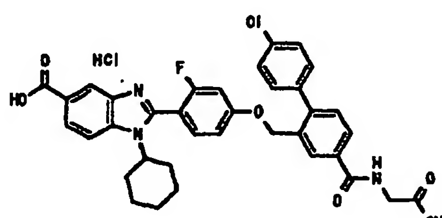
Example No.	290	1H NMR(δ) ppm
		400MHz, DMSO-d6 8. 29(1H, s), 8. 15(1H, d, J=8. 6Hz), 7. 98(1H, d, J=8. 8Hz), 7. 72(1H, s), 7. 64(1H, t, J=8. 8Hz), 7. 57-7. 43(6H, m), 7. 18(1H, dd, J=12. 1, 2. 1Hz), 7. 03(1H, d, J=10. 7Hz), 5. 12(2H, s), 4. 15-4. 01(1H, m), 3. 75-3. 33(8H, m), 2. 31-2. 14(2H, m), 1. 96-1. 78(4H, m), 1. 70-1. 58(1H, m), 1. 47-1. 21(3H, m)
Purity	>90% (NMR)	
MS	668(M+1)	

Table 199

Example No. 291	1H NMR(δ) ppm
	400MHz, DMSO-d6 8.29(1H, s), 8.14(1H, d, J=8.9Hz), 7.97(1H, d, J=8.6Hz), 7.71(1H, s), 7.63(1H, t, J=8.2Hz), 7.56-7.42(6H, m), 7.17(1H, d, J=12.3Hz), 7.03(1H, d, J=10.7Hz), 5.14(2H, s), 4.07(1H, m), 3.96-3.52(4H, m), 2.79-2.56(4H, m), 2.32-2.14(2H, m), 1.97-1.79(4H, m), 1.71-1.58(1H, m), 1.51-1.19(3H, m)
Purity >90% (NMR)	
MS 684(M+1)	

Example No. 292	1H NMR(δ) ppm
	300MHz, DMSO-d6 9.07-8.99(1H, m), 8.30(1H, s), 8.23-8.12(2H, m), 8.04-7.95(2H, m), 7.65(1H, t, J=8.2Hz), 7.60-7.45(5H, m), 7.19(1H, dd, J=12.0, 2.6Hz), 7.06(1H, dd, J=8.6, 2.2Hz), 5.16(2H, s), 4.18-4.02(1H, m), 3.97(2H, d, J=6.0Hz), 2.33-2.14(2H, m), 1.99-1.79(4H, m), 1.72-1.59(1H, m), 1.45-1.19(3H, m)
Purity >90% (NMR)	
MS 656(M+1)	

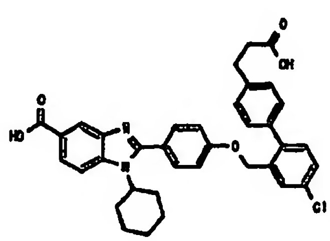
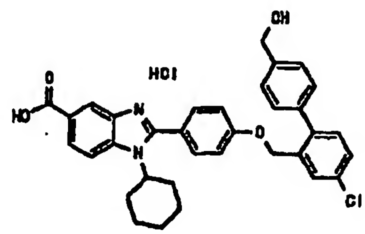
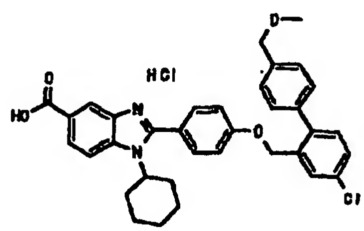
Example No. 293	1H NMR(δ) ppm
	300MHz, DMSO-d6:8.21(1H, s), 7.94and7.86(2H, ABq, J=8.6Hz), 7.72(1H, d, J=2.4Hz), 7.59and7.11(4H, A'B'q, J=8.9Hz), 7.53(1H, dd, J=8.4, 2.4Hz), 7.38(1H, d, J=8.4Hz), 7.36and7.32(4H, A"B"q, J=8.1Hz), 5.07(2H, s), 4.27(1H, brt, J=13.8Hz), 2.87(2H, t, J=7.8Hz), 2.57(2H, t, J=7.8Hz), 2.35-2.20(2H, brm), 1.96-1.79(4H, brm), 1.68-1.59(1H, brm), 1.47-1.18(3H, brm)
Purity >90% (NMR)	
MS 637(M+1)	

Table 200

Example No. 294	1H NMR(δ) ppm
	300MHz, DMSO-d6 8. 30(1H, s), 8. 25and8. 03(2H, ABq, J=8. 9Hz), 7. 73(1H, s), 7. 73(2H, d, J=8. 6Hz), 7. 55(1H, dd, J=8. 0, 2. 3Hz), 7. 40(4H, s), 7. 39(1H, d, J=8. 0Hz), 7. 23(2H, d, J=8. 6Hz), 5. 11(2H, s), 4. 55(2H, s), 4. 36(1H, brt, J=14. 8Hz), 2. 37-2. 19(2H, brm), 2. 09-1. 96(2H, brm), 1. 91-1. 79(2H, brm), 1. 71-1. 59(1H, brm), 1. 50-1. 20(3H, brm)
Purity >90% (NMR)	
MS 567(M+1)	

Example No. 295	1H NMR(δ) ppm
	300MHz, DMSO-d6 8. 30(1H, s), 8. 25and8. 04(2H, ABq, J=8. 7Hz), 7. 74(1H, s), 7. 72(2H, d, J=8. 7Hz), 7. 56(1H, d, J=8. 7Hz), 7. 48-7. 35(5H, m), 7. 22(2H, d, J=8. 7Hz), 5. 11(2H, s), 4. 46(2H, s), 4. 35(1H, brt, J=14. 8Hz), 3. 31(3H, s), 2. 37-2. 17(2H, brm), 2. 07-1. 95(2H, brm), 1. 92-1. 79(2H, brm), 1. 73-1. 56(1H, brm), 1. 52-1. 20(3H, brm)
Purity >90% (NMR)	
MS 581(M+1)	

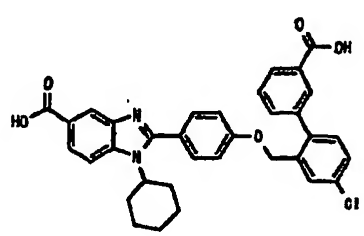
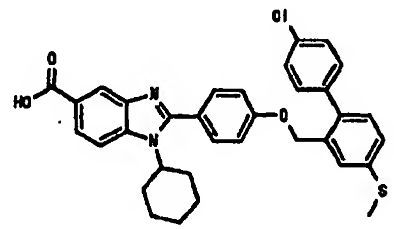
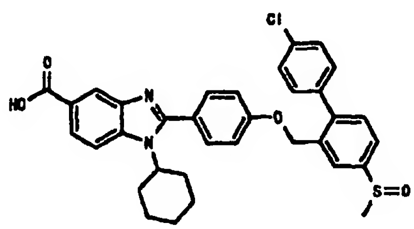
Example No. 296	1H NMR(δ) ppm
	300MHz, DMSO-d6 8. 21(1H, d, J=1. 5Hz), 7. 98(1H, d, J=1. 2Hz), 7. 97-7. 91(2H, m), 7. 84(1H, dd, J=8. 7, 1. 5Hz), 7. 77(1H, d, J=2. 1Hz), 7. 70(1H, d, J=7. 5Hz), 7. 60-7. 54(4H, m), 7. 43(1H, d, J=8. 4Hz), 7. 09(2H, d, J=8. 7Hz), 5. 05(2H, s), 4. 25(1H, brt, J=14. 8Hz), 2. 36-2. 18(2H, brm), 1. 95-1. 79(4H, brm), 1. 71-1. 6(1H, brm), 1. 43-1. 18(3H, brm)
Purity >90% (NMR)	
MS 581(M+1)	

Table 201

Example No. 297	1H NMR(δ) ppm
	300MHz, DMSO-d6 12. 7 (1H, brs), 8. 21 (1H, s), 7. 94and7. 85 (2H, ABq, J=8. 6 Hz), 7. 60-7. 55 (3H, m), 7. 49 and7. 45 (4H, A' B' q, J=8. 3Hz), 7. 12 (2H, d, J=8. 7Hz), 5. 0 5 (2H, s), 4. 26 (1H, brt, J=13 . 0Hz), 2. 54 (3H, s), 2. 38-2. 20 (2H, brm), 1. 97-1. 80 (4H, brm), 1. 71-1. 59 (1H, brm), 1 . 47-1. 20 (3H, brm)
Purity >90% (NMR)	
MS 583 (M+1)	

Example No. 298	1H NMR(δ) ppm
	300MHz, DMSO-d6 8. 22 (1H, s), 8. 01 (1H, s), 7. 95and7. 86 (2H, ABq, J=8. 6Hz), 7. 79 (1H, d, J=7. 8Hz), 7. 5 8 (3H, t, J=7. 5Hz), 7. 53 (4H, s), 7. 13 (2H, d, 8. 7Hz), 5. 15 (2H, s), 4. 26 (1H, brt, J=13. 8Hz), 2. 83 (3H, s), 2. 37-2. 1 8 (2H, brm), 1. 95-1. 78 (4H, b rm), 1. 70-1. 59 (1H, brm), 1. 47-1. 17 (3H, brm)
Purity >90% (NMR)	
MS 599 (M+1)	

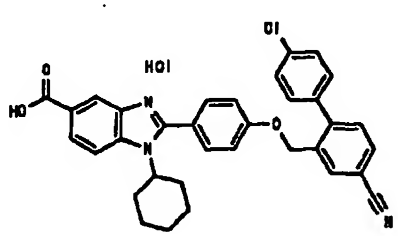
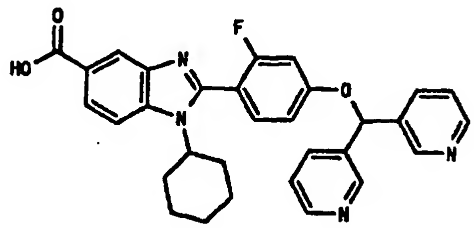
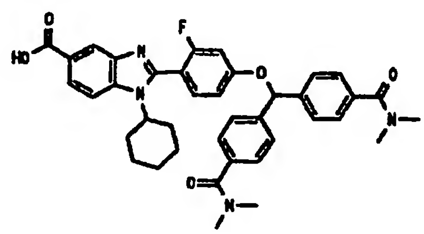
Example No. 299	1H NMR(δ) ppm
	300MHz, DMSO-d6 8. 43-8. 16 (3H, m), 8. 07-7. 9 4 (2H, m), 7. 72 (2H, d, J=8. 6H z), 7. 62-7. 49 (5H, m), 7. 23 (2H, d, J=8. 6Hz), 5. 16 (2H, s) , 4. 34 (1H, m), 2. 39-2. 20 (2H , m), 2. 10-1. 96 (2H, m), 1. 93 -1. 80 (2H, m), 1. 71-1. 58 (1H , m), 1. 49-1. 19 (3H, m)
Purity >90% (NMR)	
MS 562 (M+1)	

Table 202

Example No.	300	1H NMR(δ) ppm
		300MHz, DMSO-d6:2.77(1H, brs), 8.83(2H, d, J=1.9Hz), 8.56(2H, dd, J=4.9, 1.9Hz), 8.22(1H, d, J=1.5Hz), 7.97(2H, dt, J=7.9, 1.9Hz), 7.95(1H, d, J=8.6Hz), 7.87(1H, dd, J=8.6, 1.5Hz), 7.57(1H, t, J=8.7Hz), 7.46(2H, dd, J=7.9, 4.9Hz), 7.26(1H, dd, J=12.0, 4.9Hz), 7.14(1H, dd, J=8.8, 2.3Hz), 6.99(2H, s), 3.94(1H, brt), 2.26-2.09(2H, m), 1.87-1.73(4H, m), 1.67-1.57(1H, m), 1.42-1.12(3H, m)
Purity	>90% (NMR)	
MS	523(M+1)	

Example No.	301	1H NMR(δ) ppm
		300MHz, DMSO-d6 8.22(1H, s), 7.95(1H, d, J=8.7Hz), 7.87(1H, dd, J=1.5Hz, 9.0Hz), 7.62(4H, d, J=8.4Hz), 7.55(1H, t, J=9.0Hz), 7.44(4H, d, J=8.1Hz), 7.20(1H, dd, J=2.1Hz, 12.0Hz), 7.11(1H, dd, J=2.1Hz, 8.7Hz), 6.86(1H, s), 3.94(1H, m), 2.96, 2.88(12H, s), 2.35-2.00(2H, m), 1.95-1.70(4H, m), 1.65-1.50(1H, m), 1.45-1.10(3H, m)
Purity	>90% (NMR)	
MS	663(M+1)	

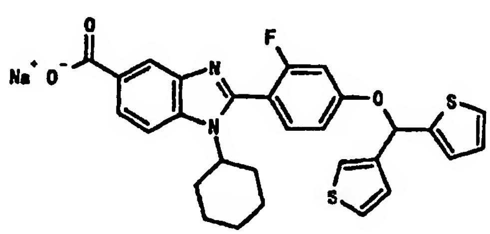
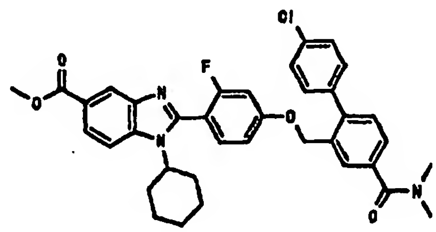
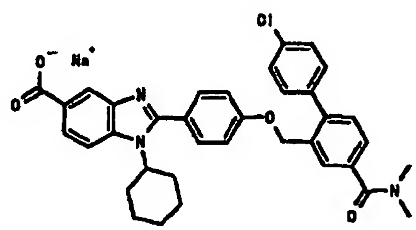
Example No.	302	1H NMR(δ) ppm
		300MHz, DMSO-d6 8.14(1H, s), 7.88(1H, d, J=8.4Hz), 7.68(1H, d, J=8.7Hz), 7.64-7.55(3H, m), 7.50(1H, t, J=8.7Hz), 7.22-7.17(3H, m), 7.11(1H, s), 7.08-7.00(2H, m), 3.90(1H, m), 2.15-2.00(2H, m), 1.95-1.50(5H, m), 1.45-1.00(3H, m)
Purity	>90% (NMR)	
MS	532(M+1)	

Table 203

Example No. 303	1H NMR(δ) ppm
	300MHz, CDCl3 8.49(1H, s), 7.98(1H, dd, J=8.6, 1.5Hz), 7.71(1H, d, J=1.8Hz), 7.66(1H, d, J=8.6Hz), 7.55-7.29(7H, m), 6.80(1H, dd, J=8.2, 2.2Hz), 6.69(1H, dd, J=11.2, 2.2Hz), 4.99(2H, s), 4.10-3.92(1H, m), 3.95(3H, s), 3.15(3H, s), 3.06(3H, s), 2.31-2.14(2H, m), 2.04-1.86(4H, m), 1.81-1.71(1H, m), 1.41-1.21(3H, m)
Purity >90% (NMR)	
MS 640(M+1)	

Example No. 304	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.21(1H, s), 7.94(1H, d, J=8.7Hz), 7.84(1H, d, J=9.1Hz), 7.70(1H, s), 7.26-7.39(9H, m), 7.11(2H, d, J=8.4Hz), 5.11(2H, s), 4.26(1H, m), 3.01(3H, s), 2.97(3H, s), 2.38-2.19(2H, m), 1.97-1.78(4H, m), 1.72-1.57(1H, m), 1.48-1.17(3H, m)
Purity >90% (NMR)	
MS 608(M+1)	

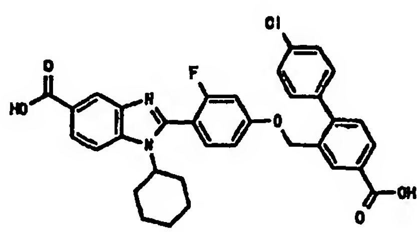
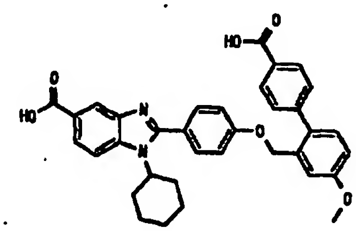
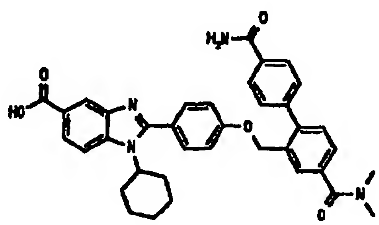
Example No. 305	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.24(2H, s), 8.03(1H, d, J=8.0Hz), 7.96(1H, d, J=8.8Hz), 7.87(1H, d, J=9.1Hz), 7.60-7.46(6H, m), 7.09(1H, dd, J=12.0, 1.8Hz), 6.97(1H, dd, J=8.4, 1.8Hz), 5.16(2H, s), 3.97(1H, m), 2.31-2.11(2H, m), 1.92-1.73(4H, m), 1.70-1.57(1H, m), 1.46-1.13(3H, m)
Purity >90% (NMR)	
MS 599(M+1)	

Table 204

Example No. 306	1H NMR(δ) ppm
	300MHz, DMSO-d6 12.84(1H, brs), 8.21(1H, s), 7.98-7.84(5H, m), 7.58(2H, d, J=8.7Hz), 7.54(2H, d, J=7.8Hz), 7.34(1H,, d, J=8.7Hz), 7.26(1H, d, J=2.4Hz), 7.13-7.06(3H, m), 5.06(2H, s), 4.26(1H, brt, J=12.7Hz), 3.84(3H, s), 2.36-2.17(2H, brm), 1.99-1.80(4H, brm), 1.73-1.59(1H, brm), 1.47-1.17(3H, brm)
Purity >90% (NMR)	
MS 577(M+1)	

Example No. 307	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.22(1H, s), 8.04(1H, s), 7.96(2H, d, J=8.1Hz), 7.87(2H, s), 7.72(1H, d, J=1.2Hz), 7.59-7.41(7H, m), 5.12(2H, s), 4.25(1H, brt, J=11.8Hz), 3.02(3H, brs), 2.98(3H, brs), 2.38-2.15(2H, brm), 1.93-1.76(4H, brm), 1.71-1.59(1H, brm), 1.46-1.16(3H, brm)
Purity >90% (NMR)	
MS 617(M+1)	

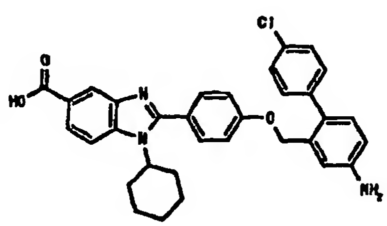
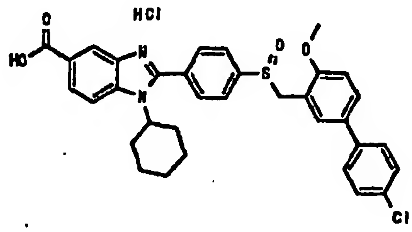
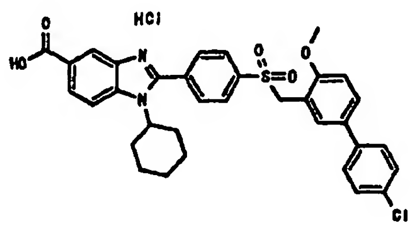
Example No. 308	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.27(1H, s), 8.08(1H, d, J=9.0Hz), 7.93(1H, d, J=8.7Hz), 7.65(2H, d, J=8.7Hz), 7.46(2H, d, J=8.1Hz), 7.42(2H, d, J=8.4Hz), 7.30-7.04(5H, m), 5.03(2H, s), 4.32(1H, m), 2.40-2.10(2H, m), 2.05-1.10(8H, m)
Purity >90% (NMR)	
MS 552(M+1)	

Table 205

Example No. 309	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.33(1H, s), 8.15and7.99(2H, ABq, J=8.9Hz), 7.84and7.59(4H, A'B'q, J=8.3Hz), 7.46(2H, d, J=8.4Hz), 7.22-7.16(3H, m), 7.01-6.98(2H, m), 4.27and4.23(2H, A"B"q, J=12.9Hz), 3.78(3H, s), 2.39-2.21(2H, brm), 2.07-1.95(2H, brm), 1.91-1.80(2H, brm), 1.72-1.59(1H, brm), 1.49-1.17(3H, brm)
Purity >90% (NMR)	
MS	

Example No. 310	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.33(1H, s), 8.09and7.95(2H, ABq, J=8.7Hz), 7.87and7.71(4H, A'B'q, J=8.0Hz), 7.43(2H, d, J=7.8Hz), 7.15(1H, d, J=8.7Hz), 7.07-7.02(4H, m), 4.66(2H, s), 4.23(1H, brt, J=11.8Hz), 3.76(3H, s), 2.38-2.20(2H, brm), 2.04-1.93(2H, brm), 1.89-1.79(2H, brm), 1.70-1.59(1H, brm), 1.49-1.18(3H, brm)
Purity >90% (NMR)	
MS 615(M+1)	

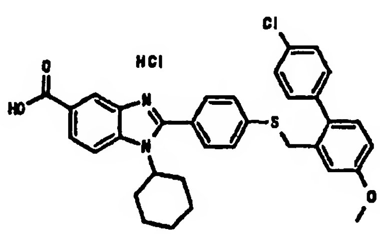
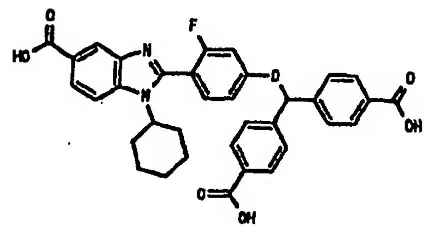
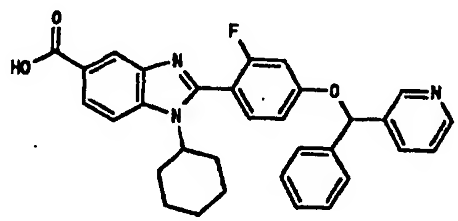
Example No. 311	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.30(1H, s), 8.21and8.01(2H, ABq, J=8.7Hz), 7.65(2H, d, J=8.4Hz), 7.52-7.41(6H, m), 7.20(1H, d, J=8.4Hz), 7.14(1H, d, J=2.7Hz), 6.97(1H, dd, J=8.4, 2.4Hz), 4.31(1H, brt, J=9.8Hz), 4.28(2H, s), 3.78(3H, s), 2.37-2.20(2H, brm), 2.07-1.95(2H, brm), 1.92-1.80(2H, brm), 1.71-1.60(1H, brm), 1.50-1.19(3H, brm)
Purity >90% (NMR)	
MS 583(M+1)	

Table 206

Example No.	312	1H NMR(δ) ppm
		300MHz, DMSO-d6 8. 22(1H, s), 8. 12(1H, d, J=8. 4Hz), 8. 00-7. 84(5H, m), 7. 70(4H, d, J=8. 4Hz), 7. 56(1H, t, J=8. 6Hz), 7. 23(1H, d, J=12. 0Hz), 7. 13(1H, d, J=8. 6Hz), 6. 97(1H, s), 3. 92(1H, m), 2. 35-2. 00(2H, m), 1. 95-1. 70(4H, m), 1. 65-1. 55(1H, m), 1. 50-1. 05(3H, m)
Purity	>90% (NMR)	
MS	609(M+1)	

Example No.	313	1H NMR(δ) ppm
		300MHz, DMSO-d6 8. 89(1H, brs), 8. 63(1H, brs), 8. 24(1H, s), 8. 11(1H, d, J=7. 8Hz), 7. 99(1H, d, J=8. 8Hz), 7. 89(1H, d, J=9. 9Hz), 7. 61-7. 55(4H, m), 7. 43(2H, t, J=7. 7Hz), 7. 34(1H, t, J=7. 2Hz), 7. 24(1H, d, J=12. 0Hz), 7. 14(1H, d, J=8. 6Hz), 6. 95(1H, s), 3. 96(1H, m), 2. 35-2. 05(2H, m), 2. 00-1. 50(5H, m), 1. 45-1. 10(3H, m)
Purity	>90% (NMR)	
MS	522(M+1)	

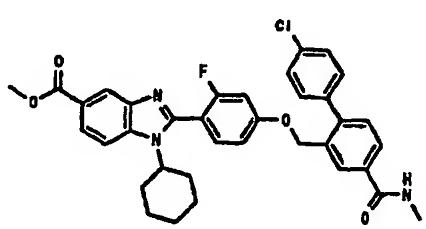
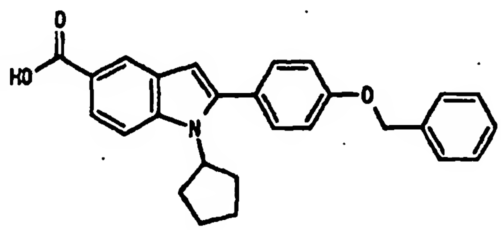
Example No.	314	1H NMR(δ) ppm
		300MHz, CDCl3 8. 48(1H, d, J=1. 4Hz), 8. 05(1H, d, J=1. 8Hz), 8. 98(1H, d, J=8. 6Hz), 7. 82(1H, d, J=7. 9Hz), 7. 66(1H, d, J=8. 6Hz), 7. 55-7. 24(6H, m), 6. 78(1H, dd, J=8. 6, 2. 6Hz), 6. 69(1H, dd, J=11. 6Hz), 2. 2Hz), 6. 40-6. 30(1H, m), 4. 99(2H, s), 4. 02(1H, m), 3. 95(3H, s), 3. 05(3H, d, J=4. 8Hz), 2. 32-2. 13(2H, m), 2. 03-1. 87(4H, m), 1. 81-1. 71(1H, m), 1. 46-1. 23(3H, m)
Purity	>90% (NMR)	
MS	626(M+1)	

Table 207

Example No. 503	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.23(1H, s), 7.76(1H, d, J=8.7Hz), 7.58(1H, d, J=8.8Hz), 7.51-7.32(7H, m), 7.17(2H, d, J=8.7Hz), 6.55(1H, s), 5.18(2H, s), 4.75(1H, m), 2.35-2.12(2H, m), 2.10-1.85(4H, m), 1.80-1.50(2H, m)
Purity >90% (NMR)	
MS 412(M+1)	

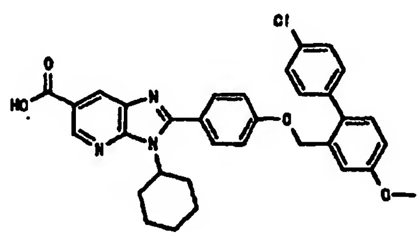
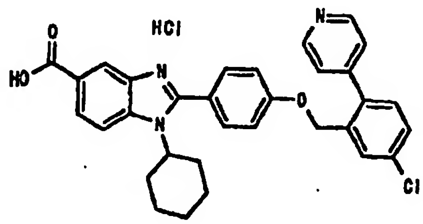
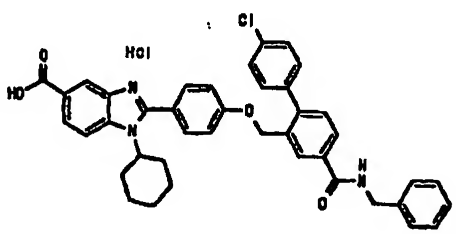
Example No. 701	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.96(1H, s), 8.50(1H, s), 7.77(2H, d, J=8.7Hz), 7.50-7.40(4H, m), 7.30(1H, d, J=8.4Hz), 7.24(1H, d, J=2.4Hz), 7.16(2H, d, J=8.4Hz), 7.06(1H, dd, J=2.4Hz, 8.1Hz), 5.06(2H, s), 4.31(1H, s), 3.83(3H, s), 2.80-2.55(2H, m), 2.00-1.80(4H, m), 1.70-1.55(1H, m), 1.40-1.15(3H, m)
Purity >90% (NMR)	
MS 568(M+1)	

Table 208

Example No. 315	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.84(2H, d, J=6.3Hz), 8.28(1H, s), 8.17and7.99(2H, ABq, J=8.7Hz), 7.87-7.85(3H, m), 7.70-7.50(3H, m), 7.52(1H, d, J=8.3Hz), 7.18(2H, d, J=8.7Hz), 5.22(2H, s)4.31(1H, br t, J=12.5Hz), 2.36-2.18(2H, m), 2.03-1.78(4H, m), 1.70-1.58(1H, m), 1.50-1.23(3H, m)
Purity >90% (NMR)	
MS 538(M+1)	

Example No. 316	1H NMR(δ) ppm
	300MHz, DMSO-d6 9.23(1H, t, J=6.3Hz), 8.29(1H, s), 8.25-8.22(2H, m), 8.03(2H, d, J=7.9Hz), 7.55-7.48(5H, m)7.34(4H, d, J=4.4Hz), 7.28-7.22(3H, m), 5.15(2H, s), 4.52(2H, d, J=5.9Hz), 4.35(1H, br t, J=12.1Hz), 2.37-2.18(2H, m), 2.08-1.95(2H, m), 1.91-1.79(2H, m), 1.72-1.59(1H, m), 1.47-1.19(3H, m)
Purity >90% (NMR)	
MS 670(M+1)	

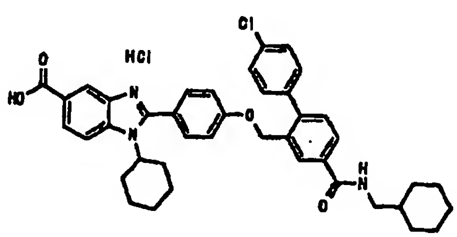
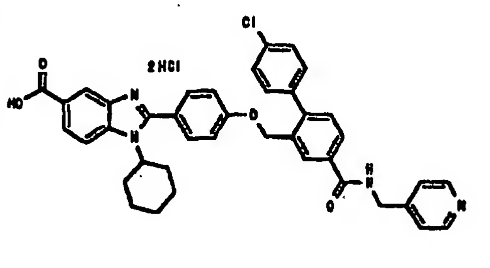
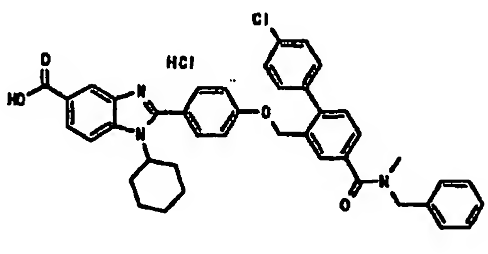
Example No. 317	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.59(1H, t, J=5.5Hz), 8.28(1H, s), 8.21and8.01(2H, ABq, J=8.8Hz), 8.16(1H, s), 7.97and7.46(2H, A'B'q, J=8.0Hz), 7.71and7.23(4H, A"B"q, J=8.7Hz), 7.53and7.49(4H, A"'B"'q, J=9.2Hz), 5.14(2H, s), 4.34(1H, br t, J=12.8Hz), 3.14(2H, t, J=6.3Hz), 2.38-2.18(2H, m), 2.07-1.78(4H, m), 1.78-1.47(7H, m), 1.47-1.07(6H, m), 1.03-0.83(2H, m)
Purity >90% (NMR)	
MS 676(M+1)	

Table 209

Example No. 318	1H NMR(δ) ppm
	300MHz, DMSO-d6 9.63 (1H, t, J=4.8Hz), 8.86and7.97(4H, ABq, J=6.6Hz), 8.30(1H, s), 8.27(1H, s), 8.23and8.03(2H, A'B'q, J=8.8Hz), 8.09and7.54(2H, A"B"q, J=8.1Hz), 7.73and7.24(4H, A'''B'''q, J=8.8Hz), 7.54and7.52(4H, A''''B''''q, J=8.8Hz), 5.16(2H, s)4.78(2H, d, J=5.6Hz), 4.35 (1H, br t, J=11.0Hz), 2.39-2.19(2H, m), 2.07-1.96(2H, m), 1.91-1.78(2H, m), 1.70-1.57(1H, m)1.50-1.19(3H, m)
Purity >90% (NMR)	
MS 671(M+1)	

Example No. 319	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.28(1H, s), 8.24and8.03(2H, ABq, J=9.0Hz), 7.77(1H, s), 7.70(2H, d, J=8.4Hz), 7.64-7.10(13H, m), 5.16(2H, s), 4.74and4.57 (total 2H, each br s), 4.34(1H, br t, J=11.7Hz), 2.90(3H, s), 2.35-2.17(2H, m), 2.07-1.93(2H, m), 1.93-1.78(2H, m), 1.71-1.57(1H, m), 1.51-1.19(3H, m)
Purity >90% (NMR)	
MS 684(M+1)	

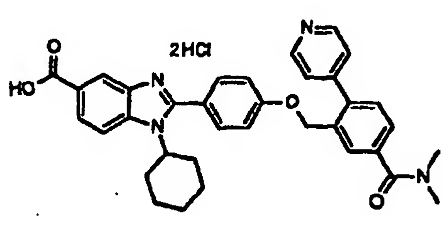
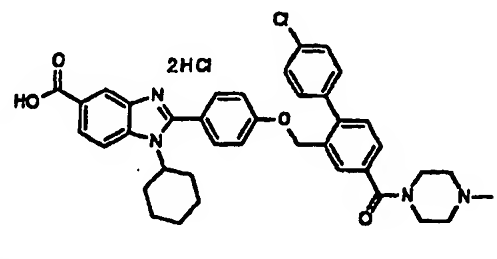
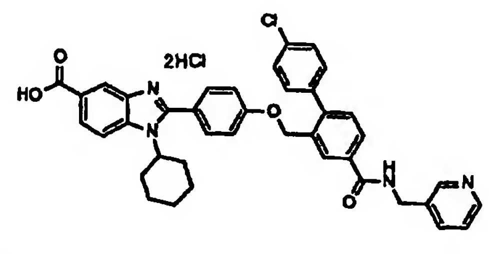
Example No. 320	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.94and8.06(4H, ABq, J=6.8Hz), 8.33(1H, s), 8.28and8.05(2H, A'B'q, J=8.7Hz), 7.80(1H, s), 7.73and7.22(4H, A"B"q, J=8.7Hz), 7.63and7.57(2H, A'''B'''q, J=7.9Hz), 5.30(2H, s), 4.34(1H, br t, J=12.1Hz), 3.04(3H, s), 2.97(3H, s), 2.38-2.18(2H, m), 2.10-1.96(2H, m), 1.93-1.80(2H, m), 1.72-1.58(1H, m), 1.52-1.08(3H, m)
Purity >90% (NMR)	
MS 575(M+1)	

Table 210

Example No.	321	1H NMR(δ) ppm
		300MHz, DMSO-d6 11. 19(1H, br s), 8. 31(1H, s), 8. 23and8. 02(2H, ABq, J=9. 0Hz), 7. 77(1H, s), 7. 72and7. 23(4H, A'B'q, J=8. 7Hz), 7. 59and7. 48(2H, A"B"q, J=7. 9Hz), 7. 53and7. 51(4H, A'''B'''q, J=9. 0Hz), 5. 16(2H, s), 4. 72-2. 97(8H, br m), 4. 34(1H, br t, J=12. 1Hz), 2. 79(3H, s), 2. 38-2. 17(2H, m), 2. 07-1. 93(2H, m), 1. 93-1. 78(2H, m), 1. 69-1. 58(1H, m), 1. 50-1. 10(3H, m)
Purity	>90% (NMR)	
MS	663(M+1)	

Example No.	322	1H NMR(δ) ppm
		300MHz, DMSO-d6 9. 54(1H, t, J=5. 7Hz), 8. 91(1H, s), 8. 81(1H, d, J=4. 9Hz), 8. 48(1H, d, J=7. 9Hz), 8. 32(1H, s), 8. 27(1H, d, J=9. 0Hz), 8. 25(1H, s), 8. 07-7. 97(3H, m), 7. 74and7. 25(4H, ABq, J=8. 9Hz), 7. 56-7. 49(5H, m), 5. 16(2H, s), 4. 69(2H, d, J=5. 6Hz), 4. 36(1H, br t, J=12. 4Hz), 2. 37-2. 20(2H, m), 2. 09-1. 97(2H, m), 1. 91-1. 78(2H, m), 1. 70-1. 57(1H, m), 1. 50-1. 17(3H, m)
Purity	>90% (NMR)	
MS	671(M+1)	

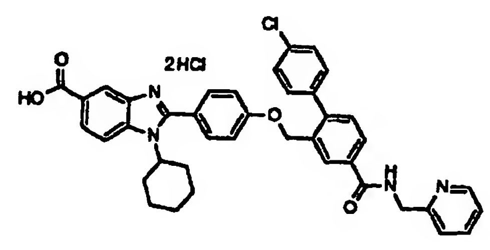
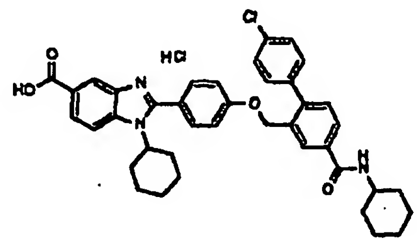
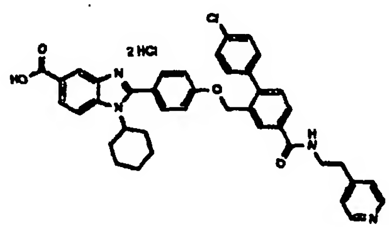
Example No.	323	1H NMR(δ) ppm
		300MHz, DMSO-d6 9. 52(1H, t, J=6. 0Hz), 8. 72(1H, d, J=5. 3Hz), 8. 30-8. 19(4H, m), 8. 08(1H, d, J=7. 9Hz), 8. 02(1H, d, J=7. 6HZ), 7. 77-7. 64(4H, m), 7. 57-7. 49(5H, m), 7. 24(2H, d, J=8. 7Hz), 5. 16(2H, s), 4. 77(2H, d, J=5. 6Hz), 4. 34(1H, t, J=12. 8Hz), 2. 36-2. 19(2H, m), 2. 07-1. 95(2H, m), 1. 91-1. 78(2H, m), 1. 69-1. 59(1H, m), 1. 45-1. 20(3H, m)
Purity	>90% (NMR)	
MS	671(M+1)	

Table 211

Example No. 324	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.36(1H, d, J=7.9Hz), 8.30(1H, s), 8.28and8.05(2H, ABq, J=8.8Hz), 8.16(1H, s), 7.79and7.46(2H, A'B'q, J=8.3Hz), 7.74and7.25(4H, A"B"q, J=8.9Hz), 7.52and7.50(4H, A"'B"'q, J=8.7Hz), 5.14(2H, s), 4.36(1H, br t, J=12.1Hz), 3.80(1H, br s), 2.39-2.18(2H, m), 2.10-1.98(2H, m), 1.93-1.57(8H, m), 1.49-1.04(8H, m)
Purity >90% (NMR)	
MS 662(M+1)	

Example No. 325	1H NMR(δ) ppm
	300MHz, DMSO-d6 8.86(1H, t, J=6.0Hz), 8.84and8.00(4H, ABq, J=6.6Hz), 8.33(1H, s), 8.27and8.04(2H, A'B'q, J=9.0Hz), 8.12(1H, s), 7.92and7.46(2H, A"B"q, J=7.9Hz), 7.74and7.23(4H, A"'B"'q, J=9.0Hz), 7.53and7.49(4H, A""B""q, J=9.1Hz), 5.13(2H, s), 4.36(1H, br t, J=12.8Hz), 3.70(2H, td, J=6.8, 6.0Hz), 3.21(2H, t, J=6.8Hz), 2.38-2.20(2H, m), 2.09-1.95(2H, m), 1.91-1.77(2H, m), 1.70-1.59(1H, m), 1.49-1.20(3H, m)
Purity >90% (NMR)	
MS 685(M+1)	

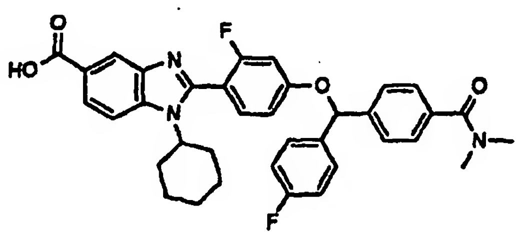
Example No. 326	1H NMR(δ) ppm
	300MHz, DMSO-d6 12.80(1H, brs), 8.23(1H, s), 7.90(1H, d, J=8.7Hz), 7.83(1H, d, J=8.7Hz), 7.60-7.50(5H, m), 7.39(2H, d, J=7.8Hz), 7.23-7.10(3H, m), 7.05(1H, d, J=7.8Hz), 6.85(1H, s), 3.94(1H, s), 2.97, 2.88(6H, s), 2.30-2.10(2H, m), 1.90-1.50(5H, m), 1.40-1.00(3H, m)
Purity >90% (NMR)	
MS 610(M+1)	

Table 212

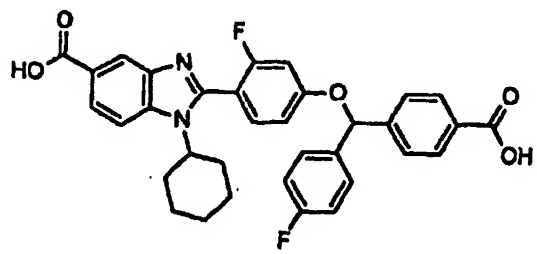
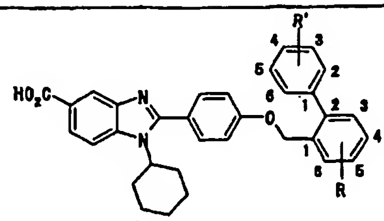
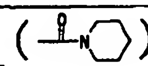
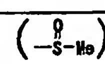
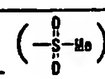
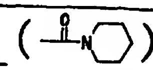
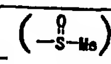
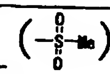
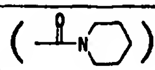
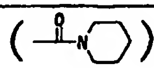
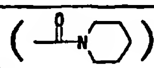
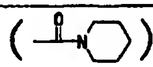
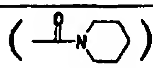
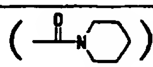
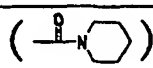
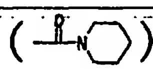
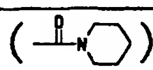
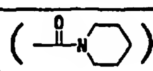
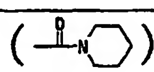
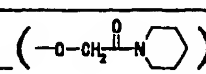
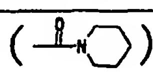
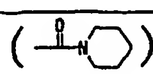
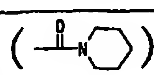
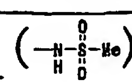
Example No. 327	1H NMR(δ) ppm
	300MHz, DMSO-d6 13.20-12.60(2H, brs), 8.23(1H, s), 7.98(2H, d, J=6.6Hz), 7.95(1H, d, J=8.7Hz), 7.87(1H, d, J=8.7Hz), 7.70-7.50(5H, m), 7.27-7.20(3H, m), 7.08(1H, d, J=7.8Hz), 6.90(1H, s), 3.93(1H, s), 2.51-2.05(2H, m), 1.90-1.70(4H, m), 1.65-1.55(1H, m), 1.40-1.10(3H, m)
Purity >90% (NMR)	
MS 583(M+1)	

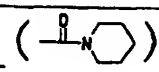
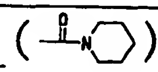
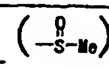
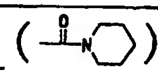
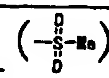
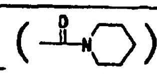
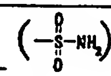
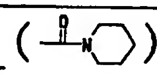
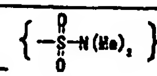
Table 213

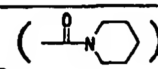
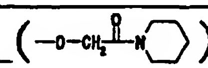
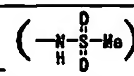
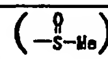
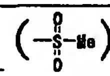
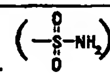
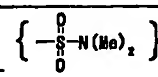


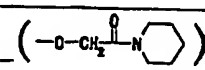
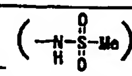
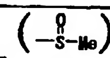
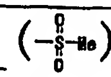
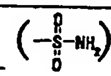
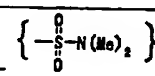
Ex.No.	R	R'
2001	-H	4-(-Me)
2002	-H	3-(-CF_3)
2003	5-(-F)	-H
2004	3-(-F)	2-(-F)
2005	3-(-F)	3-(-F)
2006	3-(-F)	4-(-F)
2007	4-(-F)	4-(-F)
2008	5-(-F)	4-(-F)
2009	6-(-F)	4-(-F)
2010	4-(-F)	4-(-Cl)
2011	5-(-F)	4-(-Me)
2012	5-(-F)	4-(-CF_3)
2013	5-(-F)	4-(-CO_2H)
2014	5-(-F)	4-(-CO_2Me)
2015	5-(-F)	4- 
2016	5-(-F)	4-(-$CONH_2$)
2017	5-(-F)	4-{-CON$(Me)_2$}
2018	5-(-F)	4-(-OMe)
2019	5-(-F)	4-(-SMe)
2020	5-(-F)	4- 
2021	5-(-F)	4- 
2022	4-(-Cl)	-H

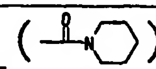
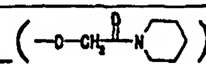
2023	4-(-Cl)	4-(-F)
2024	4-(-Cl)	4-(-Cl)
2025	4-(-Cl)	4-(-Me)
2026	5-(-Cl)	4-(-CF_3)
2027	4-(-Cl)	4-(-CO_2H)
2028	5-(-Cl)	4-(-CO_2Me)
2029	5-(-Cl)	4- 
2030	4-(-Cl)	4-(-CONH2)
2031	5-(-Cl)	4-{-CON(Me)$_2$}
2032	5-(-Cl)	3-(-OMe)
2033	4-(-Cl)	4-(-SMe)
2034	5-(-Cl)	4- 
2035	4-(-Cl)	4- 
2036	5-(-CN)	4-(-F)
2037	4-(-CN)	4-(-Cl)
2038	5-(-NO_2)	4-(-F)
2039	4-(-NO_2)	4-(-Cl)
2040	5-(-Me)	4-(-CO_2H)
2041	5-(-Me)	4-(-CO_2Me)
2042	5-(-Me)	4- 
2043	5-(-CF_3)	4-(-CO_2H)
2044	5-(-CF_3)	4-(-CO_2Me)
2045	5-(-CF_3)	4- 
2046	5-(-CO_2H)	4-(-F)
2047	4-(-CO_2H)	4-(-Cl)
2048	5-(-CO_2Me)	4-(-F)
2049	5-(-CO_2Me)	4-(-Cl)
2050	5-(-Ac)	4-(-F)

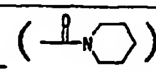
2051	5-(-Ac)	4-(-Cl)
2052	5- 	-H
2053	5- 	4-(-F)
2054	5- 	4-(-Cl)
2055	5- 	4-(-CN)
2056	5- 	4-(-NO_2)
2057	5- 	4-(-Me)
2058	5- 	4-(-CF_3)
2059	5- 	4-(-Ac)
2060	5- 	4-(-CO_2H)
2061	5- 	4-(-CO_2Me)
2062	5- 	4- 
2063	5- 	4-(-$CONH_2$)
2064	5- 	4-{-CON(Me)$_2$}
2065	5- 	4-{-C(=NH)NH_2}
2066	5- 	4-(-OMe)
2067	5- 	4- 
2068	5- 	4-(-NHMe)
2069	5- 	4-(-NHAc)
2070	5- 	4- 

2071	5- 	4-(-SMe)
2072	5- 	4- 
2073	5- 	4- 
2074	5- 	4- 
2075	5- 	4- 
2076	5-(-$CONH_2$)	-H
2077	5-(-$CONH_2$)	4-(-F)
2078	5-(-$CONH_2$)	2,3,4,5,6-penta-(-F)
2079	5-(-$CONH_2$)	2-(-Cl)
2080	5-(-$CONH_2$)	3-(-Cl)
2081	3-(-$CONH_2$)	2-(-Cl)
2082	3-(-$CONH_2$)	3-(-Cl)
2083	3-(-$CONH_2$)	4-(-Cl)
2084	4-(-$CONH_2$)	2-(-Cl)
2085	4-(-$CONH_2$)	3-(-Cl)
2086	4-(-$CONH_2$)	4-(-Cl)
2087	6-(-$CONH_2$)	2-(-Cl)
2088	6-(-$CONH_2$)	3-(-Cl)
2089	6-(-$CONH_2$)	4-(-Cl)
2090	5-(-$CONH_2$)	3,5-di-(-Cl)
2091	5-(-$CONH_2$)	4-(-CN)
2092	5-(-$CONH_2$)	4-(-NO_2)
2093	5-(-$CONH_2$)	4-(-Me)
2094	5-(-$CONH_2$)	2,6-di-(-Me)
2095	5-(-$CONH_2$)	4-(-CF_3)
2096	5-(-$CONH_2$)	4-(-Ac)
2097	5-(-$CONH_2$)	4-(-CO_2H)
2098	5-(-$CONH_2$)	4-(-CO_2Me)

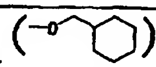
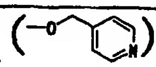
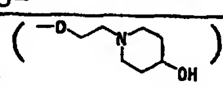
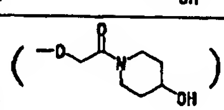
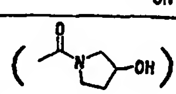
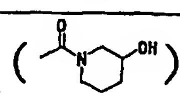
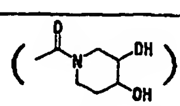
2099	5-(-CONH$_2$)	4- 
2100	5-(-CONH$_2$)	4-(-CONH$_2$)
2101	5-(-CONH$_2$)	3,5-di-(-CONH$_2$)
2102	5-(-CONH$_2$)	4-{-CON(Me)$_2$}
2103	5-(-CONH$_2$)	4-{-C(=NH)NH$_2$}
2104	5-(-CONH$_2$)	4-(-OMe)
2105	5-(-CONH$_2$)	3,4,5-tri-(-OMe)
2106	5-(-CONH$_2$)	4- 
2107	5-(-CONH$_2$)	4-(-NHMe)
2108	5-(-CONH$_2$)	4-(-NHAc)
2109	5-(-CONH$_2$)	4- 
2110	5-(-CONH$_2$)	4-(-SMe)
2111	5-(-CONH$_2$)	4- 
2112	5-(-CONH$_2$)	4- 
2113	5-(-CONH$_2$)	4- 
2114	5-(-CONH$_2$)	4- 
2115	5-{-CON(Me)$_2$}	-H
2116	5-{-CON(Me)$_2$}	4-(-F)
2117	4-{-CON(Me)$_2$}	4-(-Cl)
2118	5-{-CON(Me)$_2$}	4-(-CN)
2119	5-{-CON(Me)$_2$}	4-(-NO$_2$)
2120	5-{-CON(Me)$_2$}	4-(-Me)
2121	4-{-CON(Me)$_2$}	4-(-CF$_3$)
2122	5-{-CON(Me)$_2$}	4-(-Ac)
2123	5-{-CON(Me)$_2$}	4-(-CO$_2$H)
2124	5-{-CON(Me)$_2$}	4-(-CO$_2$Me)

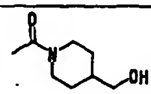
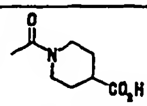
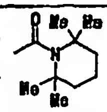
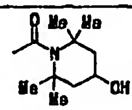
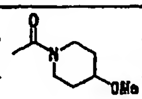
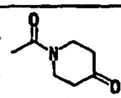
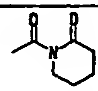
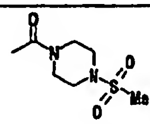
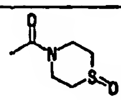
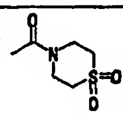
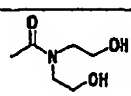
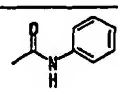
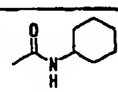
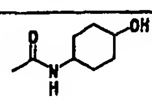
2125	5-{-CON(Me)$_2$}	4- 
2126	5-{-CON(Me)$_2$}	3-(-CONH$_2$)
2127	4-{-CON(Me)$_2$}	4-{-CON(Me)$_2$}
2128	5-{-CON(Me)$_2$}	4-{-C(=NH)NH$_2$}
2129	5-{-CON(Me)$_2$}	4-(-OMe)
2130	5-{-CON(Me)$_2$}	4- 
2131	5-{-CON(Me)$_2$}	4-(-NHMe)
2132	5-{-CON(Me)$_2$}	4-(-NHAc)
2133	5-{-CON(Me)$_2$}	4- 
2134	4-{-CON(Me)$_2$}	4-(-SMe)
2135	5-{-CON(Me)$_2$}	4- 
2136	4-{-CON(Me)$_2$}	4- 
2137	5-{-CON(Me)$_2$}	4- 
2138	5-{-CON(Me)$_2$}	4- 
2139	5-(-OMe)	-H
2140	5-(-OMe)	4-(-F)
2141	3-(-OMe)	4-(-Cl)
2142	4-(-OMe)	4-(-Cl)
2143	5-(-OMe)	2-(-Cl)
2144	5-(-OMe)	3-(-Cl)
2145	6-(-OMe)	4-(-Cl)
2146	5-(-OMe)	4-(-CN)
2147	5-(-OMe)	4-(-NO$_2$)
2148	5-(-OMe)	4-(-Me)
2149	5-(-OMe)	4-(-CF$_3$)
2150	5-(-OMe)	4-(-Ac)

2151	4-(-OMe)	4-(-CO_2H)
2152	4,5-di-(-OMe)	4-(-CO_2H)
2153	5-(-OMe)	4-(-CO_2Me)
2154	5-(-OMe)	4- 
2155	5-(-OMe)	4-(-$CONH_2$)
2156	5-(-OMe)	4-{-CON(Me)$_2$}
2157	5-(-OMe)	4-{-C(=NH)NH_2}
2158	5-(-OMe)	4-(-OMe)
2159	5-(-OMe)	4- 
2160	5-(-OMe)	4-(-NHMe)
2161	5-(-OMe)	4-(-NHAc)
2162	5-(-OMe)	4-(-NH-SO_2-Me)
2163	5-(-OMe)	4-(-SMe)
2164	5-(-OMe)	4-(-S(=O)-Me)
2165	5-(-OMe)	4-(-SO_2-Me)
2166	5-(-OMe)	4-(-SO_2-NH_2)
2167	5-(-OMe)	4-{-SO_2-N(Me)$_2$}
2168	5-(-NHMe)	4-(-F)
2169	5-(-NHMe)	4-(-Cl)
2170	5-(-NHAc)	4-(-F)
2171	5-(-NHAc)	4-(-Cl)
2172	5-(-NHAc)	4-(-Ac)
2173	5-(-NHAc)	4-(-$CONH_2$)
2174	5-(-NHAc)	4-{-CON(Me)$_2$}
2175	5-(-NH-SO_2-Me)	4-(-F)

2176	4-($-NH-SO_2-Me$)	4-(-Cl)
2177	5-($-NH-SO_2-Me$)	4-(-Me)
2178	5-($-NH-SO_2-Me$)	4-($-CF_3$)
2179	5-($-NH-SO_2-Me$)	4-($-CO_2H$)
2180	5-($-NH-SO_2-Me$)	4-($-CO_2Me$)
2181	5-($-NH-SO_2-Me$)	4- 
2182	5-($-NH-SO_2-Me$)	4-(-SMe)
2183	5-($-NH-SO_2-Me$)	4-($-S(O)-Me$)
2184	5-($-NH-SO_2-Me$)	4-($-SO_2-Me$)
2185	5-(-SMe)	4-(-F)
2186	4-(-SMe)	4-(-Cl)
2187	5-(-SMe)	4-(-Me)
2188	5-(-SMe)	4-($-CF_3$)
2189	5-(-SMe)	4-(-Ac)
2190	5-(-SMe)	4-($-CONH_2$)
2191	5-(-SMe)	4-($-CON(Me)_2$)
2192	5-($-S(O)-Me$)	4-(-F)
2193	4-($-S(O)-Me$)	4-(-Cl)
2194	5-($-S(O)-Me$)	4-(-Me)
2195	5-($-S(O)-Me$)	4-($-CF_3$)
2196	5-($-S(O)-Me$)	4-(-Ac)
2197	5-($-S(O)-Me$)	4-($-CONH_2$)

2198	$5\text{-}\left(-\overset{O}{\overset{\|}{S}}-Me\right)$	4-{-CON(Me)$_2$}
2199	$5\text{-}\left(-\overset{O}{\underset{O}{S}}-Me\right)$	4-(-F)
2200	$4\text{-}\left(-\overset{O}{\underset{O}{S}}-Me\right)$	4-(-Cl)
2201	$5\text{-}\left(-\overset{O}{\underset{O}{S}}-Me\right)$	4-(-Me)
2202	$5\text{-}\left(-\overset{O}{\underset{O}{S}}-Me\right)$	4-(-CF$_3$)
2203	$5\text{-}\left(-\overset{O}{\underset{O}{S}}-Me\right)$	4-(-Ac)
2204	$5\text{-}\left(-\overset{O}{\underset{O}{S}}-Me\right)$	4-(-CONH$_2$)
2205	$5\text{-}\left(-\overset{O}{\underset{O}{S}}-Me\right)$	4-{-CON(Me)$_2$}
2206	$5\text{-}\left(-\overset{O}{\underset{O}{S}}-NH_2\right)$	4-(-F)
2207	$4\text{-}\left(-\overset{O}{\underset{O}{S}}-NH_2\right)$	4-(-Cl)
2208	$4\text{-}\left(-\overset{O}{\underset{O}{S}}-NH_2\right)$	2,4-di-(-Cl)
2209	$5\text{-}\left(-\overset{O}{\underset{O}{S}}-NH_2\right)$	4-(-Me)
2210	$5\text{-}\left(-\overset{O}{\underset{O}{S}}-NH_2\right)$	3-(-CF$_3$)
2211	$5\text{-}\left(-\overset{O}{\underset{O}{S}}-NH_2\right)$	4-(-CF$_3$)
2212	$5\text{-}\left(-\overset{O}{\underset{O}{S}}-NH_2\right)$	4-(-CONH$_2$)
2213	$5\text{-}\left(-\overset{O}{\underset{O}{S}}-NH_2\right)$	4-{-CON(Me)$_2$}
2214	$5\text{-}\left(-\overset{O}{\underset{O}{S}}-NH_2\right)$	4-(-SMe)
2215	$5\text{-}\left(-\overset{O}{\underset{O}{S}}-NH_2\right)$	$4\text{-}\left(-\overset{O}{\overset{\|}{S}}-Me\right)$
2216	$5\text{-}\left(-\overset{O}{\underset{O}{S}}-NH_2\right)$	$4\text{-}\left(-\overset{O}{\underset{O}{S}}-Me\right)$

2217	5-{$-SO_2-N(Me)_2$}	4-(-F)
2218	4-{$-SO_2-N(Me)_2$}	4-(-Cl)
2219	5-{$-SO_2-N(Me)_2$}	4-(-Me)
2220	5-{$-SO_2-N(Me)_2$}	4-($-CF_3$)
2221	5-{$-SO_2-N(Me)_2$}	4-($-CONH_2$)
2222	5-{$-SO_2-N(Me)_2$}	4-{$-CON(Me)_2$}
2223	5-{$-SO_2-N(Me)_2$}	4-(-SMe)
2224	5-{$-SO_2-N(Me)_2$}	4-($-S(=O)-Me$)
2225	5-{$-SO_2-N(Me)_2$}	4-($-SO_2-Me$)
2226	5-{$-O-(CH_2)_2-OH$}	4-(-Cl)
2227	5-{$-O-(CH_2)_3-OH$}	4-(-Cl)
2228	5- 	4-(-Cl)
2229	5- 	4-(-Cl)
2230	5- 	4-(-Cl)
2231	5- 	4-(-Cl)
2232	5- 	4-(-Cl)
2233	5- 	4-(-Cl)
2234	5- 	4-(-Cl)
2235	5- 	4-(-Cl)

2236	5-()	4-(-Cl)
2237	5-()	4-(-Cl)
2238	5-()	4-(-Cl)
2239	5-()	4-(-Cl)
2240	5-()	4-(-Cl)
2241	5-()	4-(-Cl)
2242	5-()	4-(-Cl)
2243	5-()	4-(-Cl)
2244	5-()	4-(-Cl)
2245	5-()	4-(-Cl)
2246	5-()	4-(-Cl)
2247	5-()	4-(-Cl)
2248	4-()	4-(-Cl)
2249	5-()	4-(-Cl)

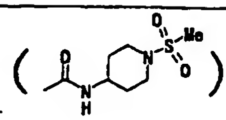
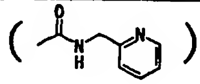
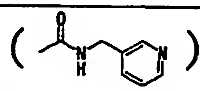
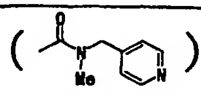
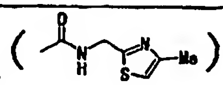
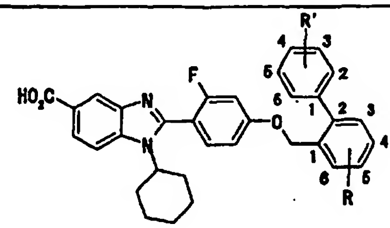
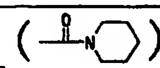
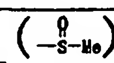
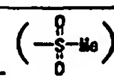
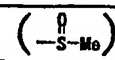
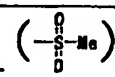
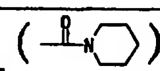
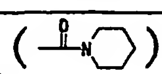
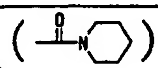
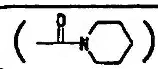
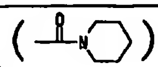
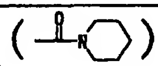
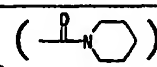
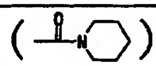
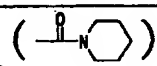
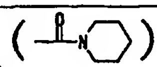
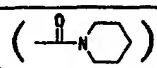
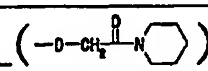
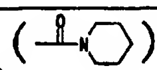
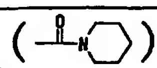
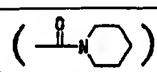
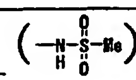
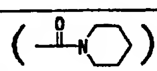
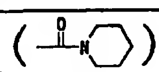
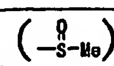
2250	5- 	4-(-Cl)
2251	4- 	4-(-Cl)
2252	4- 	4-(-Cl)
2253	5- 	4-(-Cl)
2254	5- 	4-(-Cl)

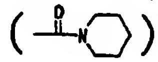
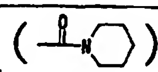
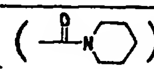
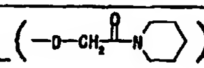
Table 214

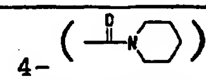
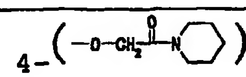


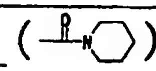
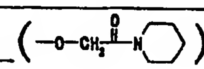
Ex. No.	R	R'
2255	-H	-H
2256	-H	4-(-Me)
2257	-H	3-(-CF_3)
2258	5-(-F)	-H
2259	5-(-F)	4-(-F)
2260	5-(-F)	4-(-Cl)
2261	5-(-F)	4-(-Me)
2262	5-(-F)	4-(-CF_3)
2263	5-(-F)	4-(-CO_2H)
2264	5-(-F)	4-(-CO_2Me)
2265	5-(-F)	4- 
2266	5-(-F)	4-(-$CONH_2$)
2267	5-(-F)	4-{-CON$(Me)_2$}
2268	5-(-F)	4-(-OMe)
2269	5-(-F)	4-(-SMe)
2270	5-(-F)	4- 
2271	5-(-F)	4- 
2272	4-(-Cl)	-H
2273	5-(-Cl)	4-(-F)
2274	4-(-Cl)	4-(-Cl)
2275	5-(-Cl)	4-(-Me)
2276	5-(-Cl)	4-(-CF_3)

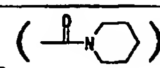
2277	5-(-Cl)	4-(-CO_2H)
2278	5-(-Cl)	4-(-CO_2Me)
2279	5-(-Cl)	4- 
2280	5-(-Cl)	4-(-CONH2)
2281	5-(-Cl)	4-{-CON(Me)$_2$}
2282	5-(-Cl)	4-(-OMe)
2283	5-(-Cl)	4-(-SMe)
2284	5-(-Cl)	4- 
2285	5-(-Cl)	4- 
2286	5-(-CN)	4-(-F)
2287	5-(-CN)	4-(-Cl)
2288	5-(-NO_2)	4-(-F)
2289	5-(-NO_2)	4-(-Cl)
2290	5-(-Me)	4-(-CO_2H)
2291	5-(-Me)	4-(-CO_2Me)
2292	5-(-Me)	4- 
2293	5-(-CF_3)	4-(-CO_2H)
2294	5-(-CF_3)	4-(-CO_2Me)
2295	5-(-CF_3)	4- 
2296	5-(-CO_2H)	4-(-F)
2297	4-(-CO_2H)	4-(-Cl)
2298	5-(-CO_2Me)	4-(-F)
2299	5-(-CO_2Me)	4-(-Cl)
2300	5-(-Ac)	4-(-F)
2301	5-(-Ac)	4-(-Cl)
2302	5- 	-H
2303	5- 	4-(-F)

2304	4- 	4-(-Cl)
2305	5- 	4-(-CN)
2306	5- 	4-(-NO_2)
2307	5- 	4-(-Me)
2308	5- 	4-(-CF_3)
2309	5- 	4-(-Ac)
2310	5- 	4-(-CO_2H)
2311	5- 	4-(-CO_2Me)
2312	5- 	4- 
2313	5- 	4-(-$CONH_2$)
2314	5- 	4-{-CON$(Me)_2$}
2315	5- 	4-{-C(=NH)NH_2}
2316	5- 	4-(-OMe)
2317	5- 	4- 
2318	5- 	4-(-NHMe)
2319	5- 	4-(-NHAc)
2320	5- 	4- 
2321	5- 	4-(-SMe)
2322	5- 	4- 

2323	5- 	4-(-SO_2-Me)
2324	5- 	4-(-SO_2-NH_2)
2325	5- 	4-{-SO_2-N(Me)$_2$}
2326	5-(-$CONH_2$)	-H
2327	5-(-$CONH_2$)	4-(-F)
2328	4-(-$CONH_2$)	4-(-Cl)
2329	5-(-$CONH_2$)	4-(-CN)
2330	5-(-$CONH_2$)	4-(-NO_2)
2331	5-(-$CONH_2$)	4-(-Me)
2332	5-(-$CONH_2$)	4-(-CF_3)
2333	5-(-$CONH_2$)	4-(-Ac)
2334	5-(-$CONH_2$)	4-(-CO_2H)
2335	5-(-$CONH_2$)	4-(-CO_2Me)
2336	5-(-$CONH_2$)	4- 
2337	5-(-$CONH_2$)	4-(-$CONH_2$)
2338	5-(-$CONH_2$)	4-{-CON(Me)$_2$}
2339	5-(-$CONH_2$)	4-{-C(=NH)NH_2}
2340	5-(-$CONH_2$)	4-(-OMe)
2341	5-(-$CONH_2$)	4- 
2342	5-(-$CONH_2$)	4-(-NHMe)
2343	5-(-$CONH_2$)	4-(-NHAc)
2344	5-(-$CONH_2$)	4-(-NH-SO_2-Me)
2345	5-(-$CONH_2$)	4-(-SMe)
2346	5-(-$CONH_2$)	4-(-SO-Me)
2347	5-(-$CONH_2$)	4-(-SO_2-Me)

2348	5-(-CONH$_2$)	4-(-S(=O)(=O)-NH$_2$)
2349	5-(-CONH$_2$)	4-{-S(=O)(=O)-N(Me)$_2$}
2350	5-{-CON(Me)$_2$}	-H
2351	5-{-CON(Me)$_2$}	4-(-F)
2352	4-{-CON(Me)$_2$}	4-(-Cl)
2353	5-{-CON(Me)$_2$}	4-(-CN)
2354	5-{-CON(Me)$_2$}	4-(-NO$_2$)
2355	5-{-CON(Me)$_2$}	4-(-Me)
2356	5-{-CON(Me)$_2$}	4-(-CF$_3$)
2357	5-{-CON(Me)$_2$}	4-(-Ac)
2358	5-{-CON(Me)$_2$}	4-(-CO$_2$H)
2359	5-{-CON(Me)$_2$}	4-(-CO$_2$Me)
2360	5-{-CON(Me)$_2$}	
2361	5-{-CON(Me)$_2$}	4-(-CONH$_2$)
2362	5-{-CON(Me)$_2$}	4-{-CON(Me)$_2$}
2363	5-{-CON(Me)$_2$}	4-{-C(=NH)NH$_2$}
2364	5-{-CON(Me)$_2$}	4-(-OMe)
2365	5-{-CON(Me)$_2$}	
2366	5-{-CON(Me)$_2$}	4-(-NHMe)
2367	5-{-CON(Me)$_2$}	4-(-NHAc)
2368	5-{-CON(Me)$_2$}	4-(-NH-S(=O)(=O)-Me)
2369	5-{-CON(Me)$_2$}	4-(-SMe)
2370	5-{-CON(Me)$_2$}	4-(-S(=O)-Me)
2371	5-{-CON(Me)$_2$}	4-(-S(=O)(=O)-Me)
2372	5-{-CON(Me)$_2$}	4-(-S(=O)(=O)-NH$_2$)

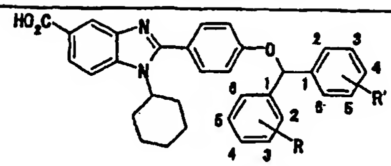
2373	5-{-CON(Me)$_2$}	4-{-S(=O)(=O)-N(Me)$_2$}
2374	5-(-OMe)	-H
2375	5-(-OMe)	4-(-F)
2376	5-(-OMe)	4-(-Cl)
2377	5-(-OMe)	4-(-CN)
2378	5-(-OMe)	4-(-NO$_2$)
2379	5-(-OMe)	4-(-Me)
2380	5-(-OMe)	4-(-CF$_3$)
2381	5-(-OMe)	4-(-Ac)
2382	5-(-OMe)	4-(-CO$_2$H)
2383	5-(-OMe)	4-(-CO$_2$Me)
2384	5-(-OMe)	4- 
2385	5-(-OMe)	4-(-CONH$_2$)
2386	5-(-OMe)	4-{-CON(Me)$_2$}
2387	5-(-OMe)	4-{-C(=NH)NH$_2$}
2388	5-(-OMe)	4-(-OMe)
2389	5-(-OMe)	4- 
2390	5-(-OMe)	4-(-NHMe)
2391	5-(-OMe)	4-(-NHAc)
2392	5-(-OMe)	4-(-NH-S(=O)(=O)-Me)
2393	5-(-OMe)	4-(-SMe)
2394	5-(-OMe)	4-(-S(=O)-Me)
2395	5-(-OMe)	4-(-S(=O)(=O)-Me)
2396	5-(-OMe)	4-(-S(=O)(=O)-NH$_2$)
2397	5-(-OMe)	4-{-S(=O)(=O)-N(Me)$_2$}
2398	5-(-NHMe)	4-(-F)

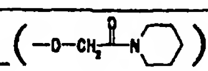
2399	5-(-NHMe)	4-(-Cl)
2400	5-(-NHAc)	4-(-F)
2401	5-(-NHAc)	4-(-Cl)
2402	5-(-NHAc)	4-(-Ac)
2403	5-(-NHAc)	4-(-$CONH_2$)
2404	5-(-NHAc)	4-{-CON(Me)$_2$}
2405	5-(-NH-SO_2-Me)	4-(-F)
2406	5-(-NH-SO_2-Me)	4-(-Cl)
2407	5-(-NH-SO_2-Me)	4-(-Me)
2408	5-(-NH-SO_2-Me)	4-(-CF_3)
2409	5-(-NH-SO_2-Me)	4-(-CO_2H)
2410	5-(-NH-SO_2-Me)	4-(-CO_2Me)
2411	5-(-NH-SO_2-Me)	4- 
2412	5-(-NH-SO_2-Me)	4-(-SMe)
2413	5-(-NH-SO_2-Me)	4-(-S(O)-Me)
2414	5-(-NH-SO_2-Me)	4-(-SO_2-Me)
2415	5-(-SMe)	4-(-F)
2416	5-(-SMe)	4-(-Cl)
2417	5-(-SMe)	4-(-Me)
2418	5-(-SMe)	4-(-CF_3)
2419	5-(-SMe)	4-(-Ac)
2420	5-(-SMe)	4-(-$CONH_2$)
2421	5-(-SMe)	4-{-CON(Me)$_2$}
2422	5-(-S(O)-Me)	4-(-F)

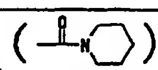
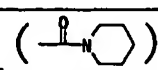
2423	5-(-S(=O)-Me)	4-(-Cl)
2424	5-(-S(=O)-Me)	4-(-Me)
2425	5-(-S(=O)-Me)	4-(-CF_3)
2426	5-(-S(=O)-Me)	4-(-Ac)
2427	5-(-S(=O)-Me)	4-(-$CONH_2$)
2428	5-(-S(=O)-Me)	4-{-CON(Me)$_2$}
2429	5-(-S(=O)$_2$-Me)	4-(-F)
2430	5-(-S(=O)$_2$-Me)	4-(-Cl)
2431	5-(-S(=O)$_2$-Me)	4-(-Me)
2432	5-(-S(=O)$_2$-Me)	4-(-CF_3)
2433	5-(-S(=O)$_2$-Me)	4-(-Ac)
2434	5-(-S(=O)$_2$-Me)	4-(-$CONH_2$)
2435	5-(-S(=O)$_2$-Me)	4-{-CON(Me)$_2$}
2436	5-(-S(=O)$_2$-NH_2)	4-(-F)
2437	5-(-S(=O)$_2$-NH_2)	4-(-Cl)
2438	5-(-S(=O)$_2$-NH_2)	4-(-Me)
2439	5-(-S(=O)$_2$-NH_2)	4-(-CF_3)
2440	5-(-S(=O)$_2$-NH_2)	4-(-$CONH_2$)
2441	5-(-S(=O)$_2$-NH_2)	4-{-CON(Me)$_2$}

2442	5-$(-SO_2-NH_2)$	4-(-SMe)
2443	5-$(-SO_2-NH_2)$	4-$(-S(O)-Me)$
2444	5-$(-SO_2-NH_2)$	4-$(-SO_2-Me)$
2445	5-$\{-SO_2-N(Me)_2\}$	4-(-F)
2446	5-$\{-SO_2-N(Me)_2\}$	4-(-Cl)
2447	5-$\{-SO_2-N(Me)_2\}$	4-(-Me)
2448	5-$\{-SO_2-N(Me)_2\}$	4-$(-CF_3)$
2449	5-$\{-SO_2-N(Me)_2\}$	4-$(-CONH_2)$
2450	5-$\{-SO_2-N(Me)_2\}$	4-$\{-CON(Me)_2\}$
2451	5-$\{-SO_2-N(Me)_2\}$	4-(-SMe)
2452	5-$\{-SO_2-N(Me)_2\}$	4-$(-S(O)-Me)$
2453	5-$\{-SO_2-N(Me)_2\}$	4-$(-SO_2-Me)$

Table 215



Ex.No.	R	R'
2454	2-(-F)	2-(-F)
2455	2-(-F)	3-(-F)
2456	2-(-F)	4-(-F)
2457	3-(-Cl)	3-(-Cl)
2458	3,5-di-(-Cl)	3,5-di-(-Cl)
2459	3-(-CN)	3-(-CN)
2460	3-($-NO_2$)	3-($-NO_2$)
2461	3-(-Me)	3-(-Me)
2462	3-($-CF_3$)	3-($-CF_3$)
2463	3-(-Ac)	3-(-Ac)
2464	3-($-CO_2H$)	3-($-CO_2H$)
2465	3-($-CO_2Me$)	3-($-CO_2Me$)
2466	3- 	3- 
2467	3-($-CONH_2$)	3-($-CONH_2$)
2468	3-($-CONH_2$)	3-(-F)
2469	3-($-CONH_2$)	3-(-Cl)
2470	3-{$-CON(Me)_2$}	3-{$-CON(Me)_2$}
2471	3-{$-CON(Me)_2$}	3-(-F)
2472	3-{$-CON(Me)_2$}	3-(-Cl)
2473	3-{$-C(=NH)NH_2$}	3-{$-C(=NH)NH_2$}
2474	3-(-OMe)	3-(-OMe)
2475	3- 	3- 
2476	3-(-NHMe)	3-(-NHMe)

2477	3-(-NHAc)	3-(-NHAc)
2478	3-(-NH-SO$_2$-Me)	3-(-NH-SO$_2$-Me)
2479	3-(-SMe)	3-(-SMe)
2480	3-(-SO-Me)	3-(-SO-Me)
2481	3-(-SO$_2$-Me)	3-(-SO$_2$-Me)
2482	3-(-SO$_2$-NH$_2$)	3-(-SO$_2$-NH$_2$)
2483	3-{-SO$_2$-N(Me)$_2$}	3-{-SO$_2$-N(Me)$_2$}
2484	3-(-F)	4-(-F)
2485	3-(-Cl)	4-(-Cl)
2486	4-(-CN)	4-(-CN)
2487	4-(-NO$_2$)	4-(-NO$_2$)
2488	3-(-Me)	4-(-Me)
2489	4-(-Me)	2,6-di-(-Me)
2490	4-(-CF$_3$)	4-(-CF$_3$)
2491	4-(-Ac)	4-(-Ac)
2492	4-(-CO$_2$H)	4-(-CO$_2$H)
2493	4-(-CO$_2$Me)	4-(-CO$_2$Me)
2494	4-	4-
2495	4-(-CONH$_2$)	4-(-CONH$_2$)
2496	4-(-CONH$_2$)	4-(-F)
2497	4-(-CONH$_2$)	2,3,4,5,6-penta-(-F)
2498	4-(-CONH$_2$)	4-(-Cl)
2499	4-{-CON(Me)$_2$}	4-{-CON(Me)$_2$}
2500	4-{-CON(Me)$_2$}	4-(-F)
2501	4-{-CON(Me)$_2$}	4-(-Cl)
2502	4-{-CON(Me)$_2$}	3,5-di-(-Cl)
2503	4-{-C(=NH)NH$_2$}	4-{-C(=NH)NH$_2$}

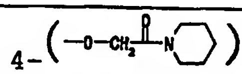
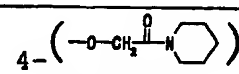
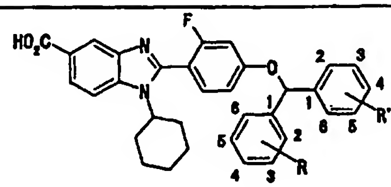
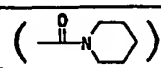
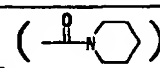
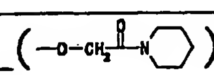
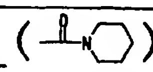
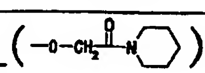
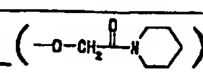
2504	4-(-OMe)	4-(-OMe)
2505	4-(-OMe)	3,4,5-tri-(-OMe)
2506		
2507	4-(-NHMe)	4-(-NHMe)
2508	4-(-NHAc)	4-(-NHAc)
2509	4-$\left(-\underset{H}{N}-\overset{O}{\underset{O}{\overset{\parallel}{\underset{\parallel}{S}}}}-Me\right)$	4-$\left(-\underset{H}{N}-\overset{O}{\underset{O}{\overset{\parallel}{\underset{\parallel}{S}}}}-Me\right)$
2510	4-(-SMe)	4-(-SMe)
2511	4-$\left(-\overset{O}{\overset{\parallel}{S}}-Me\right)$	4-$\left(-\overset{O}{\overset{\parallel}{S}}-Me\right)$
2512	4-$\left(-\overset{O}{\underset{O}{\overset{\parallel}{\underset{\parallel}{S}}}}-Me\right)$	4-$\left(-\overset{O}{\underset{O}{\overset{\parallel}{\underset{\parallel}{S}}}}-Me\right)$
2513	4-$\left(-\overset{O}{\underset{O}{\overset{\parallel}{\underset{\parallel}{S}}}}-NH_2\right)$	4-$\left(-\overset{O}{\underset{O}{\overset{\parallel}{\underset{\parallel}{S}}}}-NH_2\right)$
2514	4-$\left\{-\overset{O}{\underset{O}{\overset{\parallel}{\underset{\parallel}{S}}}}-N(Me)_2\right\}$	4-$\left\{-\overset{O}{\underset{O}{\overset{\parallel}{\underset{\parallel}{S}}}}-N(Me)_2\right\}$

Table 216

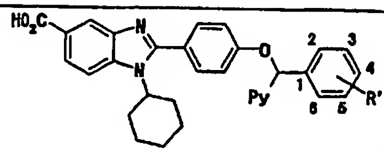


Ex.No.	R	R'
2515	-H	-H
2516	2-(-F)	3-(-F)
2517	3-(-Cl)	3-(-Cl)
2518	3-(-CN)	3-(-CN)
2519	3-(-NO_2)	3-(-NO_2)
2520	3-(-Me)	3-(-Me)
2521	3-(-CF_3)	3-(-CF_3)
2522	3-(-Ac)	3-(-Ac)
2523	3-(-CO_2H)	3-(-CO_2H)
2524	3-(-CO_2Me)	3-(-CO_2Me)
2525	3- 	3- 
2526	3-(-$CONH_2$)	3-(-$CONH_2$)
2527	3-(-$CONH_2$)	3-(-F)
2528	3-(-$CONH_2$)	3-(-Cl)
2529	3-{-CON$(Me)_2$}	3-{-CON$(Me)_2$}
2530	3-{-CON$(Me)_2$}	3-(-F)
2531	3-{-CON$(Me)_2$}	3-(-Cl)
2532	3-{-C(=NH)NH_2}	3-{-C(=NH)NH_2}
2533	3-(-OMe)	3-(-OMe)
2534	3- 	3- 
2535	3-(-NHMe)	3-(-NHMe)
2536	3-(-NHAc)	3-(-NHAc)

2537	$3-(-\underset{H}{N}-\overset{O}{\underset{O}{S}}-Me)$	$3-(-\underset{H}{N}-\overset{O}{\underset{O}{S}}-Me)$
2538	3-(-SMe)	3-(-SMe)
2539	$3-(-\overset{O}{S}-Me)$	$3-(-\overset{O}{S}-Me)$
2540	$3-(-\overset{O}{\underset{O}{S}}-Me)$	$3-(-\overset{O}{\underset{O}{S}}-Me)$
2541	$3-(-\overset{O}{\underset{O}{S}}-NH_2)$	$3-(-\overset{O}{\underset{O}{S}}-NH_2)$
2542	$3-\{-\overset{O}{\underset{O}{S}}-N(Me)_2\}$	$3-\{-\overset{O}{\underset{O}{S}}-N(Me)_2\}$
2543	3-(-F)	4-(-F)
2544	4-(-Cl)	4-(-Cl)
2545	4-(-CN)	4-(-CN)
2546	4-($-NO_2$)	4-($-NO_2$)
2547	4-(-Me)	4-(-Me)
2548	4-($-CF_3$)	4-($-CF_3$)
2549	4-(-Ac)	4-(-Ac)
2550	3-($-CO_2H$)	4-($-CO_2H$)
2551	4-($-CO_2Me$)	4-($-CO_2Me$)
2552	4- 	4- 
2553	4-($-CONH_2$)	4-($-CONH_2$)
2554	4-($-CONH_2$)	4-(-F)
2555	4-($-CONH_2$)	4-(-Cl)
2556	3-{$-CON(Me)_2$}	4-{$-CON(Me)_2$}
2557	3-{$-CON(Me)_2$}	4-(-F)
2558	4-{$-CON(Me)_2$}	4-(-Cl)
2559	4-{$-C(=NH)NH_2$}	4-{$-C(=NH)NH_2$}
2560	4-(-OMe)	4-(-OMe)
2561	4- 	4- 
2562	4-(-NHMe)	4-(-NHMe)
2563	4-(-NHAc)	4-(-NHAc)

2564	$4\text{-}(-NH-SO_2-Me)$	$4\text{-}(-NH-SO_2-Me)$
2565	4-(-SMe)	4-(-SMe)
2566	$4\text{-}(-S(=O)-Me)$	$4\text{-}(-S(=O)-Me)$
2567	$4\text{-}(-SO_2-Me)$	$4\text{-}(-SO_2-Me)$
2568	$4\text{-}(-SO_2-NH_2)$	$4\text{-}(-SO_2-NH_2)$
2569	$4\text{-}\{-SO_2-N(Me)_2\}$	$4\text{-}\{-SO_2-N(Me)_2\}$

Table 217



Py : pyridyl group

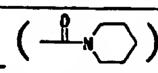
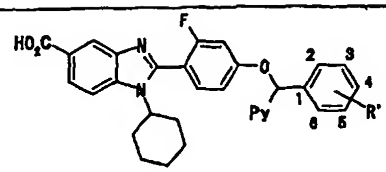
Ex.No.	Py	R'
2570	3-Py	-H
2571	3-Py	3-(-F)
2572	3-Py	3-(-Cl)
2573	3-Py	3-(-Me)
2574	3-Py	3-(-CF_3)
2575	3-Py	3-(-Ac)
2576	3-Py	3-(-CO_2H)
2577	3-Py	3-(-CO_2Me)
2578	3-Py	3- 
2579	3-Py	3-(-$CONH_2$)
2580	3-Py	3-{-CON$(Me)_2$}
2581	3-Py	4-(-F)
2582	3-Py	4-(-Cl)
2583	3-Py	4-(-Me)
2584	3-Py	4-(-CF_3)
2585	3-Py	4-(-Ac)
2586	2-Py	4-(-CO_2H)
2587	3-Py	4-(-CO_2Me)
2588	3-Py	4- 
2589	4-Py	4-(-$CONH_2$)
2590	3-Py	4-{-CON$(Me)_2$}

Table 218



Py : pyridyl group

Ex.No.	Py	R'
2591	3-Py	-H
2592	3-Py	3-(-F)
2593	3-Py	3-(-Cl)
2594	3-Py	3-(-Me)
2595	3-Py	3-(-CF_3)
2596	3-Py	3-(-Ac)
2597	3-Py	3-(-CO_2H)
2598	3-Py	3-(-CO_2Me)
2599	3-Py	3- 
2600	3-Py	3-(-$CONH_2$)
2601	3-Py	3-{-CON$(Me)_2$}
2602	3-Py	4-(-F)
2603	3-Py	4-(-Cl)
2604	3-Py	4-(-Me)
2605	3-Py	4-(-CF_3)
2606	3-Py	4-(-Ac)
2607	3-Py	4-(-CO_2H)
2608	3-Py	4-(-CO_2Me)
2609	3-Py	4- 
2610	3-Py	4-(-$CONH_2$)
2611	3-Py	4-{-CON$(Me)_2$}

[0301] Formulation Example is given in the following. This example is merely for the purpose of exemplification and does not limit the invention.

Formulation Example

[0302]

(a)	compound of Example 1	10 g
(b)	lactose	50 g
(c)	corn starch	15 g
(d)	sodium carboxymethylcellulose	44 g
(e)	magnesium stearate	1 g

[0303] The entire amounts of (a), (b) and (c) and 30 g of (d) are kneaded with water, dried in vacuo and granulated. The obtained granules are mixed with 14 g of (d) and 1 g of (e) and processed into tablets with a tableting machine to give 1000 tablets each containing 10 mg of (a).

Industrial Applicability

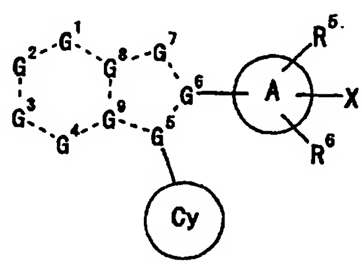
[0304] As is evident from the above-mentioned results, the compound of the present invention shows a high inhibitory activity against HCV polymerase.

[0305] Therefore, the compound of the present invention can provide a pharmaceutical agent effective for the prophylaxis or treatment of hepatitis C, based on the anti-HCV effect afforded by the HCV polymerase inhibitory activity. When used concurrently with a different anti-HCV agent, such as interferon, and/or an anti-inflammatory agent and the like, it can provide a pharmaceutical agent more effective for the prophylaxis or treatment of hepatitis C. Its high inhibitory activity specific to HCV polymerase suggests the possibility of the compound being a pharmaceutical agent with slight side effects, which can be used safely for humans.

[0306] This application is based on patent application No. 369008/1999 filed in Japan, the contents of which are hereby incorporated by reference.

Claims

1. A therapeutic agent for hepatitis C, which comprises a fused ring compound of the following formula [I] or a pharmaceutically acceptable salt thereof as an active ingredient:



[I]

wherein
a broken line is a single bond or a double bond,

G^1	is C(-R^1) or a nitrogen atom,
G^2	is C(-R^2) or a nitrogen atom,
G^3	is C(-R^3) or a nitrogen atom,
G^4	is C(-R^4) or a nitrogen atom,
G^5, G^6, G^8 and G^9	are each independently a carbon atom or a nitrogen atom,
G^7	is C(-R^7), an oxygen atom, a sulfur atom, or a nitrogen atom optionally substituted by R^8,

wherein R^1, R^2, R^3 and R^4 are each independently,

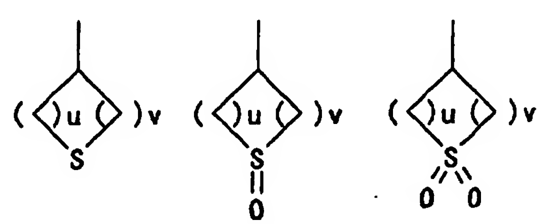
(1) hydrogen atom,

(2) C_{1-6} alkanoyl,
(3) carboxyl,
(4) cyano,
(5) nitro,
(6) C_{1-6} alkyl optionally substituted by 1 to 3 substituent(s) selected from the following group A,
group A; halogen atom, hydroxyl group, carboxyl, amino, C_{1-6} alkoxy, C_{1-6} alkoxycarbonyl and C_{1-6} alkylamino,
(7) $-COOR^{a1}$
wherein R^{a1} is optionally substituted C_{1-6} alkyl (as defined above) or C_{6-14} aryl C_{1-6} alkyl optionally substituted by 1 to 5 substituent(s) selected from the following group B,
group B; halogen atom, cyano, nitro, C_{1-6} alkyl, halogenated C_{1-6} alkyl, C_{1-6} alkanoyl, $-(CH_2)_r-COOR^{b1}$, $-(CH_2)_r-CONR^{b1}R^{b2}$, $-(CH_2)_r-NR^{b1}R^{b2}$, $-(CH_2)_r-NR^{b1}-COR^{b2}$, $-(CH_2)_r-NHSO_2R^{b1}$, $-(CH_2)_r-OR^{b1}$, $-(CH_2)_r-SR^{b1}$, $-(CH_2)_r-SO_2R^{b1}$ and $-(CH_2)_r-SO_2NR^{b1}R^{b2}$
wherein R^{b1} and R^{b2} are each independently hydrogen atom or C_{1-6} alkyl and r is 0 or an integer of 1 to 6,
(8) $-CONR^{a2}R^{a3}$
wherein R^{a2} and R^{a3} are each independently hydrogen atom, C_{1-6} alkoxy or optionally substituted C_{1-6} alkyl (as defined above),
(9) $-C(=NR^{a4})NH_2$
wherein R^{a4} is hydrogen atom or hydroxyl group,
(10) $-NHR^{a5}$
wherein R^{a5} is hydrogen atom, C_{1-6} alkanoyl or C_{1-6} alkylsulfonyl,
(11) $-OR^{a6}$
wherein R^{a6} is hydrogen atom or optionally substituted C_{1-6} alkyl(as defined above),
(12) $-SO_2R^{a7}$
wherein R^{a7} is hydroxyl group, amino, C_{1-6} alkyl or C_{1-6} alkylamino
or
(13) $-P(=O)(OR^{a31})_2$
wherein R^{a31} is hydrogen atom, optionally substituted C_{1-6} alkyl (as defined above) or C_{6-14} aryl C_{1-6} alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B, and

R^7 and R^8 are each hydrogen atom or optionally substituted C_{1-6} alkyl(as defined above),

ring Cy is

(1) C_{3-8} cycloalkyl optionally substituted by 1 to 5 substituent(s) selected from the following group C, group C; hydroxyl group, halogen atom, C_{1-6} alkyl and C_{1-6} alkoxy,
(2) C_{3-8} cycloalkenyl optionally substituted by 1 to 5 substituent(s) selected from the above group C, or
(3)



wherein u and v are each independently an integer of 1 to 3,

ring A is

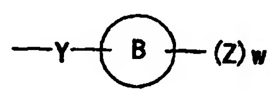
(1) C_{6-14} aryl,
(2) C_{3-8} cycloalkyl,
(3) C_{3-8} cycloalkenyl or
(4) heterocyclic group having 1 to 4 heteroatom(s) selected from an oxygen atom, a nitrogen atom and a sulfur atom,

R^5 and R^6 are each independently

(1) hydrogen atom,
(2) halogen atom,
(3) optionally substituted C_{1-6} alkyl (as defined above) or
(4) $-OR^{a8}$
wherein R^{a8} is hydrogen atom, C_{1-6} alkyl or C_{6-14} aryl C_{1-6} alkyl, and

X is

(1) hydrogen atom,
(2) halogen atom,
(3) cyano,
(4) nitro,
(5) amino, C_{1-6} alkanoylamino,
(6) C_{1-6} alkylsulfonyl,
(7) optionally substituted C_{1-6} alkyl (as defined above),
(8) C_{2-6} alkenyl optionally substituted by 1 to 3 substituent(s) selected from the above group A,
(9) $-COOR^{a9}$
wherein R^{a9} is hydrogen atom or C_{1-6} alkyl,
(10) $-CONH-(CH_2)_1-R^{a10}$
wherein R^{a10} is optionally substituted C_{1-6} alkyl (as defined above), C_{1-6} alkoxycarbonyl or C_{1-6} alkanoylamino and 1 is 0 or an integer of 1 to 6,
(11) $-OR^{a11}$
wherein R^{a11} is hydrogen atom or optionally substituted C_{1-6} alkyl (as defined above)
or
(12)



wherein
ring B is

(1') C_{6-14} aryl,
(2') C_{3-8} cycloalkyl or
(3') heterocyclic group (as defined above),

each Z is independently

(1') a group selected from the following group D,
(2') C_{6-14} aryl optionally substituted by 1 to 5 substituent(s) selected from the following group D,
(3') C_{3-8} cycloalkyl optionally substituted by 1 to 5 substituent(s) selected from the following group D,
(4') C_{6-14} aryl C_{1-6} alkyl optionally substituted by 1 to 5 substituent(s) selected from the following group D or
(5') heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the following group D

wherein the heterocyclic group has 1 to 4 hetero-atom(s) selected from an oxygen atom, a nitrogen atom and a sulfur atom,
group D:

(a) hydrogen atom,
(b) halogen atom,
(c) cyano,
(d) nitro,

(e) optionally substituted C_{1-6} alkyl (as defined above),
(f) -$(CH_2)_t$-COR^{a18},
(hereinafter each t means independently 0 or an integer of 1 to 6),
wherein R^{a18} is

(1") optionally substituted C_{1-6} alkyl (as defined above),
(2") C_{6-14} aryl optionally substituted by 1 to 5 substituent(s) selected from the above group B or
(3") heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the above group B
wherein the heterocyclic group has 1 to 4 heteroatom(s) selected from an oxygen atom, a nitrogen atom and a sulfur atom,

(g) -$(CH_2)_t$-$COOR^{a19}$
wherein R^{a19} is hydrogen atom, optionally substituted C_{1-6} alkyl (as defined above) or C_{6-14} aryl C_{1-6} alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
(h) -$(CH_2)_t$-$CONR^{a27}R^{a28}$
wherein R^{a27} and R^{a28} are each independently,

(1") hydrogen atom,
(2") optionally substituted C_{1-6} alkyl (as defined above),
(3") C_{6-14} aryl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
(4") C_{6-14} aryl C_{1-6} alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
(5") heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the above group B,
(6") heterocycle C_{1-6} alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
wherein the heterocycle C_{1-6} alkyl is C_{1-6} alkyl substituted by heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the above group B, as defined above,
(7") C_{3-8} cycloalkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B, or
(8") C_{3-8} cycloalkyl C_{1-6} alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,

(i) -$(CH_2)_t$-$C(=NR^{a33})NH_2$
wherein R^{a33} is hydrogen atom or C_{1-6} alkyl,
(j) -$(CH_2)_t$-OR^{a20}
wherein R^{a20} is

(1") hydrogen atom,
(2") optionally substituted C_{1-6} alkyl (as defined above),
(3") optionally substituted C_{2-6} alkenyl (as defined above),
(4") C_{2-6} alkynyl optionally substituted by 1 to 3 substituent(s) selected from the above group A,
(5") C_{6-14} aryl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
(6") C_{6-14} aryl C_{1-6} alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
(7") heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the above group B,
(8") heterocycle C_{1-6} alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
(9") C_{3-8} cycloalkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B, or
(10") C_{3-8} cycloalkyl C_{1-6} alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,

(k) -$(CH_2)_t$-O- $(CH_2)_p$-COR^{a21}
wherein R^{a21} is C_{1-6} alkylamino or heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the above group B, and p is 0 or an integer of 1 to 6,

(l) $-(CH_2)_t-NR^{a22}R^{a23}$
wherein R^{a22} and R^{a23} are each independently

(1") hydrogen atom,
(2") optionally substituted C_{1-6} alkyl (as defined above),
(3") C_{6-14} aryl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
(4") C_{6-14} aryl C_{1-6} alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B or
(5") heterocycle C_{1-6} alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,

(m) - $(CH_2)_t-NR^{a29}CO-R^{a24}$
wherein R^{a29} is hydrogen atom, C_{1-6} alkyl or C_{1-6} alkanoyl, R^{a24} is optionally substituted C_{1-6} alkyl (as defined above), C_{6-14} aryl optionally substituted by 1 to 5 substituent(s) selected from the above group B or heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the above group B,
(n)-$(CH_2)_t-NHSO_2-R^{a25}$
wherein R^{a25} is hydrogen atom, optionally substituted C_{1-6} alkyl (as defined above), C_{6-14} aryl optionally substituted by 1 to 5 substituent(s) selected from the above group B or heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the above group B,
(o)-$(CH_2)_t-S(O)_q-R^{a25}$
wherein R^{a25} is as defined above, and q is 0, 1 or 2,
and
(p) $-(CH_2)_t-SO_2-NHR^{a26}$
wherein R^{a26} is hydrogen atom, optionally substituted C_{1-6} alkyl (as defined above), C_{6-14} aryl optionally substituted by 1 to 5 substituent(s) selected from the above group B or heterocyclic group optionally substituted by to 5 substituent(s) selected from the above group B,

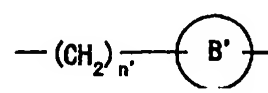
w is an integer of 1 to 3, and
Y is

(1') a single bond,
(2') C_{1-6} alkylene,
(3') C_{2-6} alkenylene,
(4') $-(CH_2)_m-O-(CH_2)_n-$,
(hereinafter m and n are each independently 0 or an integer of 1 to 6),
(5') -CO-,
(6') $-CO_2-(CH_2)_n-$,
(7') $-CONH-(CH_2)_n-NH-$,
(8') $-NHCO_2-$,
(9') -NHCONH-,
(10') $-O-(CH_2)_n-CO-$,
(11') $-O-(CH_2)_n-O-$,
(12') $-SO_2-$,
(13') $-(CH_2)_m-NR^{a12}-(CH_2)_n-$
wherein R^{a12} is

(1") hydrogen atom,
(2") optionally substituted C_{1-6} alkyl (as defined above),
(3") C_{6-14} aryl C_{1-6} alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
(4") C_{6-14} aryl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
(5") $-COR^{b5}$
wherein R^{b5} is hydrogen atom, optionally substituted C_{1-6} alkyl (as defined above), C_{6-14} aryl optionally substituted by 1 to 5 substituent(s) selected from the above group B or C_{6-14} aryl C_{1-6} alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
(6") $-COOR^{b5}$ (R^{b5} is as defined above) or
(7") $-SO_2R^{b5}$ (R^{b5} is as defined above),

(14') -NR^{a12}CO- (R^{a12} is as defined above),
(15') -CONR^{a13}-(CH$_2$)$_n$-
wherein R^{a13} is hydrogen atom, optionally substituted C_{1-6} alkyl (as defined above) or C_{6-14} aryl C_{1-6} alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
(16') -CONH-CHR^{a14}-
wherein R^{a14} is C_{6-14} aryl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
(17') -O-(CH$_2$)$_m$-CR^{a15}R^{a16}-(CH$_2$)$_n$-
wherein R^{a15} and R^{a16} are each independently

(1") hydrogen atom,
(2") carboxyl,
(3") C_{1-6} alkyl,
(4") -OR^{b6}
wherein R^{b6} is C_{1-6} alkyl or C_{6-14} aryl C_{1-6} alkyl, or
(5") -NHR^{b7}
wherein R^{b7} is hydrogen atom, C_{1-6} alkyl, C_{1-6} alkanoyl or C_{6-14} aryl C_{1-6} alkyloxycarbonyl, or R^{a15} is optionally
(6")



wherein n', ring B', Z' and w' are the same as the above-mentioned n, ring B, Z and w, respectively, and may be the same as or different from the respective counterparts,

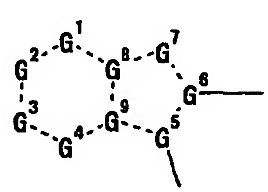
(18') -(CH$_2$)$_n$-NR^{a12}-CHR^{a15}- (R^{a12} and R^{a15} are each as defined above),
(19') -NR^{a17}SO$_2$-
wherein R^{a17} is hydrogen atom or C_{1-6} alkyl or
(20') -S(O)$_e$-(CH$_2$)$_m$-CR^{a15}R^{a16}-(CH$_2$)$_n$- (e is 0, 1 or 2, R^{a15} and R^{a16} are each as defined above).

2. The therapeutic agent of claim 1, wherein 1 to 4 of the G^1, G^2, G^3, G^4, G^5, G^6, G^7, G^8 and G^9 is (are) a nitrogen atom.

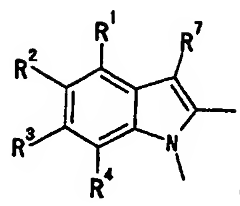
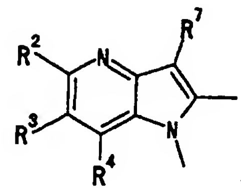
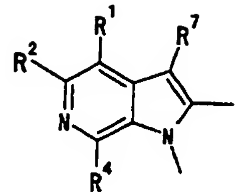
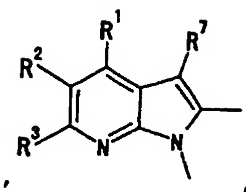
3. The therapeutic agent of claim 2, wherein G^2 is C(-R^2) and G^6 is a carbon atom.

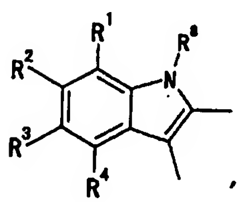
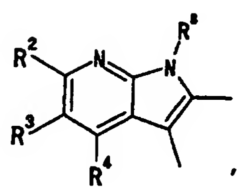
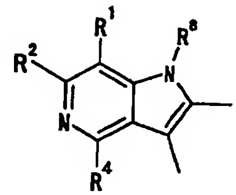
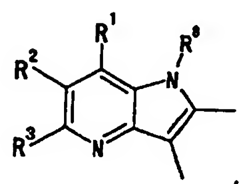
4. The therapeutic agent of claim 2 or claim 3, wherein G^5 is a nitrogen atom.

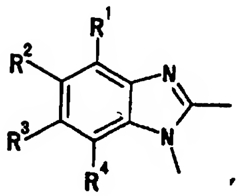
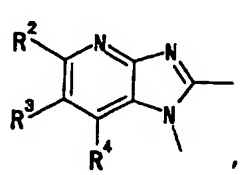
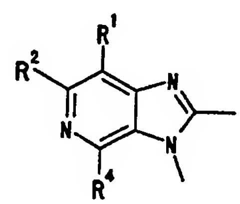
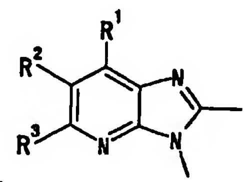
5. The therapeutic agent of claim 1, wherein, in formula [I], the moiety

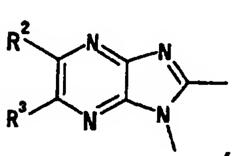
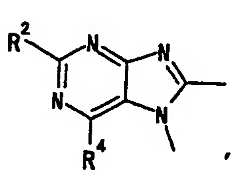
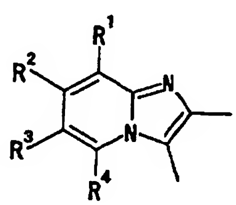
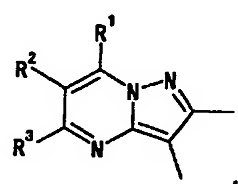


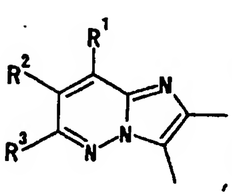
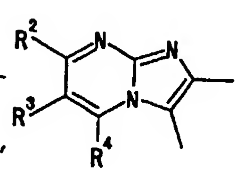
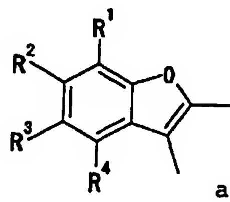
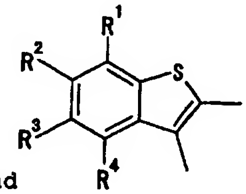
is a fused ring selected from

, , , ,

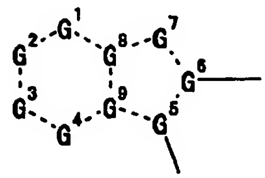
, , , ,

, , , ,

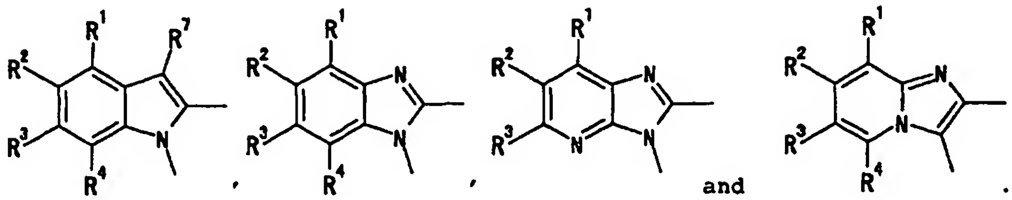
, , , ,

, ,  and .

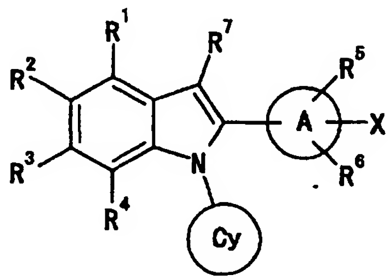
6. The therapeutic agent of claim 5, wherein, in formula [I], the moiety



is a fused ring selected from



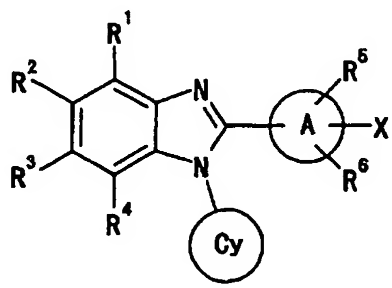
7. The therapeutic agent of claim 6, which comprises a fused ring compound of the following formula [I-1]



[I-1]

wherein each symbol is as defined in claim 1,
or a pharmaceutically acceptable salt thereof as an active ingredient.

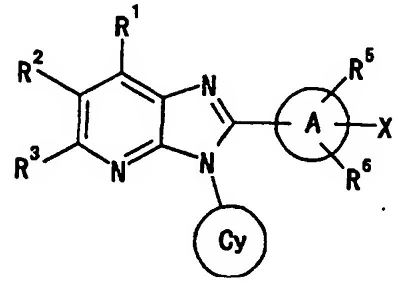
8. The therapeutic agent of claim 6, which comprises a fused ring compound of the following formula [I-2]



[I-2]

wherein each symbol is as defined in claim 1,
or a pharmaceutically acceptable salt thereof as an active ingredient.

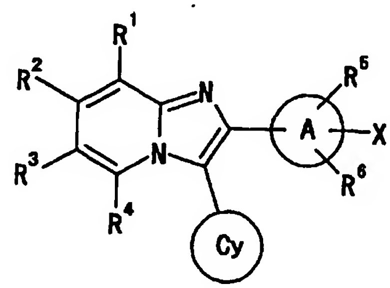
9. The therapeutic agent of claim 6, which comprises a fused ring compound of the following formula [I-3]



[I-3]

wherein each symbol is as defined in claim 1,
or a pharmaceutically acceptable salt thereof as an active ingredient.

10. The therapeutic agent of claim 6, which comprises a fused ring compound of the following formula [I-4]



[I-4]

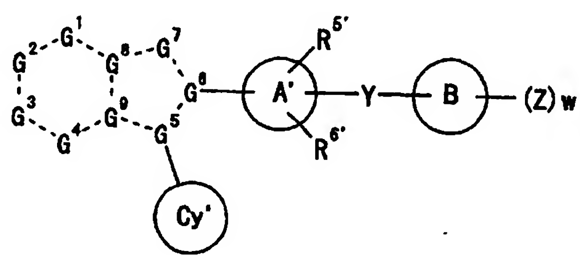
wherein each symbol is as defined in claim 1,
or a pharmaceutically acceptable salt thereof as an active ingredient.

11. The therapeutic agent of any of claims 1 to 10, wherein at least one of R^1, R^2, R^3 and R^4 is carboxyl, $-COOR^{a1}$, $-CONR^{a2}R^{a3}$ or $-SO_2R^{a7}$ wherein R^{a1}, R^{a2}, R^{a3} and R^{a7} are as defined in claim 1.

12. The therapeutic agent of any of claims 1 to 11, wherein the ring Cy is cyclopentyl, cyclohexyl, cycloheptyl or tetrahydrothiopyranyl.

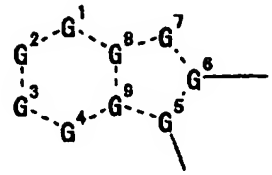
13. The therapeutic agent of any of claims 1 to 12, wherein the ring A is C_{6-14} aryl.

14. A fused ring compound of the following formula [II]

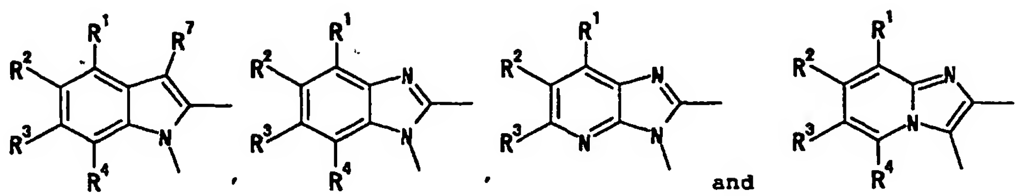


[II]

wherein
the moiety



is a fused ring selected from



wherein R^1, R^2, R^3 and R^4 are each independently,

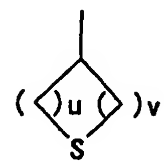
(1) hydrogen atom,
(2) C_{1-6} alkanoyl,
(3) carboxyl,
(4) cyano,
(5) nitro,
(6) C_{1-6} alkyl optionally substituted by 1 to 3 substituent(s) selected from the following group A,
group A; halogen atom, hydroxyl group, carboxyl, amino, C_{1-6} alkoxy, C_{1-6} alkoxycarbonyl and C_{1-6} alkylamino,
(7) $-COOR^{a1}$
wherein R^{a1} is optionally substituted C_{1-6} alkyl (as defined above) or C_{6-14} aryl C_{1-6} alkyl optionally substituted by 1 to 5 substituent(s) selected from the following group B,
group B; halogen atom, cyano, nitro, C_{1-6} alkyl, halogenated C_{1-6} alkyl, C_{1-6} alkanoyl, $-(CH_2)_r-COOR^{b1}$, $-(CH_2)_r-CONR^{b1}R^{b2}$, $-(CH_2)_rNR^{b1}R^{b2}$, $-(CH_2)_r-NR^{b1}-COR^{b2}$, $-(CH_2)_r-NHSO_2R^{b1}$, $-(CH_2)_r-OR^{b1}$, $-(CH_2)_r-SR^{b1}$, $-(CH_2)_r-SO_2R^{b1}$ and $-(CH_2)_r-SO_2NR^{b1}R^{b2}$
wherein R^{b1} and R^{b2} are each independently hydrogen atom or C_{1-6} alkyl and r is 0 or an integer of 1 to 6,
(8) $-CONR^{a2}R^{a3}$
wherein R^{a2} and R^{a3} are each independently hydrogen atom, C_{1-6} alkoxy or optionally substituted C_{1-6} alkyl (as defined above),
(9) $-C(=NR^{a4})NH_2$
wherein R^{a4} is hydrogen atom or hydroxyl group,
(10) $-NHR^{a5}$
wherein R^{a5} is hydrogen atom, C_{1-6} alkanoyl or C_{1-6} alkylsulfonyl,
(11) $-OR^{a6}$
wherein R^{a6} is hydrogen atom or optionally substituted C_{1-6} alkyl (as defined above),
(12) $-SO_2R^{a7}$
wherein R^{a7} is hydroxyl group, amino, C_{1-6} alkyl or C_{1-6} alkylamino
or
(13) $-P(=O)(OR^{a31})_2$
wherein R^{a31} is hydrogen atom, optionally substituted C_{1-6} alkyl (as defined above) or C_{6-14} aryl C_{1-6} alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B, and

R^7 is hydrogen atom or optionally substituted C_{1-6} alkyl (as defined above),

ring Cy' is

(1) C_{3-8} cycloalkyl optionally substituted by 1 to 5 substituent(s) selected from the following group C,

group C; hydroxyl group, halogen atom, C_{1-6} alkyl and C_{1-6} alkoxy, or
(2)



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wherein u and v are each independently an integer of 1 to 3,
ring A' is a group selected from a group consisting of phenyl, pyridyl, pyrazinyl, pyrimidinyl, pyridazinyl, cyclohexyl, cyclohexenyl, furyl and thienyl,
$R^{5'}$ and $R^{6'}$ are each independently

(1) hydrogen atom,
(2) halogen atom,
(3) optionally substituted C_{1-6} alkyl (as defined above) or
(4) hydroxyl group

ring B is

(1) C_{6-14} aryl,
(2) C_{3-8} cycloalkyl or
(3) heterocyclic group having 1 to 4 heteroatom(s) selected from an oxygen atom, a nitrogen atom and a sulfur atom,

each Z is independently

(1) a group selected from the following group D,
(2) C_{6-14} aryl optionally substituted by 1 to 5 substituent(s) selected from the following group D,
(3) C_{3-8} cycloalkyl optionally substituted by 1 to 5 substituent(s) selected from the following group D,
(4) C_{6-14} aryl C_{1-6} alkyl optionally substituted by 1 to 5 substituent(s) selected from the following group D or
(5) heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the following group D wherein the heterocyclic group has 1 to 4 heteroatom(s) selected from an oxygen atom, a nitrogen atom and a sulfur atom,
group D:

(a) hydrogen atom,
(b) halogen atom,
(c) cyano,
(d) nitro,
(e) optionally substituted C_{1-6} alkyl (as defined above),
(f) $-(CH_2)_t-COR^{a18}$,
(hereinafter each t means independently 0 or an integer of 1 to 6),
wherein R^{a18} is

(1') optionally substituted C_{1-6} alkyl (as defined above),
(2') C_{6-14} aryl optionally substituted by 1 to 5 substituent(s) selected from the above group B or
(3') heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the above group B
wherein the heterocyclic group has 1 to 4 heteroatom(s) selected from an oxygen atom, a nitrogen atom and a sulfur atom,

(g) $-(CH_2)_t-COOR^{a19}$
wherein R^{a19} is hydrogen atom, optionally substituted C_{1-6} alkyl (as defined above) or C_{6-14} aryl C_{1-6} alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
(h) $-(CH_2)_t-CONR^{a27}R^{a28}$
wherein R^{a27} and R^{a28} are each independently,

(1") hydrogen atom,
(2") optionally substituted C_{1-6} alkyl (as defined above),
(3") C_{6-14} aryl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
(4") C_{6-14} aryl C_{1-6} alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
(5") heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the above group B,
(6") heterocycle C_{1-6} alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
wherein the heterocycle C_{1-6} alkyl is C_{1-6} alkyl substituted by heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the above group B, as defined above,
(7") C_{3-8} cycloalkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B, or
(8") C_{3-8} cycloalkyl C_{1-6} alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,

(i) $-(CH_2)_t-C(=NR^{a33})NH_2$
wherein R^{a33} is hydrogen atom or C_{1-6} alkyl,
(j) $-(CH_2)_t-OR^{a20}$
wherein R^{a20} is

(1') hydrogen atom,
(2') optionally substituted C_{1-6} alkyl (as defined above),
(3') optionally substituted C_{2-6} alkenyl (as defined above),
(4') C_{2-6} alkynyl optionally substituted by 1 to 3 substituent(s) selected from the above group A,
(5') C_{6-14} aryl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
(6') C_{6-14} aryl C_{1-6} alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
(7') heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the above group B,
(8') heterocycle C_{1-6} alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
(9') C_{3-8} cycloalkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B, or
(10') C_{3-8} cycloalkyl C_{1-6} alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,

(k) $-(CH_2)_t-O-(CH_2)_p-COR^{a21}$
wherein R^{a21} is C_{1-6} alkylamino or heterocyclic group optionally substituted by 1 to 5 substituent (s) selected from the above group B, and p is 0 or an integer of 1 to 6,
(l) $-(CH_2)_t-NR^{a22}R^{a23}$
wherein R^{a22} and R^{a23} are each independently

(1') hydrogen atom,
(2') optionally substituted C_{1-6} alkyl (as defined above),
(3') C_{6-14} aryl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
(4') C_{6-14} aryl C_{1-6} alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B or
(5') heterocycle C_{1-6} alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,

(m) -$(CH_2)_t$-$NR^{a29}CO$-R^{a24}
wherein R^{a29} is hydrogen atom, C_{1-6} alkyl or C_{1-6} alkanoyl, R^{a24} is optionally substituted C_{1-6} alkyl (as defined above), C_{6-14} aryl optionally substituted by 1 to 5 substituent(s) selected from the above group B or heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the above group B,
(n)-$(CH_2)_t$-$NHSO_2$-R^{a25}
wherein R^{a25} is hydrogen atom, optionally substituted C_{1-6} alkyl (as defined above), C_{6-14} aryl optionally substituted by 1 to 5 substituent(s) selected from the above group B or heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the above group B,
(o) -$(CH_2)_t$-$S(O)_q$-R^{a25}
wherein R^{a25} is as defined above, and q is 0, 1 or 2,
and
(p) -$(CH_2)_t$-SO_2-NHR^{a26}
wherein R^{a26} is hydrogen atom, optionally substituted C_{1-6} alkyl (as defined above), C_{6-14} aryl optionally substituted by 1 to 5 substituent(s) selected from the above group B or heterocyclic group optionally substituted by 1 to 5 substituent(s) selected from the above group B,

w is an integer of 1 to 3, and
y is

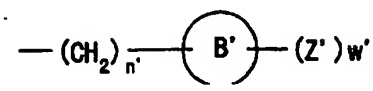
(1) a single bond,
(2) C_{1-6} alkylene,
(3) C_{2-6} alkenylene,
(4) -$(CH_2)_m$-O-$(CH_2)_n$-,
(hereinafter m and n are each independently 0 or an integer of 1 to 6),
(5) -CO-,
(6) -CO_2-$(CH_2)_n$-,
(7) -CONH-$(CH_2)_n$-NH-,
(8) -$NHCO_2$-,
(9) -NHCONH-,
(10) -O-$(CH_2)_n$-CO-,
(11) -O-$(CH_2)_n$-O-,
(12) -SO_2-,
(13) -$(CH_2)_m$-NR^{a12}-$(CH_2)_n$-
wherein R^{a12} is

(1') hydrogen atom,
(2') optionally substituted C_{1-6} alkyl (as defined above),
(3') C_{6-14} aryl C_{1-6} alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
(4') C_{6-14} aryl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
(5') -COR^{b5}
wherein R^{b5} is hydrogen atom, optionally substituted C_{1-6} alkyl (as defined above), C_{6-14} aryl optionally substituted by 1 to 5 substituent(s) selected from the above group B or C_{6-14} aryl C_{1-6} alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
(6') -$COOR^{b5}$ (R^{b5} is as defined above) or
(7') -SO_2R^{b5} (R^{b5} is as defined above),

(14) -$NR^{a12}CO$- (R^{a12} is as defined above),
(15) -$CONR^{a13}$-$(CH_2)_n$-
wherein R^{a13} is hydrogen atom, optionally substituted C_{1-6} alkyl (as defined above) or C_{6-14} aryl C_{1-6} alkyl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
(16) -CONH-CHR^{a14}-
wherein R^{a14} is C_{6-14} aryl optionally substituted by 1 to 5 substituent(s) selected from the above group B,
(17) -O- $(CH_2)_m$-$CR^{a15}R^{a16}$-$(CH_2)_n$-

wherein R^{a15} and R^{a16} are each independently

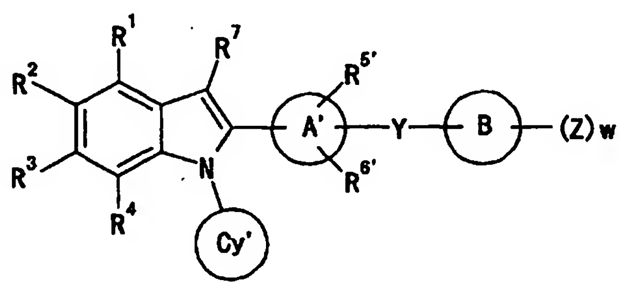
(1') hydrogen atom,
(2') carboxyl,
(3') C_{1-6} alkyl,
(4') $-OR^{b6}$
wherein R^{b6} is C_{1-6} alkyl or C_{6-14} aryl C_{1-6} alkyl, or
(5') $-NHR^{b7}$
wherein R^{b7} is hydrogen atom, C_{1-6} alkyl, C_{1-6} alkanoyl or C_{6-14} aryl C_{1-6} alkyloxycarbonyl, or R^{a15} is optionally
(6')



wherein n', ring B', Z' and w' are the same as the above-mentioned n, ring B, Z and w, respectively, and may be the same as or different from the respective counterparts,

(18) $-(CH_2)_n-NR^{a12}-CHR^{a15}-$ (R^{a12} and R^{a15} are each as defined above),
(19) $-NR^{a17}SO_2-$
wherein R^{a17} is hydrogen atom or C_{1-6} alkyl or
(20) $-S(O)_e-(CH_2)_m-CR^{a15}R^{a16}-(CH_2)_n-$ (e is 0, 1 or 2, R^{a15} and R^{a16} are each as defined above), or a pharmaceutically acceptable salt thereof.

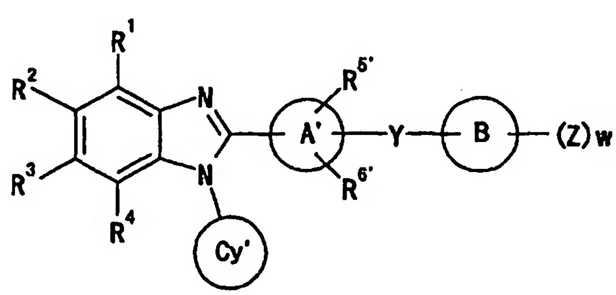
15. The fused ring compound of claim 14, which is represented by the following formula [II-1]



[II-1]

wherein each symbol is as defined in claim 14,
or a pharmaceutically acceptable salt thereof.

16. The fused ring compound of claim 14, which is represented by the following formula [II-2]

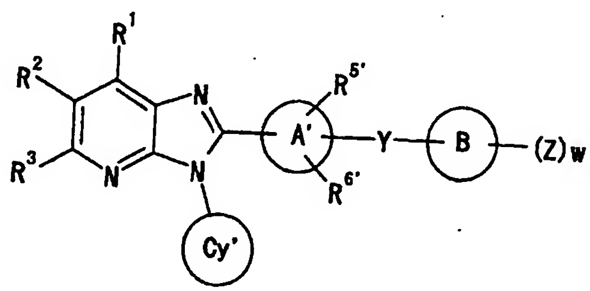


[II-2]

wherein each symbol is as defined in claim 14,

or a pharmaceutically acceptable salt thereof.

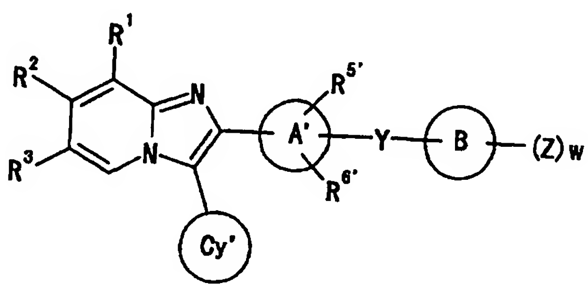
17. The fused ring compound of claim 14, which is represented by the following formula [II-3]



[II-3]

wherein each symbol is as defined in claim 14, or a pharmaceutically acceptable salt thereof.

18. The fused ring compound of claim 14, which is represented by the following formula [II-4]



[II-4]

wherein each symbol is as defined in claim 14, or a pharmaceutically acceptable salt thereof.

19. The fused ring compound of any of claims 14 to 18, wherein at least one of R^1, R^2, R^3 and R^4 is carboxyl, $-COOR^{a1}$ or $-SO_2R^{a7}$ wherein R^{a1} and R^{a7} are as defined in claim 14, or a pharmaceutically acceptable salt thereof.

20. The fused ring compound of claim 19, wherein at least one of R^1, R^2, R^3 and R^4 is carboxyl or $-COOR^{a1}$ wherein R^{a1} is as defined in claim 14, or a pharmaceutically acceptable salt thereof.

21. The fused ring compound of claim 20, wherein R^2 is carboxyl and R^1, R^3 and R^4 are hydrogen atoms, or a pharmaceutically acceptable salt thereof.

22. The fused ring compound of any of claims 14 to 21, wherein the ring Cy' is cyclopentyl, cyclohexyl, cycloheptyl or tetrahydrothiopyranyl, or a pharmaceutically acceptable salt thereof.

23. The fused ring compound of claim 22, wherein the ring Cy' is cyclopentyl, cyclohexyl or cycloheptyl, or a pharmaceutically acceptable salt thereof.

24. The fused ring compound of any of claims 14 to 23, wherein the ring A' is phenyl, pyridyl, pyrazinyl, pyrimidinyl or pyridazinyl, or a pharmaceutically acceptable salt thereof.

25. The fused ring compound of claim 24, wherein the ring A' is phenyl or pyridyl, or a pharmaceutically acceptable salt thereof.

26. The fused ring compound of claim 25, wherein the ring A' is phenyl, or a pharmaceutically acceptable salt thereof.

27. The fused ring compound of any of claims 14 to 26, wherein the Y is $-(CH_2)_m-O-(CH_2)_n-$, $-NHCO_2-$, -CONH-$CHR^{a14}-$, $-(CH_2)_m-NR^{a12}-(CH_2)_n-$ $-CONR^{a13}-(CH_2)_n-$, $-O-(CH_2)_m-CR^{a15}R^{a16}-(CH_2)_n-$ or $-(CH_2)_n-NR^{a12}-CHR^{a15}-$ (wherein each symbol is as defined in claim 14), or a pharmaceutically acceptable salt thereof.

28. The fused ring compound of claim 27, wherein the Y is $-(CH_2)_m-O-(CH_2)_n-$ or $-O-(CH_2)_m-CR^{a15}R^{a16}-(CH_2)_n-$ (wherein each symbol is as defined in claim 14), or a pharmaceutically acceptable salt thereof.

29. The fused ring compound of claim 28, wherein the Y is $-(CH_2)_m-O-(CH_2)_n-$ wherein each symbol is as defined in claim 14, or a pharmaceutically acceptable salt thereof.

30. The fused ring compound of any of claims 14 to 29, wherein the R^2 is carboxyl, R^1, R^3 and R^4 are hydrogen atoms, the ring Cy' is cyclopentyl, cyclohexyl or cycloheptyl, and the ring A' is phenyl, or a pharmaceutically acceptable salt thereof.

31. The fused ring compound of claim 14 or a pharmaceutically acceptable salt thereof, which is selected from the group consisting of

ethyl 2-[4-(3-bromophenoxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylate,
2-[4-(3-bromophenoxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid,
ethyl 2-[4-(2-bromo-5-chlorobenzyloxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylate,
ethyl 2-{4-[2-(4-chlorophenyl)-5-chlorobenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylate,
2-{4-[2-(4-chlorophenyl)-5-chlorobenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
ethyl 2-[4-(2-bromo-5-methoxybenzyloxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylate,
ethyl 2-{4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylate,
2-{4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
ethyl 1-cyclohexyl-2-{4-[(E)-2-phenylvinyl]phenyl}benzimidazole-5-carboxylate,
1-cyclohexyl-2-{4-[(E)-2-phenylvinyl]phenyl}benzimidazole-5-carboxylic acid,
2-(4-benzyloxyphenyl)-1-cyclopentylbenzimidazole-5-carboxylic acid,
2-(4-benzyloxyphenyl)-1-cyclopentylbenzimidazole-5-carboxamide, 2-(4-benzyloxyphenyl)-5-cyano-1-cyclopentylbenzimidazole,
2-(4-benzyloxyphenyl)-1-cyclopentylbenzimidazole-5-carboxamide oxime,
ethyl 1-cyclohexyl-2-{4-[{4-(4-fluorophenyl)-2-methyl-5-thiazolyl}methoxy]phenyl}benzimidazole-5-carboxylate,
1-cyclohexyl-2-{4-[{4-(4-fluorophenyl)-2-methyl-5-thiazolyl}-methoxy]phenyl}benzimidazole-5-carboxylic acid,
ethyl 2-{4-[bis(3-fluorophenyl)methoxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylate,
2-{4-[bis(3-fluorophenyl)methoxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
ethyl 2-(4-benzoylaminophenyl)-1-cyclopentylbenzimidazole-5-carboxylate,
2-(4-benzoylaminophenyl)-1-cyclopentylbenzimidazole-5-carboxylic acid,
ethyl 2-{4-[3-(3-chlorophenyl)phenoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylate,
2-{4-[3-(3-chlorophenyl)phenoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
ethyl 2-[4-(3-acetoxyphenyloxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylate,
ethyl 1-cyclohexyl-2-[4-(3-hydroxyphenyloxy)phenyl]benzimidazole-5-carboxylate,
ethyl 1-cyclohexyl-2-{4-[3-(4-pyridylmethoxy)phenyloxy]phenyl}-benzimidazole-5-carboxylate,
1-cyclohexyl-2-{4- [3- (4-pyridylmethoxy)phenyloxy]phenyl}-benzimidazole-5-carboxylic acid,
2-(4-benzyloxyphenyl)-1-cyclopentylbenzimidazole,
ethyl 2-(4-benzyloxyphenyl)-1-cyclopentylbenzimidazole-5-carboxylate,
2-(4-benzyloxyphenyl)-1-cyclopentyl-N,N-dimethylbenzimidazole-5-carboxamide,
2-(4-benzyloxyphenyl)-1-cyclopentyl-N-methoxy-N-methylbenzimidazole-5-carboxamide,
2-(4-benzyloxyphenyl)-1-cyclopentyl-5-(1-hydroxy-1-methylethyl)benzimidazole,
5-acetyl-2-(4-benzyloxyphenyl)-1-cyclopentylbenzimidazole,
2-(4-benzyloxyphenyl)-1-cyclopentyl-N-(2-dimethylaminoethyl)-benzimidazole-5-carboxamide dihydrochloride,
2-(4-benzyloxyphenyl)-1-cyclopentyl-5-nitrobenzimidazole,
5-amino-2-(4-benzyloxyphenyl)-1-cyclopentylbenzimidazole hydrochloride,
5-acetylamino-2-(4-benzyloxyphenyl)-1-cyclopentylbenzimidazole,
2-(4-benzyloxyphenyl)-1-cyclopentyl-5-methanesulfonylaminobenzimidazole,
5-sulfamoyl-2-(4-benzyloxyphenyl)-1-cyclopentylbenzimidazole,

2-[4-(4-tert-butylbenzyloxy)phenyl]-1-cyclopentylbenzimidazole-5-carboxylic acid,
2-[4-(4-carboxybenzyloxy)phenyl]-1-cyclopentylbenzimidazole-5-carboxylic acid,
2-[4-(4-chlorobenzyloxy)phenyl]-1-cyclopentylbenzimidazole-5-carboxylic acid,
2-{4-[(2-chloro-5-thienyl)methoxylphenyl}-1-cyclopentylbenzimidazole-5-carboxylic acid,
1-cyclopentyl-2-[4- (4-trifluoromethylbenzyloxy) phenyl]-benzimidazole-5-carboxylic acid,
1-cyclopentyl-2-[4-(4-methoxybenzyloxy)phenyl]benzimidazole-5-carboxylic acid,
1-cyclopentyl-2-[4-(4-pyridylmethoxy)phenyl]benzimidazole-5-carboxylic acid hydrochloride,
1-cyclopentyl-2-[4-(4-methylbenzyloxy)phenyl]benzimidazole-5-carboxylic acid,
1-cyclopentyl-2-{4-[(3,5-dimethyl-4-isoxazolyl)methoxy]phenyl}-benzimidazole-5-carboxylic acid,
[2-(4-benzyloxyphenyl)-1-cyclopentylbenzimidazol-5-yl]-carbonylaminoacetic acid,
2-[4-(2-chlorobenzyloxy)phenyl]-1-cyclopentylbenzimidazole-5-carboxylic acid,
2-[4-(3-chlorobenzyloxy)phenyl]-1-cyclopentylbenzimidazole-5-carboxylic acid,
2-(4-benzyloxyphenyl)-3-cyclopentylbenzimidazole-5-carboxylic acid,
2-[4-(benzenesulfonylamino)phenyl]-1-cyclopentylbenzimidazole-5-carboxylic acid,
1-cyclopentyl-2-[4-(3,5-dichlorophenylcarbonylamino)phenyl]-benzimidazole-5-carboxylic acid,
2-{4-[(4-chlorophenyl)carbonylamino]phenyl}-1-cyclopentyl-benzimidazole-5-carboxylic acid,
2-{4-[(4-tert-butylphenyl)carbonylamino]phenyl}-1-cyclopentylbenzimidazole-5-carboxylic acid,
2-{4-[(4-benzyloxyphenyl)carbonylamino]phenyl}-1-cyclopentylbenzimidazole-5-carboxylic acid,
trans-4-[2-(4-benzyloxyphenyl)-5-carboxybenzimidazol-1-yl]cyclohexan-1-ol,
trans-1-[2-(4-benzyloxyphenyl)-5-carboxybenzimidazol-1-yl]-4-methoxycyclohexane,
2-(4-benzyloxyphenyl)-5-carboxymethyl-1-cyclopentylbenzimidazole,
2-[(4-cyclohexylphenyl)carbonylamino]-1-cyclopentylbenzimidazole-5-carboxylic acid,
1-cyclopentyl-2-[4-(3,5-dichlorobenzyloxy)phenyl]benzimidazole-5-carboxylic acid,
1-cyclopentyl-2-[4-(3,4-dichlorobenzyloxy)phenyl]benzimidazole-5-carboxylic acid,
1-cyclopentyl-2- [4- (phenylcarbamoylamino) phenyl] benzimidazole-5-carboxylic acid,
1-cyclopentyl-2-[4-(diphenylmethoxy)phenyl]benzimidazole-5-carboxylic acid,
1-cyclopentyl-2-(4-phenethyloxyphenyl)benzimidazole-5-carboxylic acid,
trans-1-[2-(4-benzyloxyphenyl)-5-carboxybenzimidazol-1-yl]-4-tert-butylcyclohexane,
2-(4-benzyloxyphenyl)-5-carboxymethoxy-1-cyclopentylbenzimidazole,
2-(4-benzylaminophenyl)-1-cyclopentylbenzimidazole-5-carboxylic acid,
2-[4-(N-benzenesulfonyl-N-methylamino)phenyl]-1-cyclopentyl-benzimidazole-5-carboxylic acid,
2-[4-(N-benzyl-N-methylamino)phenyl]-1-cyclopentylbenzimidazole-5-carboxylic acid,
1-cyclohexyl-2-(4-phenethylphenyl)benzimidazole-5-carboxylic acid,
1-cyclohexyl-2-[4-(3,5-dichlorobenzyloxy)phenyl]benzimidazole-5-carboxylic acid,
1-cyclohexyl-2-[4-(diphenylmethoxy)phenyl]benzimidazole-5-carboxylic acid,
1-cyclohexyl-2-[4-(3,5-di-tert-butylbenzyloxy)phenyl]-benzimidazole-5-carboxylic acid,
2-(4-benzyloxyphenyl)-1-(4-methylcyclohexyl)benzimidazole-5-carboxylic acid,
1-cyclohexyl-2-{4-[2-(2-naphthyl)ethoxy]phenyl}benzimidazole-5-carboxylic acid,
1-cyclohexyl-2-[4-(1-naphthyl)methoxyphenyl]benzimidazole-5-carboxylic acid,
1-cyclohexyl-2-[4- (dibenzylamino)phenyl]benzimidazole-5-carboxylic acid,
2-[4-(2-biphenylylmethoxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-(4-benzyloxyphenyl)-1-cyclohexylbenzimidazole-5-carboxylic acid,
1-cyclohexyl-2-[4-(dibenzylmethoxy)phenyl]benzimidazole-5-carboxylic acid,
2-(4-benzoylmethoxyphenyl)-1-cyclohexylbenzimidazole-5-carboxylic acid,
1-cyclohexyl-2-[4-(3,3-diphenylpropyloxy)phenyl]benzimidazole-5-carboxylic acid,
2-[4-(3-chloro-6-phenylbenzyloxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid,
1-cyclohexyl-2-{4-[2-(phenoxy)ethoxy]phenyl}benzimidazole-5-carboxylic acid,
1-cyclohexyl-2-[4-(3-phenylpropyloxy)phenyl]benzimidazole-5-carboxylic acid,
1-cyclohexyl-2-[4-(5-phenylpentyloxy)phenyl]benzimidazole-5-carboxylic acid,
2-(2-benzyloxy-5-pyridyl)-1-cyclohexylbenzimidazole-5-carboxylic acid,
1-cyclohexyl-2-{4-[2-(3,4,5-trimethoxyphenyl)ethoxy]phenyl}-benzimidazole-5-carboxylic acid,
2-(4-benzyloxyphenyl)-1-(4,4-dimethylcyclohexyl)benzimidazole-5-carboxylic acid,
1-cyclohexyl-2-{4-[2-(1-naphthyl)ethoxy]phenyl}benzimidazole-5-carboxylic acid,
2-[4-(2-benzyloxyphenoxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid,
2- [4-(3-benzyloxyphenoxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid,
1-cyclohexyl-2-[4-(2-hydroxyphenoxy)phenyl]benzimidazole-5-carboxylic acid,
1-cyclohexyl-2-[4-(3-hydroxyphenoxy)phenyl]benzimidazole-5-carboxylic acid,
1-cyclohexyl-2-[4-(2-methoxyphenoxy)phenyl]benzimidazole-5-carboxylic acid,

1-cyclohexyl-2-[4-(3-methoxyphenoxy)phenyl]benzimidazole-5-carboxylic acid,
1-cyclohexyl-2-[4-(2-propoxyphenoxy)phenyl]benzimidazole-5-carboxylic acid,
1-cyclohexyl-2-[4-(3-propoxyphenoxy)phenyl]benzimidazole-5-carboxylic acid,
1-cyclohexyl-2-{4-[2-(3-methyl-2-butenyloxy)phenoxy]phenyl}-benzimidazole-5-carboxylic acid,
1-cyclohexyl-2-{4-[3-(3-methyl-2-butenyloxy)phenoxy]phenyl}-benzimidazole-5-carboxylic acid,
1-cyclohexyl-2-[4-(2-isopentyloxyphenoxy)phenyl]benzimidazole-5-carboxylic acid,
1-cyclohexyl-2-[4-(3-isopentyloxyphenoxy)phenyl]benzimidazole-5-carboxylic acid,
1-cyclohexyl-2-{4-[2-(10,11-dihydro-5H-dibenzo[b,f]azepin-5-yl)ethoxy]phenyl}benzimidazole-5-carboxylic acid,
1-cyclohexyl-2-{4-[2-(4-trifluoromethylphenyl)benzyloxy]-phenyl}benzimidazole-5-carboxylic acid,
2-{4-[bis(4-chlorophenyl)methoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
1-cyclohexyl-2-{4-[2-(4-methoxyphenyl)ethoxy]phenyl}-benzimidazole-5-carboxylic acid,
1-cyclohexyl-2-{4-[2-(2-methoxyphenyl)ethoxy]phenyl}-benzimidazole-5-carboxylic acid,
1-cyclohexyl-2-{4-[2-(3-methoxyphenyl)ethoxy]phenyl}-benzimidazole-5-carboxylic acid,
2-(4-benzyloxyphenyl)-1-cycloheptylbenzimidazole-5-carboxylic acid,
1-cyclohexyl-2-[4-(2-phenethyloxyphenoxy)phenyl]benzimidazole-5-carboxylic acid,
1-cyclohexyl-2-[4-(3-phenethyloxyphenoxy)phenyl]benzimidazole-5-carboxylic acid,
1-cyclohexyl-2-[4-(2,2-diphenylethoxy)phenyl]benzimidazole-5-carboxylic acid,
cis-1-[2-(4-benzyloxyphenyl)-5-carboxybenzimidazol-1-yl]-4-fluorocyclohexane,
1-cyclohexyl-2-[4-(2-phenoxyphenoxy)phenyl]benzimidazole-5-carboxylic acid,
1-cyclohexyl-2-[4-(3-phenoxyphenoxy)phenyl]benzimidazole-5-carboxylic acid,
2-{4-[(2R)-2-benzyloxycarbonylamino-2-phenylethoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
1-cyclohexyl-2-{2-fluoro-4-[2-(4-trifluoromethylphenyl)-benzyloxy]phenyl}benzimidazole-5-carboxylic acid,
2-[4-(4-benzyloxyphenoxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-{4-[bis(4-methylphenyl)methoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-{4-[bis(4-fluorophenyl)methoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
1-cyclohexyl-6-methoxy-2-[4-(3-phenylpropoxy)phenyl]-benzimidazole-5-carboxylic acid,
1-cyclohexyl-6-hydroxy-2-[4-(3-phenylpropoxy)phenyl]-benzimidazole-5-carboxylic acid,
1-cyclohexyl-6-methyl-2-[4-(3-phenylpropoxy)phenyl]benzimidazole-5-carboxylic acid,
2-{4-[2-(2-benzyloxyphenyl)ethoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-{4-[2-(3-benzyloxyphenyl)ethoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-[4-(2-carboxymethyloxyphenoxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-[4-(3-carboxymethyloxyphenoxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-{4-[3-chloro-6-(4-methylphenyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-{4-[3-chloro-6-(4-methoxyphenyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
1-cyclohexyl-2-{2-methyl-4-[2-(4-trifluoromethylphenyl)-benzyloxy]phenyl}benzimidazole-5-carboxylic acid,
2-{4-[2-(4-tert-butylphenyl)-5-chlorobenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-{4-(3-chloro-6-phenylbenzyloxy)-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-{4-[3-chloro-6-(3,5-dichlorophenyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-{4- [bis (4-fluorophenyl)methoxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-{4-(4-benzyloxyphenoxy)-2-chlorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-{4-(4-benzyloxyphenoxy)-2-trifluoromethylphenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-{4-[3-chloro-6-(2-trifluoromethylphenyl)benzyloxy]phenyl}-1cyclohexylbenzimidazole-5-carboxylic acid,
2-{4-[(2R)-2-amino-2-phenylethoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-[4-(2-biphenylyloxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-[4-(3-biphenylyloxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-{4-[2-{(1-tert-butoxycarbonyl-4-piperidyl)methoxy}phenoxy]-phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-{4-[3-{(1-tert-butoxycarbonyl-4-piperidyl)methoxy}phenoxy]-phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-{4-[3-chloro-6- (3,4,5-trimethoxyphenyl) benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-{4-[2-(2-biphenylyl)ethoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-[4-(2-biphenylylmethoxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid,
1-cyclohexyl-2-{4-[2-(4-piperidylmethoxy)phenoxy]phenyl}-benzimidazole-5-carboxylic acid hydrochloride,
1-cyclohexyl-2-{4-[3-(4-piperidylmethoxy)phenoxy]phenyl}-benzimidazole-5-carboxylic acid hydrochloride,
2-{4-[(2R)-2-acetylamino-2-phenylethoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
1-cyclohexyl-2-{4-[3-(4-methyl-3-pentenyloxy)phenoxy]phenyl}-benzimidazole-5-carboxylic acid,
1-cyclohexyl-2-{4-[3- (3-methyl-3-butenyloxy)phenoxy]phenyl}-benzimidazole-5-carboxylic acid,

2-{4-[{(2S)-1-benzyl-2-pyrrolidinyl}methoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
2-{4-[3-chloro-6-(4-methylthiophenyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-{4-[3-chloro-6-(4-methanesulfonylphenyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-{4-[3-chloro-6-(2-thienyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-{4-[3-chloro-6-(3-chlorophenyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-{4-[3-chloro-6-(3-pyridyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-{4-[3-chloro-6-(4-fluorophenyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-[4- (4-benzyloxyphenoxy)-3-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-[4-(2-bromo-5-chlorobenzyloxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-{4-[3-chloro-6-(4-chlorophenyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-{4-[2-{(1-acetyl-4-piperidyl)methoxy}phenoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-{4-[3-{(1-acetyl-4-piperidyl)methoxy}phenoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
1-cyclohexyl-2-{4-[3-(2-propynyloxy)phenoxy]phenyl}benzimidazole-5-carboxylic acid,
1-cyclohexyl-2-{4-[3-(3-pyridylmethoxy)phenoxy]phenyl}-benzimidazole-5-carboxylic acid,
2-(4-benzyloxy-2-methoxyphenyl)-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-[4-(2-bromo-5-methoxybenzyloxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-[4-(carboxydiphenylmethoxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-{4-[2-(4-chlorophenyl)-5-nitrobenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-{4-[3-acetylamino-6-(4-chlorophenyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-{4-[2-(4-carboxyphenyl)-5-chlorobenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-{4-[{(2S)-1-benzyloxycarbonyl-2-pyrrolidinyl}methoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-{2-chloro-4-[2-(4-trifluoromethylphenyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
1-cyclohexyl-2-{4-[3-(2-pyridylmethoxy)phenoxy]phenyl}-benzimidazole-5-carboxylic acid,
2-{4-[2-(4-chlorophenyl)-5-fluorobenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-{4-[3-carboxy-6-(4-chlorophenyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-{4-[3-carbamoyl-6-(4-chlorophenyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
1-cyclohexyl-2-{4-[2-(dimethylcarbamoylmethoxy)phenoxy]phenyl}-benzimidazole-5-carboxylic acid,
1-cyclohexyl-2-{4-[2-(piperidinocarbonylmethoxy)phenoxy]phenyl}-benzimidazole-5-carboxylic acid,
2-{4-[{(2S)-1-benzenesulfonyl-2-pyrrolidinyl}methoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-{4-[{(2S) -1-benzoyl-2-pyrrolidinyl}methoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-{4-[2-(4-carbamoylphenyl)-5-chlorobenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
1-cyclohexyl-2-{4-[3-(dimethylcarbamoylmethoxy)phenoxy]phenyl}-benzimidazole-5-carboxylic acid,
1-cyclohexyl-2-{4-[3-(piperidinocarbonylmethoxy)phenoxy]phenyl}-benzimidazole-5-carboxylic acid,
1-cyclohexyl-2-{4-[3-{(1-methanesulfonyl-4-piperidyl)methoxy}-phenoxy]phenyl}benzimidazole-5-carboxylic acid,
1-cyclohexyl-2-{4-[{2-methyl-5-(4-chlorophenyl)-4-oxazolyl}-methoxy]phenyl}benzimidazole-5-carboxylic acid,
2-{4-[3-(3-chlorobenzyloxy)phenoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-{4-[3-(4-chlorobenzyloxy)phenoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
1-cyclohexyl-2-{4-[3-(4-fluorobenzyloxy)phenoxy]phenyl}-benzimidazole-5-carboxylic acid,
1-cyclohexyl-2-{4-[{(2S) -1- (4-nitrophenyl) -2-pyrrolidinyl}-methoxy]phenyl}benzimidazole-5-carboxylic acid,
1-cyclohexyl-2-{4-[{(2S)-1-phenyl-2-pyrrolidinyl}methoxy]phenyl}-benzimidazole-5-carboxylic acid hydrochloride,
2-{4-[{(2S)-1-(4-acetylaminophenyl)-2-pyrrolidinyl}mthoxy]-phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-{4-[{5- (4-chlorophenyl) -2-methyl-4-thiazolyl}methoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-{4-[bis(3-fluorophenyl)methoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
1-cyclohexyl-2-{4-[2-(4-chlorophenyl)-3-nitrobenzyloxy]phenyl}-benzimidazole-5-carboxylic acid,
1-cyclohexyl-2-{4-[3-(4-tetrahydropyranyloxy)phenoxylphenyl}-benzimidazole-5-carboxylic acid,
1-cyclohexyl-2-{4-[3-(4-trifluoromethylbenzyloxy)phenoxy]phenyl}-benzimidazole-5-carboxylic acid,
1-cyclohexyl-2-{4-[3-{(1-methyl-4-piperidyl)methoxy}phenoxy]-phenyl}benzimidazole-5-carboxylic acid,
2-{4-[3-(4-tert-butylbenzyloxy)phenoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-{4-[3-(2-chlorobenzyloxy)phenoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
1-cyclohexyl-2-{4-[3-(3-pyridyl)phenoxy]phenyl}benzimidazole-5-carboxylic acid,
2-{4-[3-(4-chlorophenyl)phenoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,

1-cyclohexyl-2-{4-[3-(4-methoxyphenyl)phenoxy]phenyl}-benzimidazole-5-carboxylic acid,
1-cyclohexyl-2-{4-[{4-(4-methanesulfonylphenyl)-2-methyl-5-thiazolyl}methoxy]phenyl}benzimidazole-5-carboxylic acid,
2-{4-[{4-(4-chlorophenyl) -2-methyl-5-thiazolyl}methoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-{4-[1-(4-chlorobenzyl)-3-piperidyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
1-cyclohexyl-2-{4-[3-{(2-methyl-4-thiazolyl)methoxy}phenoxy]-phenyl}benzimidazole-5-carboxylic acid,
1-cyclohexyl-2-{4-[3-{(2,4-dimethyl-5-thiazolyl)methoxy}phenoxy]-phenyl}benzimidazole-5-carboxylic acid,
1-cyclohexyl-2-{4-[3-(3,5-dichlorophenyl)phenoxy]phenyl}-benzimidazole-5-carboxylic acid,
2-{4-[1-(4-chlorobenzyl)-4-piperidyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-{4-[3-(4-chlorobenzyloxy)piperidino]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-{4-[4-carbamoyl-2-(4-chlorophenyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-{4-[4-(4-chlorobenzyloxy)piperidino]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-{4-[3-{(2-chloro-4-pyridyl)methoxy}phenoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-{4-[{(2S)-1-(4-dimethylcarbamoylphenyl)-2-pyrrolidinyl}-methoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-{4-[2-(4-chlorophenyl)-5-ethoxycarbonylbenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
1-cyclohexyl-2-[4-(3-trifluoromethylphenoxy)phenyl]benzimidazole-5-carboxylic acid,
1-cyclohexyl-2-{4-[{4-(4-dimethylcarbamoylphenyl)-2-methyl-5-thiazolyl}methoxy]phenyl}benzimidazole-5-carboxylic acid,
2-{4-[2-(4-chlorophenyl)-5-dimethylcarbamoylbenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-{4-[{4-(4-chlorophenyl)-2-methyl-5-pyrimidinyl}methoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
2-{4-[{2-(4-chlorophenyl)-3-pyridyl}methoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid dihydrochloride,
2-{4-[{3-(4-chlorophenyl)-2-pyridyl}methoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-{4-[2-(3-chlorophenyl)-4-methylamino-1,3,5-triazin-6-yloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid trifluoroacetate,
2-{4-[2-(4-chlorophenyl)-4-(5-tetrazolyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-[4-(4-benzyloxy-6-pyrimidinyloxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid,
1-cyclohexyl-2-{4-[4-(4-pyridylmethoxy)-6-pyrimidinyloxy]phenyl}-benzimidazole-5-carboxylic acid,
2-{4-[4-(3-chlorophenyl)-6-pyrimidinyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
methyl 2-{4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylate,
2-{4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
ethyl 2-{4-[3-(4-chlorophenyl)pyridin-2-ylmethoxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylate,
methyl 2-[4-(2-bromo-5-tert-butoxycarbonylbenzyloxy)phenyl]-1-cyclohexylbenzimidazole-5-carboxylate,
methyl 2-{4-[5-tert-butoxycarbonyl-2-(4-chlorophenyl)benzyloxy]-phenyl}-1-cyclohexylbenzimidazole-5-carboxylate,
methyl 2-{4-[5-carboxy-2-(4-chlorophenyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylate hydrochloride,
methyl 2-{4-[2-(4-chlorophenyl)-5-methylcarbamoylbenzyloxy]-phenyl}-1-cyclohexylbenzimidazole-5-carboxylate,
2-{4-[2-(4-chlorophenyl)-5-methylcarbamoylbenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
2-{4-[3-(tert-butylsulfamoyl)-6-(4-chlorophenyl)benzyloxy]-phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-{4-[2-(4-chlorophenyl)-5-sulfamoylbenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid trifluoroacetate,
2-(4-benzyloxycyclohexyl)-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
2-[2-(2-biphenylyloxymethyl)-5-thienyl]-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-[2-(2-biphenylyloxymethyl)-5-furyl]-1-cyclohexylbenzimidazole5-carboxylic acid,
1-cyclohexyl-2-{4-[{4-(4-fluorophenyl)-2-hydroxymethyl-5-thiazolyl}methoxy]phenyl}benzimidazole-5-carboxylic acid,
1-cyclohexyl-2-{4-[{4-(4-carboxyphenyl)-2-methyl-5-thiazolyl}-methoxy]phenyl}benzimidazole-5-carboxylic acid hydrochloride,
1-cyclohexyl-2-{2-fluoro-4-[4-fluoro-2-(3-fluorobenzoyl)-benzyloxy]phenyl}benzimidazole-5-carboxylic acid,

2-{4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-sulfonic acid,
2-{4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]phenyl}-3-cyclohexylbenzimidazole-4-carboxylic acid,
1-cyclohexyl-2-{4-[3-dimethylcarbamoyl-5-(4-pyridylmethoxy)-phenoxy]phenyl}benzimidazole-5-carboxylic acid dihydrochloride,
1-cyclohexyl-2-{4-[3-carboxy-5-(4-pyridylmethoxy)phenoxylphenyl}benzimidazole-5-carboxylic acid dihydrochloride,
2-{4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]phenyl}-1-cyclohexylbenzimidazole-4-carboxylic acid,
2-{4-[3-carbamoyl-6-(4-chlorophenyl)benzyloxy]phenyl}-1cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
2-{4-[{2-(4-carboxyphenyl)-3-pyridyl}methoxy]phenyl}-1cyclohexylbenzimidazole-5-carboxylic acid,
2-{4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]phenyl}-1-(4tetrahydrothiopyranyl)benzimidazole-5-carboxylic acid,
2-{4-[2-(4-chlorophenyl)-5-dimethylcarbamoylbenzyloxy]phenyl}-1cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
1-cyclohexyl-2-{4-[3-dimethylcarbamoyl-6-(4-trifluoromethylphenyl)benzyloxy]phenyl}benzimidazole-5-carboxylic acid hydrochloride,
1-cyclohexyl-2-{4-[3-dimethylcarbamoyl-6-(4-methylthiophenyl)-benzyloxy]phenyl}benzimidazole-5-carboxylic acid hydrochloride,
2-{4-[2-(4-chlorophenyl)-5-methylcarbamoylbenzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
2-{4-[2-(4-chlorophenyl)-5-dimethylcarbamoylbenzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
2-{4-[3-carbamoyl-6-(4-chlorophenyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
2-{4-[3-dimethylcarbamoyl-6-(4-methanesulfonylphenyl)-benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
2-{4-[3-dimethylcarbamoyl-6-(3-pyridyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid dihydrochloride, 2-{4-[3-dimethylcarbamoyl-6-(4-dimethylcarbamoylphenyl)-benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-{4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]-2-fluorophenyl}-1-(4-tetrahydrothiopyranyl)benzimidazole-5-carboxylic acid,
2-{4-[2-(4-chlorophenyl)-5-dimethylsulfamoylbenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
2-{4-[2-(4-chlorophenyl)-5-methanesulfonylbenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
methyl 2-{4-[5-carboxy-2-(4-chlorophenyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylate hydrochloride,
2-{4-[2-(4-chlorophenyl)-5-dimethylaminobenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid dihydrochloride,
2-{4-[2-(4-chlorophenyl)-5-methanesulfonylaminobenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
2-{4-[2-(4-chlorophenyl)-5-diethylcarbamoylbenzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
2-{4-[2-(4-chlorophenyl)-5-isopropylcarbamoylbenzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
2-{4-[2-(4-chlorophenyl)-5-piperidinocarbonylbenzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
2-{4-[2-(4-chlorophenyl)-5-(1-pyrrolidinyl)carbonylbenzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
2-{4-[2- (4-chlorophenyl)-5-(2-hydroxyethyl)carbamoylbenzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
2-{4-[2-(4-chlorophenyl)-5-(4-hydroxypiperidino)-carbonylbenzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
2-{4-[2-(4-chlorophenyl)-5-morpholinocarbonylbenzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
2-{4-[2-(4-chlorophenyl)-5-thiomorpholinocarbonylbenzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
2-{4-[3-(carboxymethylcarbamoyl)-6-(4-chlorophenyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimida-

zole-5-carboxylic acid hydrochloride,
2-{4-[2-{4-(2-carboxyethyl)phenyl}-5-chlorobenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-{4-[3-chloro-6-(4-hydroxymethylphenyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
2-{4-[3-chloro-6-(4-methoxymethylphenyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
2-{4-[2-(3-carboxyphenyl)-5-chlorobenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-{4-[2-(4-chlorophenyl)-5-methylthiobenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-{4-[2-(4-chlorophenyl)-5-methylsulfinylbenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-{4-[2-(4-chlorophenyl)-5-cyanobenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
2-{4-[bis(3-pyridyl)methoxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-{4-[bis(4-dimethylcarbamoylphenyl)methoxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
sodium 2-{4-[2-thienyl-3-thienylmethoxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylate,
methyl 2-{4-[2-(4-chlorophenyl) -5- (dimethylcarbamoyl) benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylate,
sodium 2-{4-[2-(4-chlorophenyl)-5-(dimethylcarbamoyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylate,
2-{4-[5-carboxy-2-(4-chlorophenyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-{4-[2-(4-carboxyphenyl)-5-methoxybenzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-{4-[2-(4-carbamoylphenyl)-5-(dimethylcarbamoyl)benzyloxy]-phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-{4-[5-amino-2-(4-chlorophenyl)benzyloxy]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-{4-[5-(4-chlorophenyl)-2-methoxybenzylsulfinyl]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
2-{4-[5-(4-chlorophenyl)-2-methoxybenzylsulfonyl]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
2-{4-[2-(4-chlorophenyl)-5-methoxybenzylthio]phenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
2-{4-[bis(4-carboxyphenyl)methoxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid,
2-[4-(phenyl-3-pyridylmethoxy)-2-fluorophenyl]-1-cyclohexylbenzimidazole-5-carboxylic acid,
methyl 2-{4-[2-(4-chlorophenyl)-5-(methylcarbamoyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylate,
2-{4-[5-chloro-2-(4-pyridyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
2-{4-[2-(4-chlorophenyl)-5-(benzylcarbamoyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
2-{4-[2-(4-chlorophenyl)-5-(cyclohexylmethylcarbamoyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
2-{4-[2-(4-chlorophenyl)-5-(4-pyridylmethylcarbamoyl)benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid dihydrochloride,
2-{4-[2-(4-chlorophenyl)-5-(N-benzyl-N-methylcarbamoyl)-benzyloxy]-2-fluorophenyl}-1-cyclohexylbenzimidazole-5-carboxylic acid hydrochloride,
methyl 2-{4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]phenyl}-1-cyclohexyl-1H-indole-5-carboxylate,
2-{4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]phenyl}-1-cyclohexyl-1H-indole-5-carboxylic acid,
2-(4-benzyloxyphenyl)-1-cyclopentyl-lH-indole-5-carboxylic acid, ethyl 2-(4-benzyloxyphenyl)-3-cyclohexylimidazo[1,2-a]pyridine-7-carboxylate,
2-(4-benzyloxyphenyl)-3-cyclohexylimidazo[1,2-a]pyridine-7-carboxylic acid, and
2-{4-[2-(4-chlorophenyl)-5-methoxybenzyloxy]phenyl}-3-cyclohexyl-3H-imidazo[4,5-b]pyridine-6-carboxylic acid.

32. A pharmaceutical composition comprising a fused ring compound of any of claims 14 to 31, or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier.

33. A hepatitis C virus polymerase inhibitor comprising a fused ring compound of any of claims 1 to 31, or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier.

34. An anti-hepatitis C virus agent comprising a fused ring compound of any of claims 1 to 31, or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier.

35. A therapeutic agent for hepatitis C comprising a fused ring compound of any of claims 14 to 31, or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier.

36. A method for treating hepatitis C, which comprises administering an effective amount of a fused ring compound of the formula [I] of claim 1 or a pharmaceutically acceptable salt thereof.

37. A method for inhibiting hepatitis C virus polymerase, which comprises administering an effective amount of a fused ring compound of the formula [I] of claim 1 or a pharmaceutically acceptable salt thereof.

38. Use of a fused ring compound of the formula [I] of claim 1 or a pharmaceutically acceptable salt thereof for the production of a pharmaceutical agent for treating hepatitis C.

39. Use of a fused ring compound of the formula [I] of claim 1 or a pharmaceutically acceptable salt thereof for the production of a hepatitis C virus polymerase inhibitor.

40. A pharmaceutical composition for the treatment of hepatitis C, which comprises a fused ring compound of the formula [I] of claim 1 or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier.

41. A pharmaceutical composition for inhibiting hepatitis C virus polymerase, which comprises a fused ring compound of the formula [I] of claim 1 or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier.

42. A commercial package comprising a pharmaceutical composition of claim 40 and a written matter associated therewith, the written matter stating that the pharmaceutical composition can or should be used for treating hepatitis C.

43. A commercial package comprising a pharmaceutical composition of claim 41 and a written matter associated therewith, the written matter stating that the pharmaceutical composition can or should be used for inhibiting hepatitis C virus polymerase.

INTERNATIONAL SEARCH REPORT

International application No.
PCT/JP00/09181

A. CLASSIFICATION OF SUBJECT MATTER

Int.Cl[7] C07D209/12, 235/18, 235/30, 401/04, 401/10, 401/12, 401/14, 403/12, 405/04, 405/12, 409/04, 409/12, 409/14, C07D413/04, 413/12, 417/12, 471/04, 487/04, A61K31/407, 4178, 4184, 422, 427, 428, 433, 437, 4439, 454, 4709, A61K31/4725, 496, 498, 506, 53, 5377, 541, 55, A61P1/16, 31/20

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

Int.Cl[7] C07D209/12, 235/18, 235/30, 401/04, 401/10, 401/12, 401/14, 403/12, 405/04, 405/12, 409/04, 409/12, 409/14, C07D413/04, 413/12, 417/12, 471/04, 487/04, A61K31/407, 4178, 4184, 422, 427, 428, 433, 437, 4439, 454, 4709, A61K31/4725, 496, 498, 506, 53, 5377, 541, 55, A61P1/16, 31/20

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)

CAPLUS, REGISTRY (STN)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	WO, 97/46237, A1 (ELI LILLY AND COMPANY), 11 December, 1997 (11.12.97), & CA, 2257296, A & AU, 9732128, A & EP, 906097, A1 & CN, 1220601, A & BR, 9709528, A & JP, 2000-511899, A	1-35, 38-43
A	EP, 507650, A1 (SYNTHELABO S.A.), 07 October, 1992 (07.10.92), & FR, 2674855, A & CA, 2064924, A & NO, 9201281, A & AU, 9213989, A & CN, 1065459, A & JP, 5-112563, A & HU, 62573, A & US, 5280030, A	1-35, 38-43
A	WO, 97/25316, A1 (GLAXO GROUP LMT.), 17 July, 1997 (17.07.97), & AU, 9714389, A & NO, 9803089, A & CZ, 9802127, A & EP, 886635, A1 & BR, 9706938, A & HU, 9900580, A & US, 5998398, A & CN, 1212683, A & JP, 2000-503017, A & KR, 9907740, A	1-35, 38-43

☐ Further documents are listed in the continuation of Box C. ☐ See patent family annex.

* Special categories of cited documents:
"A" document defining the general state of the art which is not considered to be of particular relevance
"E" earlier document but published on or after the international filing date
"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
"O" document referring to an oral disclosure, use, exhibition or other means
"P" document published prior to the international filing date but later than the priority date claimed
"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art
"&" document member of the same patent family

Date of the actual completion of the international search 20 February, 2001 (20.02.01)	Date of mailing of the international search report 06 March, 2001 (06.03.01)
Name and mailing address of the ISA/ Japanese Patent Office	Authorized officer
Facsimile No.	Telephone No.

Form PCT/ISA/210 (second sheet) (July 1992)

INTERNATIONAL SEARCH REPORT

International application No.
PCT/JP00/09181

Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This international search report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.: 36,37
because they relate to subject matter not required to be searched by this Authority, namely:
The inventions of claims 36 and 37 fall under the category of methods for treatment of the human body by therapy.

2. ☐ Claims Nos.:
because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically:

3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this international search report covers all searchable claims.

2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.

3. ☐ As only some of the required additional search fees were timely paid by the applicant, this international search report covers only those claims for which fees were paid, specifically claims Nos.:

4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this international search report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest ☐ The additional search fees were accompanied by the applicant's protest.
☐ No protest accompanied the payment of additional search fees.

Form PCT/ISA/210 (continuation of first sheet (1)) (July 1992)